

WEST Search History

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<input type="checkbox"/>	L2	polyethyleneglycol adj3 (vinyl adj1 ether)	3
<input type="checkbox"/>	L1	polyethyleneglycol adj3 vinyl\$	128

END OF SEARCH HISTORY

Inventor Search

Kishore 10/031,033

09/12/2004

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SESSION RESUMED IN FILE 'HCAPLUS' AT 14:55:02 ON 09 DEC 2004
FILE 'HCAPLUS' ENTERED AT 14:55:02 ON 09 DEC 2004
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=> d ibib abs ind hitstr l6 1-1

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:63802 HCAPLUS
DOCUMENT NUMBER: 134:136683
TITLE: Vinyl ether lipids with cleavable hydrophilic headgroups
INVENTOR(S): Thompson, David H.; Boomer, Jeremy A.; Haynes, Robert
PATENT ASSIGNEE(S): Purdue Research Foundation, USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005375	A1	20010125	WO 2000-US19430	20000717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1202714	A1	20020508	EP 2000-947445	20000717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:				
			US 1999-144301P	P 19990716
			US 1999-146552P	P 19990730
			WO 2000-US19430	W 20000717
OTHER SOURCE(S): MARPAT 134:136683				

AB A novel amphiphilic lipid compound having a cleavable, vinyl ether linked hydrophilic headgroup is described. Also described are liposomes containing the vinyl ether lipid compound, which may be triggered to release their contents and/or permeabilize or fuse with target lipid membranes. The cleavable vinyl ether linkage allows the hydrophilic headgroup to be dissociated from the hydrophobic tail group(s) of the lipid compound to facilitate phase transitions in the lipid bilayer. Thus, 4-O-cholesteryl-(3Z-buten-1yl)-polyethylene glycolate (I) was prepared by the reaction of cholestoxy-3Z-buten-1-ol with M-PEG-acid. Pharmaceutical liposomes comprising 1,2-dioleoyl-sn-glycerophosphoethanolamine:I (98:2) and calcein were prepared. Calcein release at pH = 4.5 and 7.4 in the presence and absence of egg phosphatidylcholine was studied.

IC ICM A61K009-127

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 23

ST pharmaceutical liposome calcein vinyl ether lipid

IT Drug delivery systems
(liposomes; vinyl ether lipids with cleavable hydrophilic headgroups)

IT Lipids, biological studies
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(vinyl ether lipids with cleavable hydrophilic headgroups)

IT Phosphatidylcholines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vinyl ether lipids with cleavable hydrophilic headgroups)

IT 57-88-5, Cholesterol, reactions 110-63-4, 1,4
Butanediol, reactions 814-49-3, Chlorodiethylphosphate
4004-05-1 18162-48-6, tert-Butyldimethylsilyl chloride
22323-82-6 67665-18-3 87184-99-4
259738-67-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(vinyl ether lipids with cleavable hydrophilic headgroups)

IT 6076-41-1P 69171-62-6P 85951-08-2P
99605-29-5P 321674-31-1P 321674-32-2P
321674-33-3P 321674-34-4P 321674-36-6P
321674-37-7P 321674-38-8P 321674-39-9P
321674-40-2P 321674-42-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(vinyl ether lipids with cleavable hydrophilic headgroups)

IT 237056-02-9P 321674-35-5P 321674-41-3P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(vinyl ether lipids with cleavable hydrophilic headgroups)

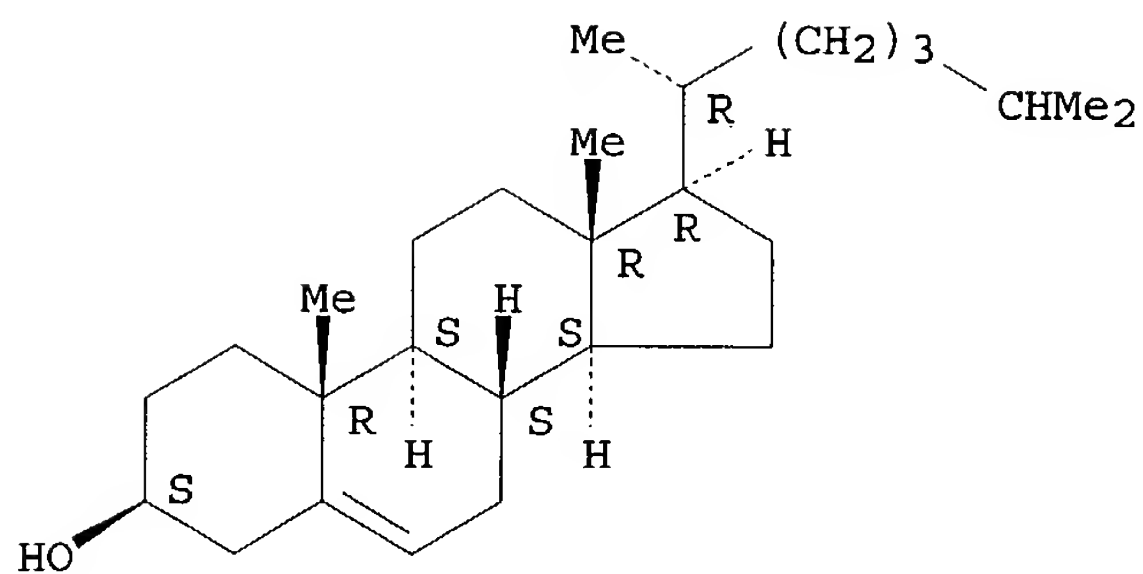
IT 1461-15-0, Calcein
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vinyl ether lipids with cleavable hydrophilic headgroups)

IT 57-88-5, Cholesterol, reactions 110-63-4, 1,4
Butanediol, reactions 814-49-3, Chlorodiethylphosphate
4004-05-1 18162-48-6, tert-Butyldimethylsilyl chloride
22323-82-6 67665-18-3 87184-99-4
259738-67-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(vinyl ether lipids with cleavable hydrophilic headgroups)

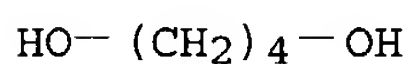
RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

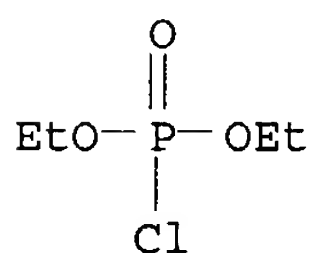
Absolute stereochemistry.



RN 110-63-4 HCAPLUS
 CN 1,4-Butanediol (8CI, 9CI) (CA INDEX NAME)



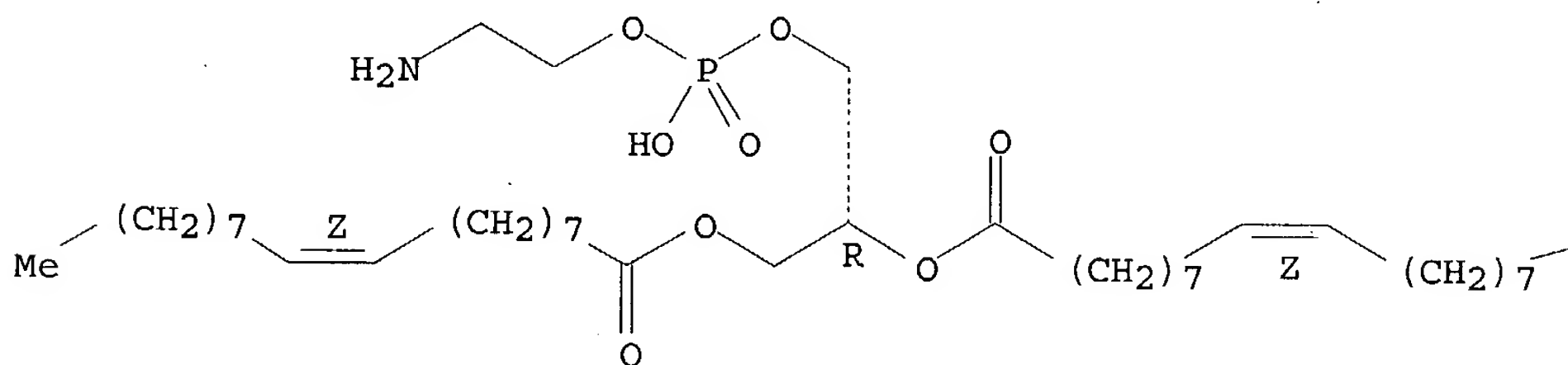
RN 814-49-3 HCAPLUS
 CN Phosphorochloridic acid, diethyl ester (8CI, 9CI) (CA INDEX NAME)



RN 4004-05-1 HCAPLUS
 CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

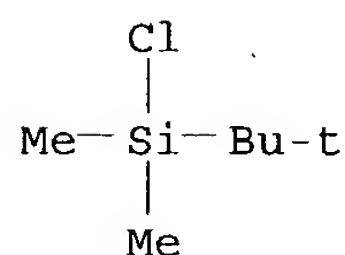
PAGE 1-A



PAGE 1-B

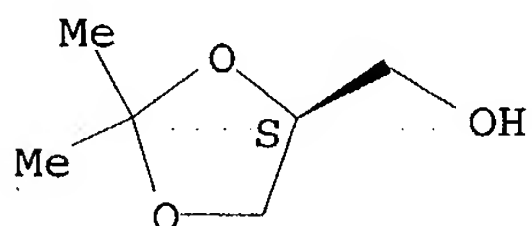
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RN 18162-48-6 HCAPLUS
 CN Silane, chloro(1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

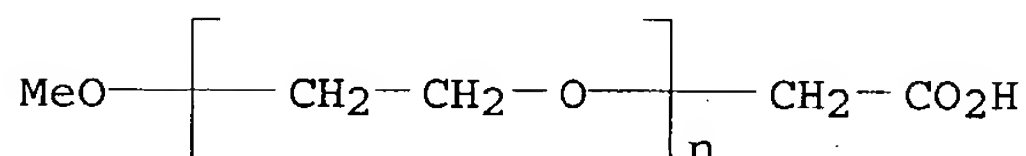


RN 22323-82-6 HCAPLUS
 CN 1,3-Dioxolane-4-methanol, 2,2-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

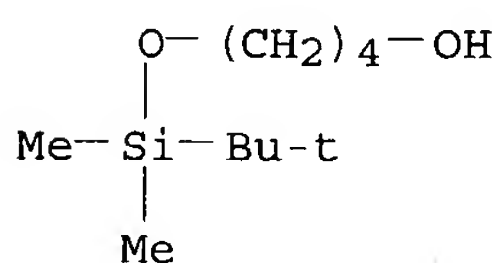
Absolute stereochemistry. Rotation (+).



RN 67665-18-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -(carboxymethyl)- ω -methoxy- (9CI)
 (CA INDEX NAME)

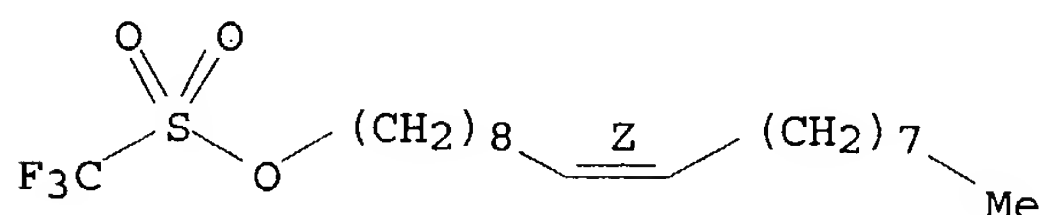


RN 87184-99-4 HCAPLUS
 CN 1-Butanol, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]- (9CI) (CA INDEX NAME)



RN 259738-67-5 HCAPLUS
 CN Methanesulfonic acid, trifluoro-, (9Z)-9-octadecenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 6076-41-1P 69171-62-6P 85951-08-2P
 99605-29-5P 321674-31-1P 321674-32-2P
 321674-33-3P 321674-34-4P 321674-36-6P

321674-37-7P 321674-38-8P 321674-39-9P

321674-40-2P 321674-42-4P

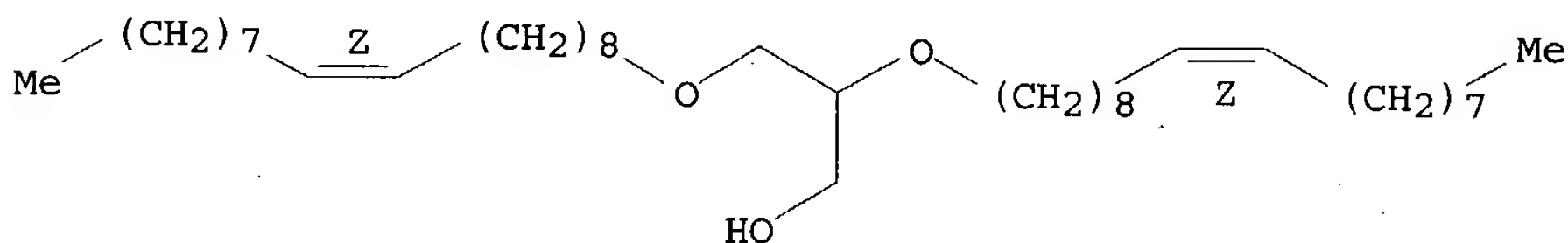
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(vinyl ether lipids with cleavable hydrophilic headgroups)

RN 6076-41-1 HCAPLUS

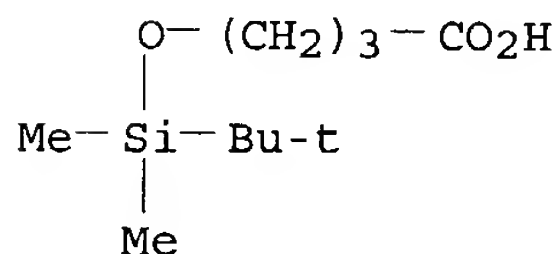
CN 1-Propanol, 2,3-bis[(9Z)-9-octadecenyl]oxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



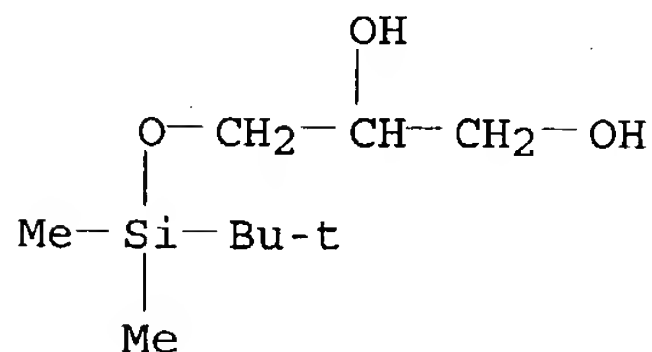
RN 69171-62-6 HCAPLUS

CN Butanoic acid, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]- (9CI) (CA INDEX NAME)



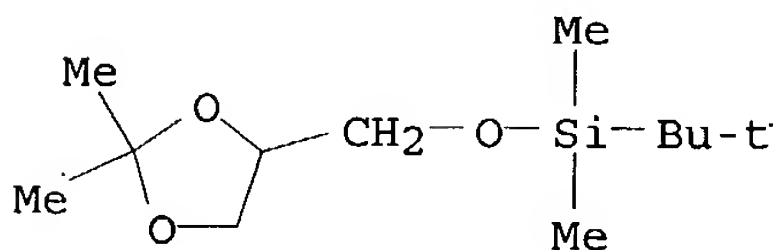
RN 85951-08-2 HCAPLUS

CN 1,2-Propanediol, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]- (9CI) (CA INDEX NAME)



RN 99605-29-5 HCAPLUS

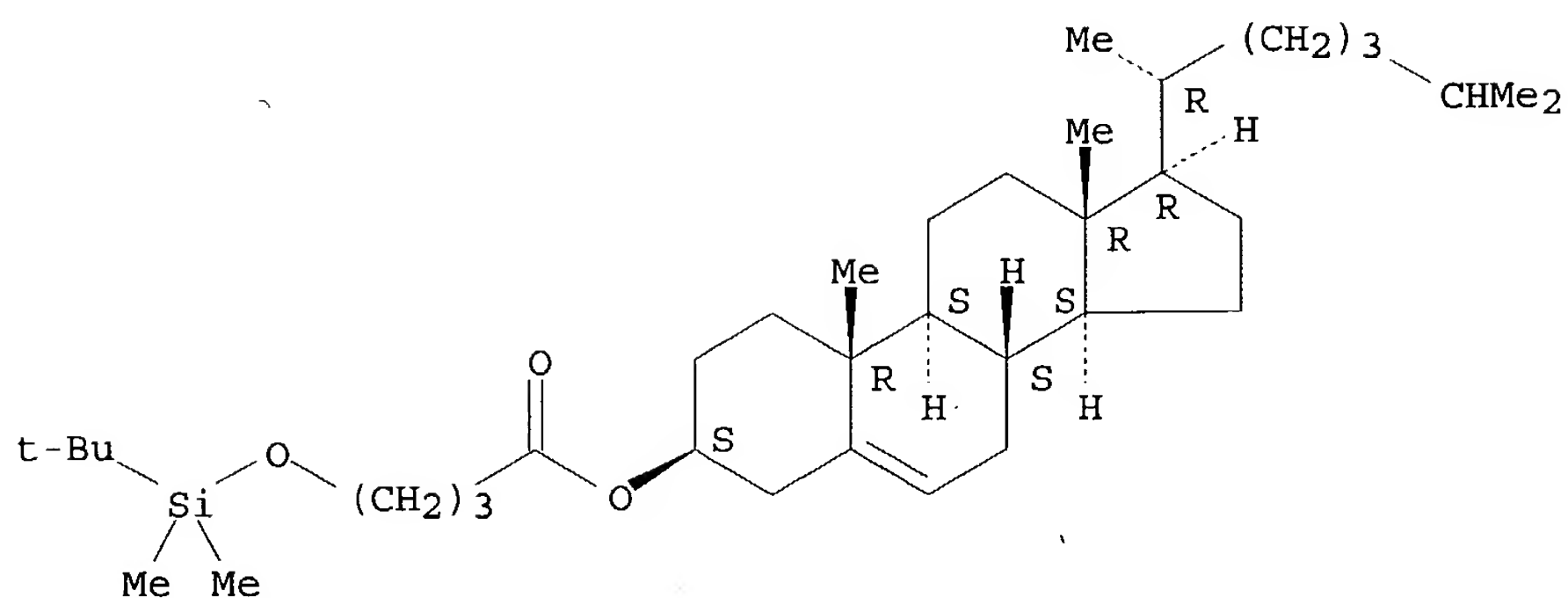
CN Silane, [(2,2-dimethyl-1,3-dioxolan-4-yl)methoxy] (1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)



RN 321674-31-1 HCAPLUS

CN Cholest-5-en-3-ol (3β)-, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]butanoate (9CI) (CA INDEX NAME)

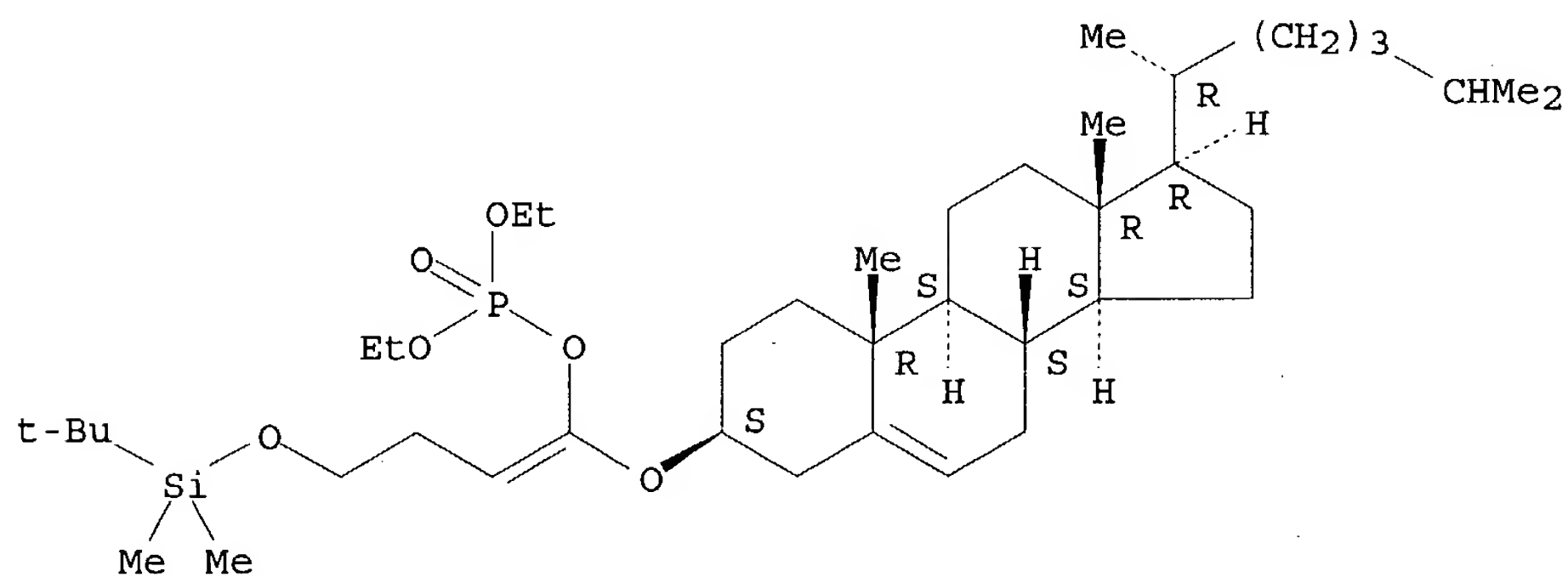
Absolute stereochemistry.



RN 321674-32-2 HCAPLUS

CN Phosphoric acid, 1-[(3 β)-cholest-5-en-3-yloxy]-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-butenyl diethyl ester (9CI) (CA INDEX NAME)

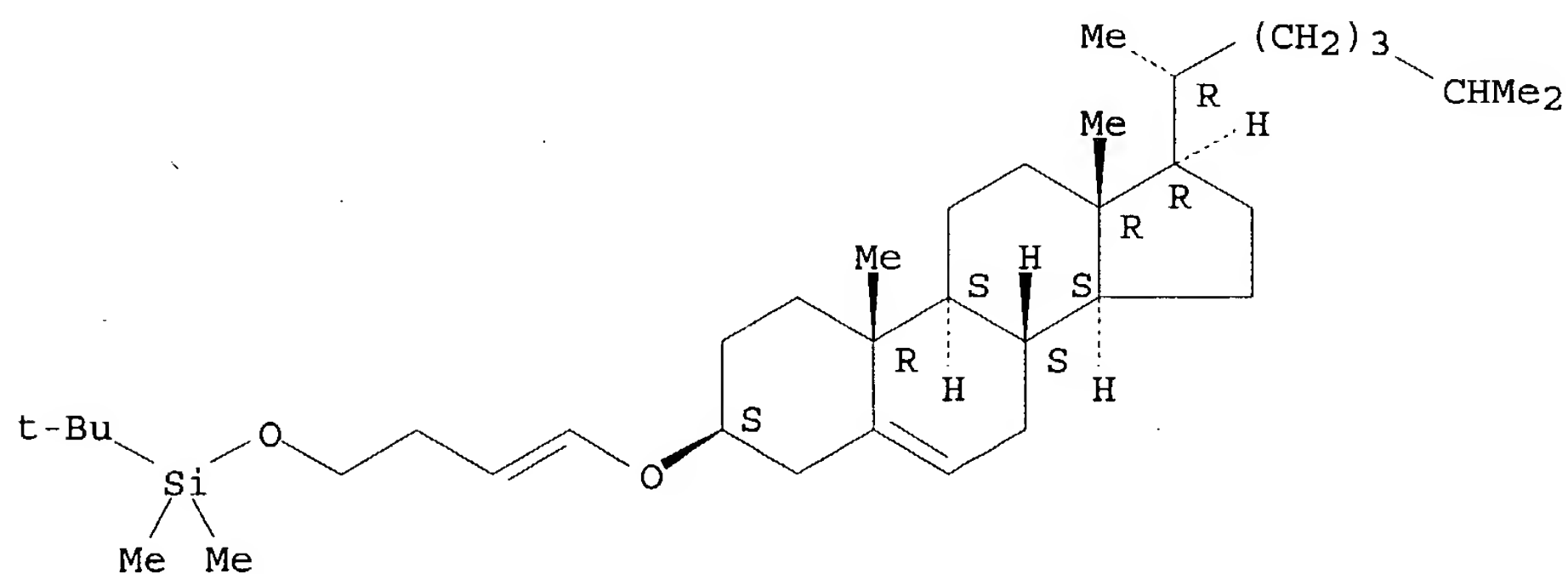
Absolute stereochemistry.
Double bond geometry unknown.



RN 321674-33-3 HCAPLUS

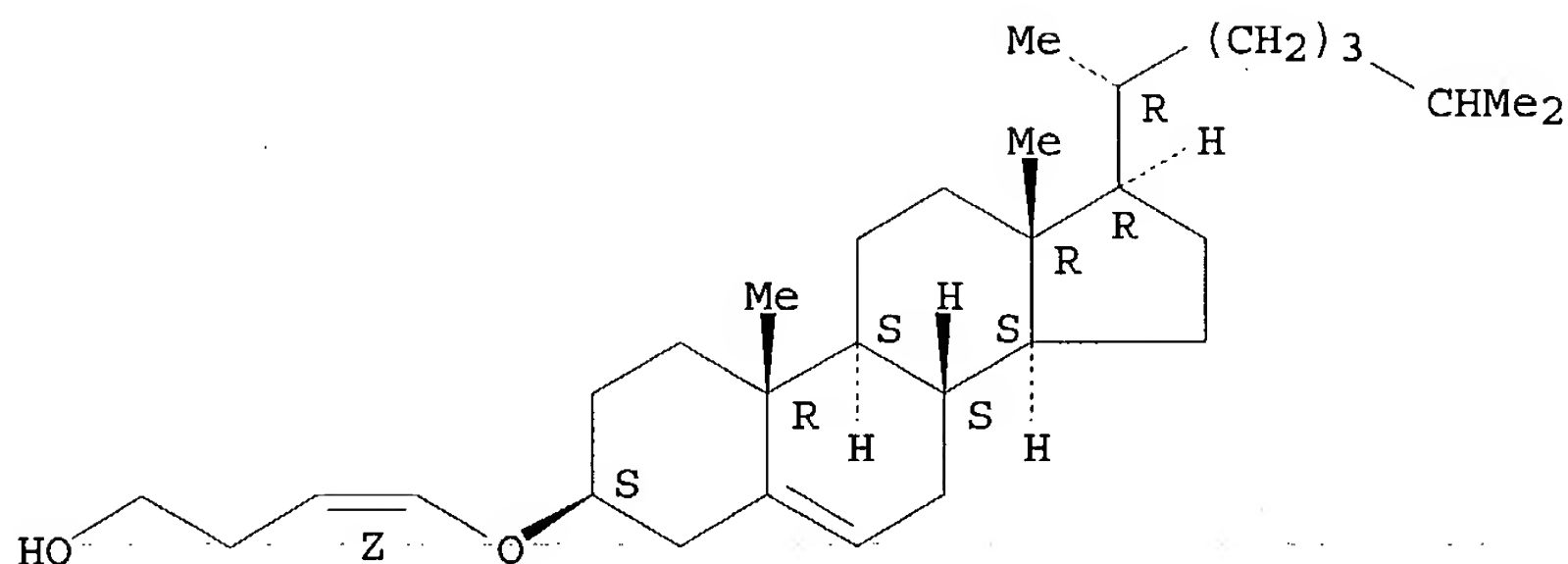
CN Silane, [[4-[(3 β)-cholest-5-en-3-yloxy]-3-butenyl]oxy] (1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



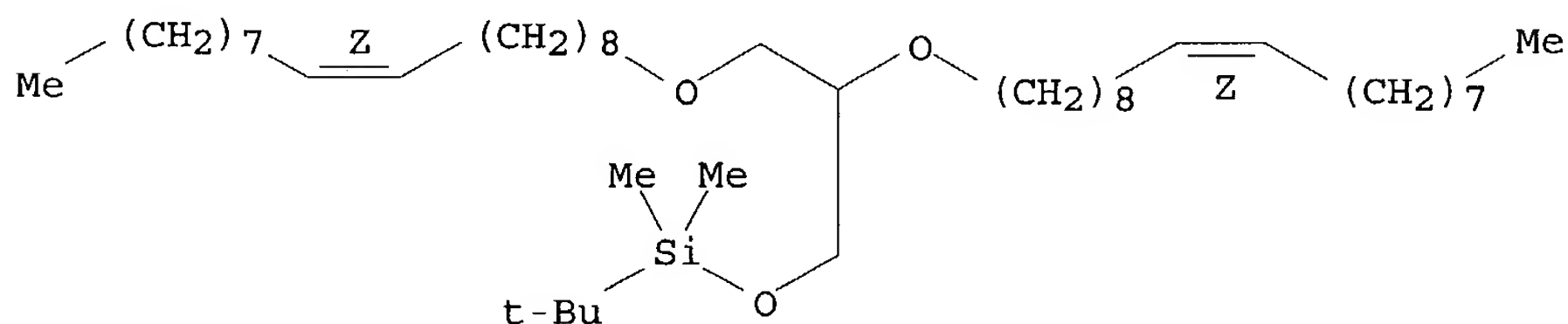
RN 321674-34-4 HCAPLUS
 CN 3-Buten-1-ol, 4-[(3 β)-cholest-5-en-3-yloxy]-, (3Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



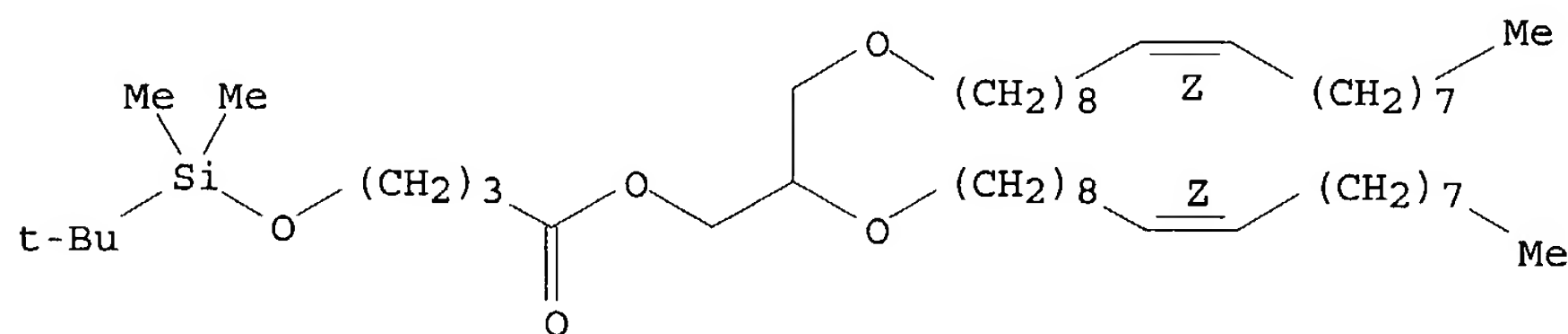
RN 321674-36-6 HCAPLUS
 CN Silane, [2,3-bis[(9Z)-9-octadecenyl]oxy]propoxy (1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



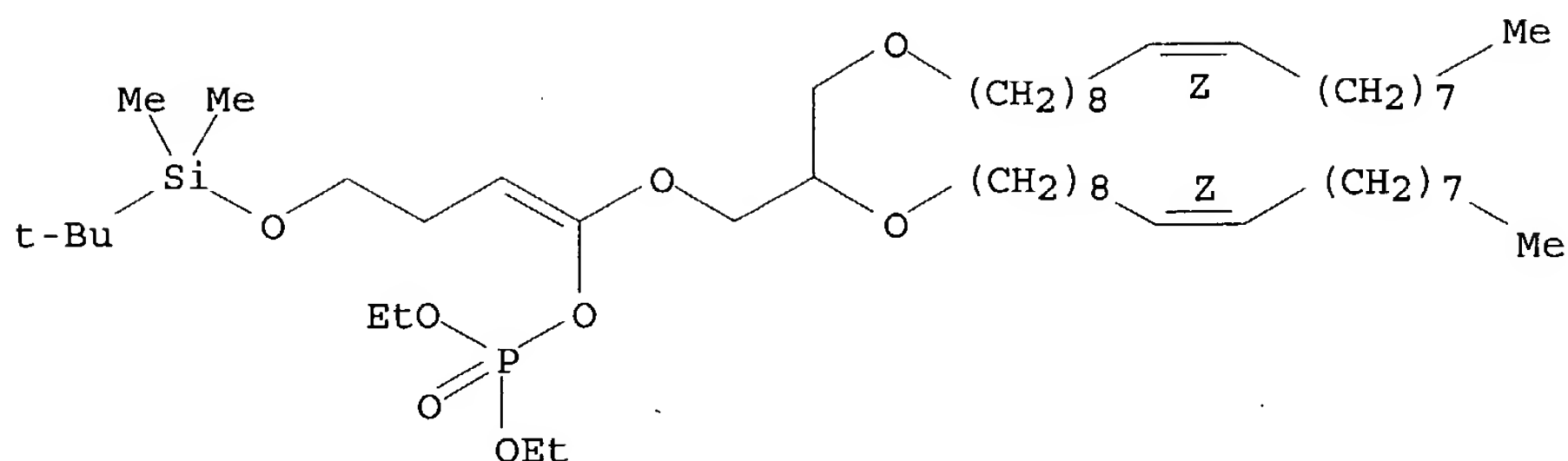
RN 321674-37-7 HCAPLUS
 CN Butanoic acid, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 2,3-bis[(9Z)-9-octadecenyl]propyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 321674-38-8 HCAPLUS
 CN Phosphoric acid, 1-[2,3-bis[(9Z)-9-octadecenyl]propoxy]-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-butenyl diethyl ester (9CI) (CA INDEX NAME)

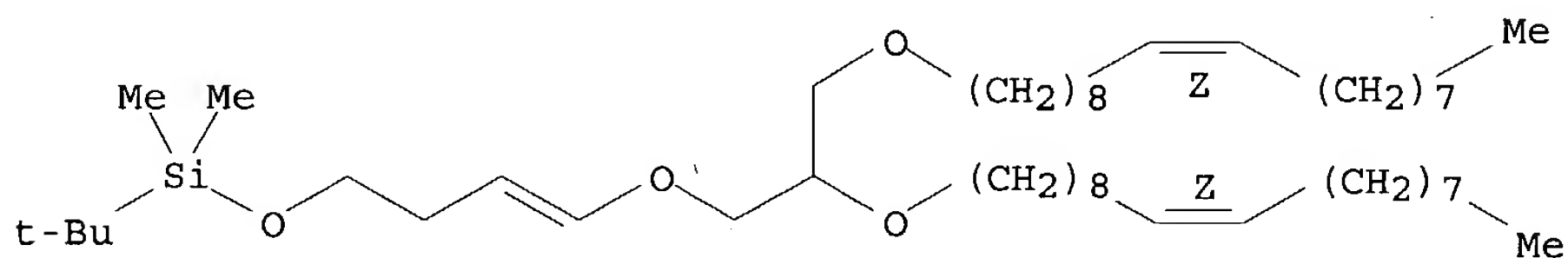
Double bond geometry as described by E or Z.



RN 321674-39-9 HCAPLUS

CN 4,9,13-Trioxa-3-silahentriaconta-7,22-diene, 2,2,3,3-tetramethyl-11-[(9Z)-9-octadecenyl-], (22Z)- (9CI) (CA INDEX NAME)

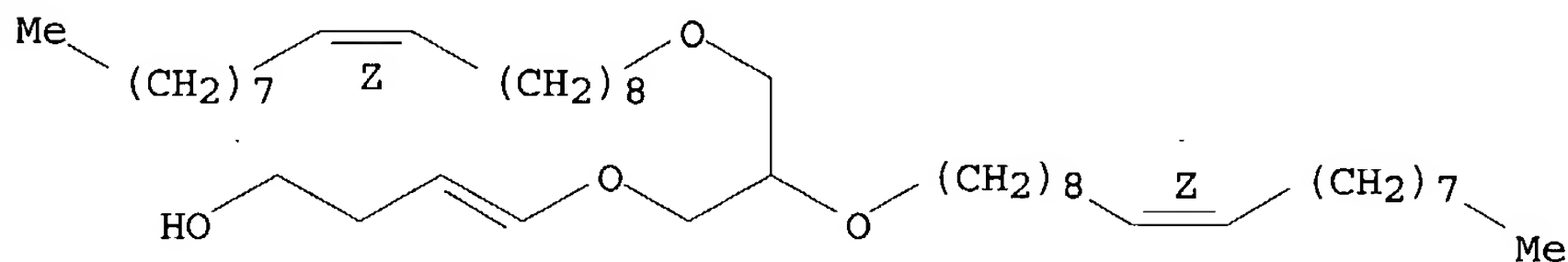
Double bond geometry as described by E or Z.



RN 321674-40-2 HCAPLUS

CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl-]propoxy]- (9CI) (CA INDEX NAME)

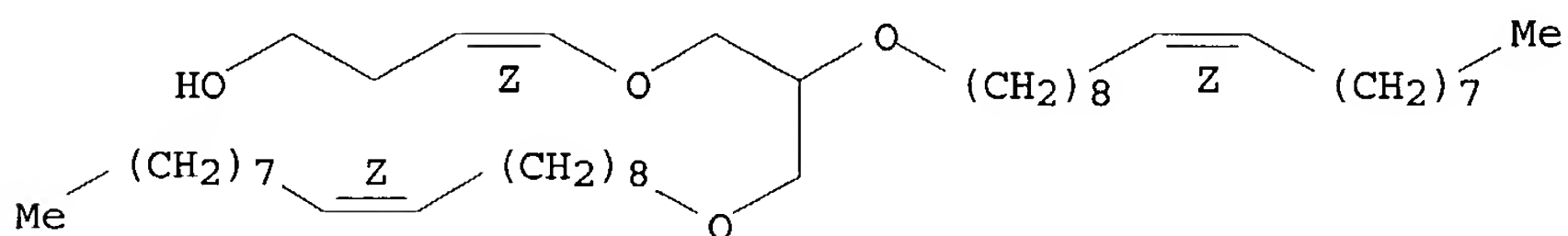
Double bond geometry as described by E or Z.



RN 321674-42-4 HCAPLUS

CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl-]propoxy]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 237056-02-9P 321674-35-5P 321674-41-3P

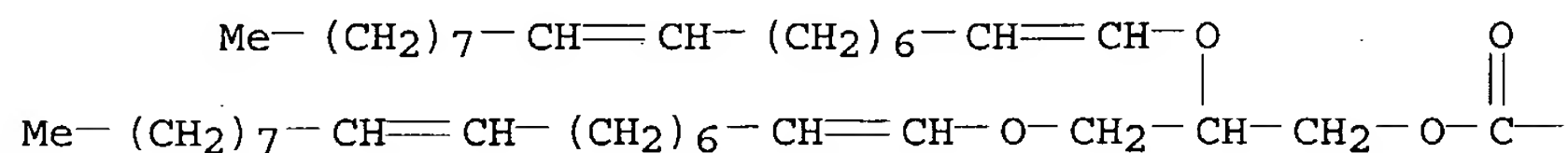
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)

(vinyl ether lipids with cleavable hydrophilic headgroups)

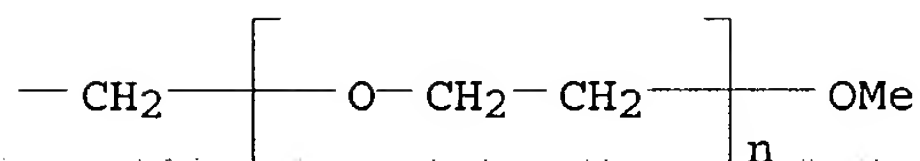
RN 237056-02-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(2R)-2,3-bis[(1Z,9Z)-1,9-octadecadienyloxy]propoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



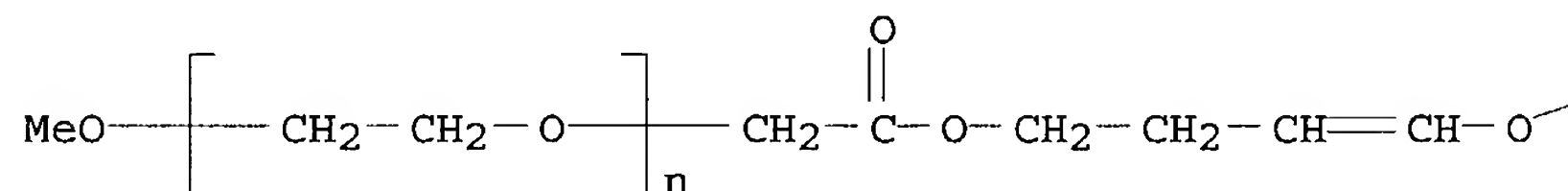
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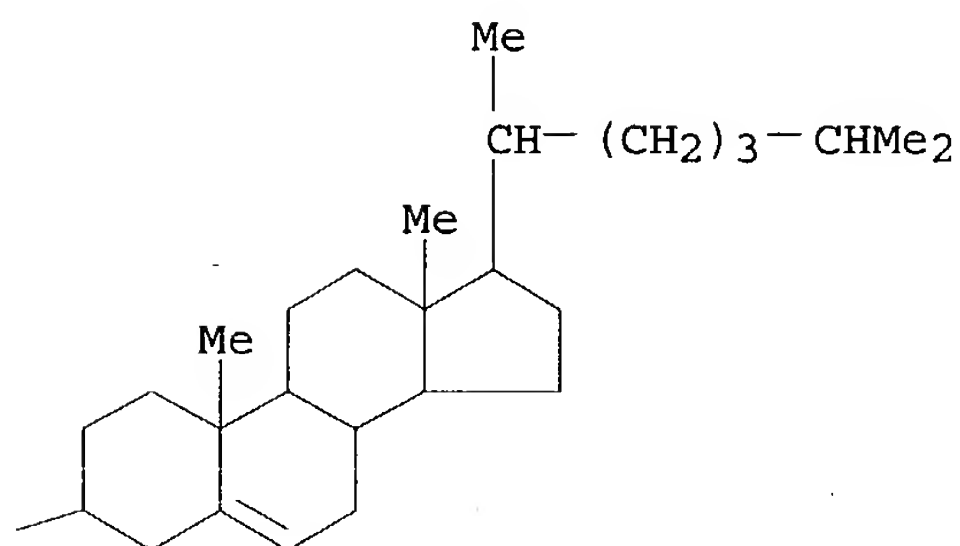
RN 321674-35-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3Z)-4-[(3 β)-cholest-5-en-3-yloxy]butoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

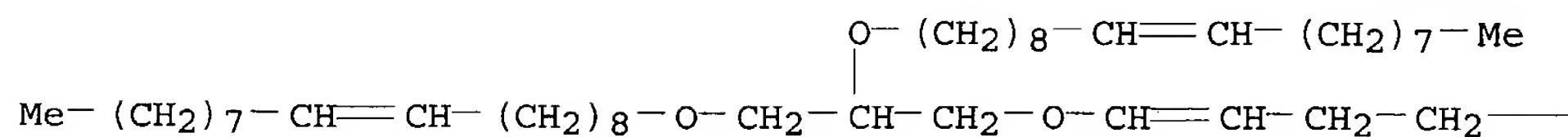


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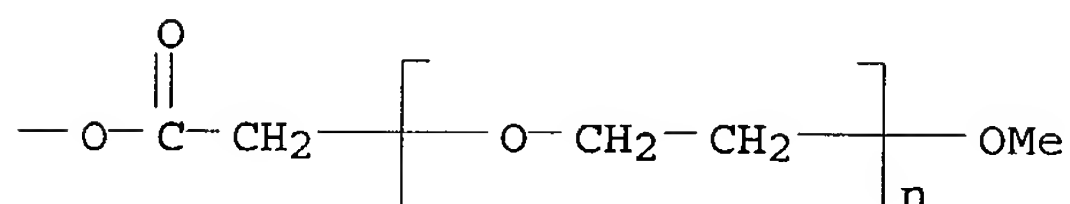
CN Poly(oxy-1,2-ethanediyl), α -[2-[[3Z)-4-[2,3-bis[(9Z)-9-octadecenyl]oxy]propoxy]-3-butenyl]oxy]-2-oxoethyl]- ω -methoxy- (9CI)

(CA INDEX NAME)

PAGE 1-A



PAGE 1-B

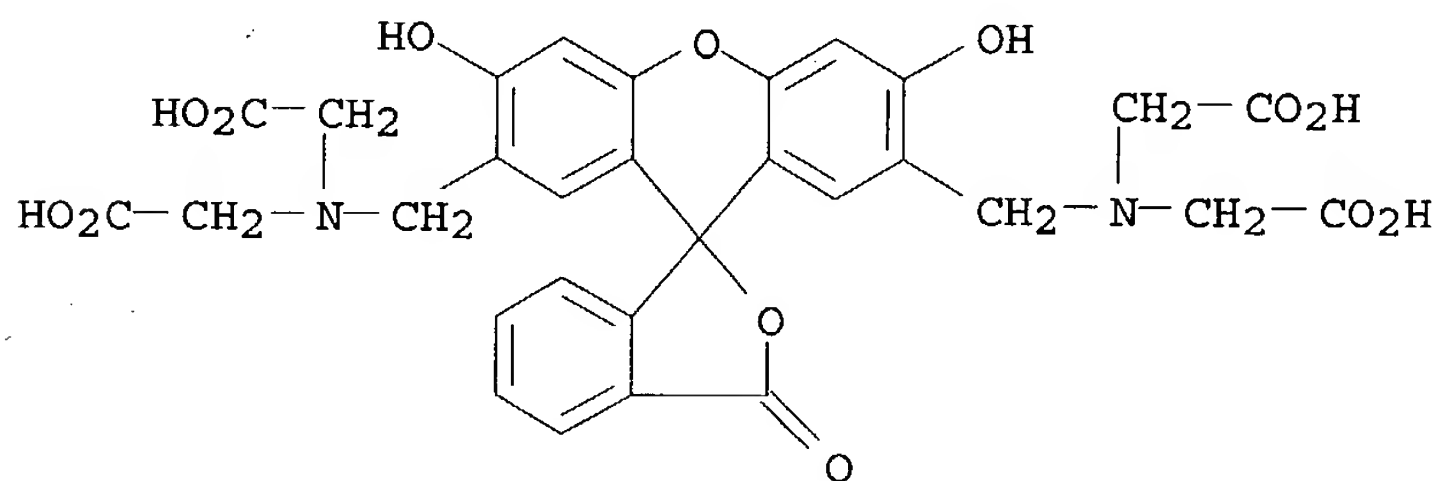


IT 1461-15-0, Calcein

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vinyl ether lipids with cleavable hydrophilic headgroups)

RN 1461-15-0 HCAPLUS

CN Glycine, N,N'-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthene]-2',7'-diyl)bis(methylene)]bis[N-(carboxymethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

=> d ibib abs hitstr l18 1-30

L18 ANSWER 1 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:527140 HCAPLUS

DOCUMENT NUMBER: 141:384600

TITLE: Probe entrapment by vesicular systems in relation with the properties of the **amphiphilic** film

AUTHOR(S): Oliger, Patrick; Fischer, Arnaud; Hebrant, Marc; Tondre, Christian

CORPORATE SOURCE: Laboratoire de Chimie Physique Organique et Colloïdale, Unite Mixte de Recherche CNRS-UHP (UMR 7565), Universite Henri, Vandoeuvre-les-Nancy, 54506, Fr.

SOURCE: Progress in Colloid & Polymer Science (2004), 123, 48-51

CODEN: PCPSD7; ISSN: 0340-255X

PUBLISHER: Springer

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Two different vesicular systems were studied as regards their ability to substantially retain a **hydrophilic** probe. The 1st system was phospholipid analogs, and its glucose encapsulation were revealed to be much dependent on the temperature, in reference to the transition temperature - from the

gel to the liquid crystalline phase - of the system. The 2nd system consisted of

different catanionic mixts., whose entrapment efficiency was studied as a function of the original conditions (glucose concentration, surfactant proportion

in H₂O). Dialysis expts. were also conducted to assess the permeability of the vesicular bilayer and, thus, to settle the issue of long-term encapsulation.

IT 50-99-7, Glucose, properties 57-88-5,

Cholesterol, properties 63-89-8, Dppc 138-32-9

25155-30-0, Sodium dodecyl benzenesulfonate

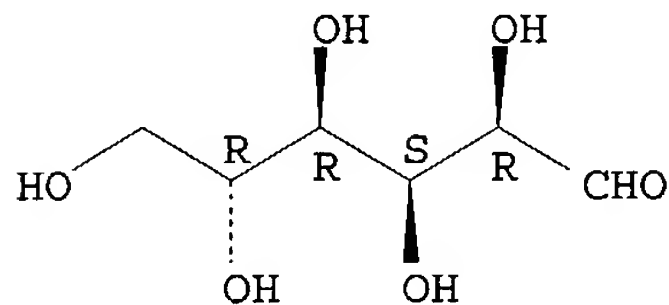
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)

(probe entrapment by vesicular systems in relation with properties of **amphiphilic** film)

RN 50-99-7 HCAPLUS

CN D-Glucose (8CI, 9CI) (CA INDEX NAME)

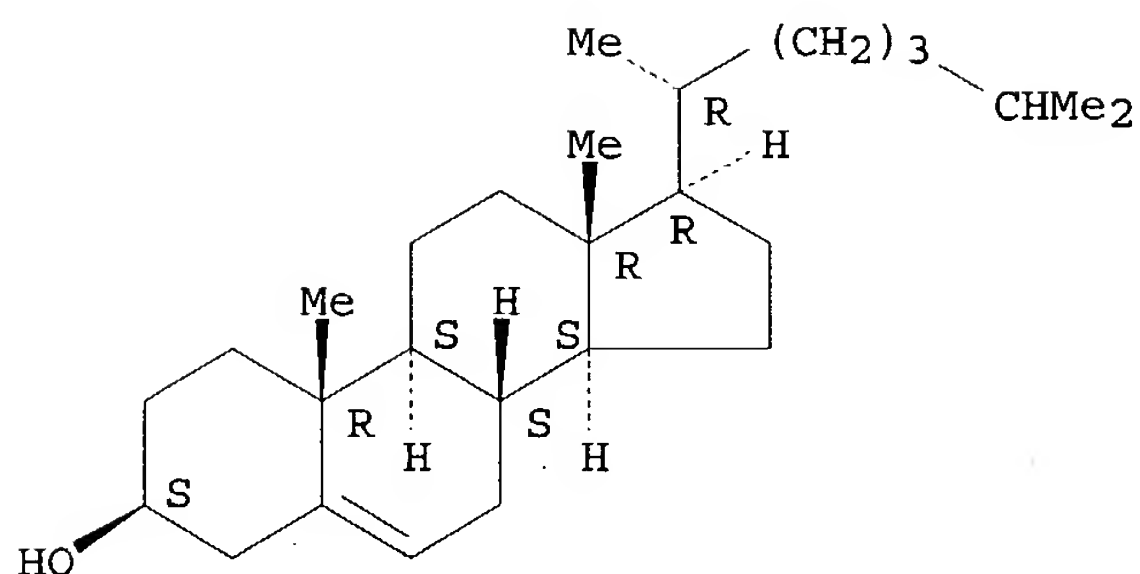
Absolute stereochemistry.



RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

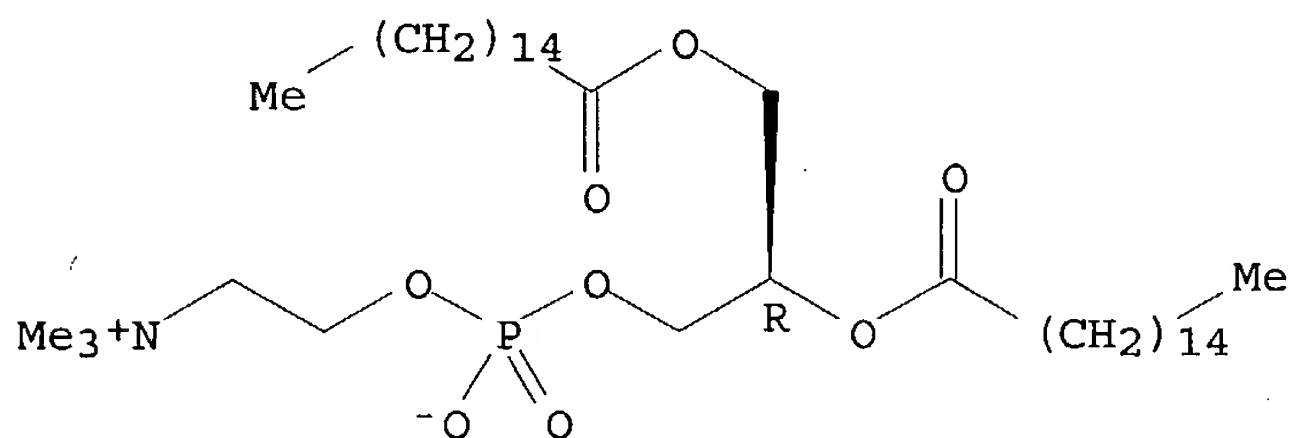
Absolute stereochemistry.



RN 63-89-8 HCAPLUS

CN 3,5,9-Trioxa-4-phosphapentacosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-10-oxo-7-[(1-oxohexadecyl)oxy]-, inner salt, 4-oxide, (7R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



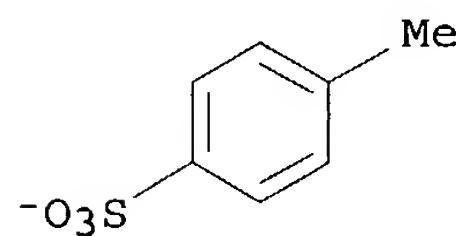
RN 138-32-9 HCAPLUS

CN 1-Hexadecanaminium, N,N,N-trimethyl-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 16722-51-3

CMF C7 H7 O3 S



CM 2

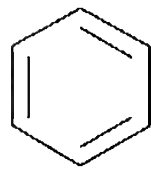
CRN 6899-10-1

CMF C19 H42 N

Me₃N⁺-(CH₂)₁₅-Me

RN 25155-30-0 HCAPLUS

CN Benzenesulfonic acid, dodecyl-, sodium salt (8CI, 9CI) (CA INDEX NAME)



D1-SO₃H

Me-(CH₂)₁₁-D1

● Na

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:295691 HCAPLUS

DOCUMENT NUMBER: 141:230462

TITLE: PEI-based vesicle-polymer hybrid gene delivery system with improved biocompatibility

AUTHOR(S): Brownlie, A.; Uchegbu, I. F.; Schatzlein, A. G.

CORPORATE SOURCE: Beatson Laboratories, Cancer Research UK Department of Medical Oncology, University of Glasgow, Glasgow, UK

SOURCE: International Journal of Pharmaceutics (2004), 274(1-2), 41-52

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Wider use of the transfection agent polymer polyethylenimine (PEI) in vivo has been hampered by its toxicity. In order to examine whether material combining properties of polymers and lipid type of carriers would have improved characteristics, four PEI derivs. were synthesized: The methylation of the branched PEI (25 kDa) created a permanently charged quaternary ammonium derivative. Acylation of these backbones using pendant palmitic acid chains created **amphiphilic** PEI variants which formed nanoparticles or **vesicles**. Finally **hydrophilic** groups were added to the polymer backbone by PEGylation. The materials were characterized and their in vitro and in vivo properties were tested. The modifications improved the materials biocompatibility markedly when compared to the starting material but also reduced transfection efficiency. The material bearing ammonium and palmitoyl groups was 10+ less toxic while retaining about 30% of the transfection efficiency in vitro. After i.v. administration in a mouse model the materials also gave rise to GFP transgene expression in the liver. The synthetic strategy altered complex physicochem. and improved biocompatibility while maintaining in vitro gene expression for most formulations. The strategy of combination of complementary properties of cationic lipids and polymers into a hybrid material may also be applicable to other materials.

IT 9002-98-6, Polyethylenimine

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)

(PEI-based **vesicle**-polymer hybrid gene delivery system with improved biocompatibility)

RN 9002-98-6 HCAPLUS

CN Aziridine, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 151-56-4

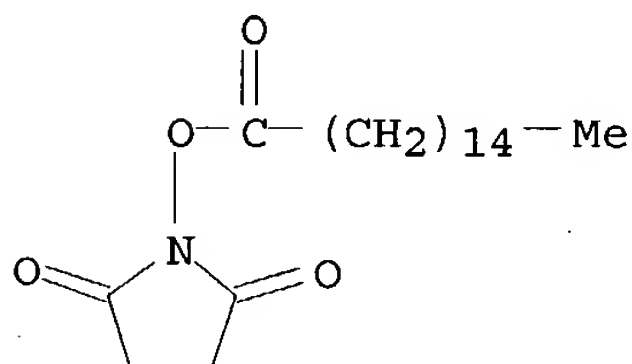
CMF C2 H5 N



IT 14464-31-4DP, reaction products with polyethylenimine derivs., DNA conjugates 124661-64-9DP, reaction products with polyethylenimine derivs., DNA conjugates 745829-28-1DP, quaternized ethoxylated derivs., DNA conjugates
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (PEI-based **vesicle**-polymer hybrid gene delivery system with improved biocompatibility)

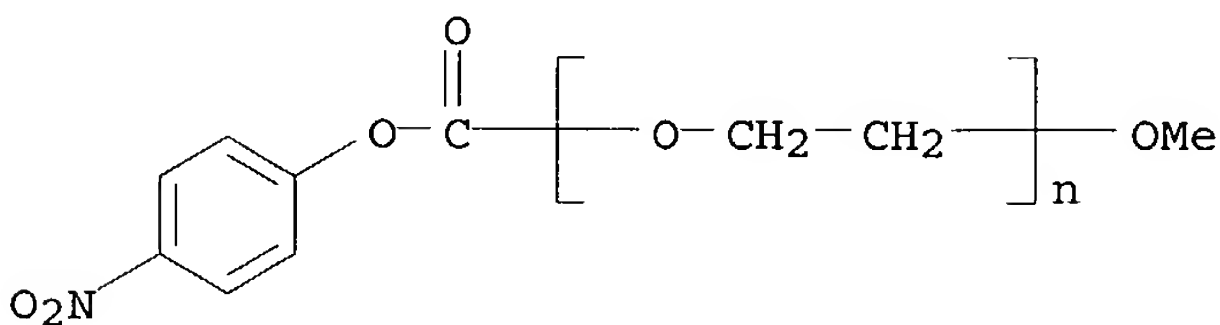
RN 14464-31-4 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[(1-oxohexadecyl)oxy] - (9CI) (CA INDEX NAME)



RN 124661-64-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[(4-nitrophenoxy)carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)



RN 745829-28-1 HCAPLUS

CN Aziridine, homopolymer, compd. with iodomethane (9CI) (CA INDEX NAME)

CM 1

CRN 74-88-4

CMF C H3 I

H₃C-I

CM 2

CRN 9002-98-6
 CMF (C2 H5 N)x
 CCI PMS

CM 3

CRN 151-56-4
 CMF C2 H5 N



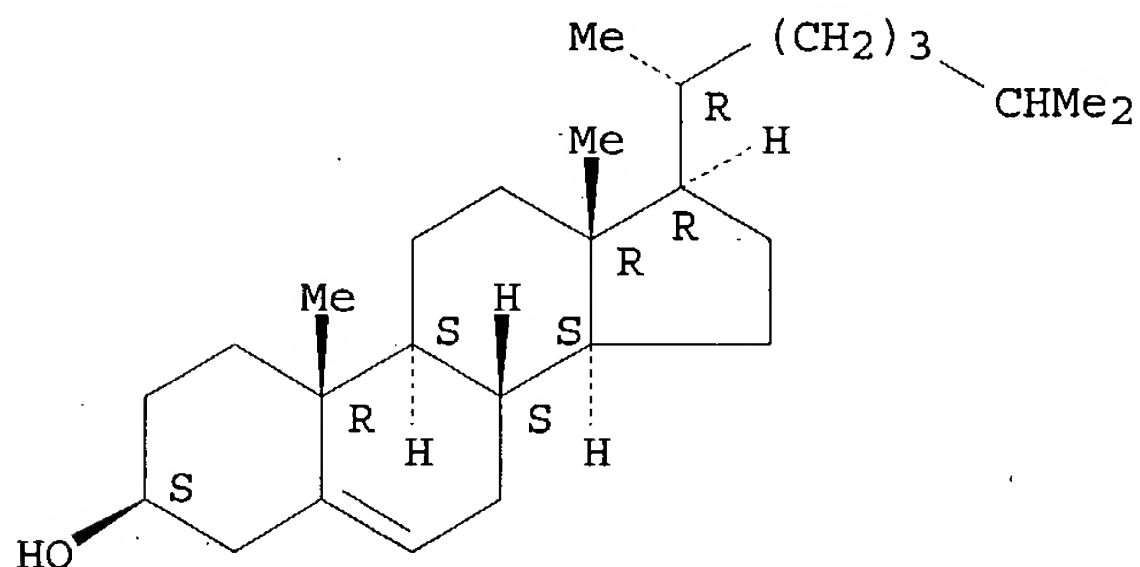
IT 57-88-5, **Cholesterol**, biological studies
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)

(PEI-based **vesicle**-polymer hybrid gene delivery system with
 improved biocompatibility)

RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 57-10-3, Palmitic acid, reactions 74-88-4, Methyl
 iodide, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(PEI-based **vesicle**-polymer hybrid gene delivery system with
 improved biocompatibility)

RN 57-10-3 HCAPLUS

CN Hexadecanoic acid (9CI) (CA INDEX NAME)

HO₂C-(CH₂)₁₄-Me

RN 74-88-4 HCAPLUS

CN Methane, iodo- (8CI, 9CI) (CA INDEX NAME)

H3C-I

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:851595 HCAPLUS

DOCUMENT NUMBER: 140:1952

TITLE: Anion-Mediated Transfer of Polyarginine across Liquid and Bilayer Membranes

AUTHOR(S): Sakai, Naomi; Matile, Stefan

CORPORATE SOURCE: Department of Organic Chemistry, University of Geneva, Geneva, Switz.

SOURCE: Journal of the American Chemical Society (2003), 125(47), 14348-14356
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The accumulation of reports on the puzzling behavior of guanidinium-rich oligo/polymers in bilayer membranes, reaching from HIV-Tat-like (HIV Tat is the human immunodeficiency virus transactivator of transcription) translocation to selectivity and voltage-gating of ion channels, prompted us to investigate possible contributions from counteranions to these phenomena. We report that anion-mediated variability of charge and solubility makes guanidinium-rich oligo/polymers adaptable to many environments. For example, poly- and hexaarginine but not polylysine phase transferred from water into chloroform in the presence of **amphiphilic** anions such as monomeric sodium dodecyl sulfate (SDS), egg yolk phosphatidylglycerol (EYPG), **cholesterol** sulfate, pyrenebutyrate, and stearate. **Hydrophilic** anions with high affinity inhibited phase transfer of 5(6)-carboxyfluorescein (CF)-polyarginine complexes into bulk membranes (sulfate, ATP, AMP, heparin, and micellar SDS). At least binary anion cocktails were necessary to activate polyarginine as a carrier in bulk chloroform membranes. Refined combinations of EYPG, phosphate, and azide or TFA were found to maximize translocation of CF across bulk membranes by polyarginine. Polyarginine-mediated CF efflux from large unilamellar **vesicles** was best in the presence of EYPG in the bilayer as well as phosphate and TFA in the medium. Similar regulatory activities of several anions were in support of a common carrier mechanism for guanidinium-rich oligo/polymers in bulk and bilayer membranes. The identified activities of polyarginine in bulk and lipid membranes suggested that anion-mediated adaptability of the solubility of guanidinium-rich oligo/polymers cannot be ignored in studies on biol. function. The infinite variability and dynamic nature of available regulatory anion cocktails may contribute to the elusive character of guanidinium-rich oligo/polymer function in biomembranes.

IT 56-65-5, 5'-ATP, biological studies 57-11-4, Octadecanoic acid, biological studies 61-19-8, 5'-AMP, biological studies 76-05-1, TFA, biological studies 151-21-3, SDS, biological studies 1256-86-6, **Cholesterol** sulfate 3443-45-6, 1-Pyrenebutanoic acid 9005-49-6, Heparin, biological studies 14265-44-2, Phosphate, biological studies 14343-69-2, Azide 14808-79-8, Sulfate, biological studies 24937-47-1, Polyarginine 25212-18-4, Polyarginine 96337-25-6, Hexaarginine

RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); BIOL

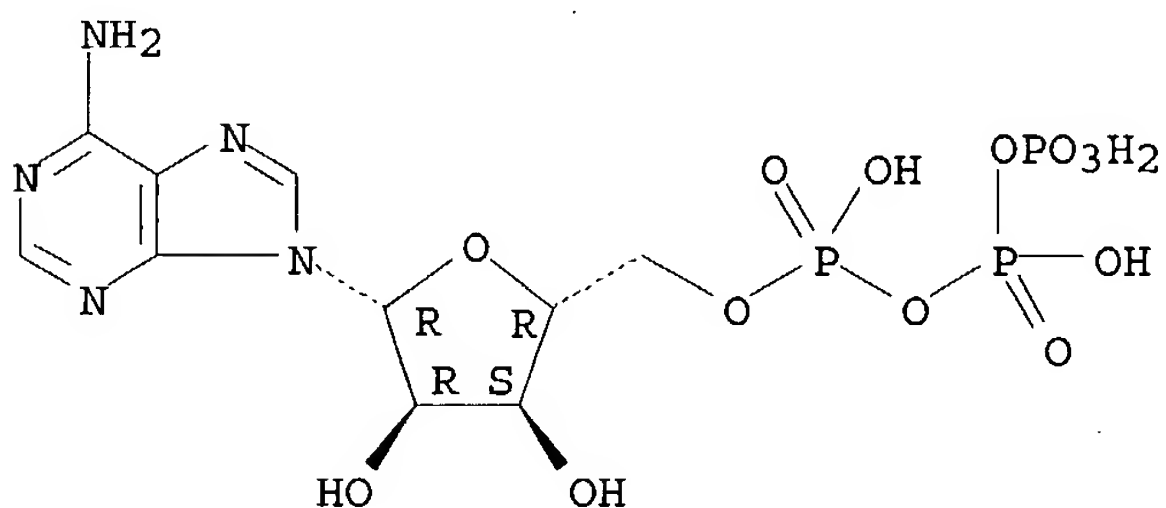
(Biological study); PROC (Process)

(anion-mediated transfer of polyarginine across liquid and bilayer membranes)

RN 56-65-5 HCAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate) (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 57-11-4 HCAPLUS

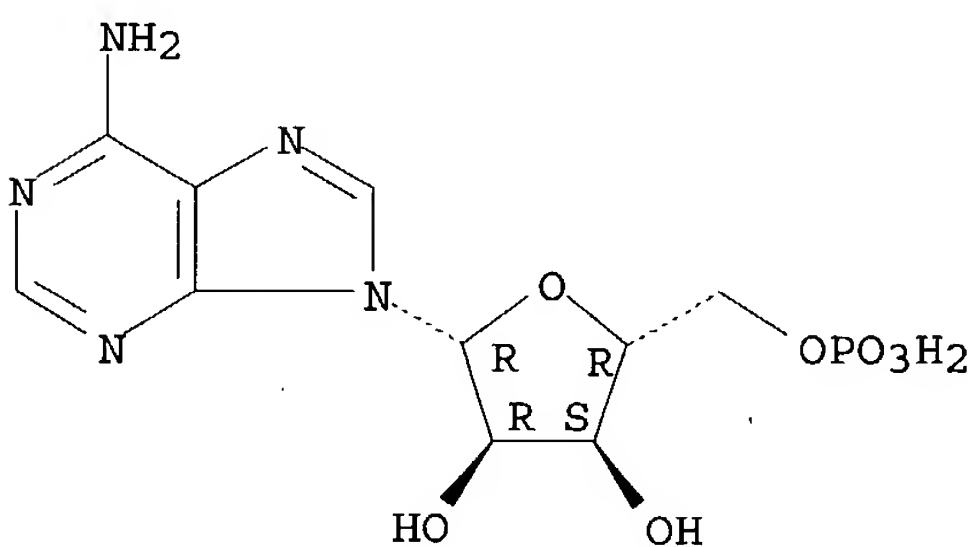
CN Octadecanoic acid (9CI) (CA INDEX NAME)

$\text{HO}_2\text{C}-(\text{CH}_2)_{16}-\text{Me}$

RN 61-19-8 HCAPLUS

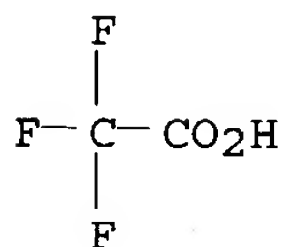
CN 5'-Adenylic acid (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 76-05-1 HCAPLUS

CN Acetic acid, trifluoro- (8CI, 9CI) (CA INDEX NAME)



RN 151-21-3 HCAPLUS

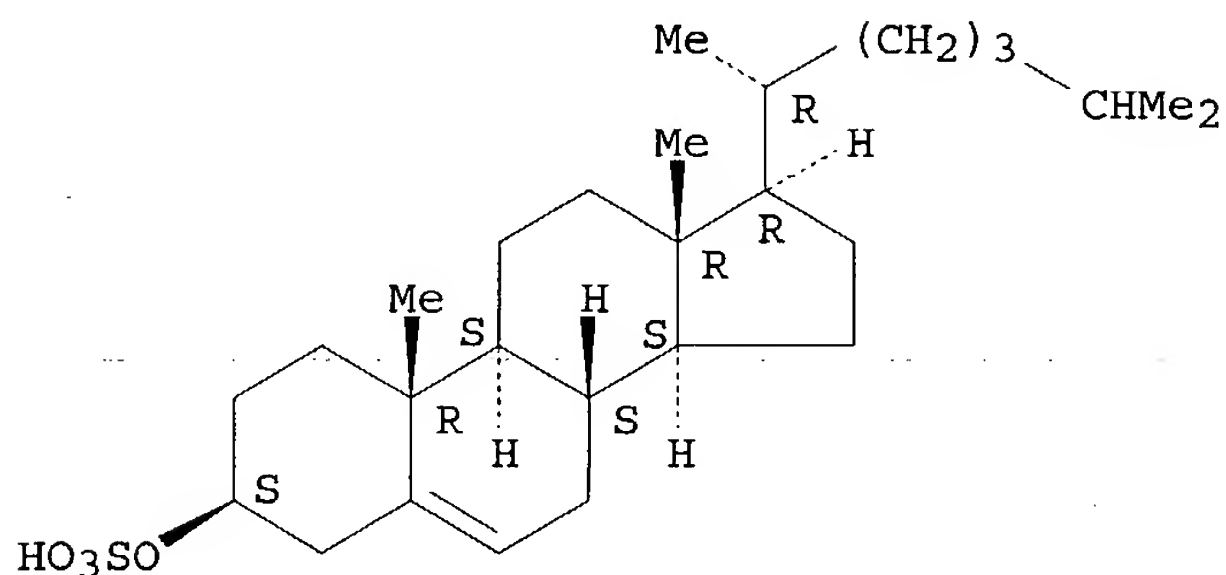
CN Sulfuric acid monododecyl ester sodium salt (8CI, 9CI) (CA INDEX NAME)



RN 1256-86-6 HCAPLUS

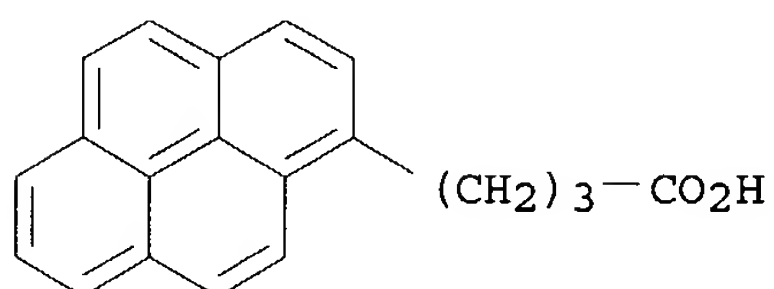
CN Cholest-5-en-3-ol (3 β)-, hydrogen sulfate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 3443-45-6 HCAPLUS

CN 1-Pyrenebutanoic acid (9CI) (CA INDEX NAME)



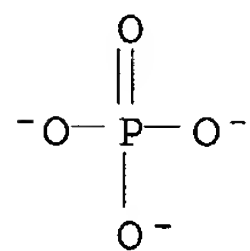
RN 9005-49-6 HCAPLUS

CN Heparin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

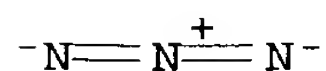
RN 14265-44-2 HCAPLUS

CN Phosphate (8CI, 9CI) (CA INDEX NAME)

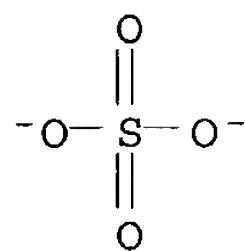


RN 14343-69-2 HCAPLUS

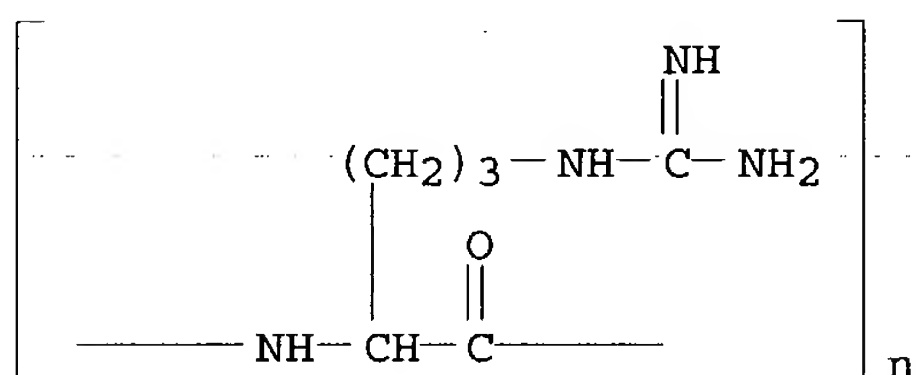
CN Azide (8CI, 9CI) (CA INDEX NAME)



RN 14808-79-8 HCAPLUS
 CN Sulfate (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 24937-47-1 HCAPLUS
 CN Poly[imino[(1S)-1-[3-[(aminoiminomethyl)amino]propyl]-2-oxo-1,2-ethanediyl]] (9CI) (CA INDEX NAME)



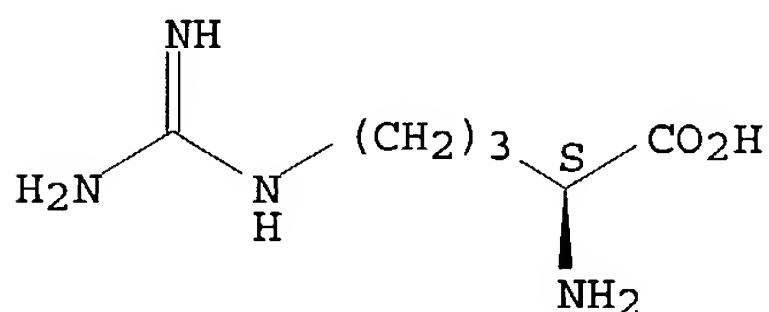
RN 25212-18-4 HCAPLUS
 CN L-Arginine, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 74-79-3

CMF C6 H14 N4 O2

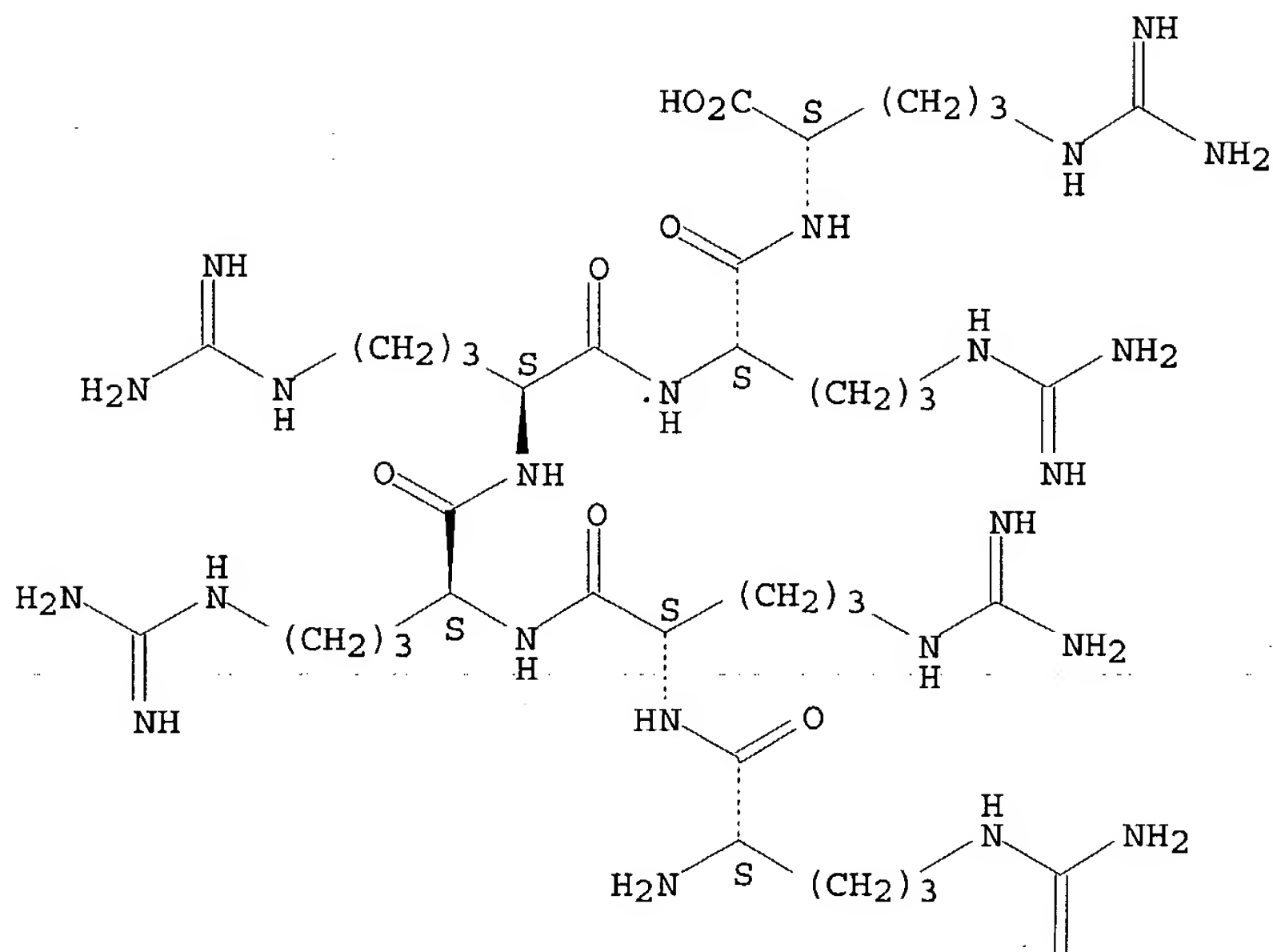
Absolute stereochemistry.



RN 96337-25-6 HCAPLUS
 CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

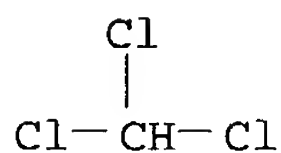
PAGE 1-A



PAGE 2-A



IT 67-66-3, Chloroform, biological studies
 RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PYP (Physical process); BIOL (Biological study); PROC (Process)
 (transfer from water into chloroform; anion-mediated transfer of polyarginine across liquid and bilayer membranes)
 RN 67-66-3 HCAPLUS
 CN Methane, trichloro- (9CI) (CA INDEX NAME)

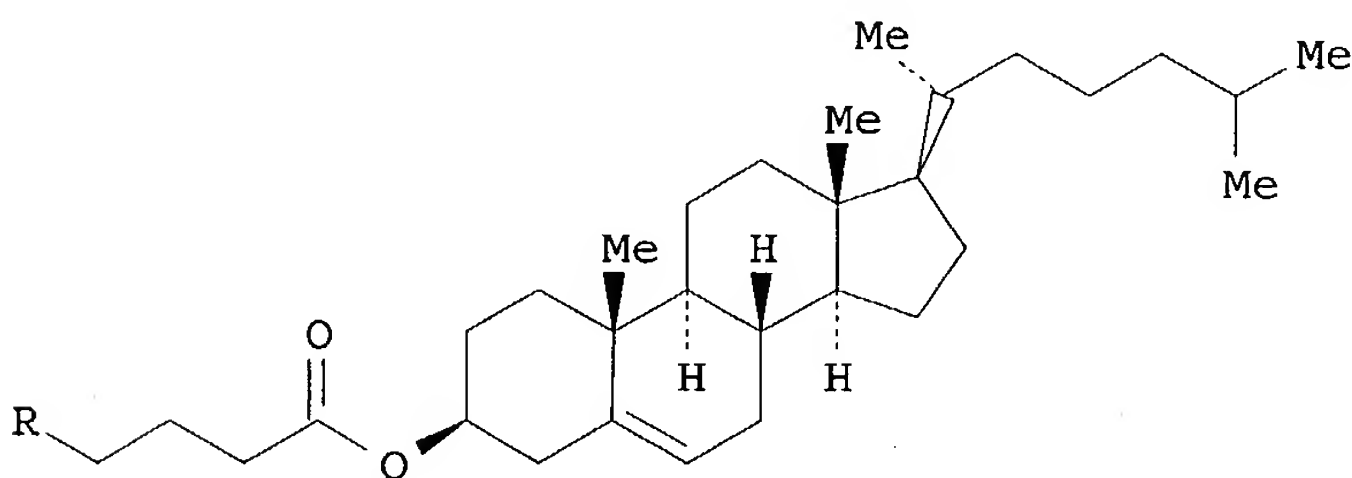


REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:335124 HCAPLUS
 DOCUMENT NUMBER: 138:338336
 TITLE: Preparation of **amphiphilic** cationic lipids derived from **cholesterol**
 INVENTOR(S): Gerszberg, Szepsel; Alonso, Daniel

PATENT ASSIGNEE(S): Sterrenbeld Biotechnologie North America, Inc., USA
 SOURCE: PCT Int. Appl., 27 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035669	A1	20030501	WO 2001-US32399	20011022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			WO 2001-US32399	20011022
OTHER SOURCE(S):			MARPAT 138:338336	
GI				



AB **Cholesterol** derived **amphiphilic** cationic lipids having: (1) an **hydrophilic** domain including a dimethylamine group, (2) a spacer group including at least 2 carbon atoms linked to the **hydrophilic** domain, (3) a linking bond including an ester carboxyl, carbamate and carbonate group linked to the spacer group and (4) a **cholesterol**-derived lipophilic group linked to the linking bond. Thus, I (R = NMe₂) was prepared from 4-(dimethylamino)butyric acid hydrochloride and **cholesterol**, then was reacted with 2-bromoethanol to give I (R = HOCH₂CH₂(Me)₂N⁺) bromide.

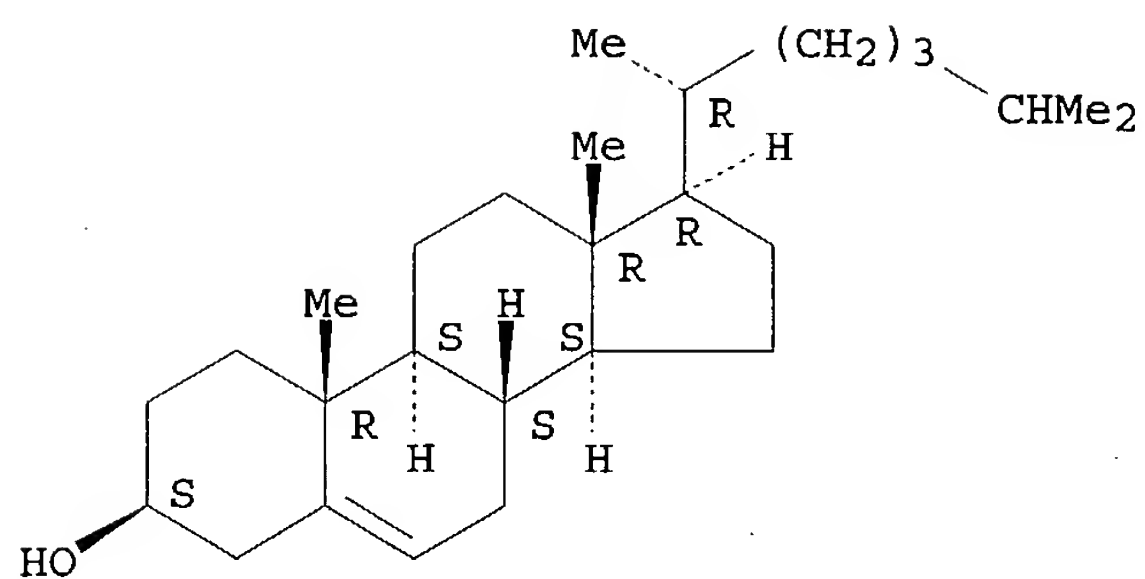
IT 57-88-5, **Cholesterol**, reactions 108-01-0,
 2-(Dimethylamino)ethanol 540-51-2, 2-Bromoethanol
 1510-21-0, Cholesteryl hemisuccinate 69954-66-1
 137056-72-5 144108-35-0 205044-98-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of **amphiphilic** cationic lipids derived from **cholesterol** as liposomes)

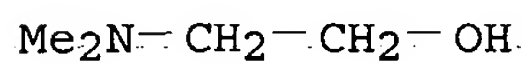
RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

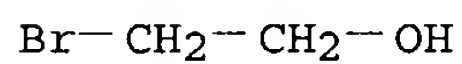
Absolute stereochemistry.



RN 108-01-0 HCAPLUS
 CN Ethanol, 2-(dimethylamino)- (8CI, 9CI) (CA INDEX NAME)

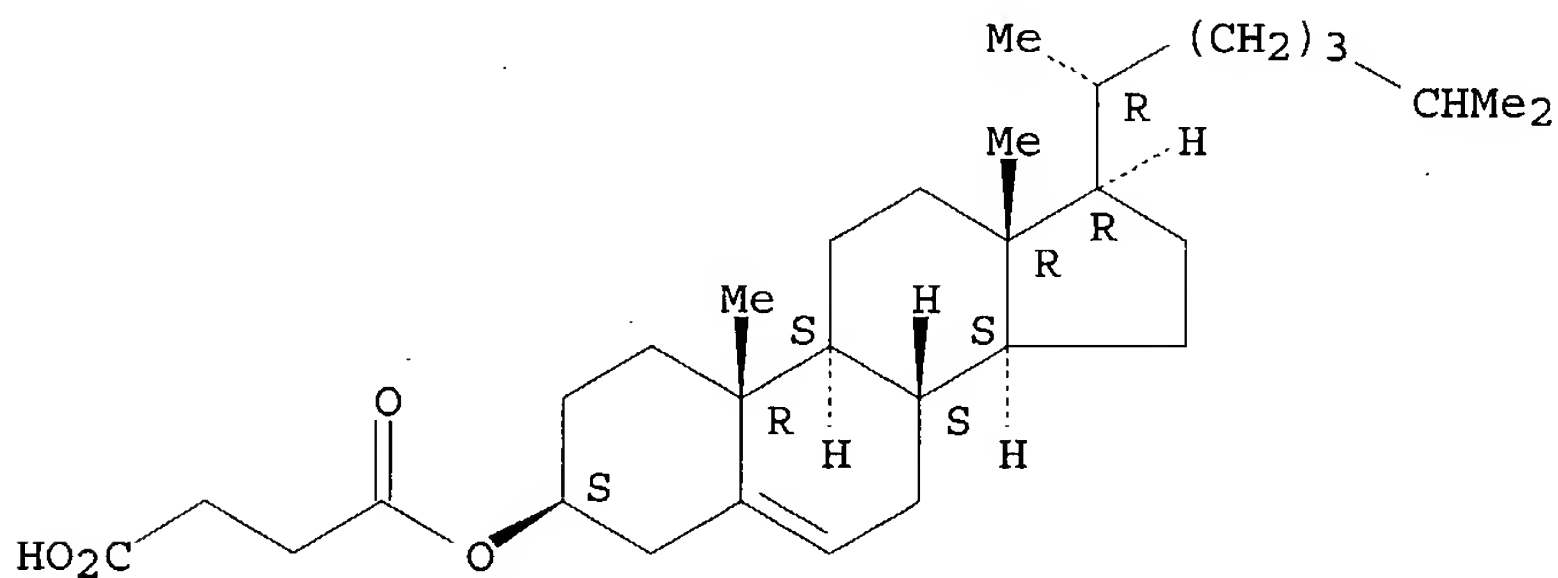


RN 540-51-2 HCAPLUS
 CN Ethanol, 2-bromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 1510-21-0 HCAPLUS
 CN Cholest-5-en-3-ol (3β)-, hydrogen butanedioate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



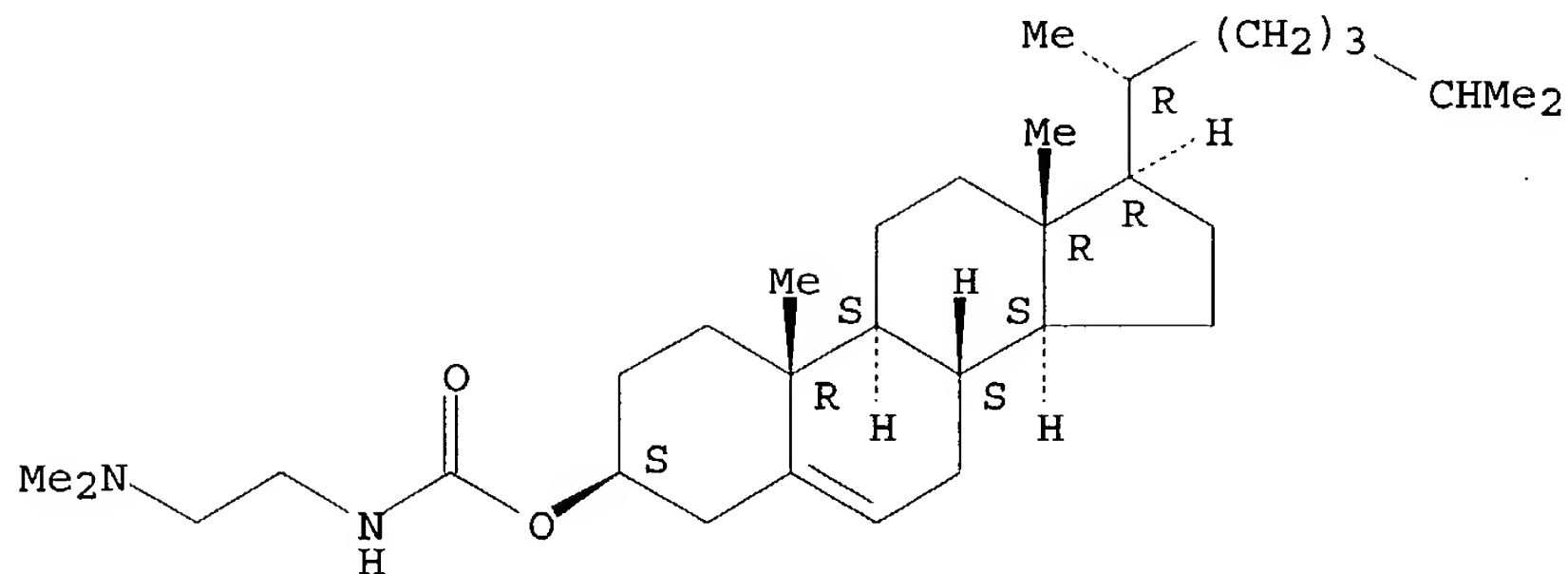
RN 69954-66-1 HCAPLUS
 CN Butanoic acid, 4-(dimethylamino)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 137056-72-5 HCAPLUS
 CN Cholest-5-en-3-ol (3β)-, [2-(dimethylamino)ethyl]carbamate (9CI) (CA INDEX NAME)

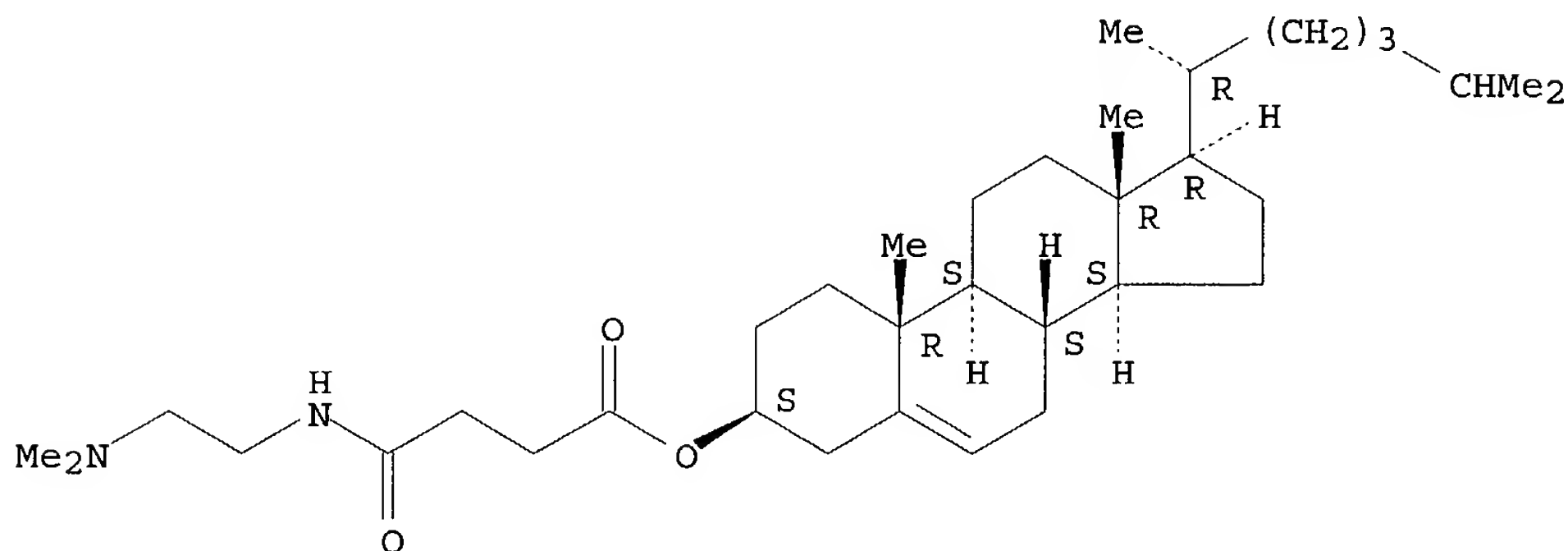
Absolute stereochemistry.



RN 144108-35-0 HCAPLUS

CN Cholest-5-en-3-ol (3β)-, 4-[[2-(dimethylamino)ethyl]amino]-4-oxobutanoate (9CI) (CA INDEX NAME)

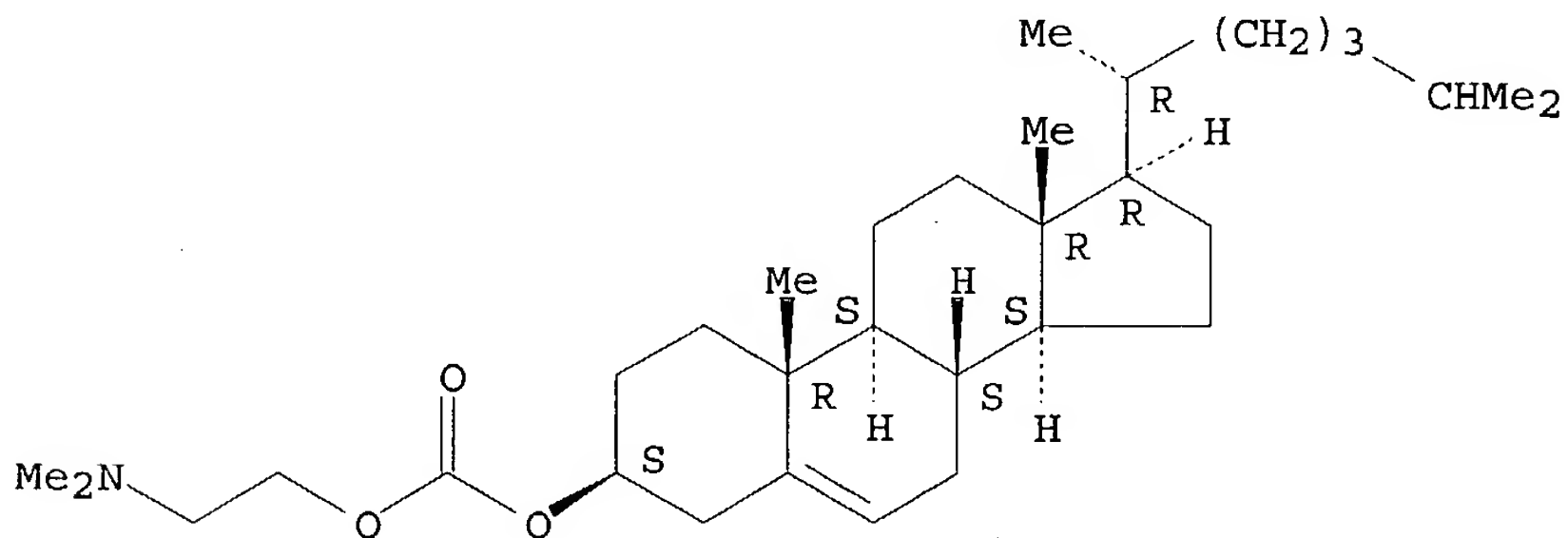
Absolute stereochemistry.



RN 205044-98-0 HCAPLUS

CN Cholest-5-en-3-ol (3β)-, 2-(dimethylamino)ethyl carbonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 278170-60-8P 516501-28-3P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);

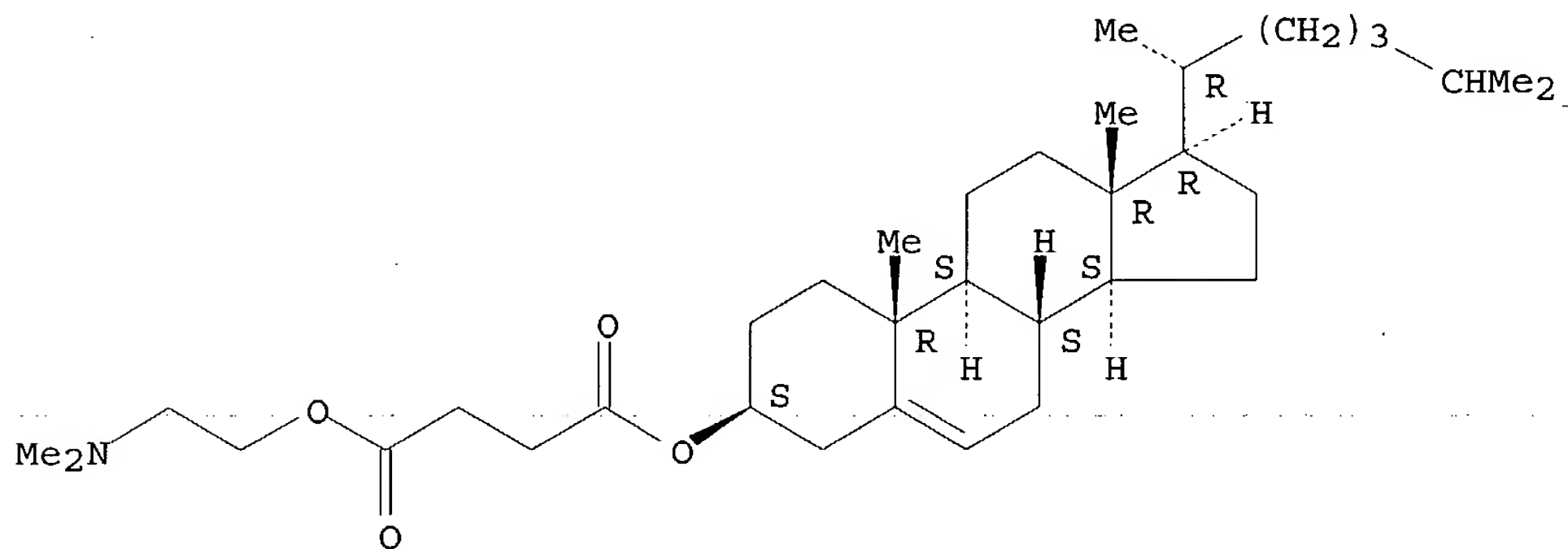
USES (Uses)

(preparation of **amphiphilic** cationic lipids derived from **cholesterol** as liposomes)

RN 278170-60-8 HCAPLUS

CN Cholest-5-en-3-ol (3 β)-, 2-(dimethylamino)ethyl butanedioate (9CI)
(CA INDEX NAME)

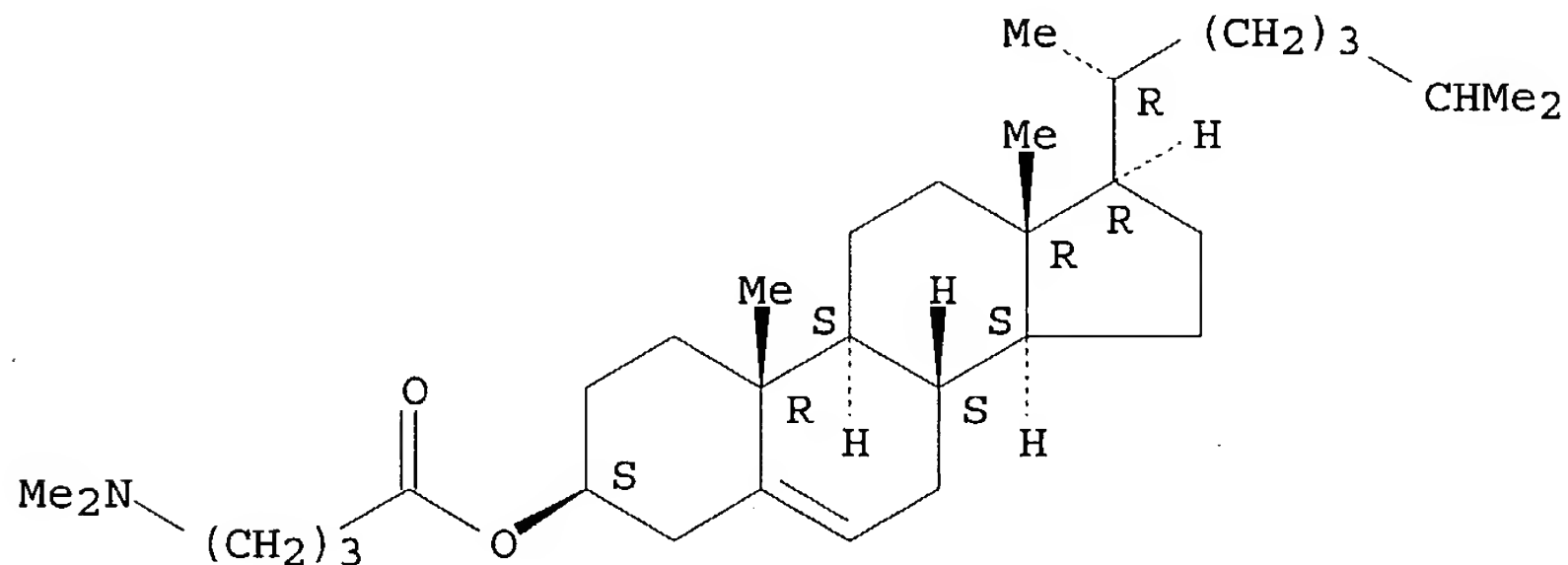
Absolute stereochemistry.



RN 516501-28-3 HCAPLUS

CN Cholest-5-en-3-ol (3 β)-, 4-(dimethylamino)butanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 516501-20-5P 516501-23-8P 516501-25-0P
516501-26-1P 516501-27-2P

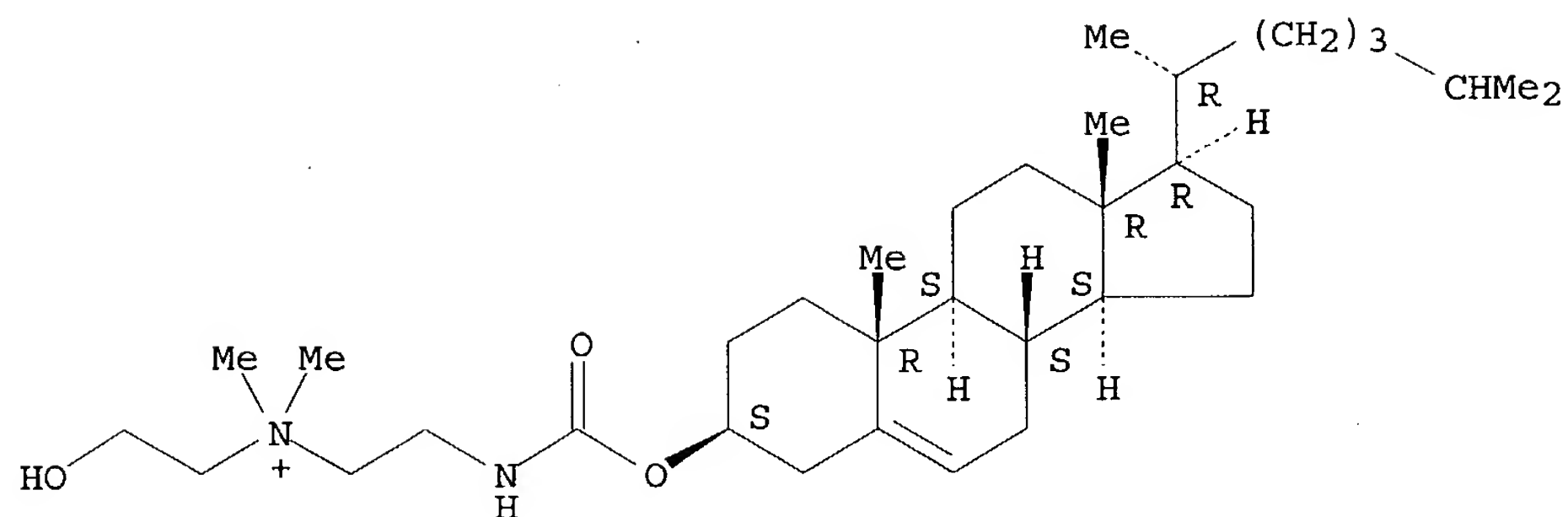
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of **amphiphilic** cationic lipids derived from **cholesterol** as liposomes)

RN 516501-20-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β)-, [2-[(2-hydroxyethyl)dimethylammonio]ethyl]carbamate, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

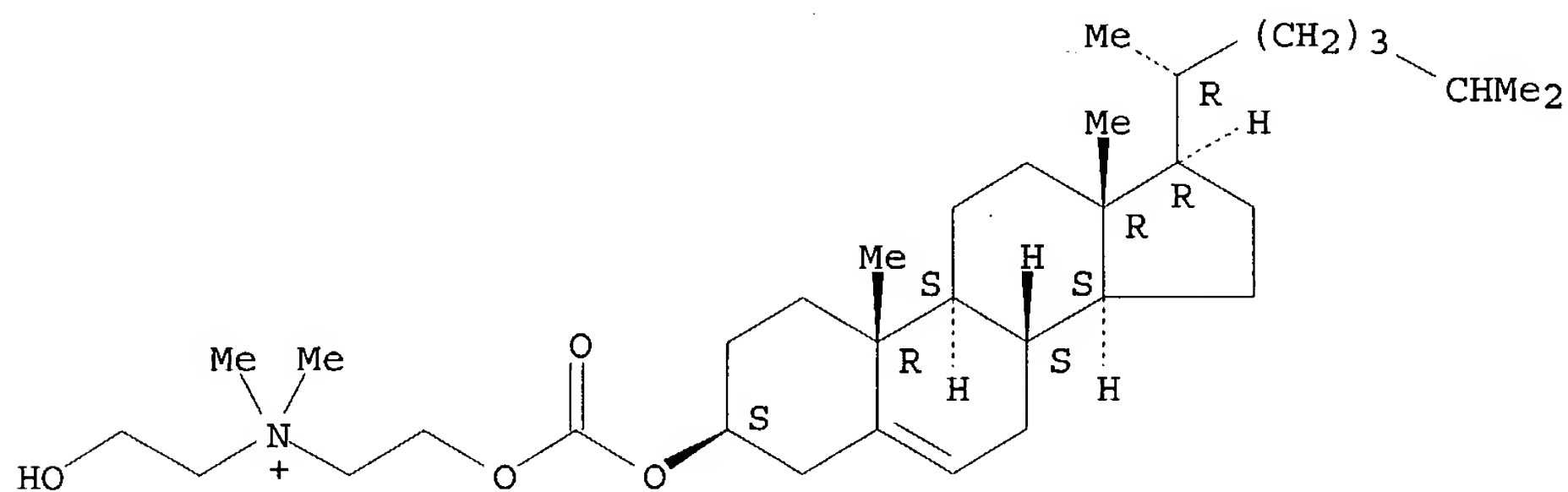


● Br⁻

RN 516501-23-8 HCAPLUS

CN Cholest-5-en-3-ol (3β)-, 2-[(2-hydroxyethyl)dimethylammonio]ethyl carbonate, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

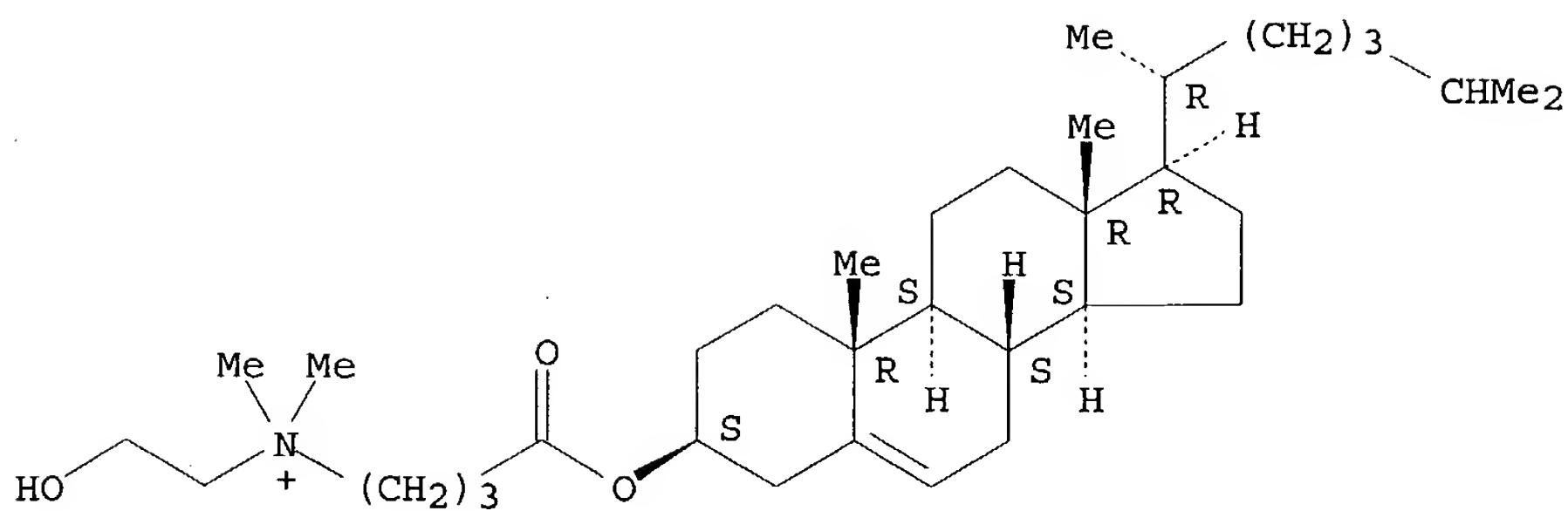


● Br⁻

RN 516501-25-0 HCAPLUS

CN Cholest-5-en-3-ol (3β)-, 4-[(2-hydroxyethyl)dimethylammonio]butanoate, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

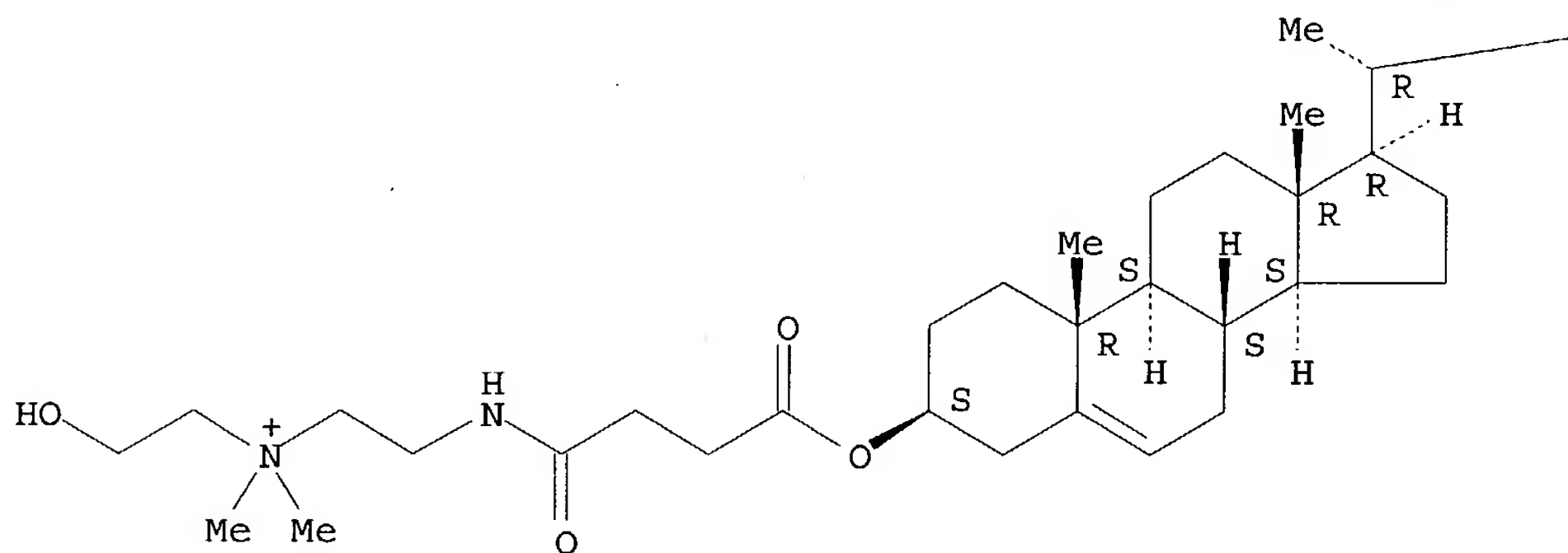


● Br⁻

RN 516501-26-1 HCAPLUS
 CN Cholest-5-en-3-ol (3β)-, 4-[[2-[(2-hydroxyethyl)dimethylammonio]ethyl
]amino]-4-oxobutanoate, bromide (9CI) (CA INDEX NAME)

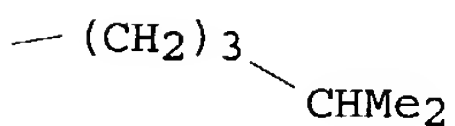
Absolute stereochemistry.

PAGE 1-A



● Br⁻

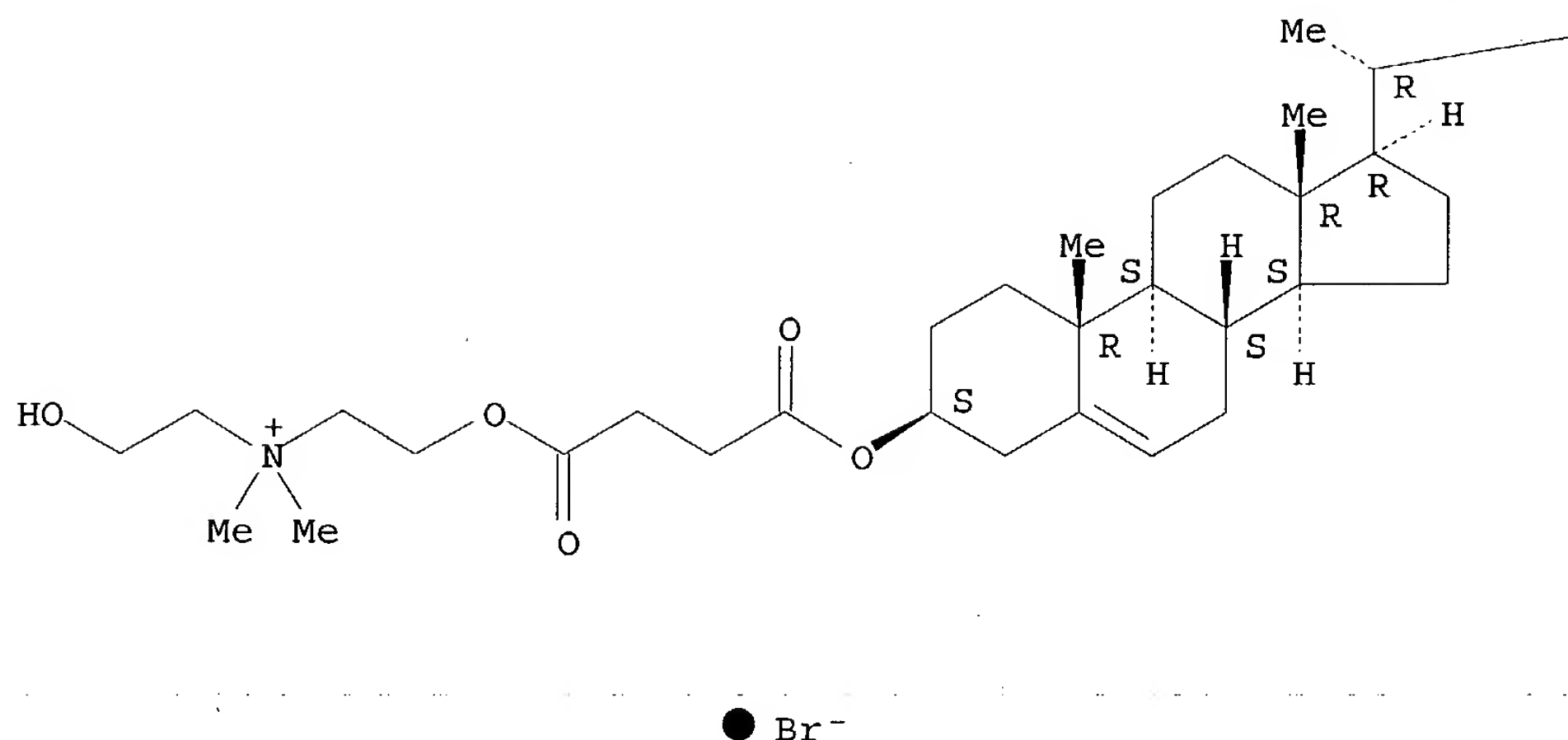
PAGE 1-B



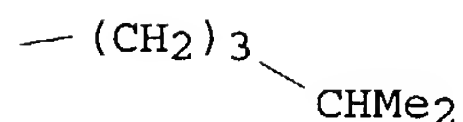
RN 516501-27-2 HCAPLUS
 CN Cholest-5-en-3-ol (3β)-, 2-[(2-hydroxyethyl)dimethylammonio]ethyl
 butanedioate, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:117584 HCAPLUS
 DOCUMENT NUMBER: 138:158560
 TITLE: Composition based on lipid lamellar **vesicles** incorporating at least a DHEA compound
 INVENTOR(S): Simonnet, Jean-Thierry
 PATENT ASSIGNEE(S): L'oreal, Fr.
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011245	A1	20030213	WO 2002-FR2571	20020718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2827765	A1	20030131	FR 2001-10109	20010727
FR 2827765	B1	20030919		

PRIORITY APPLN. INFO.:

FR 2001-10109

A 20010727

OTHER SOURCE(S):

MARPAT 138:158560

AB The invention concerns a composition comprising: a dispersion, in an external aqueous phase, of **vesicles** consisting of lipid lamellar phases separated from one another by **hydrophilic** layers and encapsulating a **hydrophilic** core, said lamellar phases comprising at least an **amphiphilic** lipid; and at least a DHEA compound contained, in solubilized form in free mol. state, in particular non-complexed, in the **hydrophilic** layers and/or in the **hydrophilic** core; and at least a solubilizer of said DHEA compound (preferably a glycol optionally combined with water and/or glycerin). The incorporation of the DHEA compound in the **hydrophilic** core of the **vesicles** enable to prevent its recrystn. in the external aqueous phase and to improve its bioavailability.

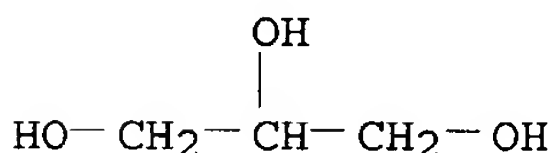
IT 56-81-5, Glycerin, biological studies 57-55-6, Propylene glycol, biological studies 107-41-5, Hexylene glycol 107-88-0, Butylene glycol 111-29-5, Pentylene glycol 25265-71-8, Dipropylene glycol

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)

(Composition based on lipid lamellar **vesicles** incorporating at least DHEA compound)

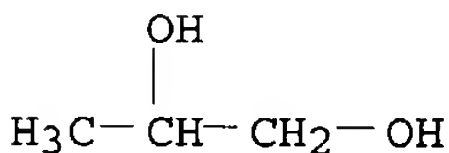
RN 56-81-5 HCAPLUS

CN 1,2,3-Propanetriol (9CI) (CA INDEX NAME)



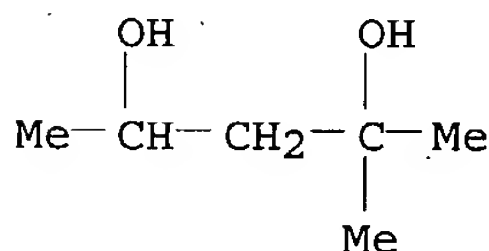
RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (8CI, 9CI) (CA INDEX NAME)



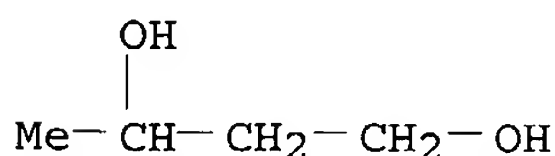
RN 107-41-5 HCAPLUS

CN 2,4-Pentanediol, 2-methyl- (8CI, 9CI) (CA INDEX NAME)



RN 107-88-0 HCAPLUS

CN 1,3-Butanediol (8CI, 9CI) (CA INDEX NAME)



RN 111-29-5 HCAPLUS

CN 1,5-Pentanediol (8CI, 9CI) (CA INDEX NAME)

HO—(CH₂)₅—OH

RN 25265-71-8 HCAPLUS

CN Propanol, oxybis- (9CI) (CA INDEX NAME)

HO—CH₂—CH₂—O—CH₂—CH₂—OH

2 (D1—Me)

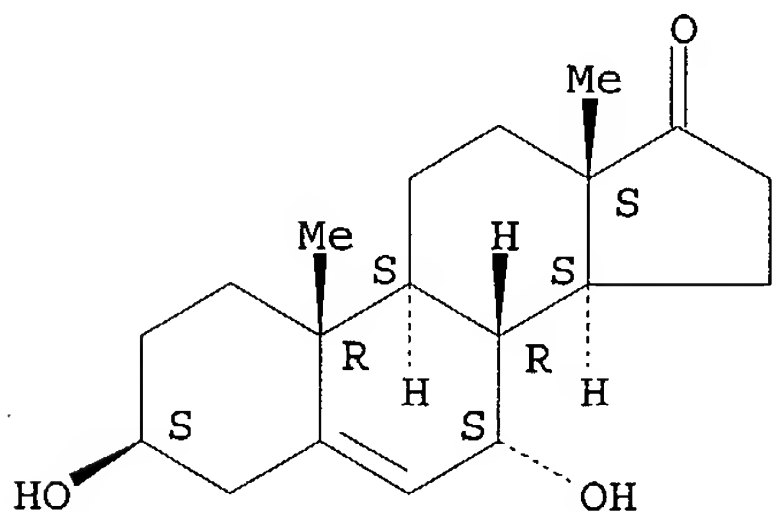
IT 53-00-9 53-43-0, DHEA 57-50-1, Sucrose,
biological studies 58-95-7, Vitamin e acetate 63-05-8,
Δ4-Androstene-3,17-dione 77-60-1, Tigogenin
126-18-1, Smilagenin 126-19-2 142-47-2D,
Sodium glutamate, acyl derivs. 145-13-1, Δ5-Pregnenolone
387-79-1, 17α-Hydroxy pregnenolone 467-55-0,
Hecogenin 511-97-7, Yuccagenin 512-04-9, Diosgenin
512-06-1, Yamogenin 566-19-8 651-48-9, DHEA
sulfate 853-23-6 1256-86-6, Cholesterol
sulfate 1449-61-2 2487-48-1 4358-16-1,
Cholesteryl phosphate 6640-03-5, Dimyristylphosphate
7642-68-4 9005-63-4, Polyoxyethylenesorbitan
12441-09-7, Sorbitan 14504-94-0, Androst-5-ene-3,17-diol
16690-92-9D, Disodium glutamate, acyl derivs. 23983-43-9
25322-68-3, Polyethylene glycol 25618-55-7, Polyglycerol
26266-57-9, Sorbitan palmitate 28901-70-4,
17α-Hydroxy pregnenolone sulfate 39663-17-7
63119-59-5, Diglycerol distearate 103596-99-2
188750-82-5, DHEA salicylate 363139-74-6
494796-45-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition based on lipid lamellar vesicles incorporating at
least DHEA compound)

RN 53-00-9 HCAPLUS

CN Androst-5-en-17-one, 3,7-dihydroxy-, (3β,7α)- (9CI) (CA INDEX
NAME)

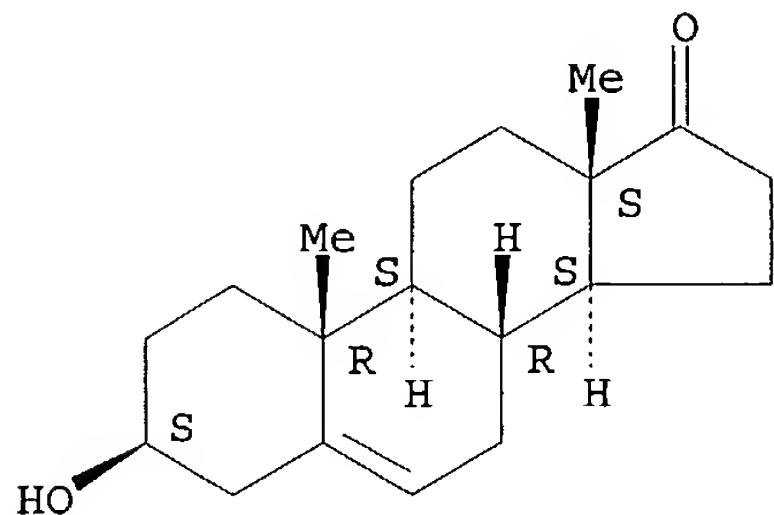
Absolute stereochemistry. Rotation (-).



RN 53-43-0 HCAPLUS

CN Androst-5-en-17-one, 3-hydroxy-, (3β)- (9CI) (CA INDEX NAME)

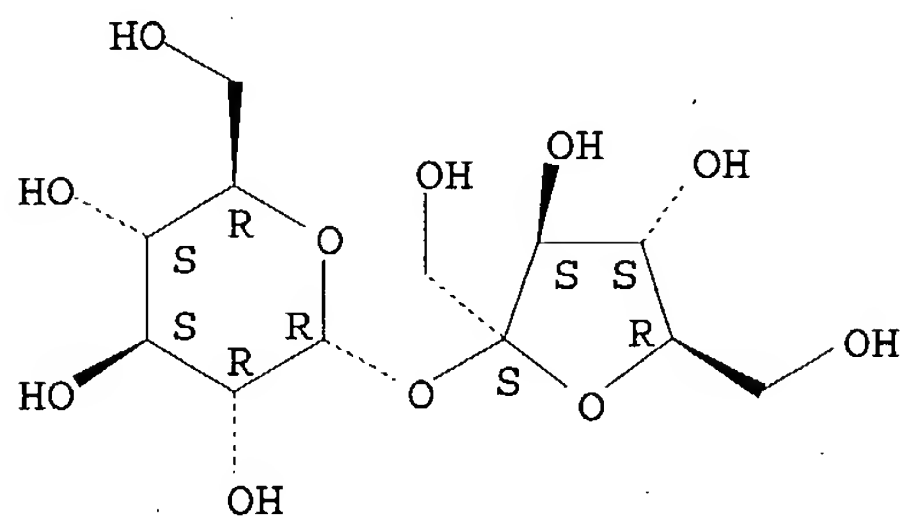
Absolute stereochemistry. Rotation (+).



RN 57-50-1 HCAPLUS

CN α -D-Glucopyranoside, β -D-fructofuranosyl (9CI) (CA INDEX NAME)

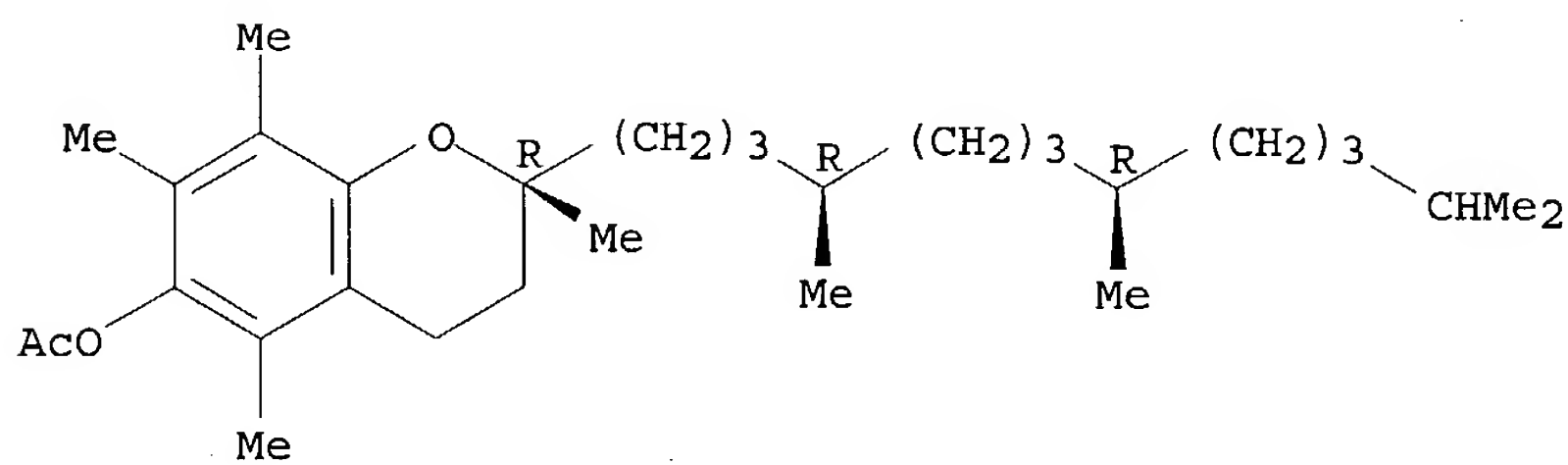
Absolute stereochemistry.



RN 58-95-7 HCAPLUS

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-, acetate, (2R)- (9CI) (CA INDEX NAME)

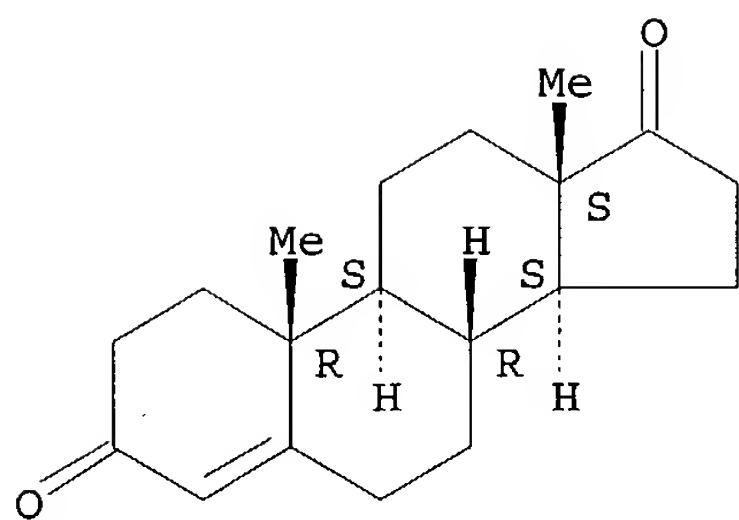
Absolute stereochemistry.



RN 63-05-8 HCAPLUS

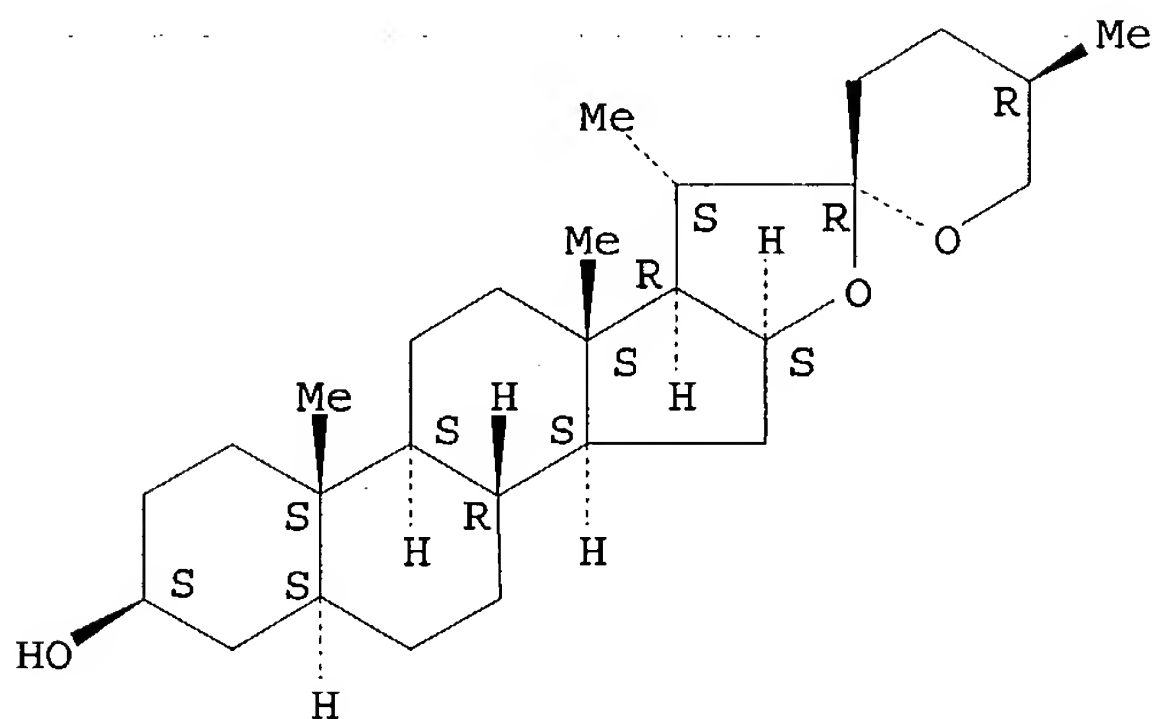
CN Androst-4-ene-3,17-dione (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



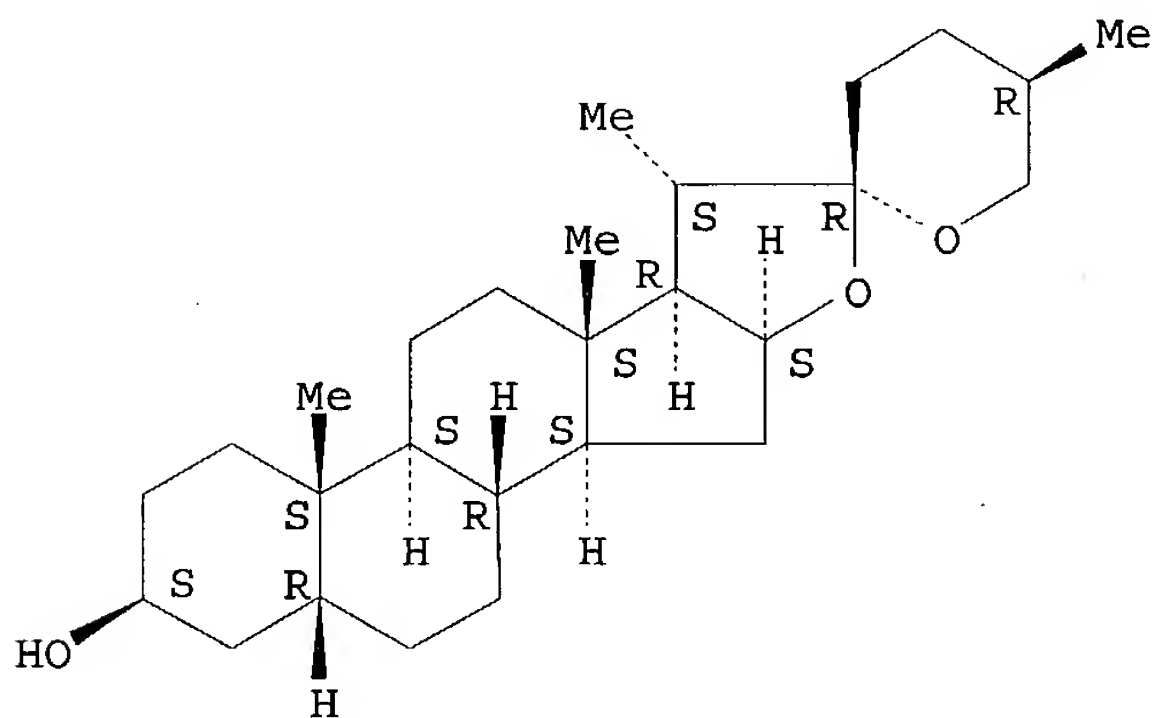
RN 77-60-1 HCAPLUS
 CN Spirostan-3-ol, (3 β ,5 α ,25R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



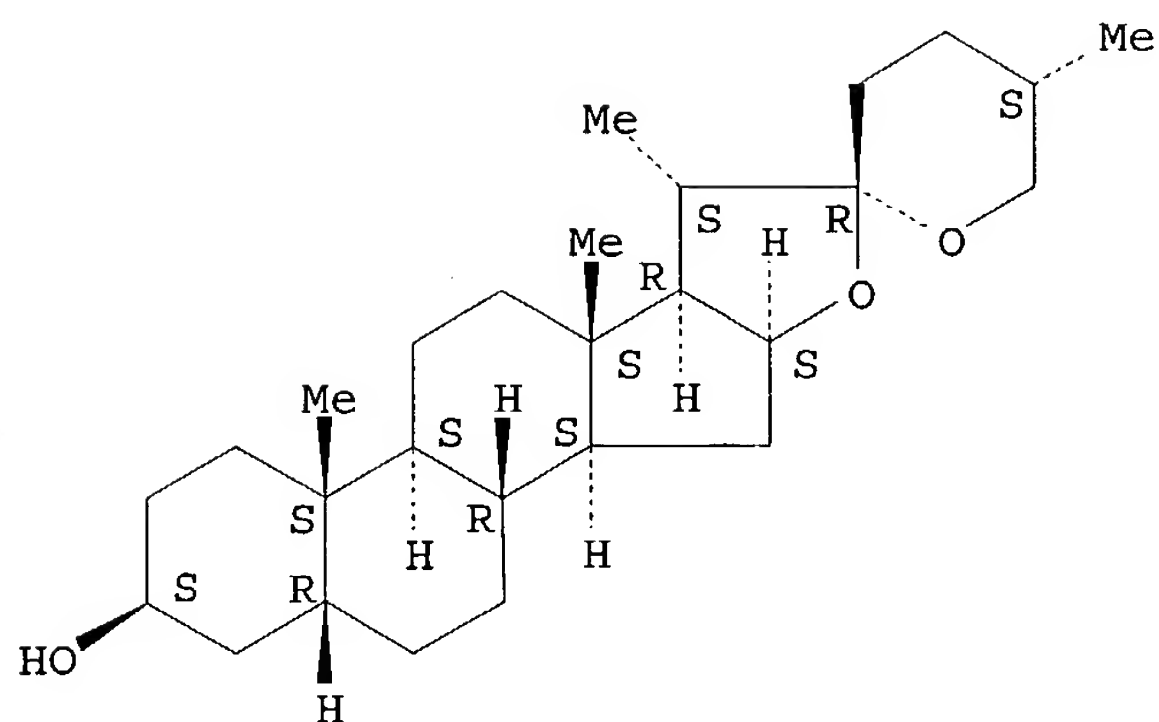
RN 126-18-1 HCAPLUS
 CN Spirostan-3-ol, (3 β ,5 β ,25R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126-19-2 HCAPLUS
 CN Spirostan-3-ol, (3 β ,5 β ,25S) - (9CI) (CA INDEX NAME)

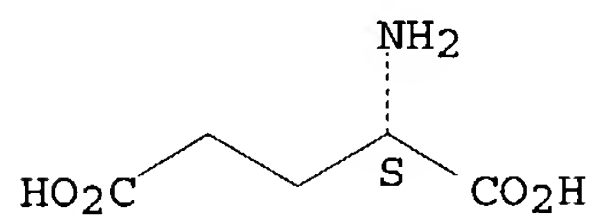
Absolute stereochemistry.



RN 142-47-2 HCAPLUS

CN L-Glutamic acid, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

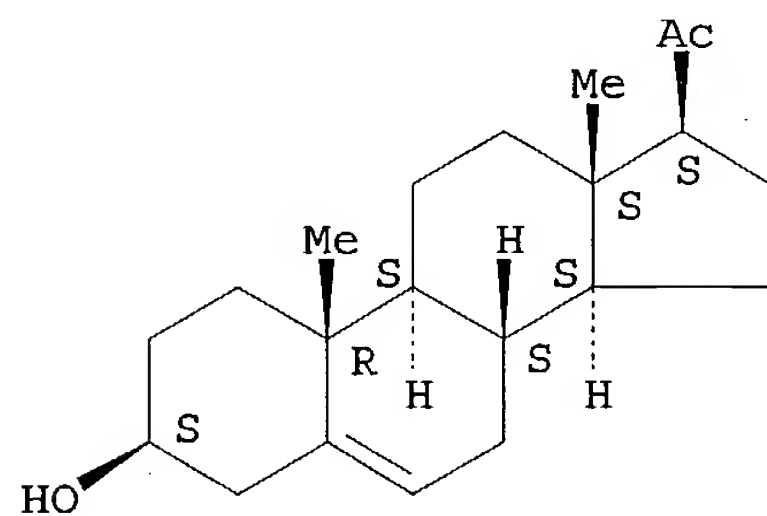


● Na

RN 145-13-1 HCAPLUS

CN Pregn-5-en-20-one, 3-hydroxy-, (3β) - (9CI) (CA INDEX NAME)

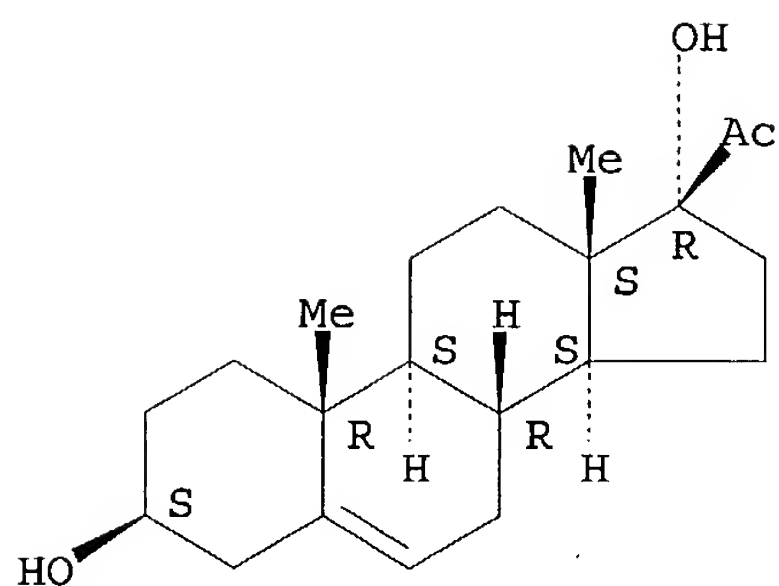
Absolute stereochemistry.



RN 387-79-1 HCAPLUS

CN Pregn-5-en-20-one, 3,17-dihydroxy-, (3β) - (9CI) (CA INDEX NAME)

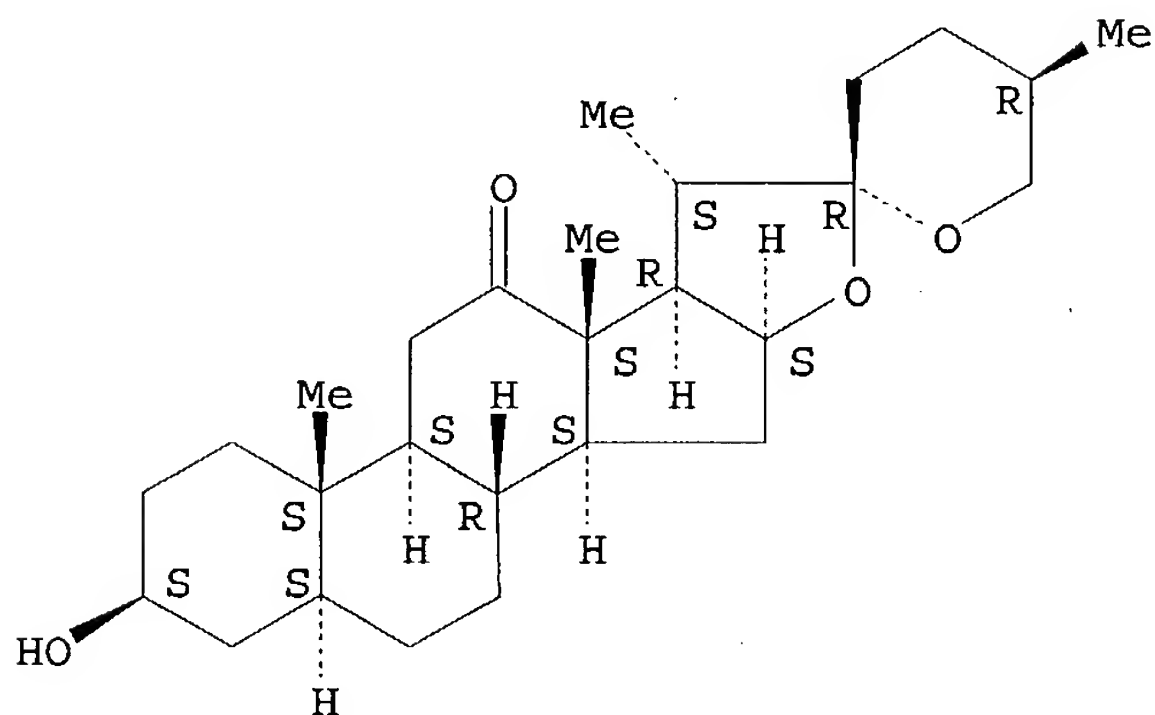
Absolute stereochemistry.



RN 467-55-0 HCAPLUS

CN Spirostan-12-one, 3-hydroxy-, (3 β ,5 α ,25R) - (9CI) (CA INDEX NAME)

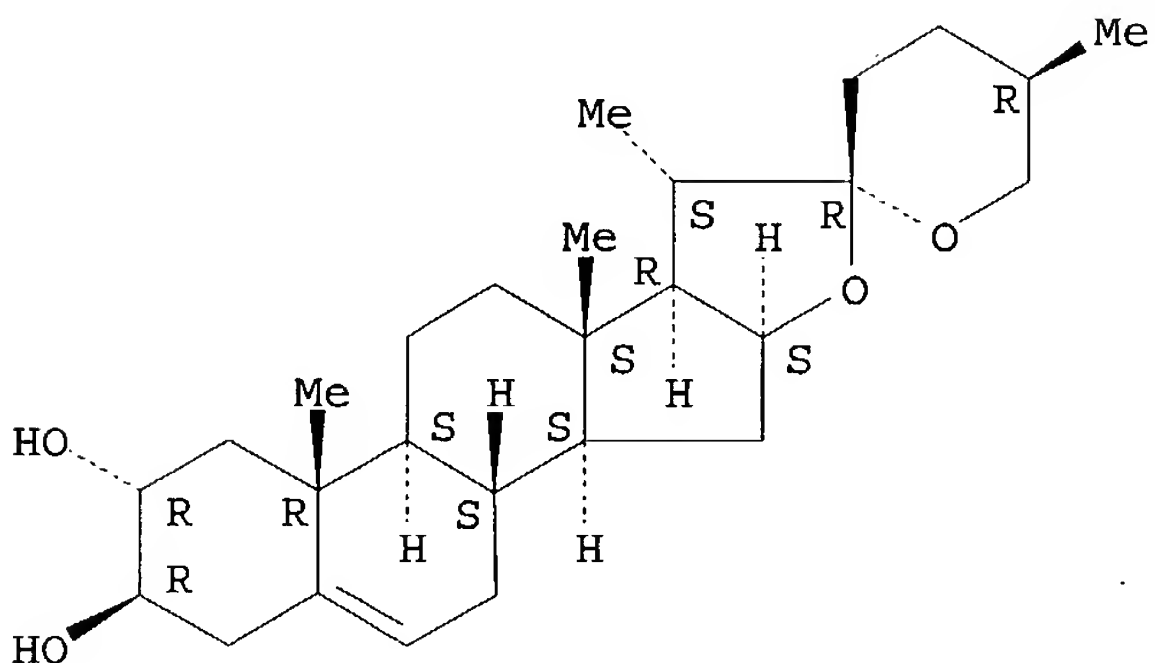
Absolute stereochemistry.



RN 511-97-7 HCAPLUS

CN Spirost-5-ene-2,3-diol, (2 α ,3 β ,25R) - (9CI) (CA INDEX NAME)

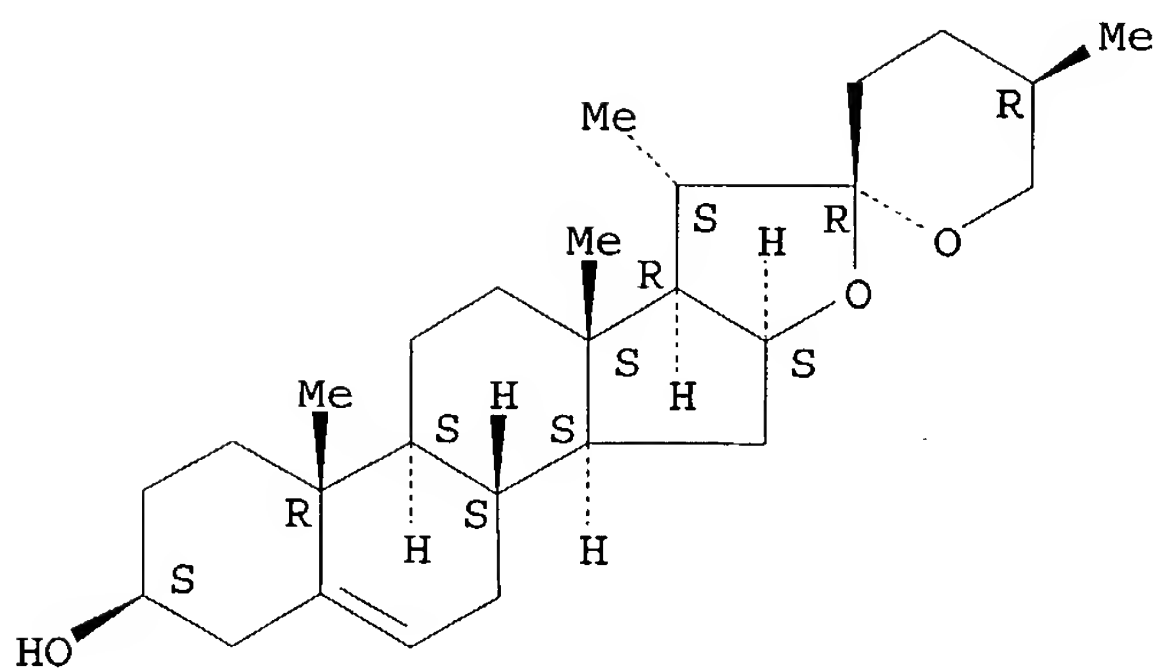
Absolute stereochemistry.



RN 512-04-9 HCAPLUS

CN Spirost-5-en-3-ol, (3 β ,25R) - (9CI) (CA INDEX NAME)

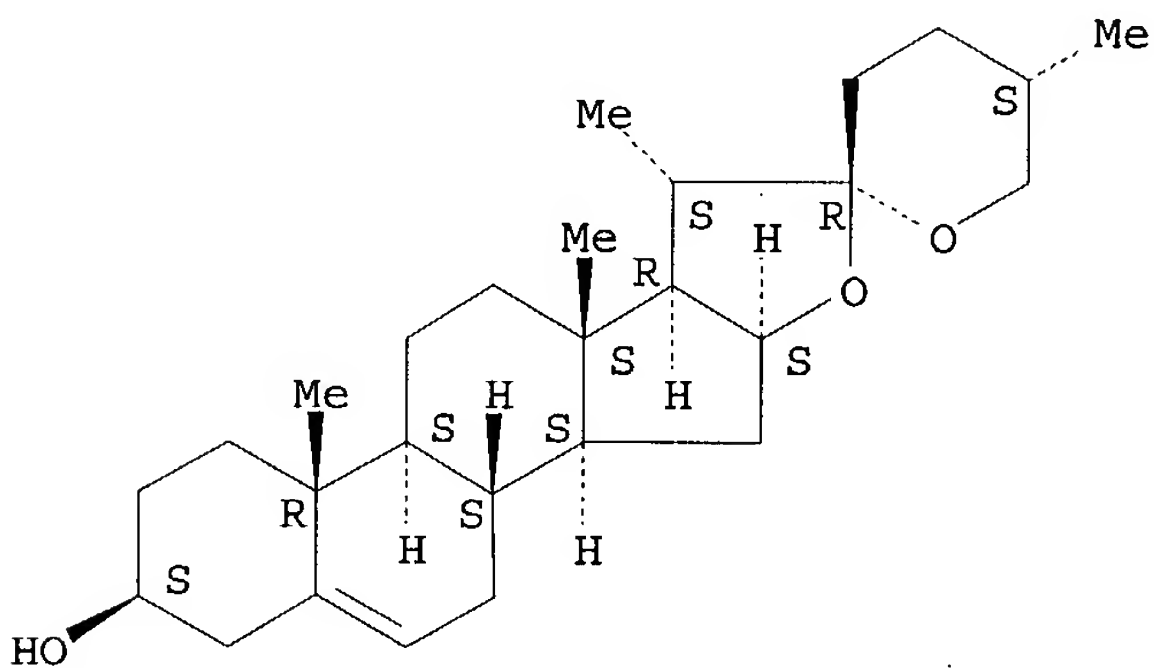
Absolute stereochemistry.



RN 512-06-1 HCAPLUS

CN Spirost-5-en-3-ol, (3 β ,25S)- (9CI) (CA INDEX NAME)

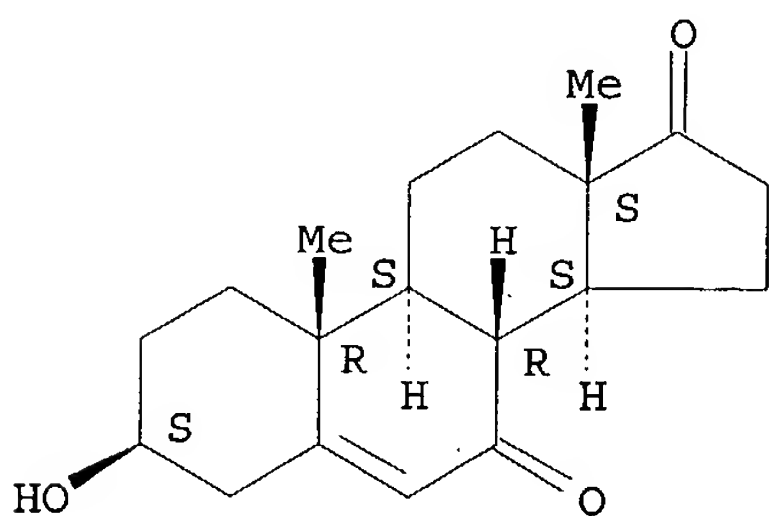
Absolute stereochemistry.



RN 566-19-8 HCAPLUS

CN Androst-5-ene-7,17-dione, 3-hydroxy-, (3 β)- (9CI) (CA INDEX NAME)

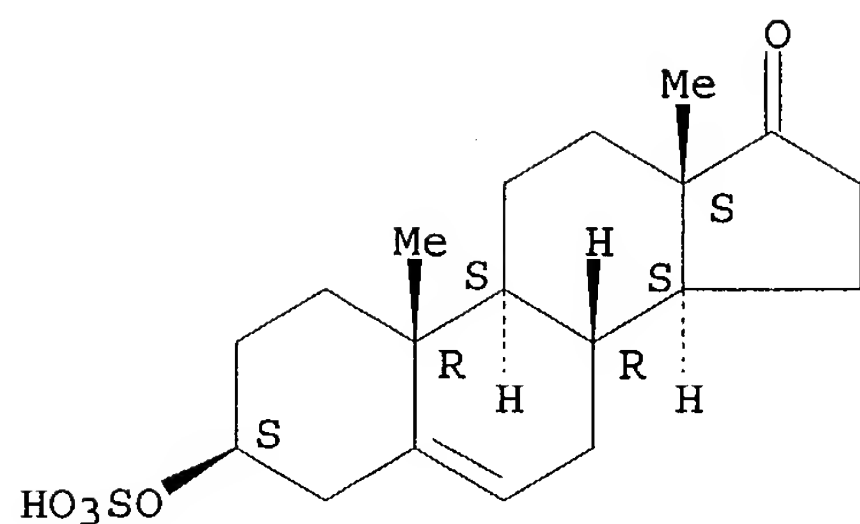
Absolute stereochemistry. Rotation (-).



RN 651-48-9 HCAPLUS

CN Androst-5-en-17-one, 3-(sulfooxy)-, (3 β)- (9CI) (CA INDEX NAME)

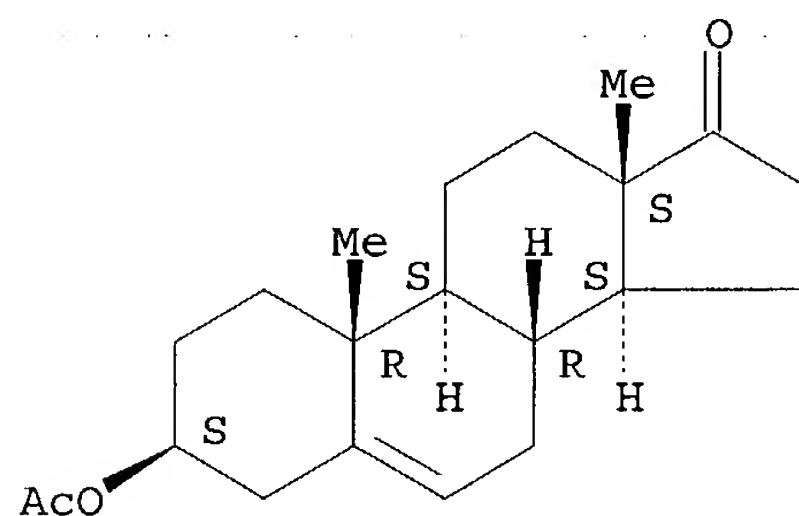
Absolute stereochemistry.



RN 853-23-6 HCAPLUS

CN Androst-5-en-17-one, 3-(acetyloxy)-, (3β)- (9CI) (CA INDEX NAME)

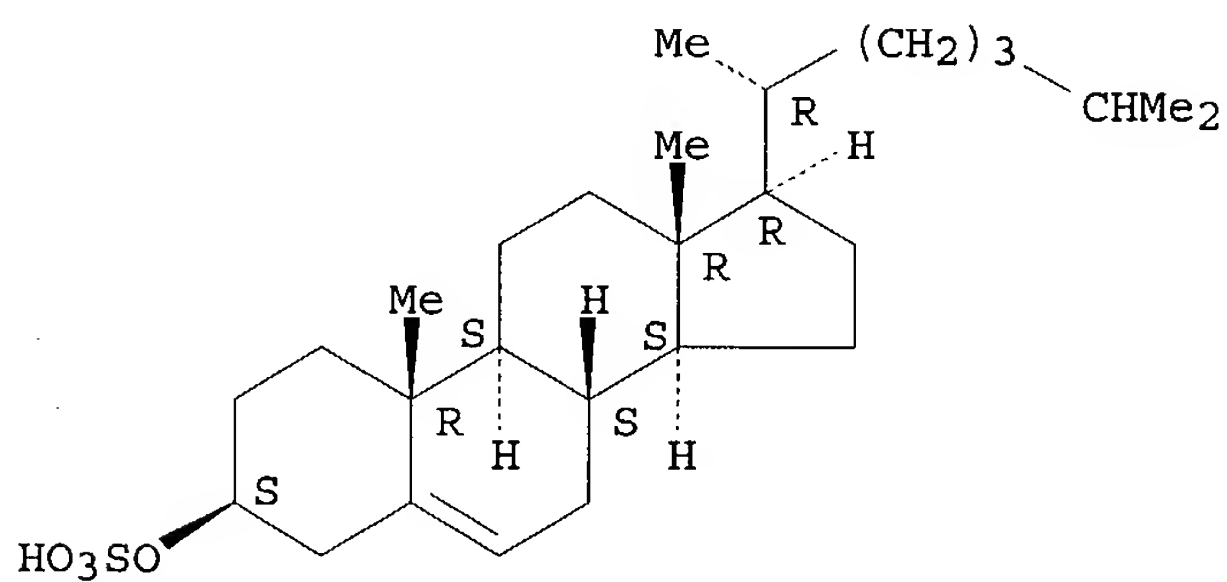
Absolute stereochemistry.



RN 1256-86-6 HCAPLUS

CN Cholest-5-en-3-ol (3β)-, hydrogen sulfate (9CI) (CA INDEX NAME)

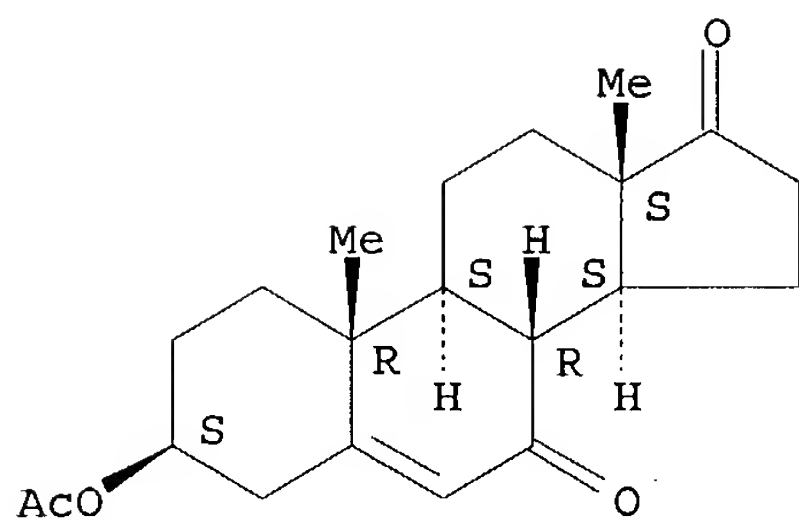
Absolute stereochemistry.



RN 1449-61-2 HCAPLUS

CN Androst-5-ene-7,17-dione, 3-(acetyloxy)-, (3β)- (9CI) (CA INDEX NAME)

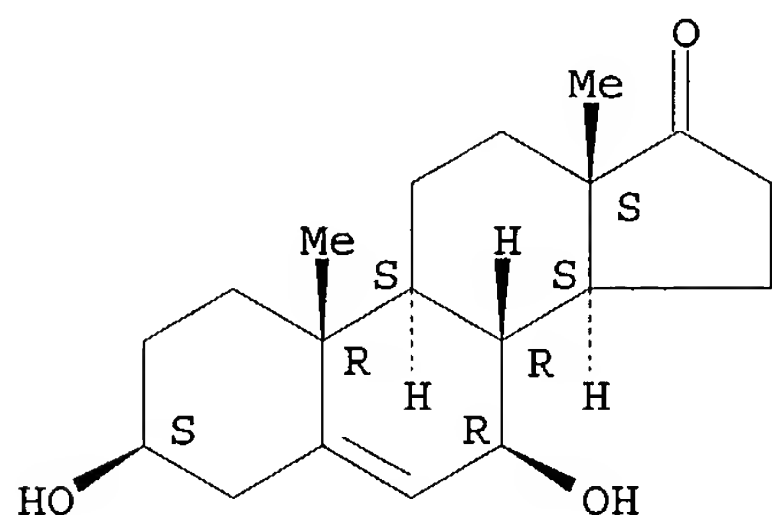
Absolute stereochemistry. Rotation (-).



RN 2487-48-1 HCAPLUS

CN Androst-5-en-17-one, 3,7-dihydroxy-, (3β,7β)- (9CI) (CA INDEX NAME)

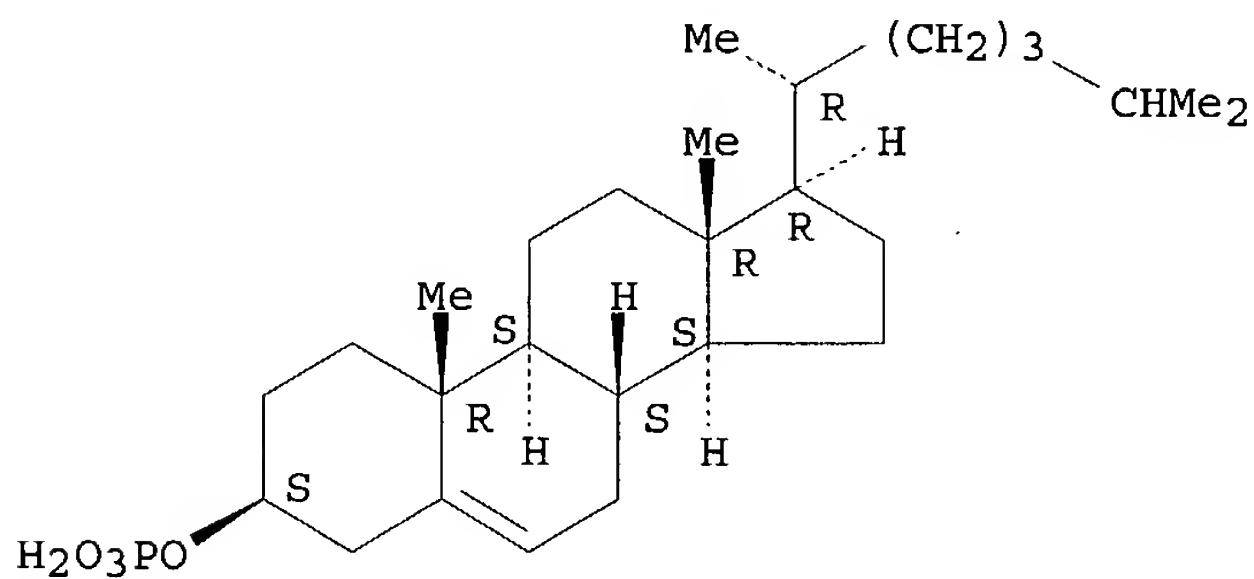
Absolute stereochemistry. Rotation (+).



RN 4358-16-1 HCAPLUS

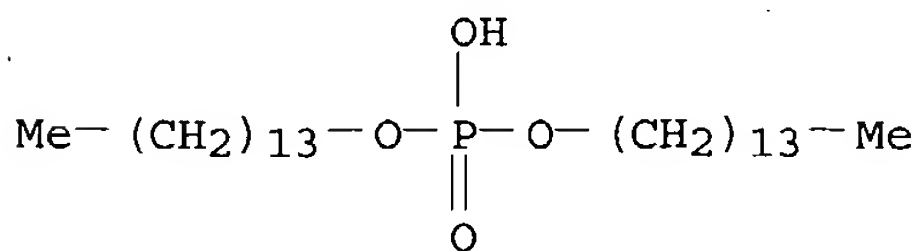
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



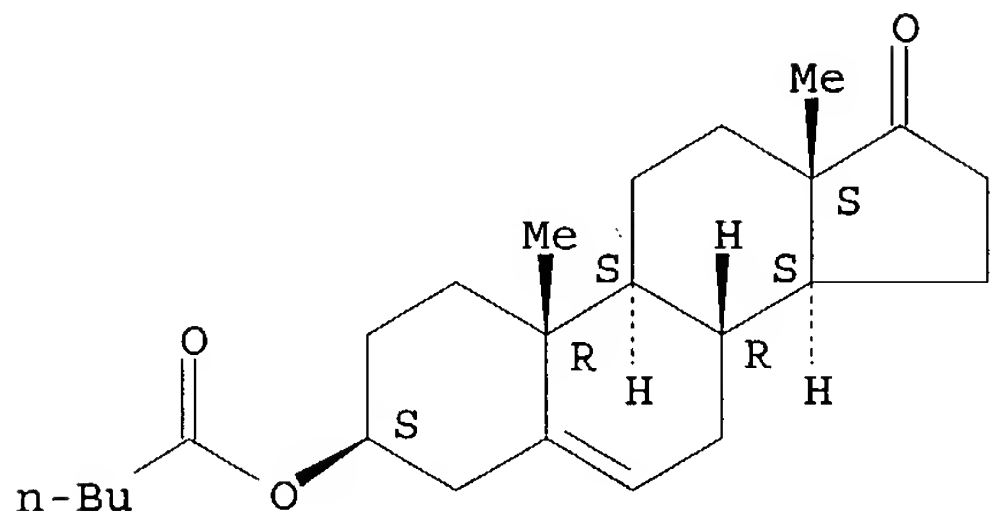
RN 6640-03-5 HCAPLUS

CN 1-Tetradecanol, hydrogen phosphate (8CI, 9CI) (CA INDEX NAME)



RN 7642-68-4 HCAPLUS
 CN Androst-5-en-17-one, 3-[(1-oxopentyl)oxy]-, (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9005-63-4 HCAPLUS
 CN Sorbitan, poly(oxy-1,2-ethanediyl) derivs. (9CI) (CA INDEX NAME)

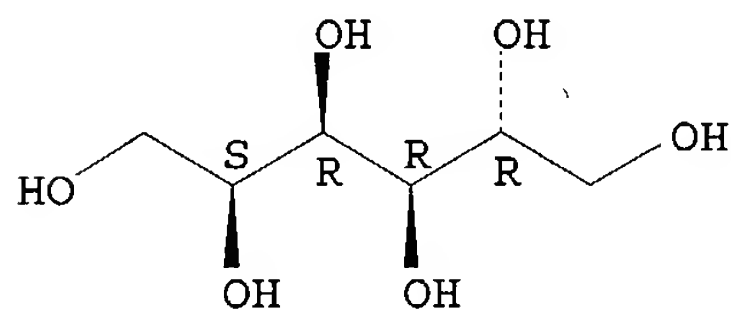
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 12441-09-7 HCAPLUS
 CN Sorbitan (6CI, 9CI) (CA INDEX NAME)

CM 1

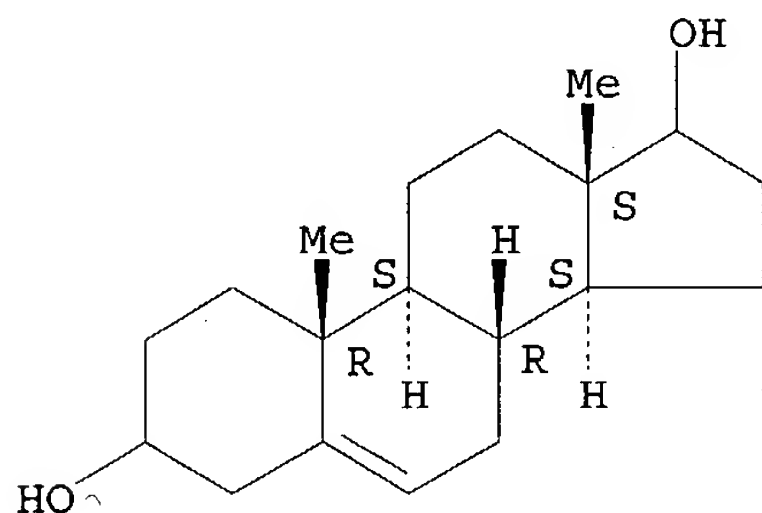
CRN 50-70-4
 CMF C6 H14 O6

Absolute stereochemistry.



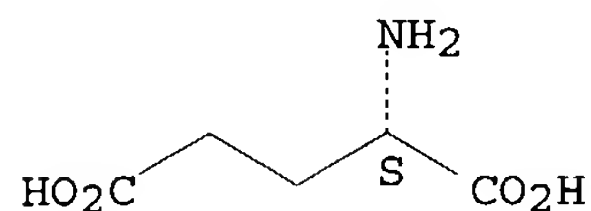
RN 14504-94-0 HCAPLUS
 CN Androst-5-ene-3,17-diol (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 16690-92-9 HCAPLUS
 CN L-Glutamic acid, disodium salt (9CI) (CA INDEX NAME)

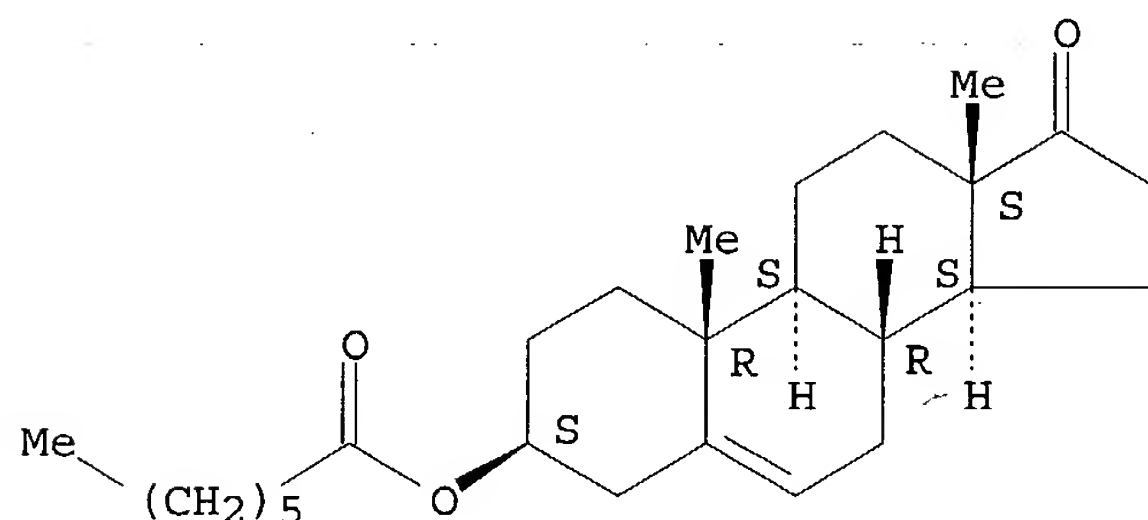
Absolute stereochemistry.



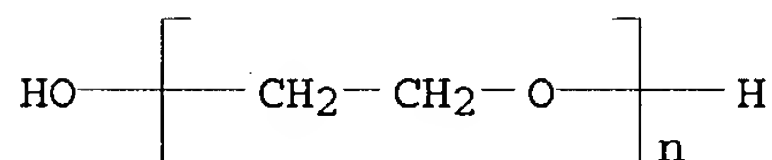
●2 Na

RN 23983-43-9 HCAPLUS
CN Androst-5-en-17-one, 3-[(1-oxoheptyl)oxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



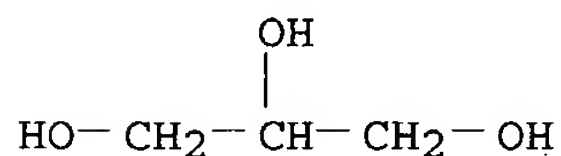
RN 25322-68-3 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (9CI) (CA INDEX NAME)



RN 25618-55-7 HCAPLUS
CN 1,2,3-Propanetriol, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 56-81-5
CMF C3 H8 O3



RN 26266-57-9 HCAPLUS
CN Sorbitan, monohexadecanoate (9CI) (CA INDEX NAME)

CM 1

CRN 57-10-3

CMF C16 H32 O2

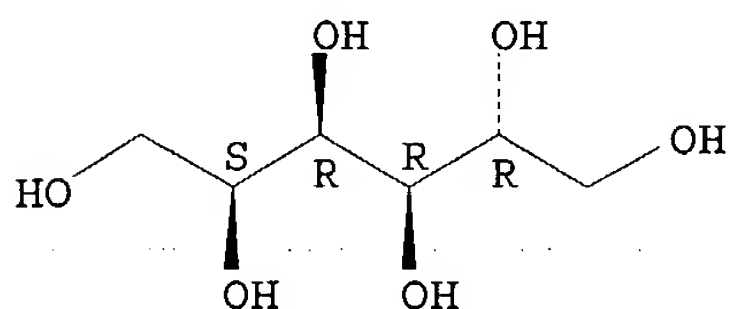
 $\text{HO}_2\text{C}-(\text{CH}_2)_{14}-\text{Me}$

CM 2

CRN 50-70-4

CMF C6 H14 O6

Absolute stereochemistry.



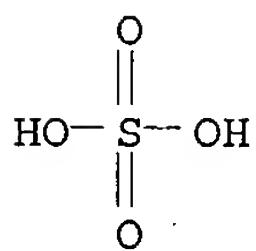
RN 28901-70-4 HCAPLUS

CN Pregn-5-en-20-one, 3,17-dihydroxy-, mono(hydrogen sulfate), (3 β)-(9CI) (CA INDEX NAME)

CM 1

CRN 7664-93-9

CMF H2 O4 S

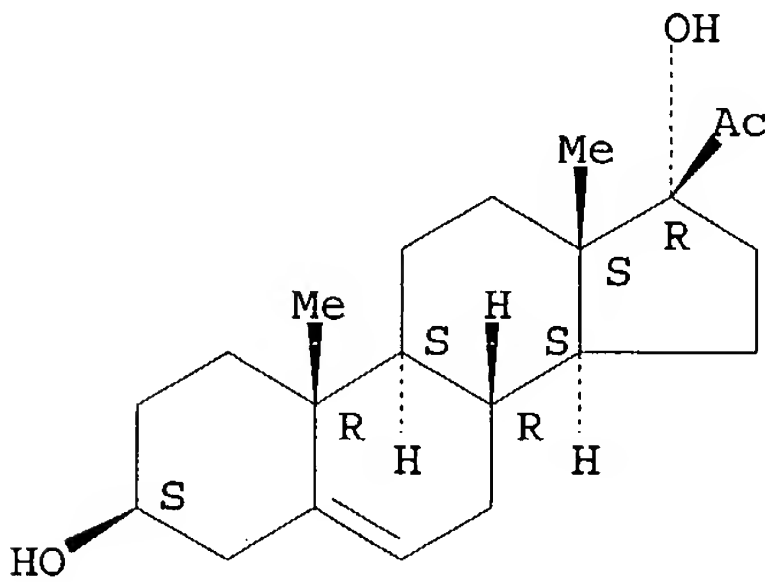


CM 2

CRN 387-79-1

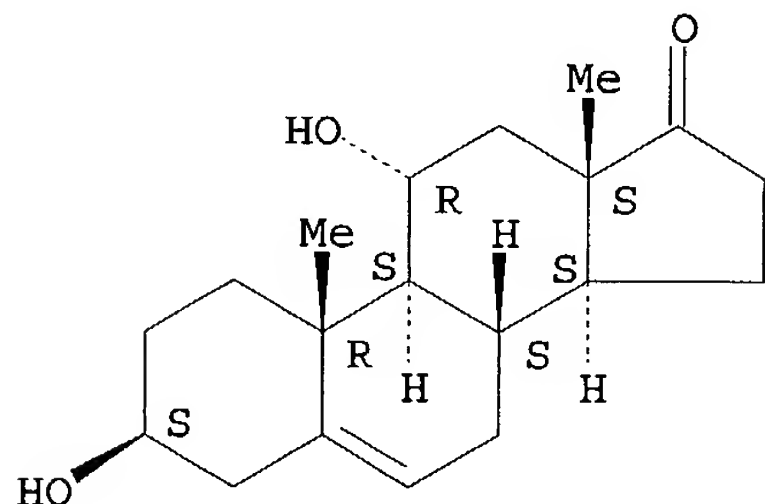
CMF C21 H32 O3

Absolute stereochemistry.



RN 39663-17-7 HCAPLUS
 CN Androst-5-en-17-one, 3,11-dihydroxy-, (3 β ,11 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 63119-59-5 HCAPLUS
 CN Octadecanoic acid, diester with oxybis[propanediol] (9CI) (CA INDEX NAME)

CM 1

CRN 59113-36-9
 CMF C6 H14 O5
 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

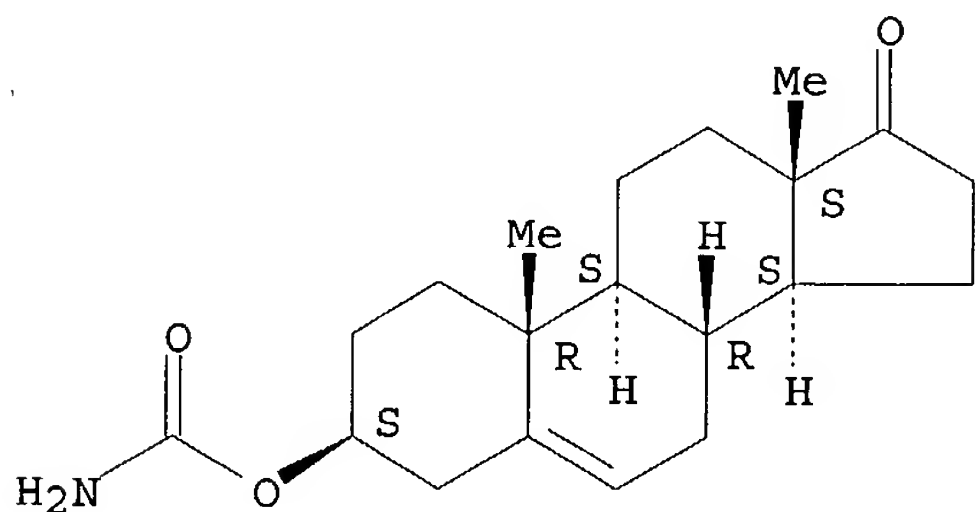
CM 2

CRN 57-11-4
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HO₂C-(CH₂)₁₆-Me

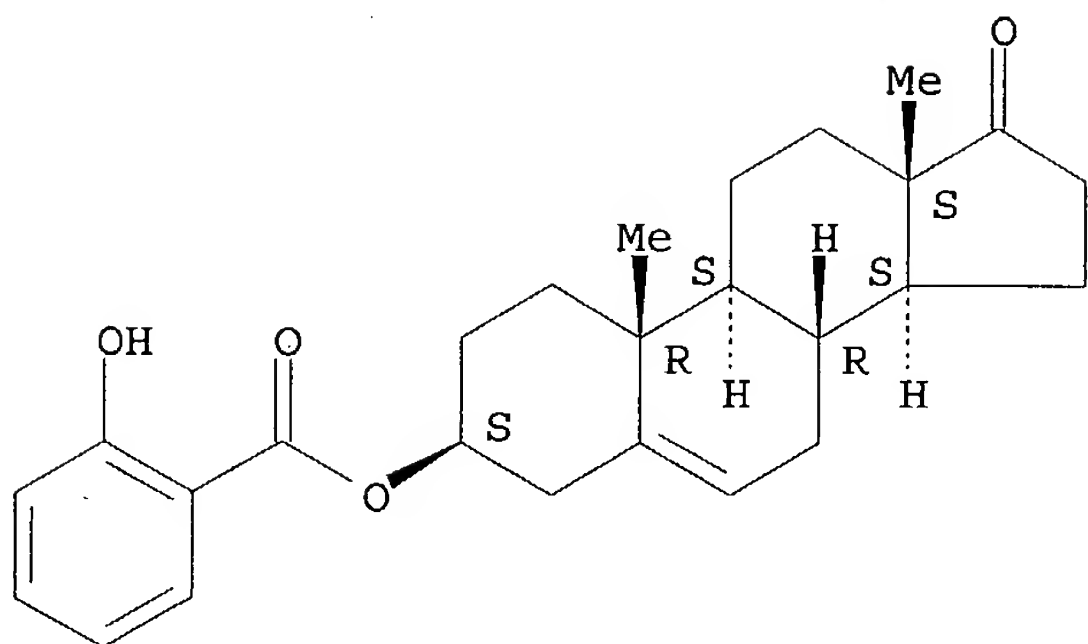
RN 103596-99-2 HCAPLUS
 CN Androst-5-en-17-one, 3-[(aminocarbonyl)oxy]-, (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188750-82-5 HCAPLUS
 CN Androst-5-en-17-one, 3-[(2-hydroxybenzoyl)oxy]-, (3 β)- (9CI) (CA INDEX NAME)

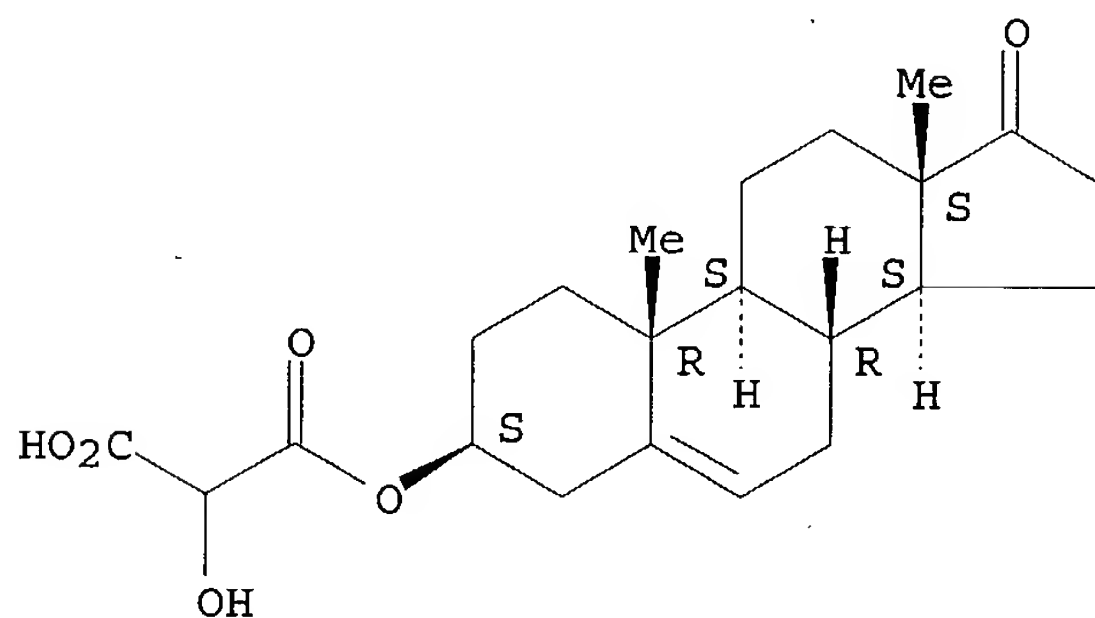
Absolute stereochemistry.



RN 363139-74-6 HCAPLUS

CN Androst-5-en-17-one, 3-[(carboxyhydroxyacetyl)oxy]-, (3β)- (9CI) (CA INDEX NAME)

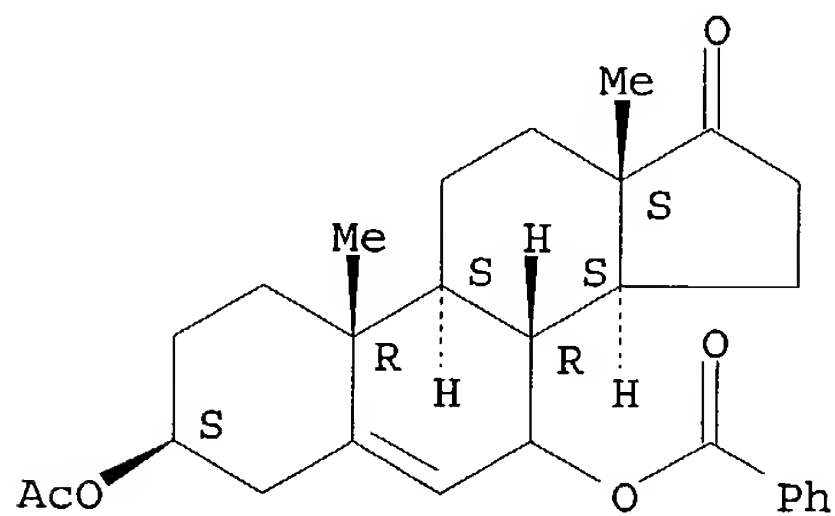
Absolute stereochemistry.



RN 494796-45-1 HCAPLUS

CN Androst-5-en-17-one, 3-(acetyloxy)-7-(benzoyloxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:117551 HCAPLUS

DOCUMENT NUMBER: 138:158820
 TITLE: Composition based on lipid lamellar **vesicles**
 incorporating at least a DHEA compound
 INVENTOR(S): Simonnet, Jean-Thierry
 PATENT ASSIGNEE(S): L'oreal, Fr.
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011208	A2	20030213	WO 2002-FR2573	20020718
WO 2003011208	A3	20031127		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
FR 2827766	A1	20030131	FR 2001-10111	20010727
EP 1414412	A2	20040506	EP 2002-791503	20020718
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:			FR 2001-10111	A 20010727
			WO 2002-FR2573	W 20020718

OTHER SOURCE(S): MARPAT 138:158820

AB The invention concerns a composition comprising: a dispersion, in an outer aqueous

phase, of **vesicles** formed by lipid lamellar phases including at least an **amphiphilic** lipid and encapsulating an inner **hydrophilic** phase, said lamellar phases not containing succinic and/or hemi-succinic derivs., and at least a DHEA compound contained in said lamellar phases. The incorporation of the DHEA compound in the lamellar phases of the **vesicles** enables to prevent its recrystn. in the outer aqueous phase and to improve its bioavailability. Formulation of niosomes containing 1% DHEA are disclosed.

IT 53-00-9 53-43-0, DHEA 57-50-1, Sucrose, biological studies 58-95-7, Vitamin e acetate 63-05-8, Δ^4 -Androstene-3,17-dione 77-60-1, Tigogenin 110-15-6D, Succinic acid, derivs. 126-18-1, Smilagenin 126-19-2 142-47-2D, Sodium glutamate, acyl derivs. 145-13-1, Δ^5 -Pregnenolone 387-79-1, 17 α -Hydroxy pregnenolone 467-55-0, Hecogenin 511-97-7, Yuccagenin 512-04-9, Diosgenin 512-06-1, Yamogenin 566-19-8 651-48-9, DHEA sulfate 853-23-6 1256-86-6, Cholesterol sulfate 1449-61-2 2487-48-1 4358-16-1, Cholesteryl phosphate 6640-03-5, Dimyristylphosphate 7642-68-4 9005-63-4, Polyoxyethylenesorbitan 12441-09-7, Sorbitan 14504-94-0, Androst-5-ene-3,17-diol 16690-92-9D, Disodium glutamate, acyl derivs. 23983-43-9 25322-68-3, Polyethylene glycol 25618-55-7, Polyglycerol 26266-57-9, Sorbitan palmitate 28901-70-4,

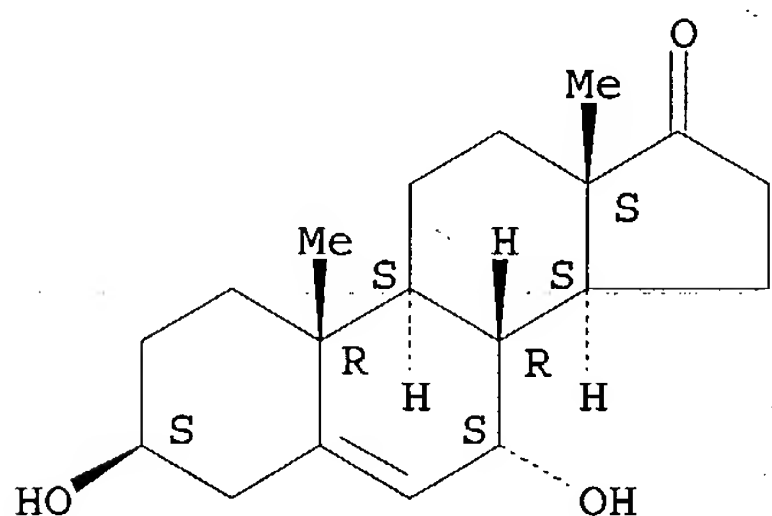
17 α -Hydroxy pregnenolone sulfate 39663-17-7
 63119-59-5, Diglycerol distearate 103596-99-2
 188750-82-5, DHEA salicylate 363139-74-6
 494796-45-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (composition based on lipid lamellar vesicles incorporating at
 least DHEA compound)

RN 53-00-9 HCAPLUS

CN Androst-5-en-17-one, 3,7-dihydroxy-, (3 β ,7 α)- (9CI) (CA INDEX
 NAME)

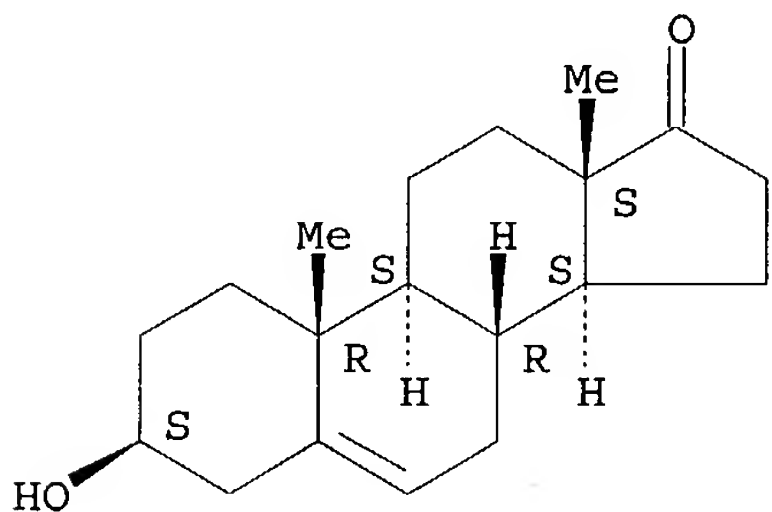
Absolute stereochemistry. Rotation (-).



RN 53-43-0 HCAPLUS

CN Androst-5-en-17-one, 3-hydroxy-, (3 β)- (9CI) (CA INDEX NAME)

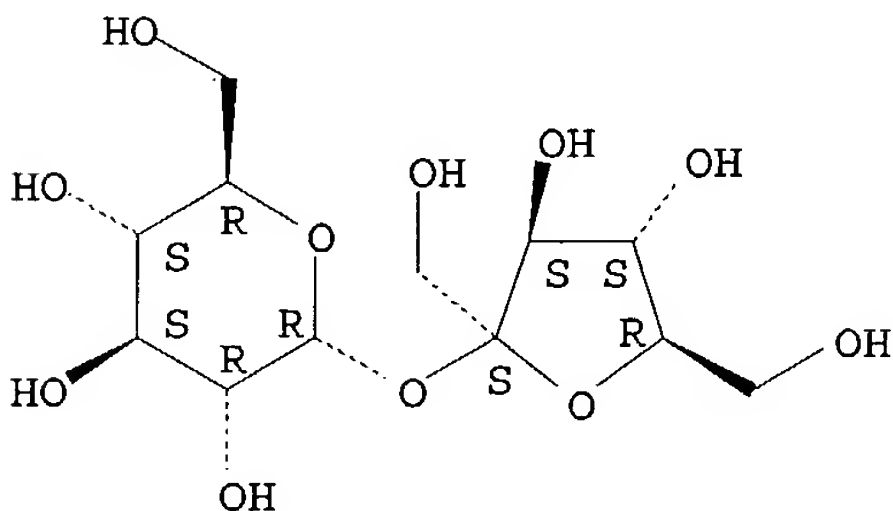
Absolute stereochemistry. Rotation (+).



RN 57-50-1 HCAPLUS

CN α -D-Glucopyranoside, β -D-fructofuranosyl (9CI) (CA INDEX NAME)

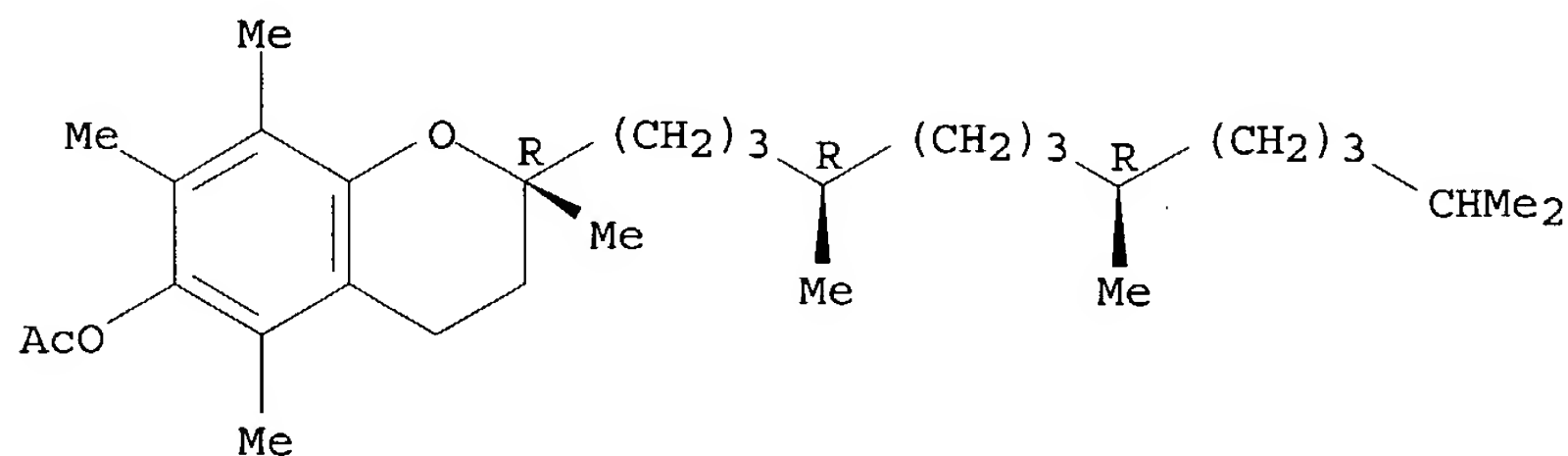
Absolute stereochemistry.



RN 58-95-7 HCAPLUS

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-, acetate, (2R)- (9CI) (CA INDEX NAME)

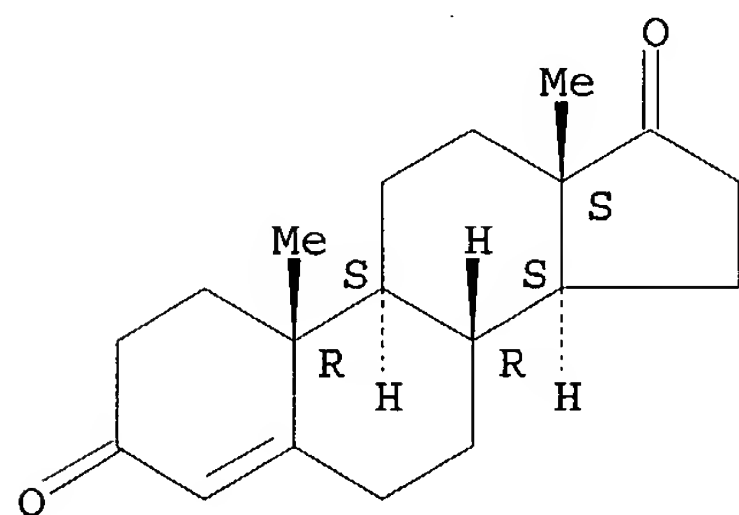
Absolute stereochemistry.



RN 63-05-8 HCAPLUS

CN Androst-4-ene-3,17-dione (8CI, 9CI) (CA INDEX NAME)

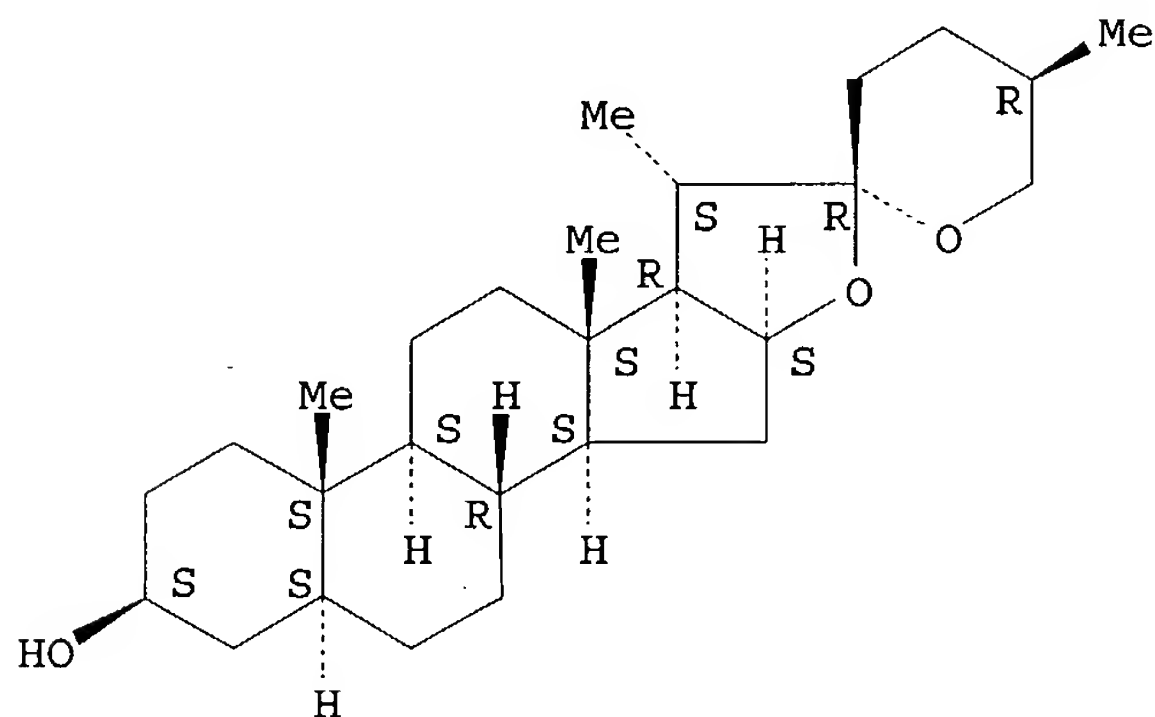
Absolute stereochemistry. Rotation (+).



RN 77-60-1 HCAPLUS

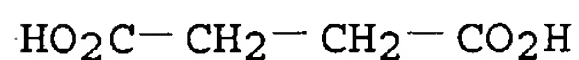
CN Spirostan-3-ol, (3β,5α,25R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



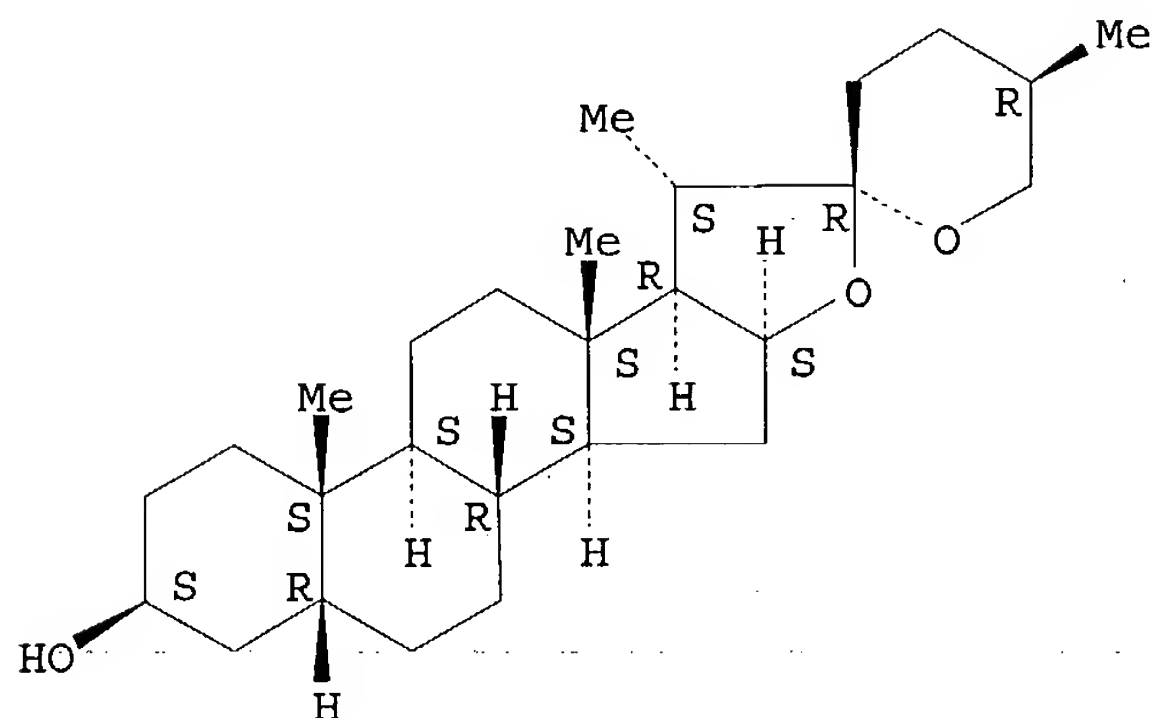
RN 110-15-6 HCAPLUS

CN Butanedioic acid (9CI) (CA INDEX NAME)



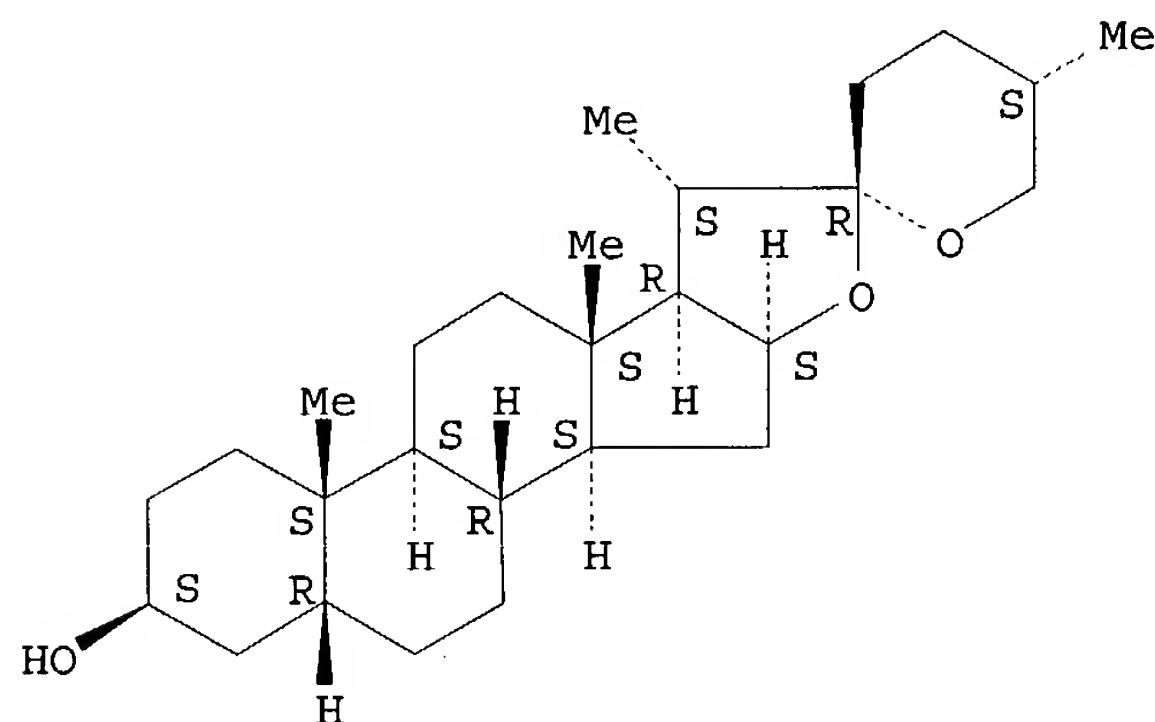
RN 126-18-1 HCAPLUS
 CN Spirostan-3-ol, (3 β ,5 β ,25R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



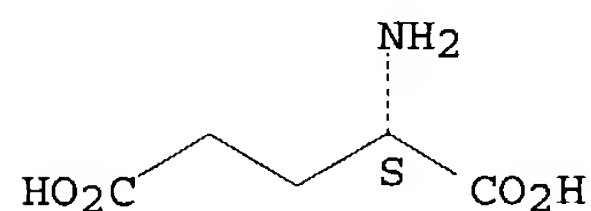
RN 126-19-2 HCAPLUS
 CN Spirostan-3-ol, (3 β ,5 β ,25S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142-47-2 HCAPLUS
 CN L-Glutamic acid, monosodium salt (9CI) (CA INDEX NAME)

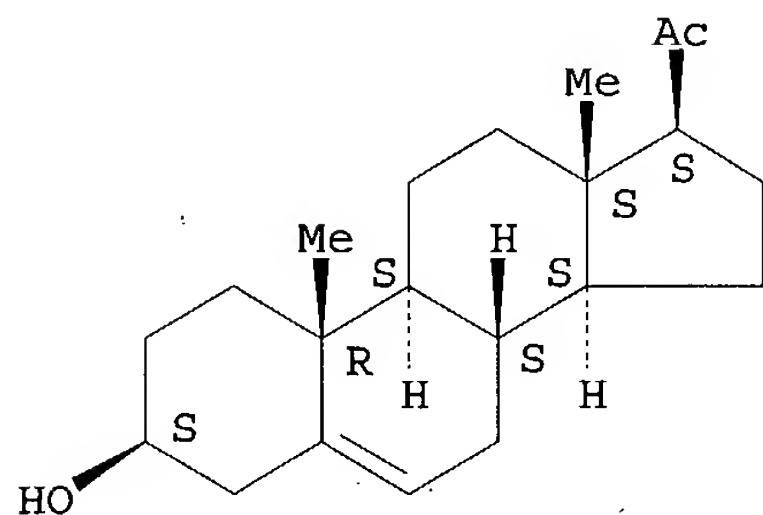
Absolute stereochemistry.



● Na

RN 145-13-1 HCAPLUS
 CN Pregn-5-en-20-one, 3-hydroxy-, (3 β) - (9CI) (CA INDEX NAME)

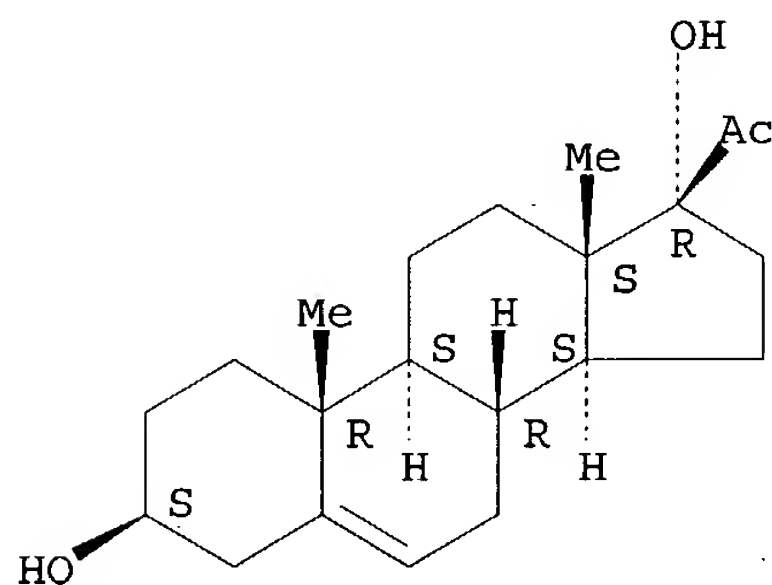
Absolute stereochemistry.



RN 387-79-1 HCAPLUS

CN Pregn-5-en-20-one, 3,17-dihydroxy-, (3 β) - (9CI) (CA INDEX NAME)

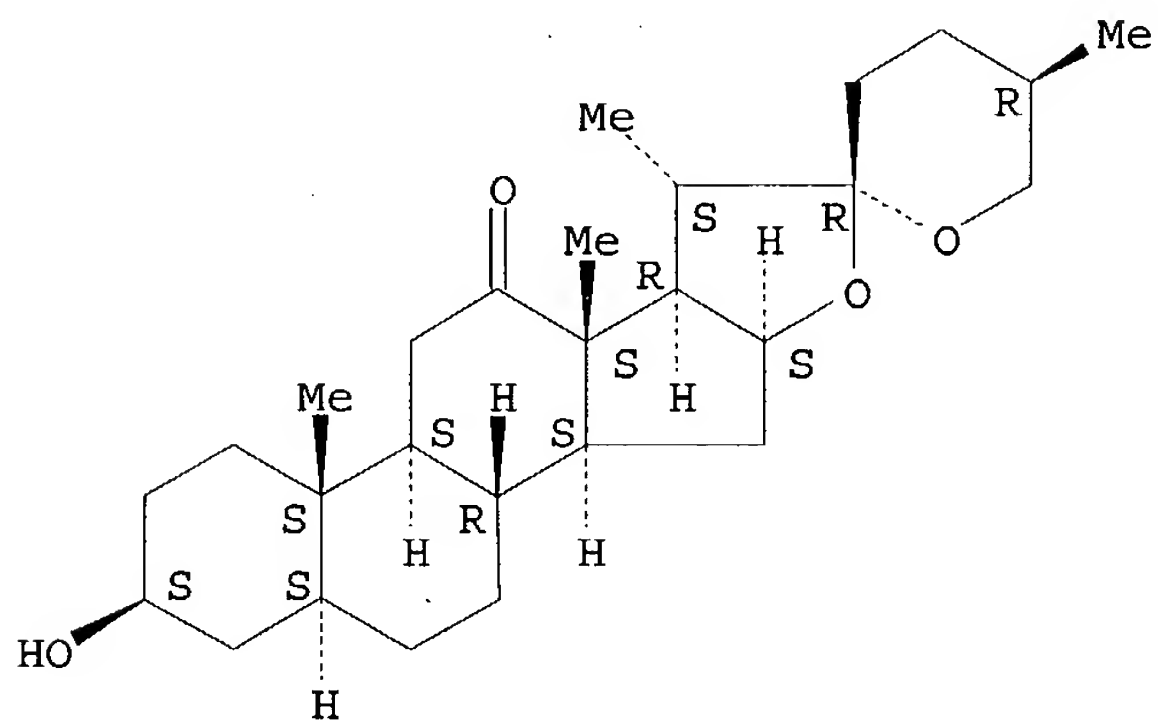
Absolute stereochemistry.



RN 467-55-0 HCAPLUS

CN Spirostan-12-one, 3-hydroxy-, (3 β ,5 α ,25R) - (9CI) (CA INDEX NAME)

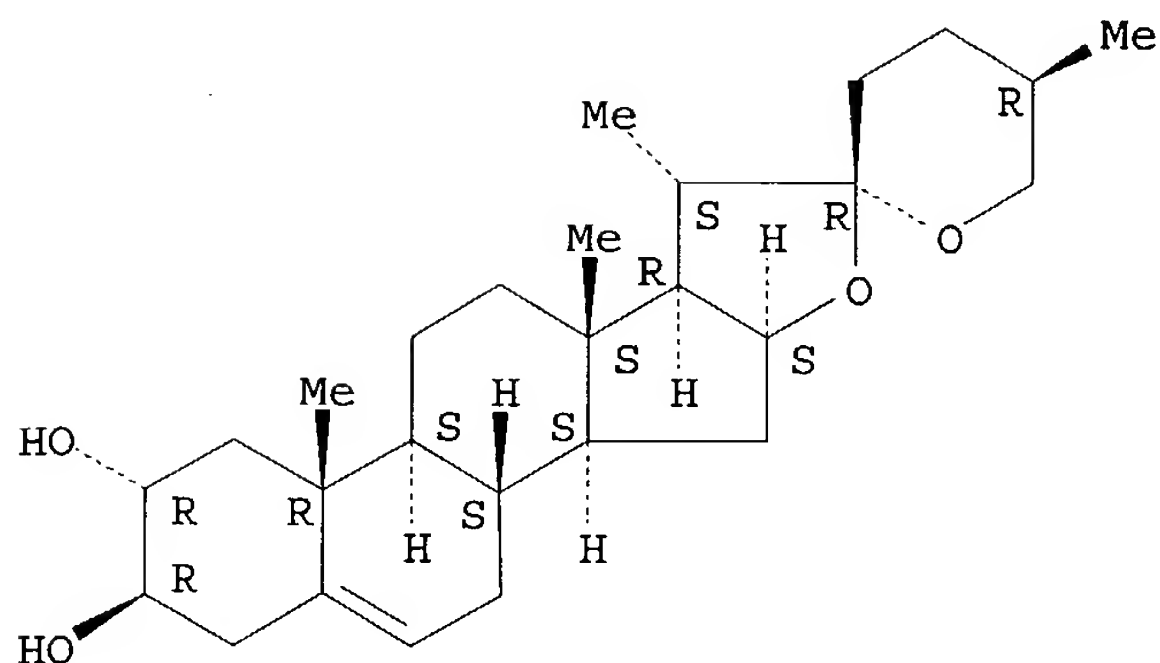
Absolute stereochemistry.



RN 511-97-7 HCAPLUS

CN Spirost-5-ene-2,3-diol, (2 α ,3 β ,25R) - (9CI) (CA INDEX NAME)

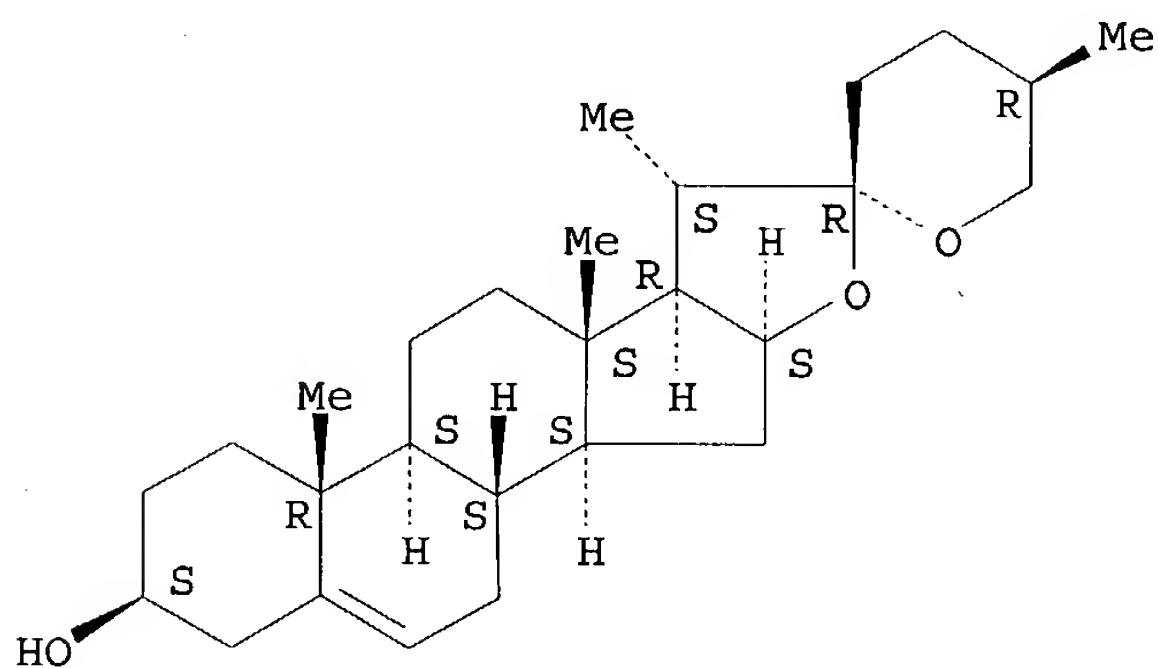
Absolute stereochemistry.



RN 512-04-9 HCAPLUS

CN Spirost-5-en-3-ol, (3 β ,25R) - (9CI) (CA INDEX NAME)

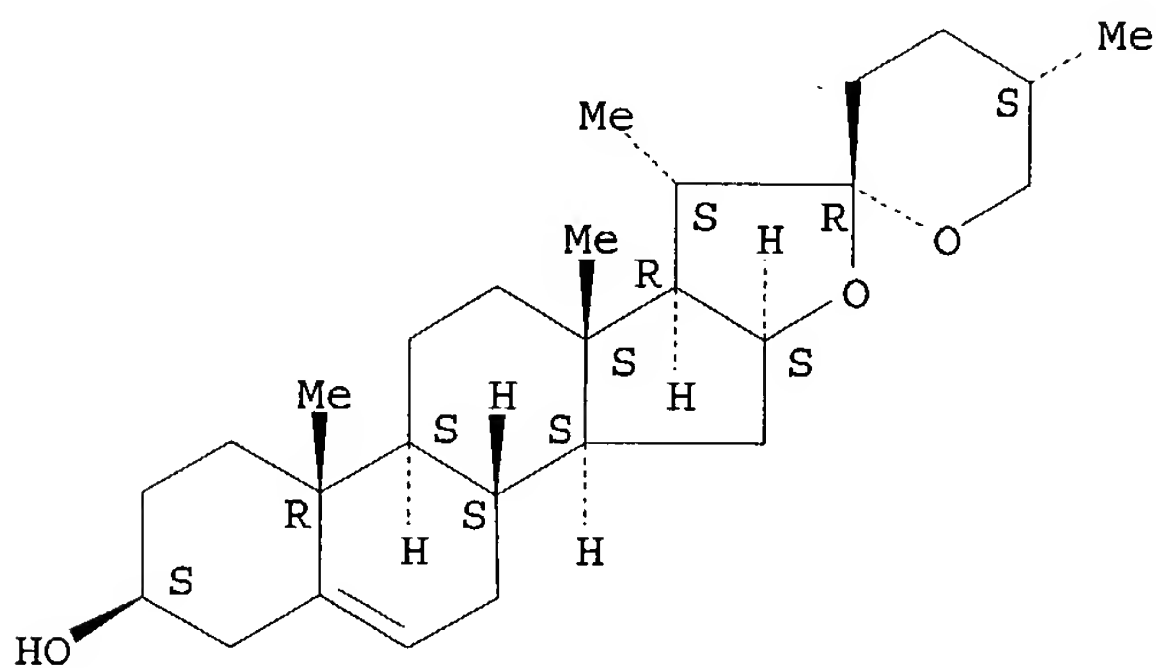
Absolute stereochemistry.



RN 512-06-1 HCAPLUS

CN Spirost-5-en-3-ol, (3 β ,25S) - (9CI) (CA INDEX NAME)

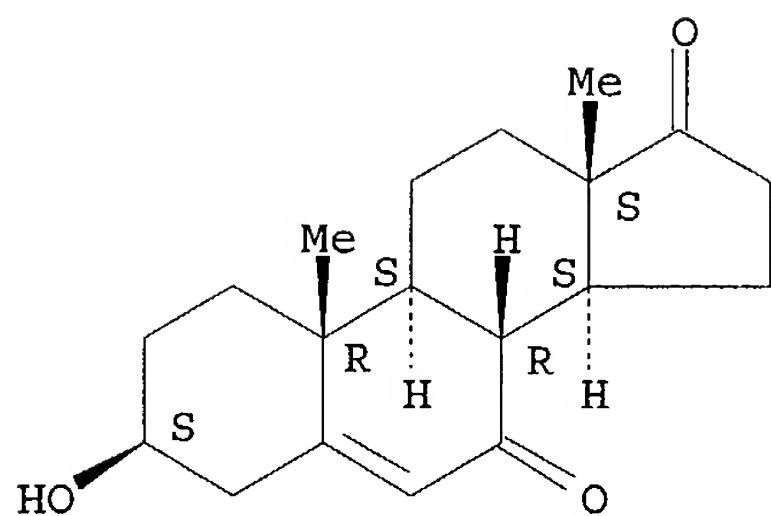
Absolute stereochemistry.



RN 566-19-8 HCAPLUS

CN Androst-5-ene-7,17-dione, 3-hydroxy-, (3 β) - (9CI) (CA INDEX NAME)

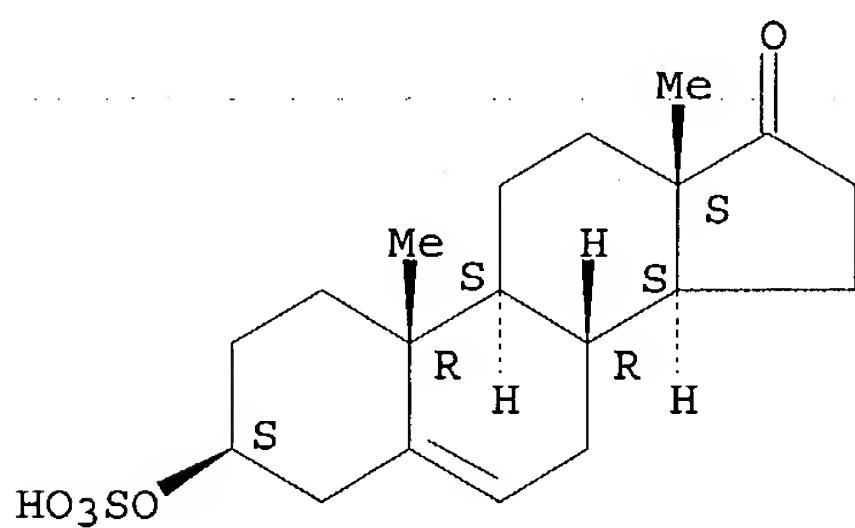
Absolute stereochemistry. Rotation (-).



RN 651-48-9 . HCAPLUS

CN Androst-5-en-17-one, 3-(sulfooxy)-, (3 β)- (9CI) (CA INDEX NAME)

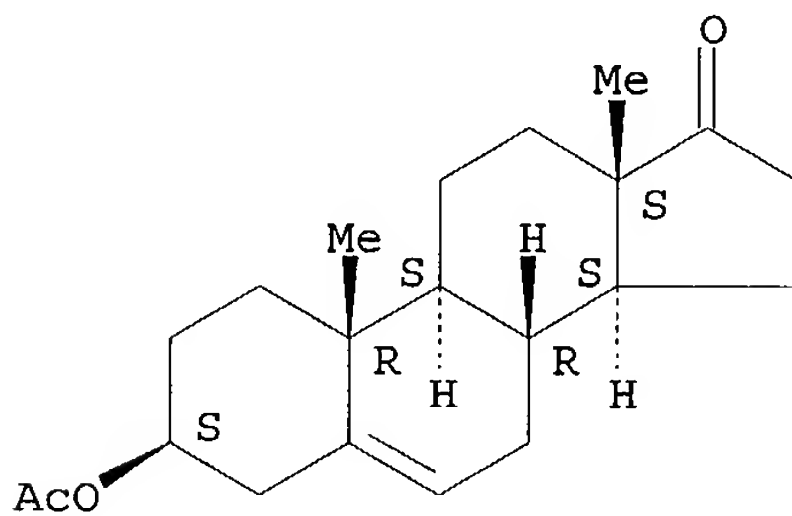
Absolute stereochemistry.



RN 853-23-6 HCAPLUS

CN Androst-5-en-17-one, 3-(acetyloxy)-, (3 β)- (9CI) (CA INDEX NAME)

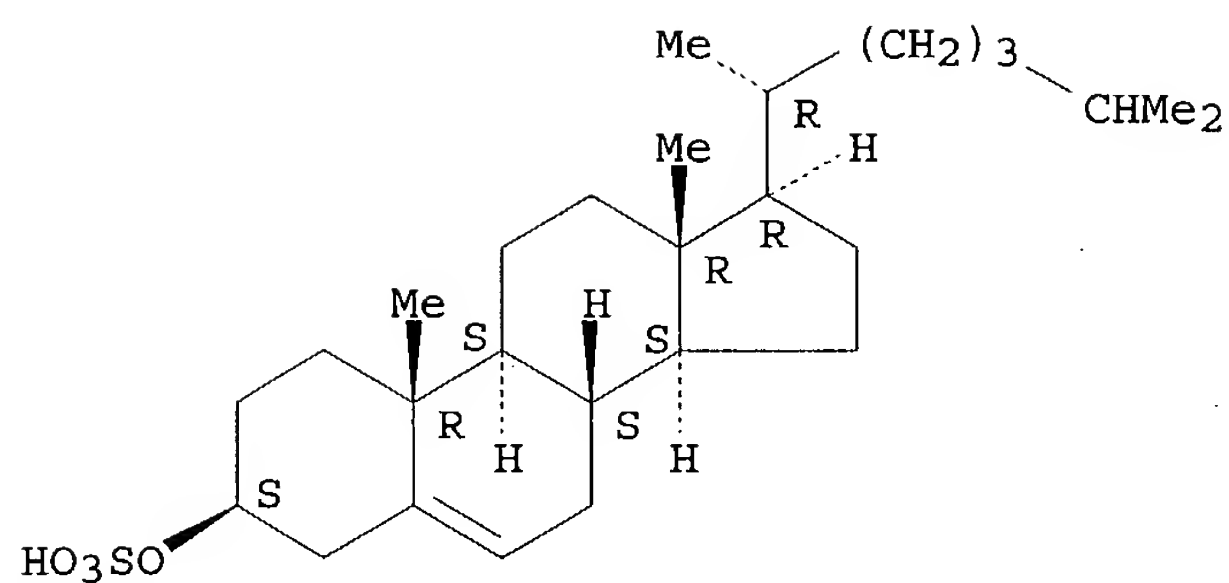
Absolute stereochemistry.



RN 1256-86-6 HCAPLUS

CN Cholest-5-en-3-ol (3 β)-, hydrogen sulfate (9CI) (CA INDEX NAME)

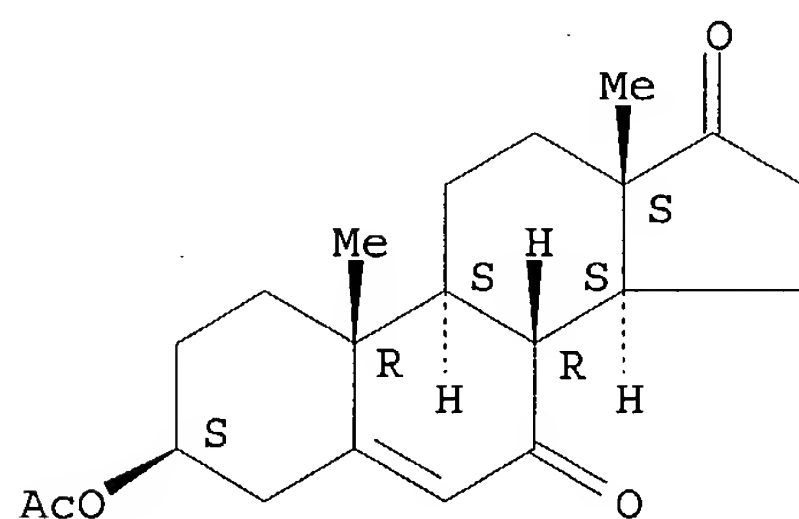
Absolute stereochemistry.



RN 1449-61-2 HCAPLUS

CN Androst-5-ene-7,17-dione, 3-(acetyloxy)-, (3β)- (9CI) (CA INDEX NAME)

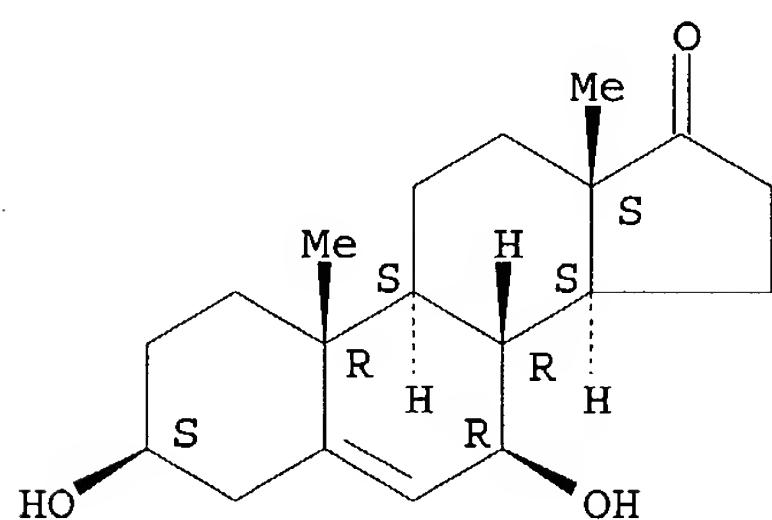
Absolute stereochemistry. Rotation (-).



RN 2487-48-1 HCAPLUS

CN Androst-5-en-17-one, 3,7-dihydroxy-, (3β,7β)- (9CI) (CA INDEX NAME)

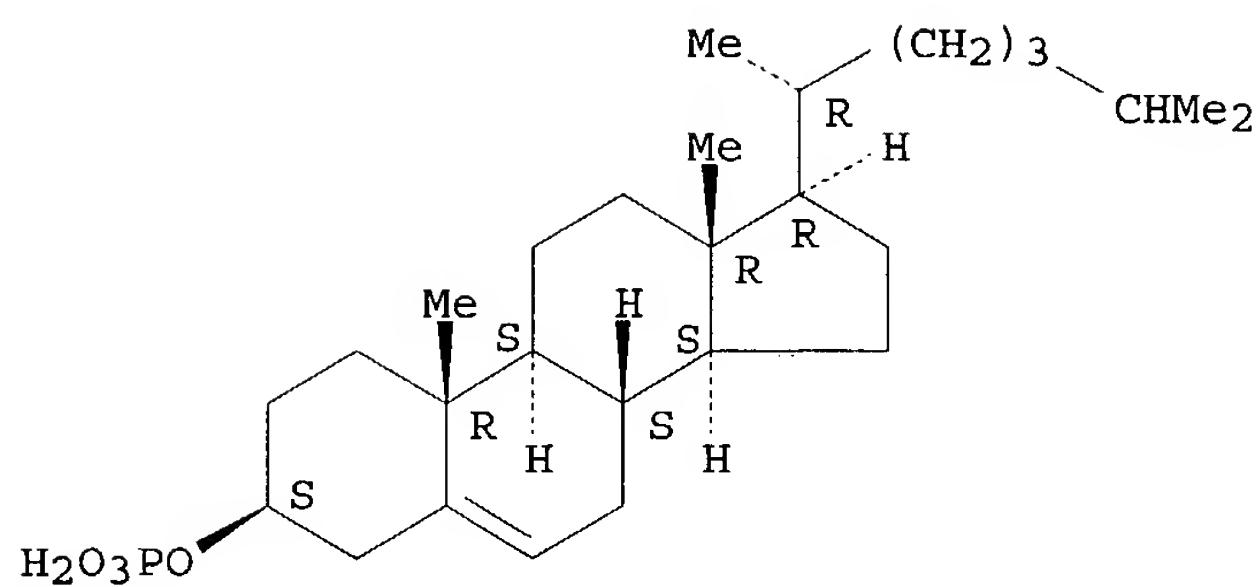
Absolute stereochemistry. Rotation (+).



RN 4358-16-1 HCAPLUS

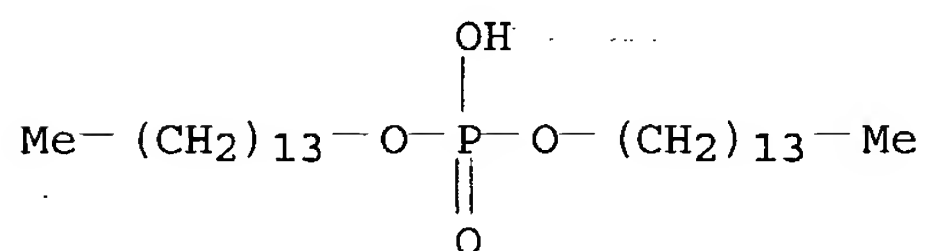
CN Cholest-5-en-3-ol (3β)-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 6640-03-5 HCAPLUS

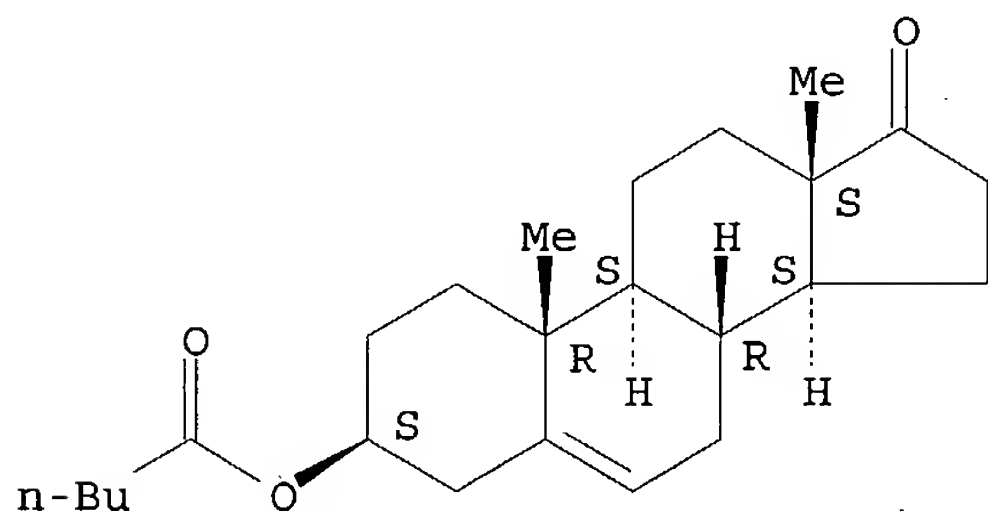
CN 1-Tetradecanol, hydrogen phosphate (8CI, 9CI) (CA INDEX NAME)



RN 7642-68-4 HCAPLUS

CN Androst-5-en-17-one, 3-[(1-oxopentyl)oxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9005-63-4 HCAPLUS

CN Sorbitan, poly(oxy-1,2-ethanediyl) derivs. (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 12441-09-7 HCAPLUS

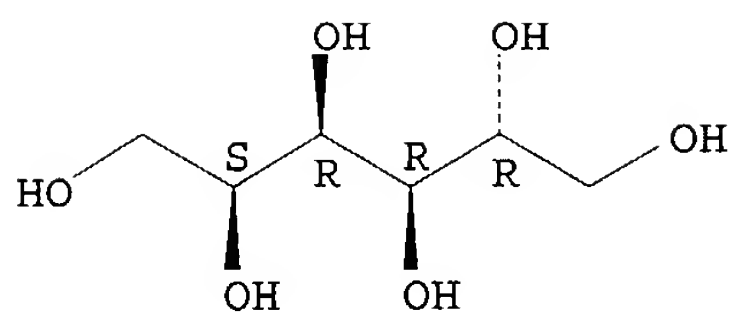
CN Sorbitan (6CI, 9CI) (CA INDEX NAME)

CM 1

CRN 50-70-4

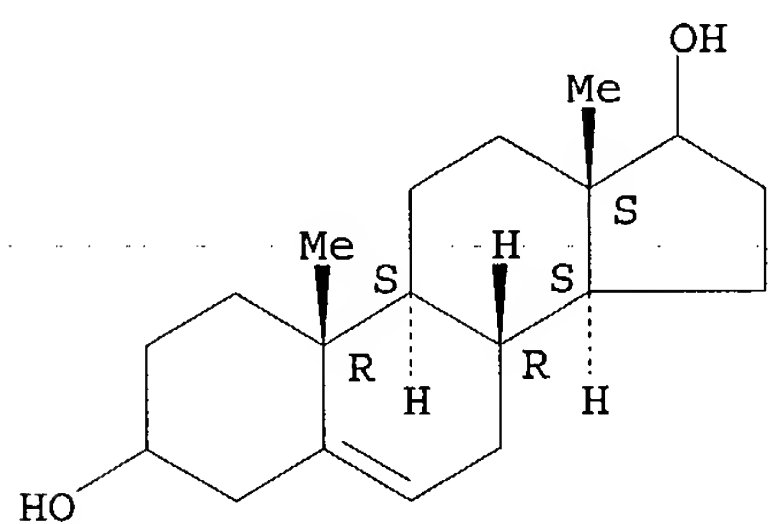
CMF C6 H14 O6

Absolute stereochemistry.



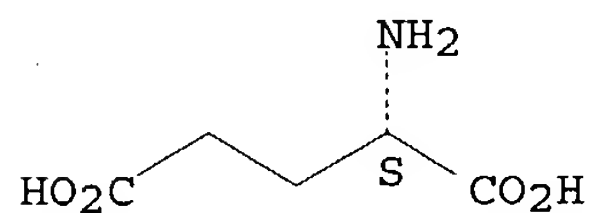
RN 14504-94-0 HCAPLUS
 CN Androst-5-ene-3,17-diol (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 16690-92-9 HCAPLUS
 CN L-Glutamic acid, disodium salt (9CI) (CA INDEX NAME)

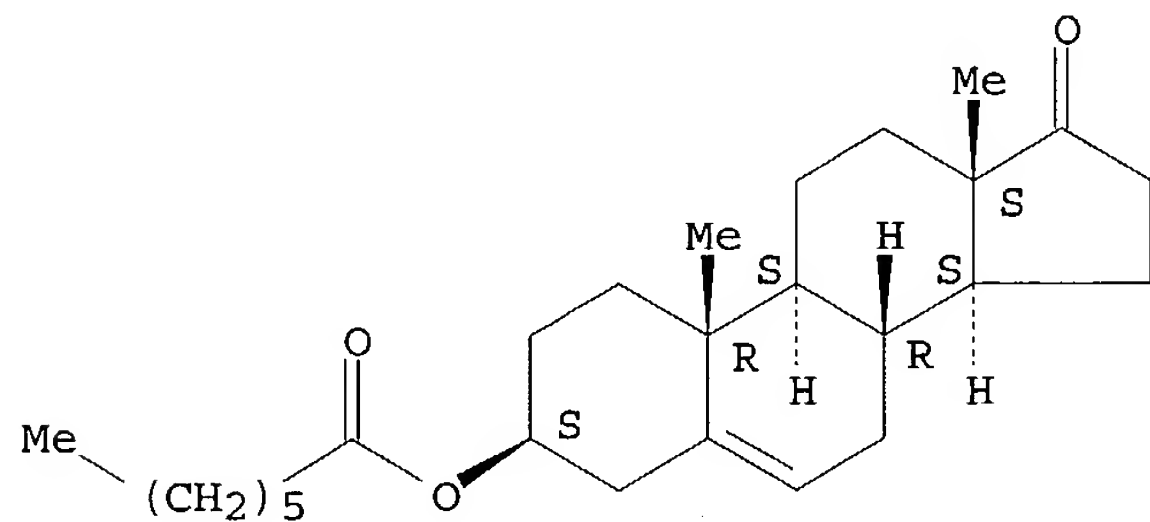
Absolute stereochemistry.



●2 Na

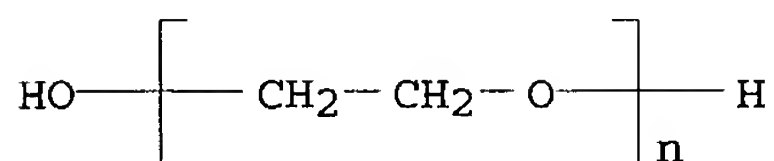
RN 23983-43-9 HCAPLUS
 CN Androst-5-en-17-one, 3-[(1-oxoheptyl)oxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 25322-68-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -hydro- ω -hydroxy- (9CI) (CA INDEX NAME)



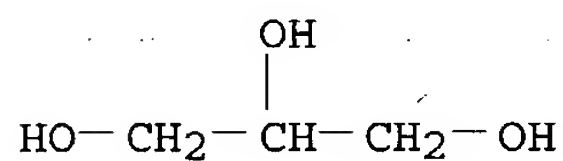
RN 25618-55-7 HCAPLUS

CN 1,2,3-Propanetriol, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 56-81-5

CMF C3 H8 O3



RN 26266-57-9 HCAPLUS

CN Sorbitan, monohexadecanoate (9CI) (CA INDEX NAME)

CM 1

CRN 57-10-3

CMF C16 H32 O2

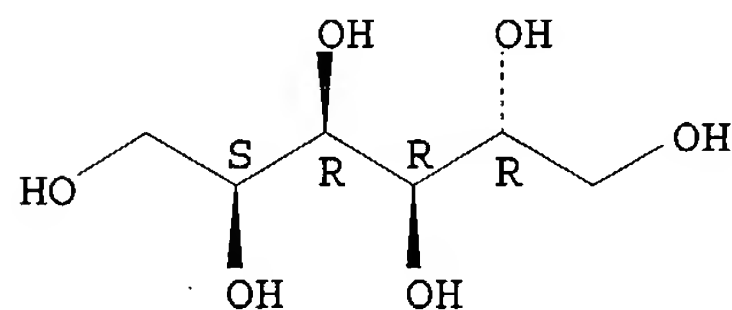


CM 2

CRN 50-70-4

CMF C6 H14 O6

Absolute stereochemistry.



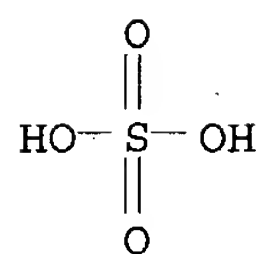
RN 28901-70-4 HCAPLUS

CN Pregn-5-en-20-one, 3,17-dihydroxy-, mono(hydrogen sulfate), (3 β)- (9CI) (CA INDEX NAME)

CM 1

CRN 7664-93-9

CMF H2 O4 S

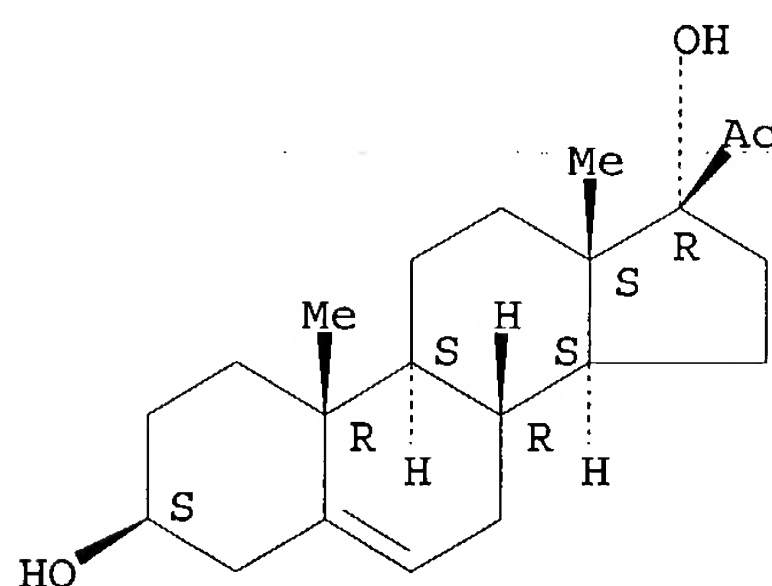


CM 2

CRN 387-79-1

CMF C21 H32 O3

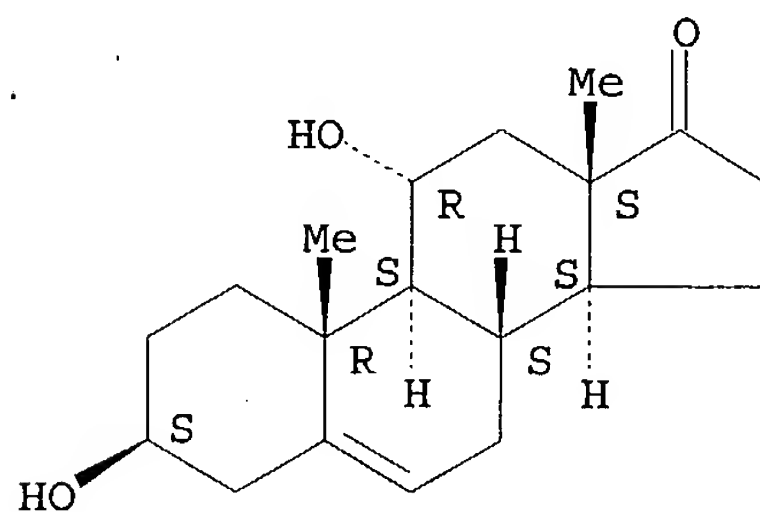
Absolute stereochemistry.



RN 39663-17-7 HCAPLUS

CN Androst-5-en-17-one, 3,11-dihydroxy-, (3β,11α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 63119-59-5 HCAPLUS

CN Octadecanoic acid, diester with oxybis[propanediol] (9CI) (CA INDEX NAME)

CM 1

CRN 59113-36-9

CMF C6 H14 O5

CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

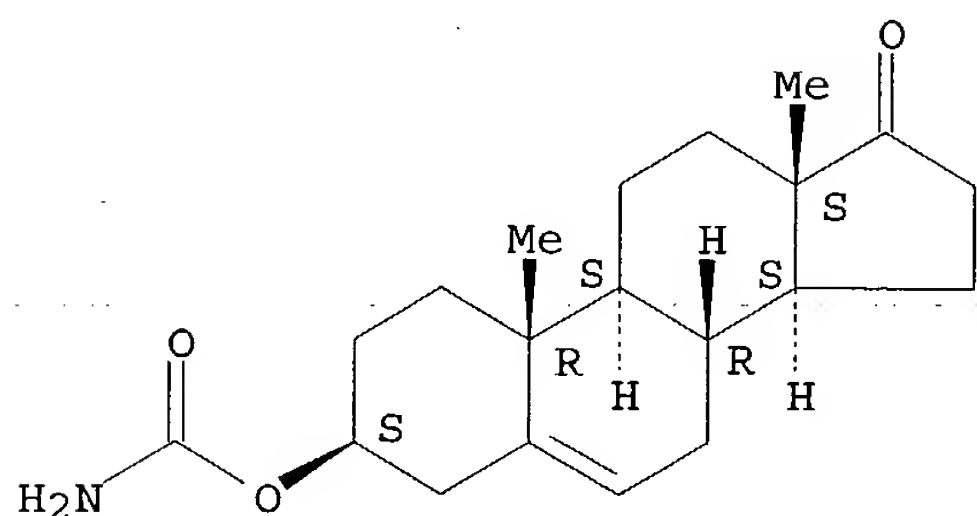
CM 2

CRN 57-11-4
CMF C18 H36 O2

$\text{HO}_2\text{C}-(\text{CH}_2)_{16}-\text{Me}$

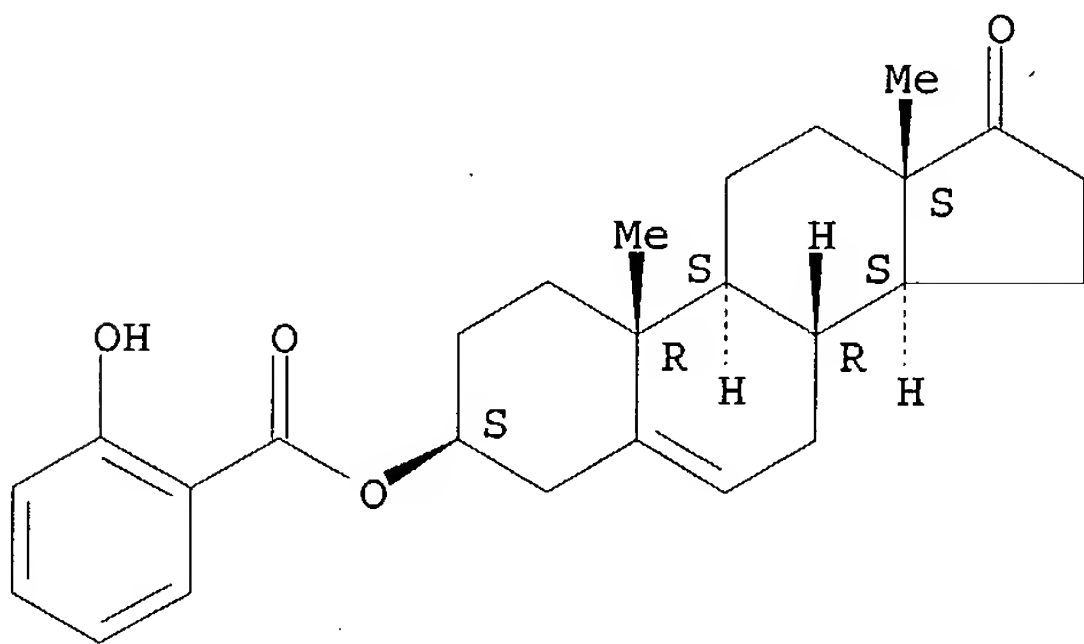
RN 103596-99-2 HCAPLUS
CN Androst-5-en-17-one, 3-[(aminocarbonyl)oxy]-, (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



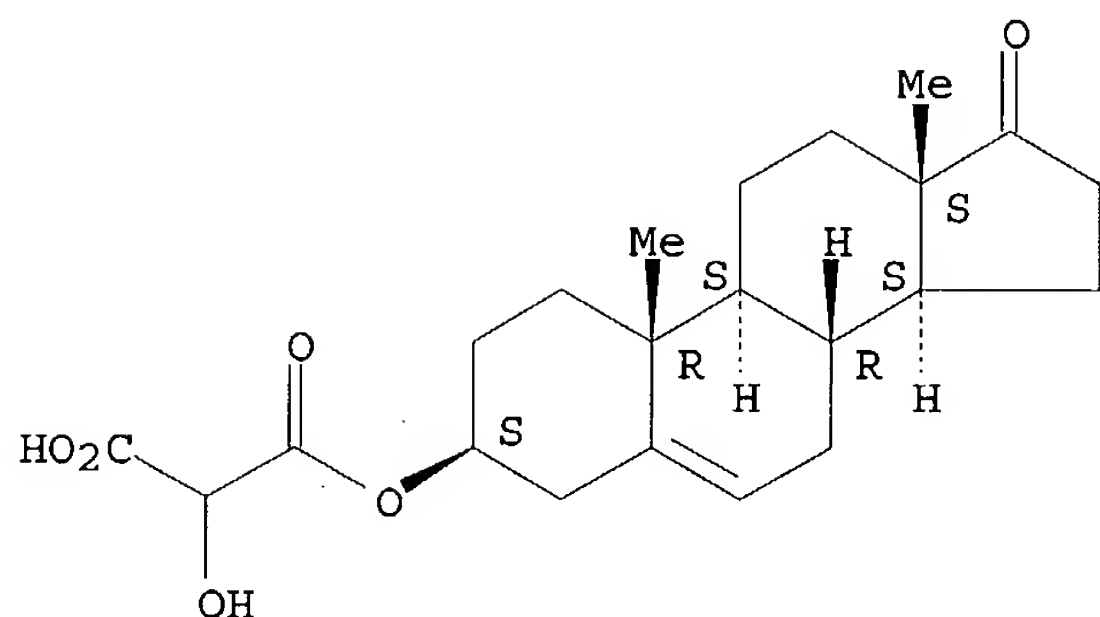
RN 188750-82-5 HCAPLUS
CN Androst-5-en-17-one, 3-[(2-hydroxybenzoyl)oxy]-, (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363139-74-6 HCAPLUS
CN Androst-5-en-17-one, 3-[(carboxyhydroxyacetyl)oxy]-, (3 β)- (9CI) (CA INDEX NAME)

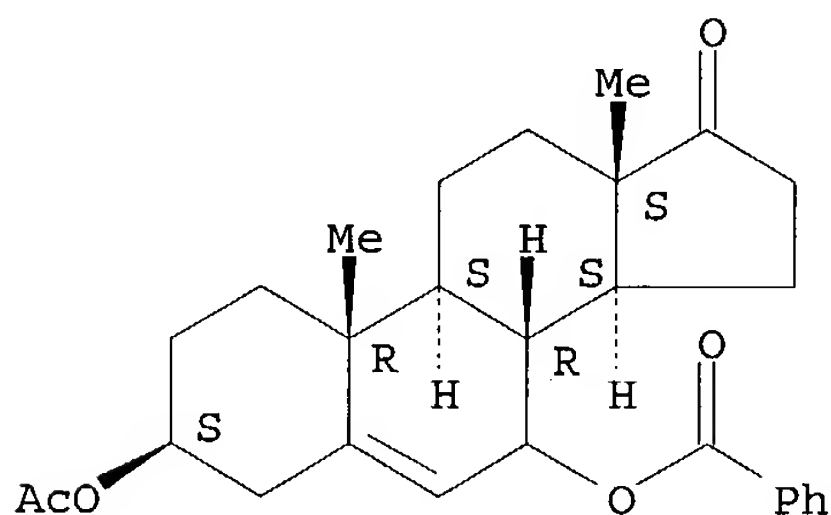
Absolute stereochemistry.



RN 494796-45-1 HCAPLUS

CN Androst-5-en-17-one, 3-(acetyloxy)-7-(benzoyloxy)-, (3β)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 7 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:658594 HCAPLUS

DOCUMENT NUMBER: 137:174985

TITLE: Method of manufacturing liposomes

INVENTOR(S): Niemiec, Susan; Nystrand, Glenn A.; Wang, Jonas C. T.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 779,069.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002119188	A1	20020829	US 2001-939878	20010827
US 2002001613	A1	20020103	US 2001-779069	20010209
WO 2003017978	A1	20030306	WO 2002-US4032	20020208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2000-181019P P 20000208
US 2001-779069 A2 20010209
US 2001-939878 A 20010827

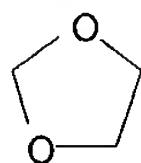
AB The present invention relates to a method of making a **liposome**, the method comprising the steps of: (a) mixing a lipophilic phase and a **hydrophilic** phase, the lipophilic phase comprising an **amphiphilic** bilayer-forming substance; and (b) applying a shear force to the mixture to form the **liposome**; wherein the shear force is created by passing the mixture by a member at a velocity sufficient to create turbulence in the mixture

IT 646-06-0, Dioxolane

RL: ANT (Analyte); ANST (Analytical study)
(method of manufacturing **liposomes**)

RN 646-06-0 HCAPLUS

CN 1,3-Dioxolane (6CI, 8CI, 9CI) (CA INDEX NAME)



IT 57-88-5, Cholesterol, uses 94-13-3, Propyl

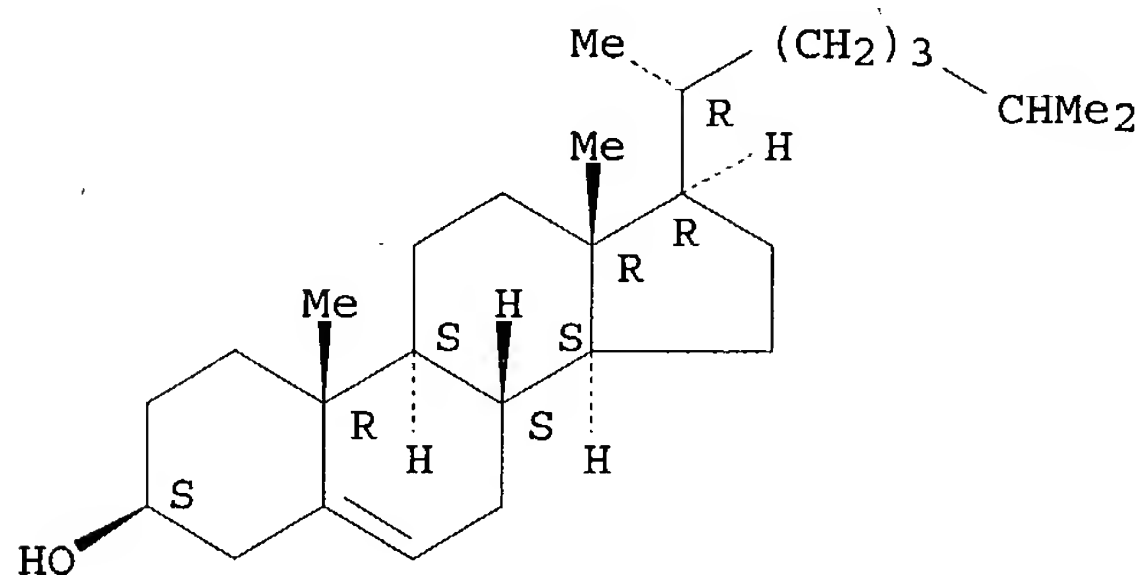
Paraben 99-76-3, Methyl Paraben 994-36-5, Sodium Citrate 1323-83-7, Glyceryl distearate 7732-18-5, Water, uses 9005-00-9, Polyoxyethylene stearyl ether 13463-41-7, Zinc Pyrithione 27638-00-2, Glyceryl dilaurate 67914-69-6, Elubiol 152390-17-5D, alkyl derivs.

RL: NUU (Other use, unclassified); USES (Uses)
(method of manufacturing **liposomes**)

RN 57-88-5 HCAPLUS

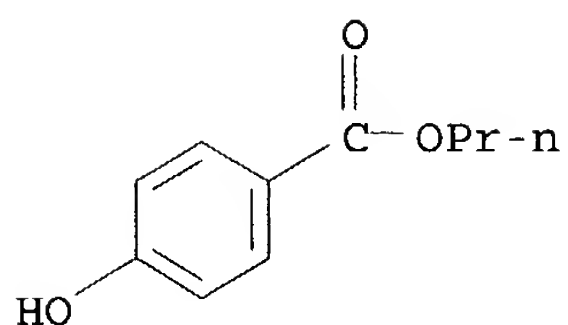
CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

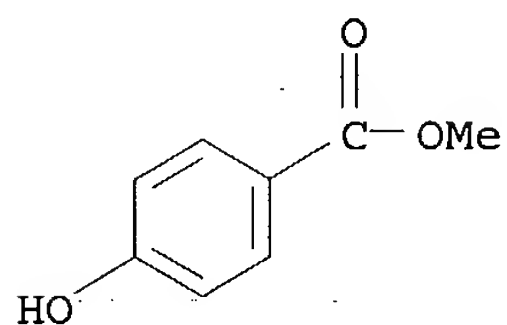


RN 94-13-3 HCAPLUS

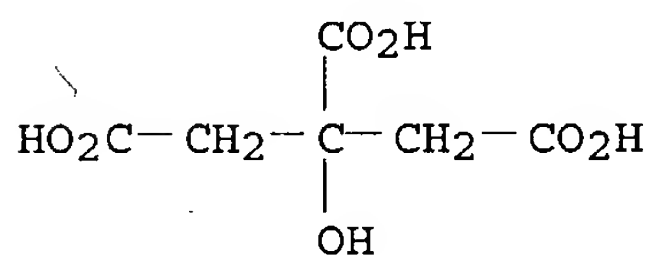
CN Benzoic acid, 4-hydroxy-, propyl ester (9CI) (CA INDEX NAME)



RN 99-76-3 HCAPLUS
 CN Benzoic acid, 4-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



RN 994-36-5 HCAPLUS
 CN 1,2,3-Propanetricarboxylic acid, 2-hydroxy-, sodium salt (9CI) (CA INDEX NAME)



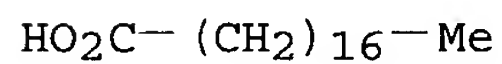
●x Na

RN 1323-83-7 HCAPLUS
 CN Octadecanoic acid, diester with 1,2,3-propanetriol (9CI) (CA INDEX NAME)

CM 1

CRN 57-11-4

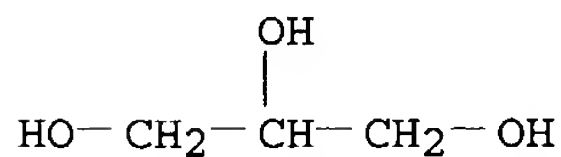
CMF C18 H36 O2



CM 2

CRN 56-81-5

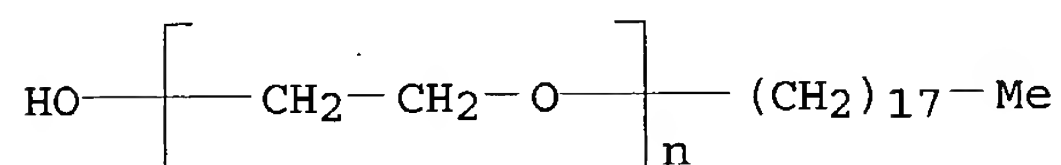
CMF C3 H8 O3



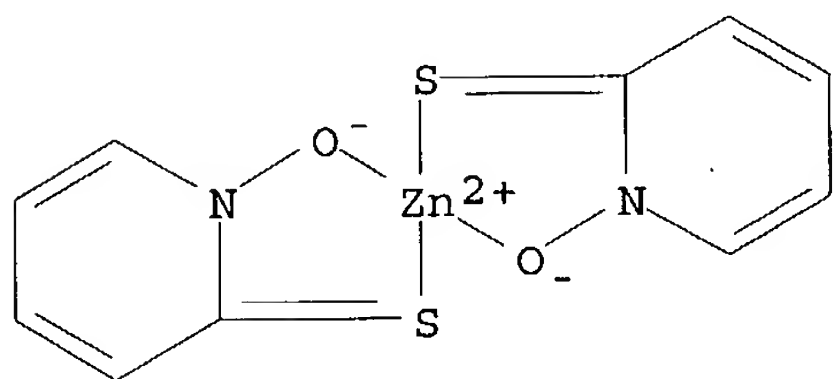
RN 7732-18-5 HCAPLUS
CN Water (8CI, 9CI) (CA INDEX NAME)

H₂O

RN 9005-00-9 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α -octadecyl- ω -hydroxy- (9CI) (CA INDEX NAME)



RN 13463-41-7 HCAPLUS
CN Zinc, bis[1-(hydroxy- κ O)-2(1H)-pyridinethionato- κ S2]-, (T-4)- (9CI) (CA INDEX NAME)



RN 27638-00-2 HCAPLUS
CN Dodecanoic acid, diester with 1,2,3-propanetriol (9CI) (CA INDEX NAME)

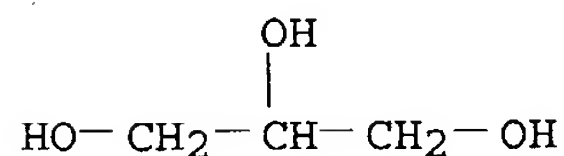
CM 1

CRN 143-07-7
CMF C12 H24 O2



CM 2

CRN 56-81-5
CMF C3 H8 O3



RN 67914-69-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2R,4S)-2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

SOURCE: Strathclyde, Glasgow, G4 0NR, UK
Materials Research Society Symposium Proceedings
(2001), 662(Biomaterials for Drug Delivery and Tissue
Engineering), NN6.8/1-NN6.8/6
CODEN: MRSPDH; ISSN: 0272-9172

PUBLISHER: Materials Research Society

DOCUMENT TYPE: Journal

LANGUAGE: English

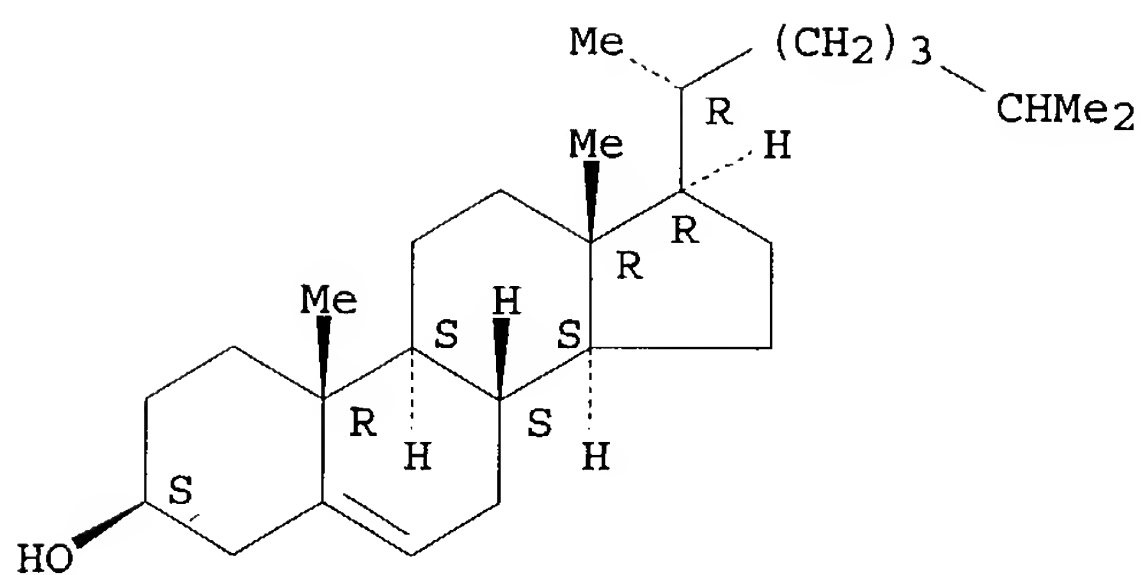
AB Nanoparticles and polymeric **vesicles** for drug delivery and other industrial applications have been prepared by the probe sonication of poly-L-lysine graft copolymer amphiphiles in aqueous media. The amphiphiles, which have a poly-L-lysine backbone and varied levels of both **hydrophilic** methoxypolyethylene glycol (Mw .apprx. 5,000) and hydrophobic palmitoyl pendant groups, were prepared from 2 different mol. weight poly-L-lysine hydrobromide samples (Mw .apprx.4,000 and .apprx.20,000 resp.). Poly-L-lysine based **amphiphilic** polymers (PLPs) were characterized using light scattering, ¹H NMR and an assay for the level of free amino groups. Steric factors appear to limit the final level of lysine group modification that can be achieved and even an excess amount of grafting reactants still resulted in the production of polymers in which 22 - 26 mol% of the lysine terminal amino groups remain unsubstituted. Polymeric unilamellar **vesicles** (220 - 570nm in diameter) imaged by electron microscopy were produced by probe sonication of PLP, **cholesterol**. **Vesicle** formation was possible over a narrow spectrum of polymer architecture and was favored by a low mol. weight and a low level of palmitoyl substitution. Probe sonication of an aqueous dispersion of PLP samples resulted in the production of stable nanoparticles (80 - 170nm in diameter) as imaged by electron microscopy. Nanoparticles were able to encapsulate the **hydrophilic** fluorophore fluorescein isothiocyanate (FITC)-dextran and encapsulation increased as the level of unreacted lysine terminal amino groups in PLP increased thus increasing as the level of **hydrophilic** domains increased. The size of both the nanoparticles and the **vesicles** was directly influenced by the mol. weight of PLP. PLPs of mol. weight 32,000 - 48,000 and 89,000 - 140,000 resulted in nanoparticles of 85 - 114 nm and 125 - 167 nm in diameter resp. and PLP of mol. weight 25,000 and 89,000 gave rise to polymeric **vesicles** of 252 nm and 570 nm in diameter resp.

IT 57-88-5, **Cholesterol**, biological studies
14464-31-4D, polylysine amide derivs. 38000-06-5D,
palmitamide, polyethylene glycol amide derivs. 124661-64-9D,
polylysine amide derivs.
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(nanoparticles and polymeric **vesicles** from
poly-L-lysine-based amphiphiles)

RN 57-88-5 HCAPLUS

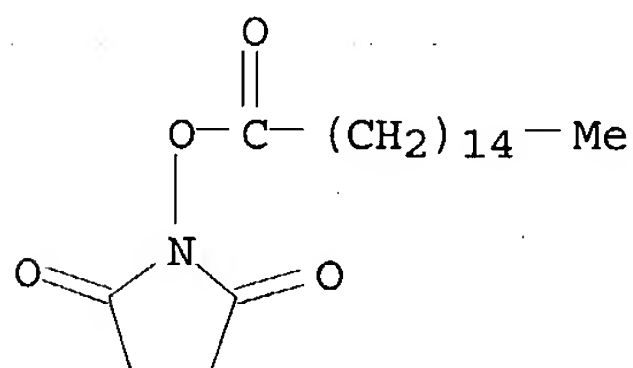
CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



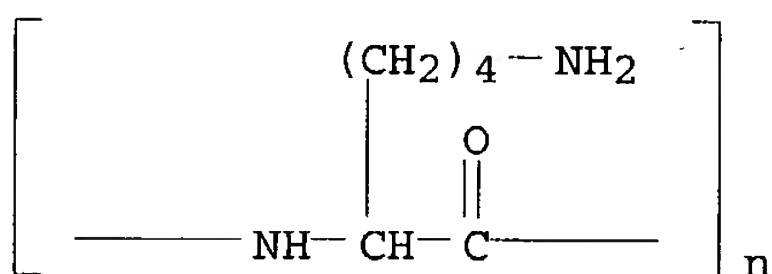
RN 14464-31-4 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[(1-oxohexadecyl)oxy]- (9CI) (CA INDEX NAME)



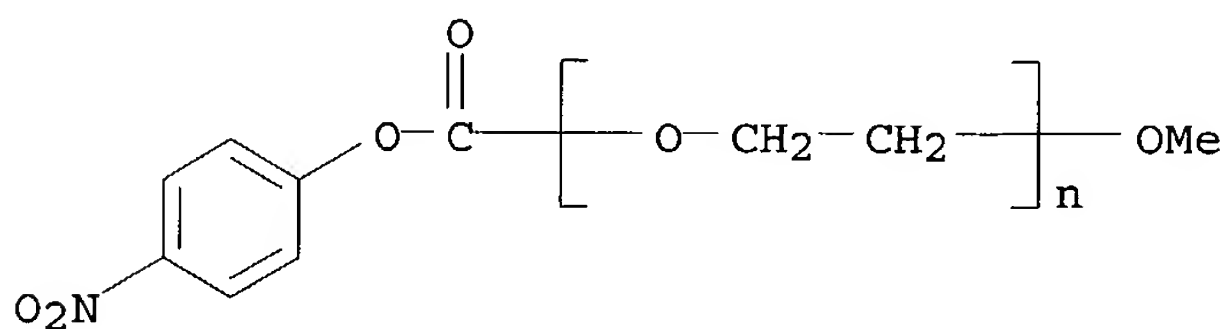
RN 38000-06-5 HCAPLUS

CN Poly[imino[(1S)-1-(4-aminobutyl)-2-oxo-1,2-ethanediyl]] (9CI) (CA INDEX NAME)



RN 124661-64-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[(4-nitrophenoxy)carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)



IT 25104-18-1, Poly-L-lysine 38000-06-5, Poly-L-lysine

RL: RCT (Reactant); RACT (Reactant or reagent)

(nanoparticles and polymeric vesicles from poly-L-lysine-based amphiphiles)

RN 25104-18-1 HCAPLUS

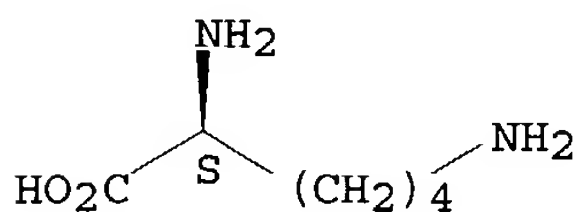
CN L-Lysine, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 56-87-1

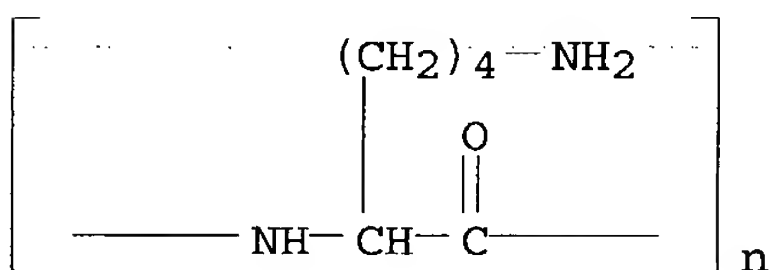
CMF C6 H14 N2 O2

Absolute stereochemistry.



RN 38000-06-5 HCAPLUS

CN Poly[imino[(1S)-1-(4-aminobutyl)-2-oxo-1,2-ethanediyl]] (9CI) (CA INDEX NAME)



IT 60842-46-8, FITC-dextran

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(nanoparticles and polymeric vesicles from poly-L-lysine-based amphiphiles: FITC-dextran encapsulation)

RN 60842-46-8 HCAPLUS

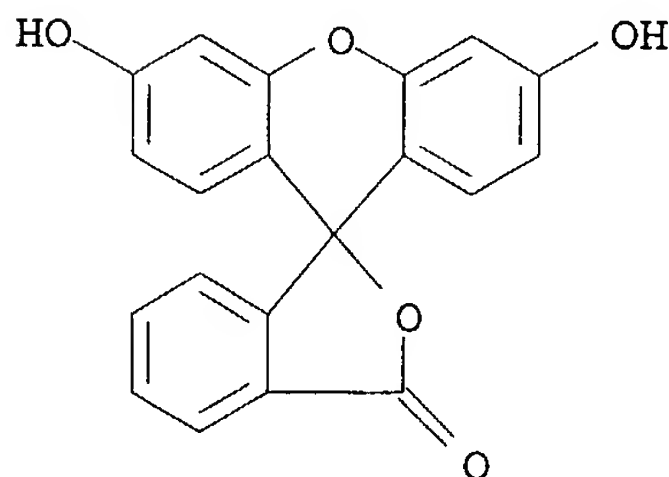
CN Dextran, (3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5(or 6)-yl)carbamothioate (9CI) (CA INDEX NAME)

CM 1

CRN 77881-69-7

CMF C21 H13 N O6 S

CCI IDS



D1-NH-COSH

CM 2

CRN 9004-54-0
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:18490 HCAPLUS

DOCUMENT NUMBER: 137:174748

TITLE: Poly(HPMA)-coated **liposomes** demonstrate
prolonged circulation in mice

AUTHOR(S): Whiteman, K. R.; Subr, V.; Ulbrich, K.; Torchilin, V.
P.

CORPORATE SOURCE: Department of Pharmaceutical Sciences, Northeastern
University, Boston, MA, 02115, USA

SOURCE: Journal of Liposome Research (2001), 11(2 & 3),
153-164

CODEN: JLREE7; ISSN: 0898-2104

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Surface modification of **liposomes** with **amphiphilic**
flexible polymers significantly prolongs their circulation time in blood
and reduces uptake by cells of the reticuloendothelial system (RES).
Several polymers have already been shown to provide steric protection to
liposomes. Still more polymers are expected to serve this
purpose, thus broadening the variability of properties of long-circulating
liposomes. Poly[N-(2-hydroxypropyl)methacrylamide] (poly (HPMA))
seems to have some properties similar to polyethylene glycol (PEG), the
most widely used polymer in **liposome** surface modification,
including flexibility, **hydrophilicity** and low immunogenicity,
which suggest that it may also function as an efficient steric protector
of **liposomes**. Semitelechelic poly(HPMA) with single- or
double-oleic acid hydrophobic terminus were synthesized and incorporated
into the surface of **liposomes** composed of phosphatidylcholine
and **cholesterol**. These poly(HPMA)-modified **liposomes**
provided strong steric protection for **liposomes**, increasing
their circulation time and decreasing liver accumulation in exptl. mice.
Poly(HPMA)-modified **liposomes** may become a useful addition to a
family of long-circulating **liposomes** with potential to be used
as a drug delivery system.

IT 30189-36-7DP, oleic polymethacrylamide conjugates

81480-40-2DP, polymethacrylamide conjugates

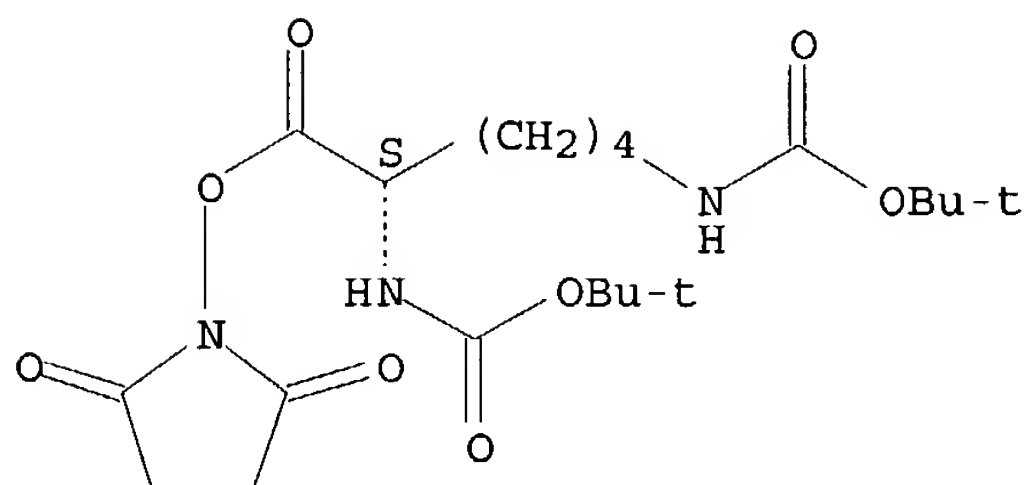
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)

(poly(HPMA)-coated **liposomes** demonstrate prolonged
circulation in mice)

RN 30189-36-7 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-1,5-
pentanediyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

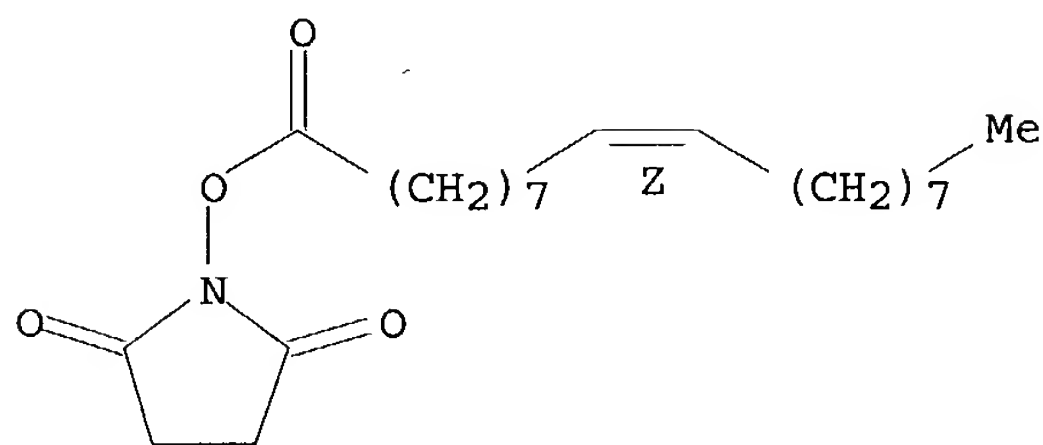
Absolute stereochemistry.



RN 81480-40-2 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[[(9Z)-1-oxo-9-octadecenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 446880-21-3DP, oleic conjugates

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

(poly(HPMA)-coated **liposomes** demonstrate prolonged circulation in mice)

RN 446880-21-3 HCAPLUS

CN 2-Propenamide, N-(2-hydroxypropyl)-2-methyl-, telomer with 2-aminoethanethiol hydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 156-57-0

CMF C2 H7 N S . Cl H

H₂N-CH₂-CH₂-SH

● HCl

CM 2

CRN 40704-75-4

CMF (C7 H13 N O2)x

CCI PMS

CM 3

$$\text{Me}-\overset{\text{OH}}{\underset{|}{\text{CH}}}-\text{CH}_2-\text{NH}-\overset{\text{O}}{\underset{||}{\text{C}}}-\overset{\text{CH}_2}{\underset{||}{\text{C}}}-\text{Me}$$

CM 1

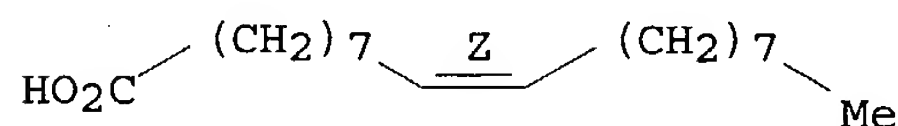
$$\text{Me}-\overset{\text{OH}}{\underset{|}{\text{CH}}}-\text{CH}_2-\text{NH}-\overset{\text{O}}{\underset{||}{\text{C}}}-\overset{\text{CH}_2}{\underset{||}{\text{C}}}-\text{Me}$$
$$\text{Me}-\overset{\text{OH}}{\underset{|}{\text{CH}}}-\text{CH}_2-\text{NH}-\overset{\text{O}}{\underset{||}{\text{C}}}-\overset{\text{CH}_2}{\underset{||}{\text{C}}}-\text{Me}$$

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IT      112-80-1, Oleic acid, reactions
        RL: RCT (Reactant); RACT (Reactant or reagent)
            (poly(HPMA)-coated liposomes demonstrate prolonged
            circulation in mice)
RN      112-80-1  HCAPLUS
CN      9-Octadecenoic acid (9Z)- (9CI)  (CA INDEX NAME)

```

Double bond geometry as shown.



REFERENCE COUNT: 22 . THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 10 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:10985 HCAPLUS
 DOCUMENT NUMBER: 136:74669
 TITLE: Method of manufacturing **liposomes**
 INVENTOR(S): Niemiec, Susan; Nystrand, Glenn A.; Wang, Jonas C. T.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 11 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

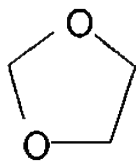
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002001613	A1	20020103	US 2001-779069	20010209
US 2002119188	A1	20020829	US 2001-939878	20010827
PRIORITY APPLN. INFO.:			US 2000-181019P	P 20000208
			US 2001-779069	A2 20010209

AB The present invention relates to a method of making a **liposome**, said method comprising the steps of: (a) mixing a lipophilic phase and a **hydrophilic** phase, said lipophilic phase comprising an **amphiphilic** bilayer-forming substance; and (b) applying a cavitation shear force to said mixture to form said **liposome**; wherein said cavitation force is created by contacting said mixture with a member that vibrates at an ultrasonic frequency.

IT **646-06-0**, Dioxolane
 RL: ANT (Analyte); ANST (Analytical study)
 (method of manufacturing **liposomes**)

RN **646-06-0** HCAPLUS

CN 1,3-Dioxolane (6CI, 8CI, 9CI) (CA INDEX NAME)

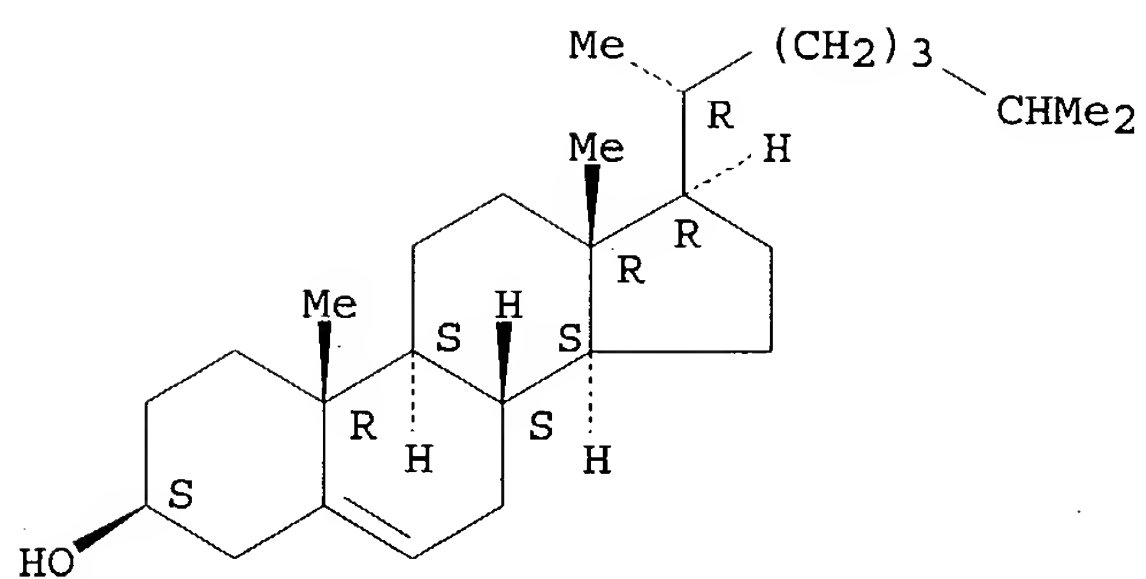


IT **57-88-5**, Cholesterol, uses **94-13-3**, Propyl Paraben **99-76-3**, Methyl Paraben **994-36-5**, Sodium Citrate **1323-83-7**, Glyceryl distearate **7732-18-5**, Water, uses **9005-00-9**, Polyoxyethylene stearyl ether **13463-41-7**, Zinc Pyrithione **27638-00-2**, Glyceryl dilaurate **152390-17-5D**, alkyl derivs.
 RL: NUU (Other use, unclassified); USES (Uses)
 (method of manufacturing **liposomes**)

RN **57-88-5** HCAPLUS

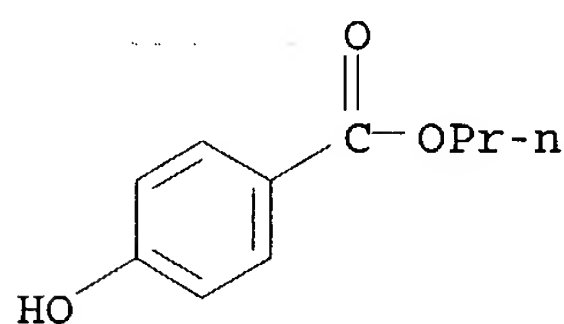
CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



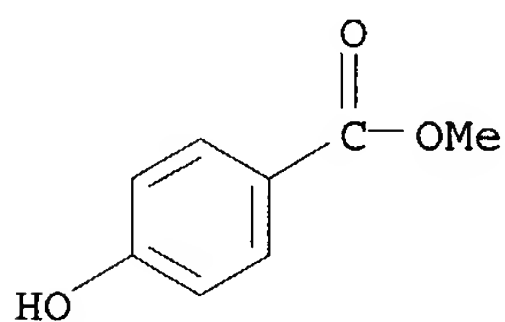
RN 94-13-3 HCAPLUS

CN Benzoic acid, 4-hydroxy-, propyl ester (9CI) (CA INDEX NAME)



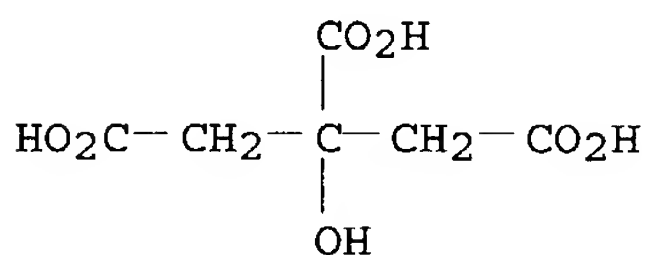
RN 99-76-3 HCAPLUS

CN Benzoic acid, 4-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



RN 994-36-5 HCAPLUS

CN 1,2,3-Propanetricarboxylic acid, 2-hydroxy-, sodium salt (9CI) (CA INDEX NAME)



●x Na

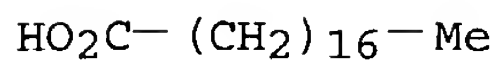
RN 1323-83-7 HCAPLUS

CN Octadecanoic acid, diester with 1,2,3-propanetriol (9CI) (CA INDEX NAME)

CM 1

CRN 57-11-4

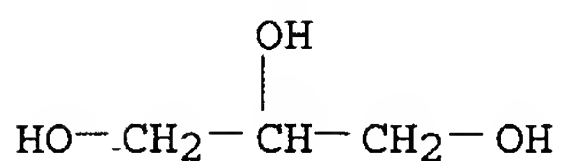
CMF C18 H36 O2



CM 2

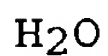
CRN 56-81-5

CMF C3 H8 O3

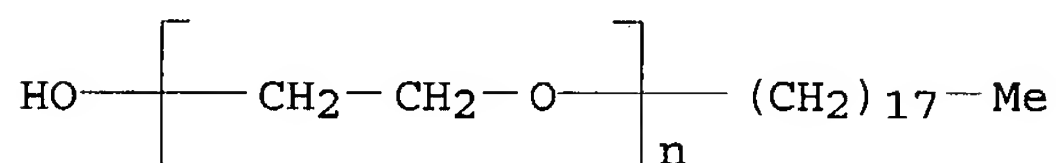


RN 7732-18-5 HCAPLUS

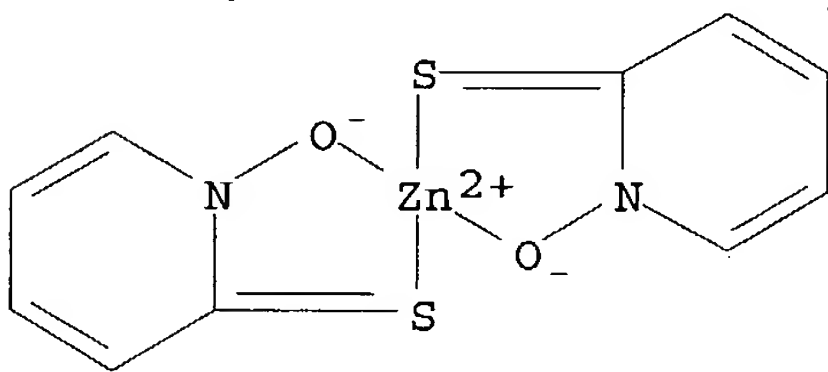
CN Water (8CI, 9CI) (CA INDEX NAME)



RN 9005-00-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -octadecyl- ω -hydroxy- (9CI) (CA INDEX NAME)

RN 13463-41-7 HCAPLUS

CN Zinc, bis[1-(hydroxy- κ O)-2(1H)-pyridinethionato- κ S2]-, (T-4)- (9CI) (CA INDEX NAME)

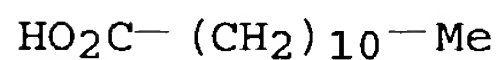
RN 27638-00-2 HCAPLUS

CN Dodecanoic acid, diester with 1,2,3-propanetriol (9CI) (CA INDEX NAME)

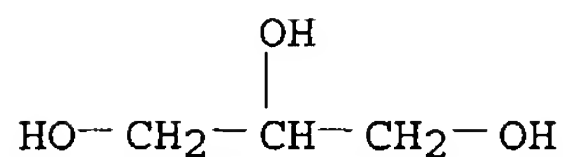
CM 1

CRN 143-07-7

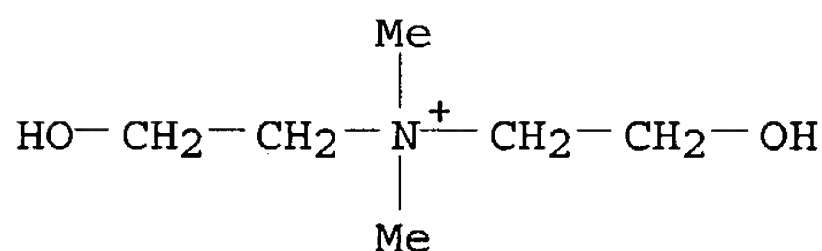
CMF C12 H24 O2



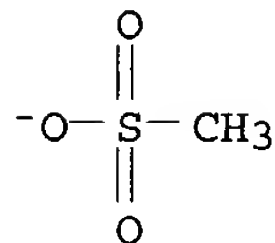
CM 2

CRN 56-81-5
CMF C3 H8 O3RN 152390-17-5 HCAPLUS
CN Ethanaminium, 2-hydroxy-N-(2-hydroxyethyl)-N,N-dimethyl-, methanesulfonate
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 44798-79-0
CMF C6 H16 N O2

CM 2

CRN 16053-58-0
CMF C H3 O3 S

L18 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:650475 HCAPLUS
DOCUMENT NUMBER: 135:215994
TITLE: **Amphiphilic materials and liposome**
formulations thereof
INVENTOR(S): Aneja, Rajindra
PATENT ASSIGNEE(S): Nutrimed Biotech, USA
SOURCE: U.S., 34 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6284267	B1	20010904	US 1997-912978	19970813
US 6699499	B1	20040302	US 2001-879368	20010611
PRIORITY APPLN. INFO.:			US 1996-24382P	P 19960814
			US 1997-912978	A3 19970813

AB Disclosed is a new structural class of **amphiphilic** mols. which incorporate a **hydrophilic** material or polymer attached, at spatially distinct sites, to at least two hydrophobic residues. Certain of the **amphiphilic** mols. comprise a plurality of hydrophobic moieties. All such **amphiphilic** mols. have a common structural motif and, in contact with water, display surface activity and self-assemble into multimol. aggregates and liquid crystalline phases. Also disclosed are enhanced stability **liposomes** that incorporate such **amphiphilic** mols. via unique interactions, and methods of using such formulations in a variety of applications including drug delivery, nutrition, bio-diagnostics, cosmetics, blood products and related applications. Thus α,ω -bis(1,2-dioleoyl-sn-glycero-3-phospho) polyethylene-glycol(3350) [DOPA-PEG(3350)-DOPA] was prepared from polyethyleneglycol, mol weight 3350 (0.3350 g, 0.1 mmole) and 1,2-dioleoyl-sn-glycero-3-phosphoric acid (DOPA) (0.4431 g, 0.6 mmole), and characterized as a colorless glass at room temperature, yield 0.3428 g (72.8%). A solution of DOPA-PEG(3350)-DOPA and **cholesterol** (molar ratio 1:1) in chloroform was evaporated under nitrogen to a thin film and kept under a high vacuum for 2 h. The film was hydrated with 100 mmolar NaCl at 30° and equilibrated at room temperature for 24 h. X-ray crystallog. indicated solubilization of **cholesterol** by interdigitation in the lyotropic mesophase of DOPA-PEG(33500)-DOPA with stretching of the PEG canopy and consequent shrinkage of the aqueous distance dw.

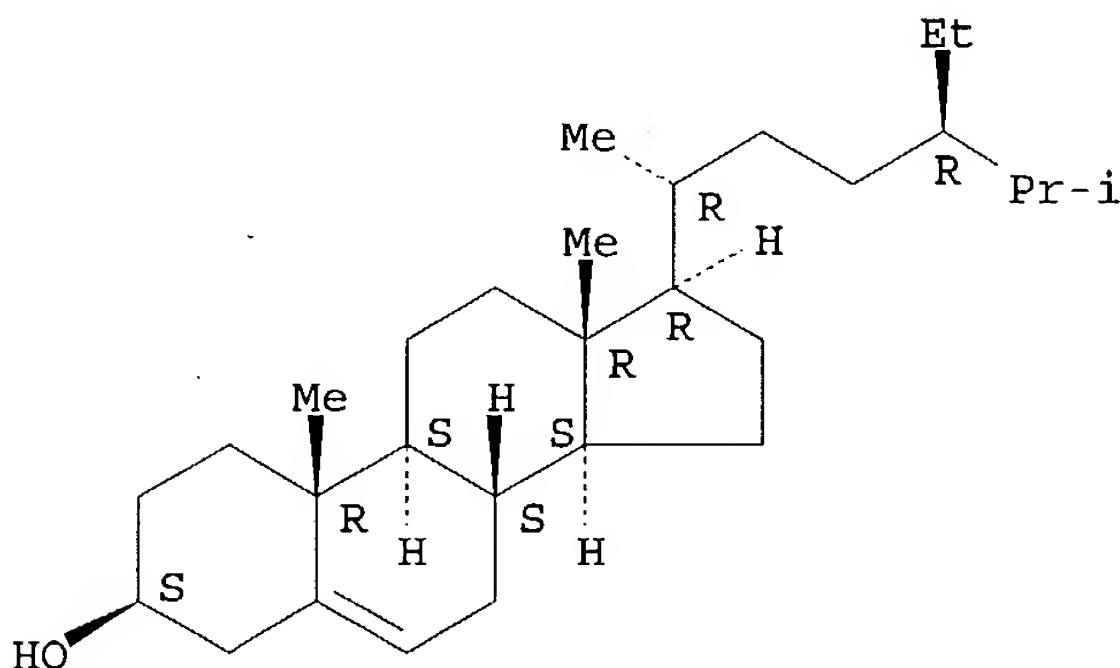
IT 83-46-5, β -Sitosterol 112-77-6, Oleoyl chloride
 2442-61-7, 1,2-Dioleoylglycerol 7664-38-2D, Phosphoric
 acid, acetyl/ceramido derivs., reactions 14268-17-8
 17966-25-5 25322-68-3, Polyethyleneglycol
 51063-97-9, 1,2-Distearoylglycerol 72719-84-7
 127512-29-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (amphiphilic materials and liposome formulations thereof)

RN 83-46-5 HCAPLUS

CN Stigmast-5-en-3-ol, (3 β)- (9CI) (CA INDEX NAME)

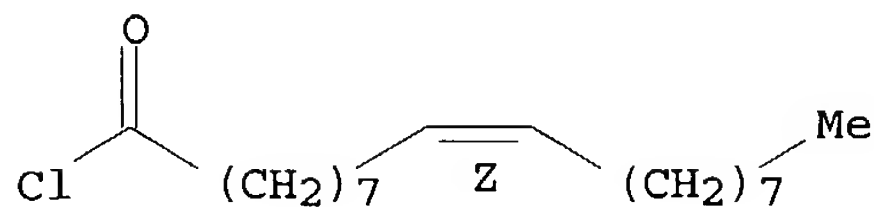
Absolute stereochemistry.



RN 112-77-6 HCAPLUS

CN 9-Octadecenoyl chloride, (9Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

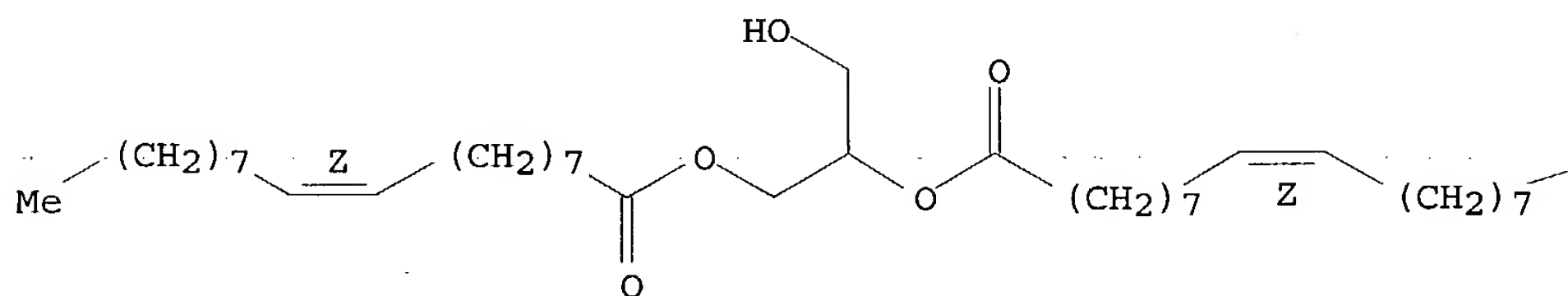


RN 2442-61-7 HCAPLUS

CN 9-Octadecenoic acid (9Z)-, 1-(hydroxymethyl)-1,2-ethanediyl ester (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

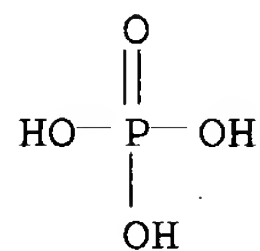


PAGE 1-B

Me

RN 7664-38-2 HCAPLUS

CN Phosphoric acid (7CI, 8CI, 9CI) (CA INDEX NAME)

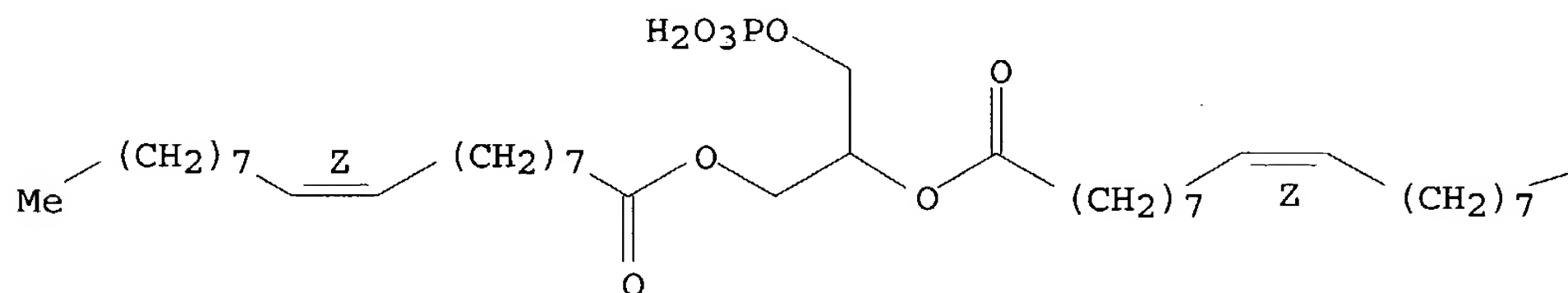


RN 14268-17-8 HCAPLUS

CN 9-Octadecenoic acid (9Z)-, 1-[(phosphonooxy)methyl]-1,2-ethanediyl ester
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

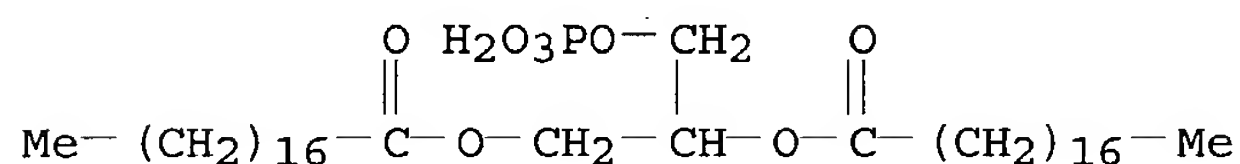
PAGE 1-A



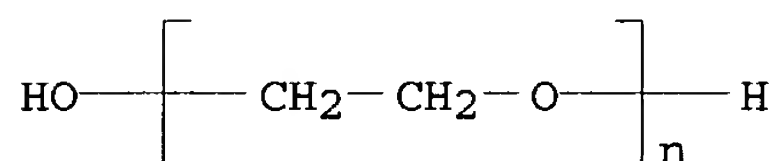
PAGE 1-B

Me

RN 17966-25-5 HCAPLUS

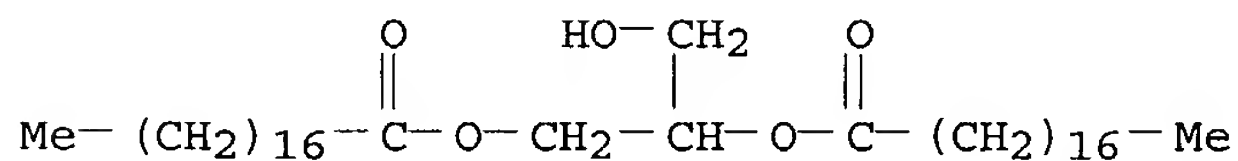
CN Octadecanoic acid, 1-[(phosphonoxy)methyl]-1,2-ethanediyl ester (9CI)
(CA INDEX NAME)

RN 25322-68-3 HCAPLUS

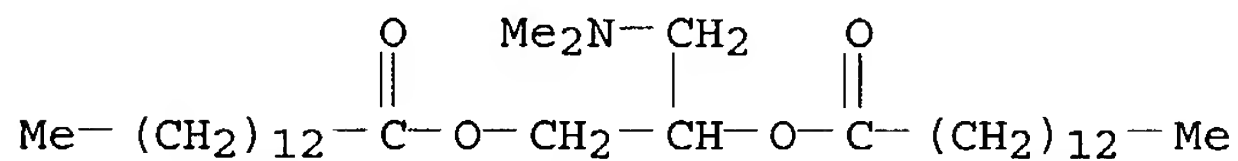
CN Poly(oxy-1,2-ethanediyl), α -hydro- ω -hydroxy- (9CI) (CA INDEX NAME)

RN 51063-97-9 HCAPLUS

CN Octadecanoic acid, 1-(hydroxymethyl)-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



RN 72719-84-7 HCAPLUS

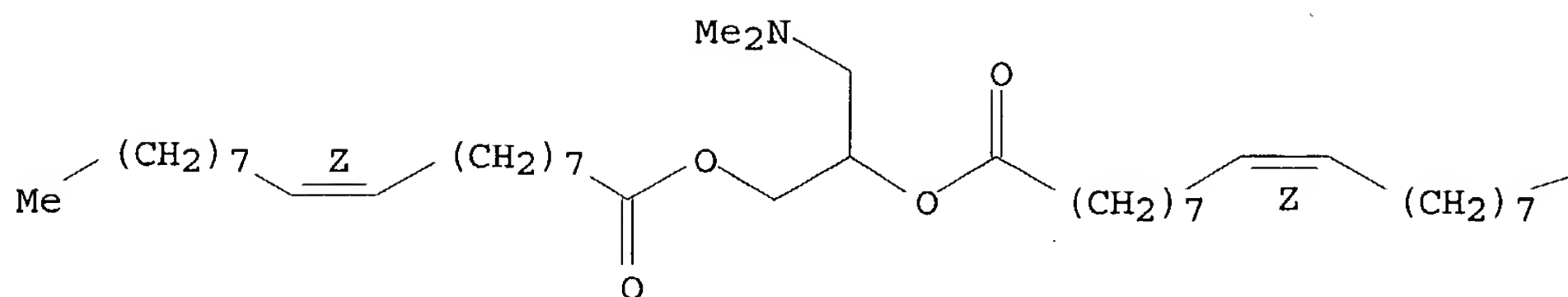
CN Tetradecanoic acid, 1-[(dimethylamino)methyl]-1,2-ethanediyl ester (9CI)
(CA INDEX NAME)

RN 127512-29-2 HCAPLUS

CN 9-Octadecenoic acid (9Z)-, 1-[(dimethylamino)methyl]-1,2-ethanediyl ester
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

IT 9005-07-6P 357941-83-4P 357941-84-5P

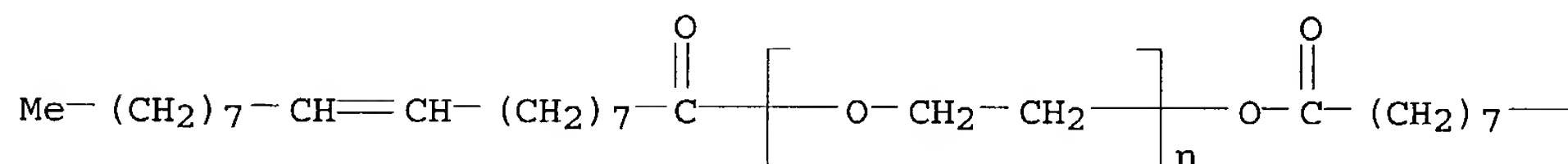
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amphiphilic materials and liposome formulations thereof)

RN 9005-07-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[(9Z)-1-oxo-9-octadecenyl]- ω -
[[9Z)-1-oxo-9-octadecenyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



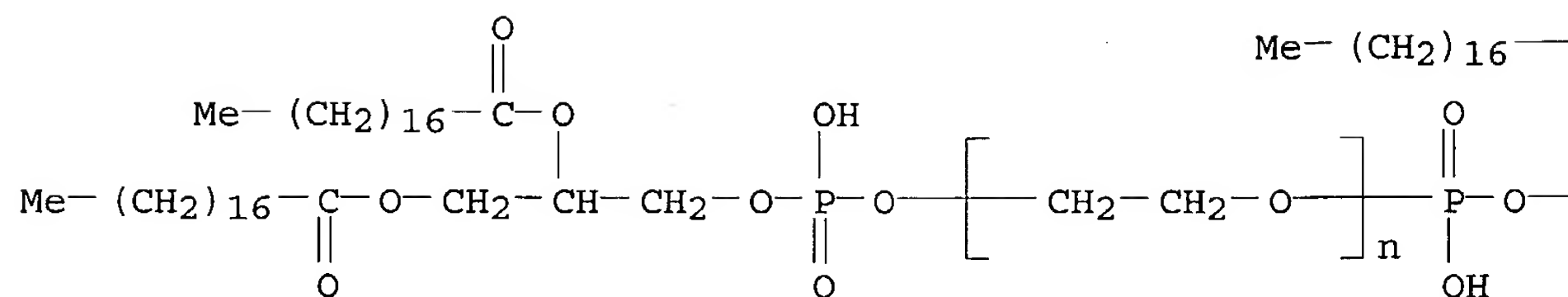
PAGE 1-B

—CH=CH—(CH₂)₇—Me

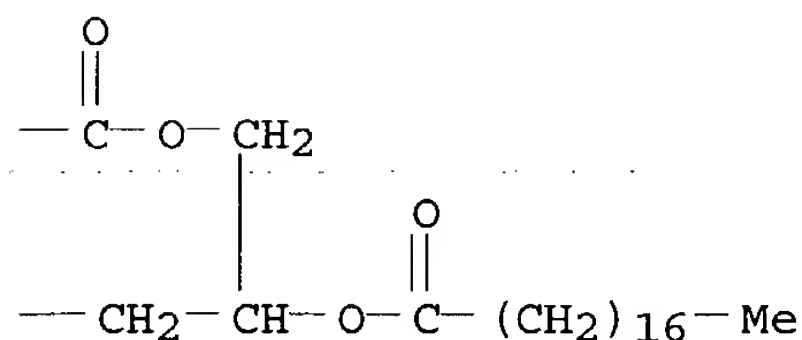
RN 357941-83-4 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[2,3-bis[(1-oxooctadecyl)oxy]propoxy]hydroxyphosphinyl]- ω -[[[2,3-bis[(1-oxooctadecyl)oxy]propoxy]hydroxyphosphinyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



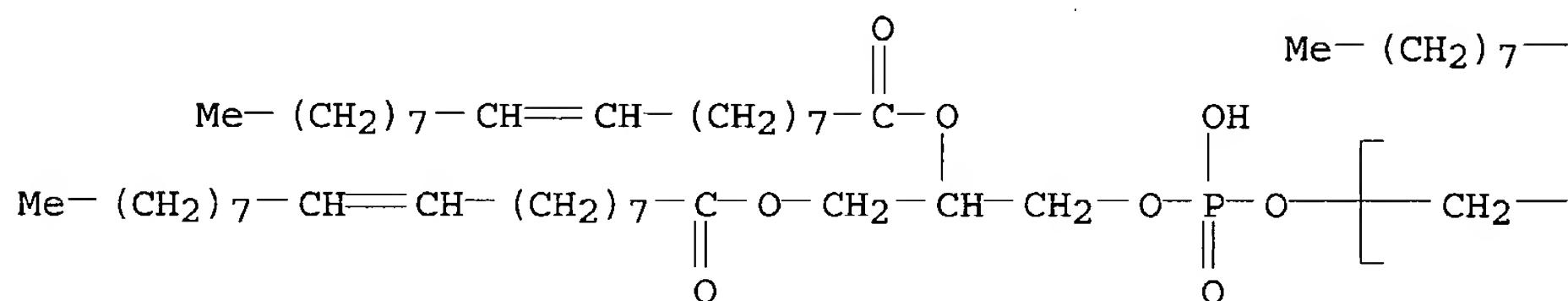
PAGE 1-B



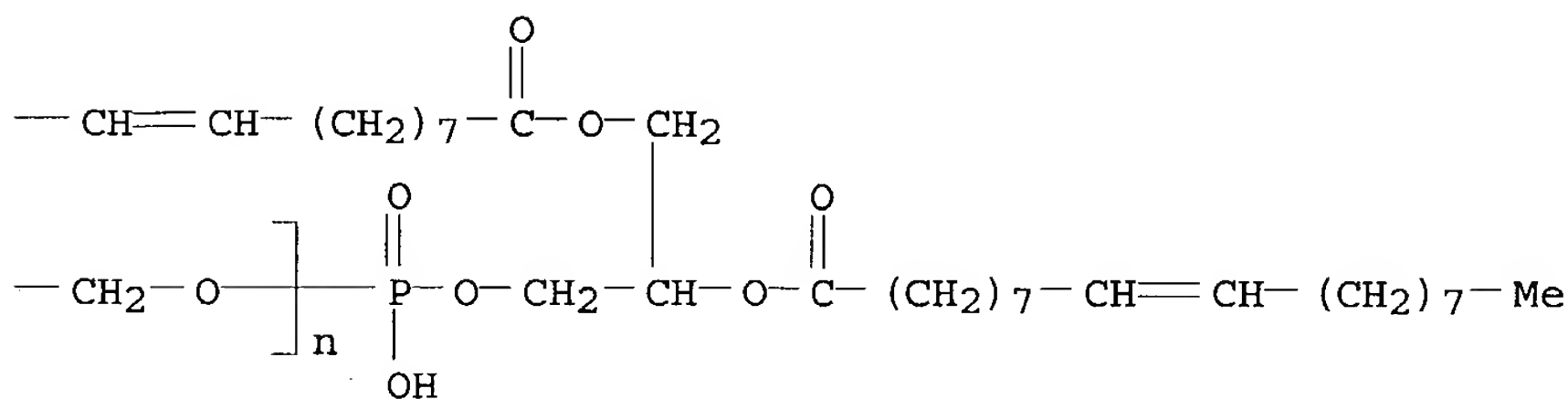
RN 357941-84-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[2,3-bis[[[(9Z)-1-oxo-9-octadecenyl]oxy]propoxy]hydroxyphosphinyl]- ω -[[[2,3-bis[[[(9Z)-1-oxo-9-octadecenyl]oxy]propoxy]hydroxyphosphinyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



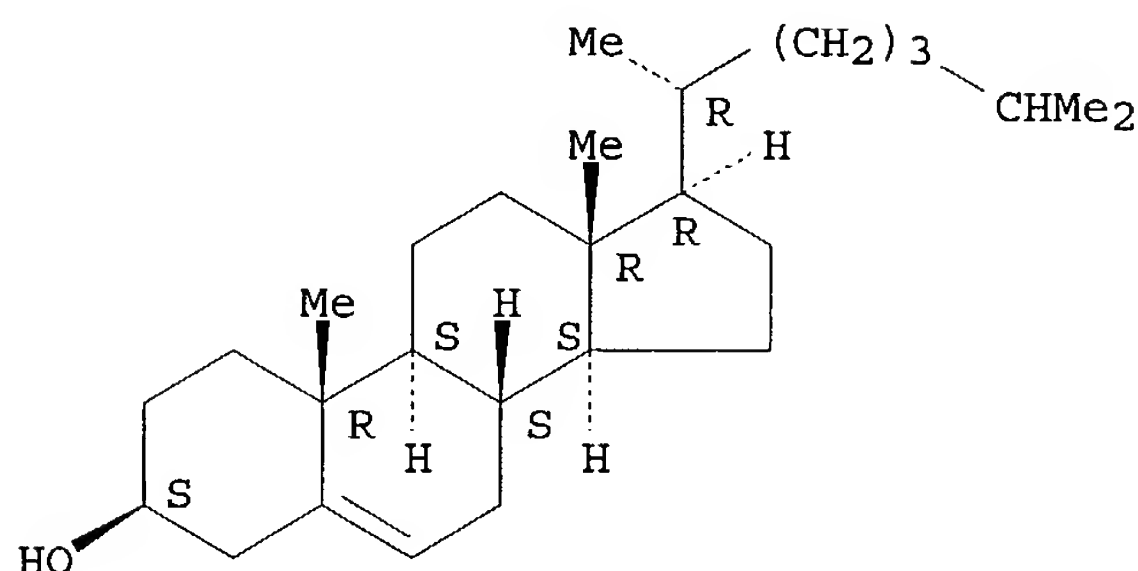
IT 57-88-5, Cholesterol, biological studies

33069-62-4, Paclitaxel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (amphiphilic materials and liposome formulations thereof)

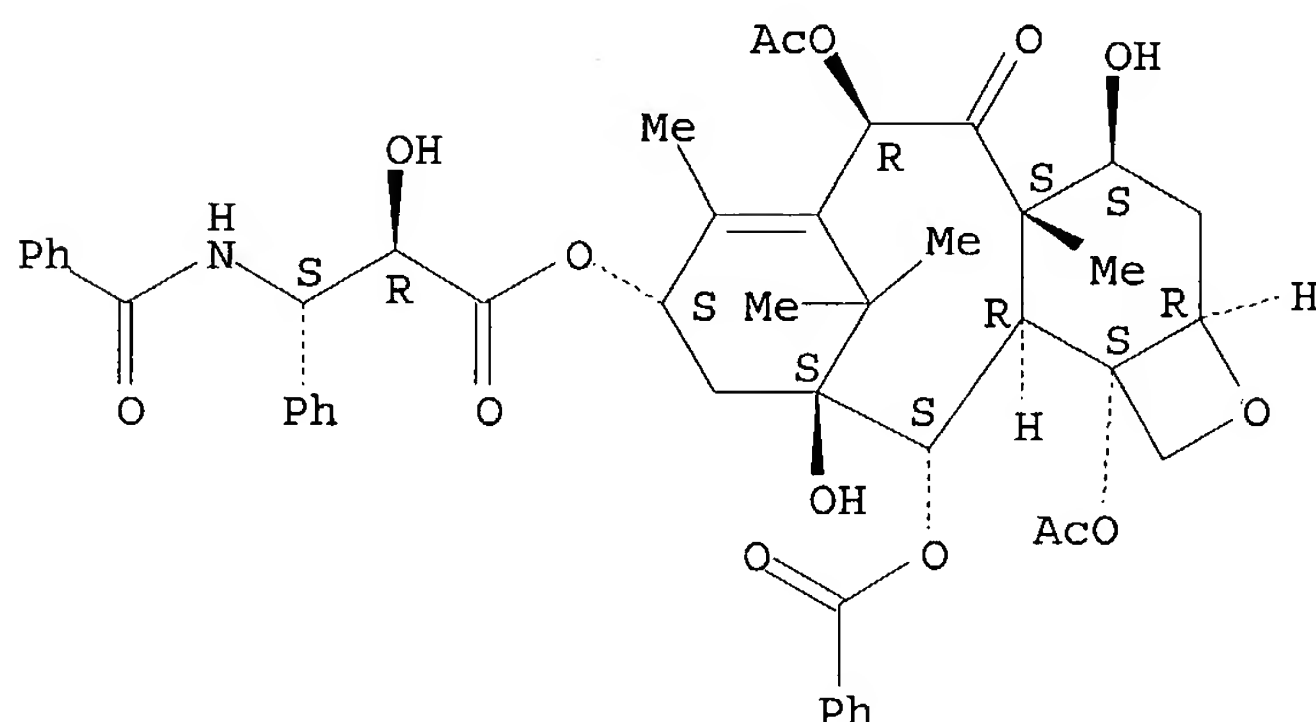
RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33069-62-4 HCAPLUS
 CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
 tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
 ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:628093 HCAPLUS

DOCUMENT NUMBER: 137:10816

TITLE: **Amphiphilic** poly-N-vinylpyrrolidones:
 synthesis, properties and **liposome** surface
 modification

AUTHOR(S): Torchilin, V. P.; Levchenko, T. S.; Whiteman, K. R.;
 Yaroslavov, A. A.; Tsatsakis, A. M.; Rizos, A. K.;
 Michailova, E. V.; Shtilman, M. I.

CORPORATE SOURCE: Bouve College of Health Sciences, Department of
 Pharmaceutical Sciences, Northeastern University,
 Boston, MA, 02115, USA

SOURCE: Biomaterials (2001), 22(22), 3035-3044
 CODEN: BIMADU; ISSN: 0142-9612

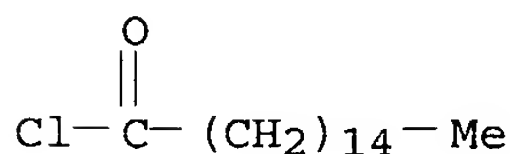
PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Certain **amphiphilic** water-soluble polymers including **amphiphilic** derivs. of polyvinyl pyrrolidone (PVP) were found to be efficient steric protectors for **liposomes** in vivo. In this study, the authors have tried to develop synthetic pathways for preparing **amphiphilic** PVP and to investigate the influence of the **hydrophilic**/hydrophobic blocks on some properties of resulting polymers and polymer-coated **liposomes**. To prepare **amphiphilic** PVP with the end stearyl (S) or palmityl (P) residues, amino- and carboxy-terminated PVP derivs. were first synthesized by the free-radical polymerization of vinyl pyrrolidone in the presence of amino- or carboxy-mercaptans as chain transfer agents, and then modified by interaction of amino-PVP with stearyl chloride or palmitoyl chloride, or by dicyclohexyl carbodiimide coupling of stearylamine with carboxy-PVP. ESR-spectra of the hydrophobic spin-probe, nitroxyl radical N-oxy-2-hexyl-2-(10-methoxycarbonyl)decyl-4,4'-dimethyl oxazoline, in the presence of **amphiphilic** PVP demonstrated good accessibility of terminal P- and S-groups for the interaction with other hydrophobic ligands. Spontaneous micellization and low CMC values (in a low μ molar range) were found for **amphiphilic** PVP derivs. using the pyrene method. In general, S-PVP forms more stable micelles than P-PVP (at similar MW, CMC values for S-PVP are lower than for P-PVP). It was found that **amphiphilic** PVP incorporated into neg. charged **liposomes** effectively prevents polycation(poly-ethylpyridinium-4-vinylchloride)-induced **liposome** aggregation, completely abolishing it at ca. 10 mol% polymer content in **liposomes**. Addnl., the **liposome**-incorporated PVP prevents the fluorescence quenching of the membrane-incorporated hydrophobic fluorescent label [N-(4-fluoresceinthiocarbamoyl)dipalmitoyl-PE] by the free polycation. PVP-modified **liposomes** were loaded with a self-quenching concentration of carboxyfluorescein, and their destabilization in the presence of mouse serum was investigated following the release of free dye. **Amphiphilic** PVP with MW between 1500 and 8000 provides good steric protection for **liposomes**. The degree of this protection depends on both polymer concentration and mol. size of the PVP block.

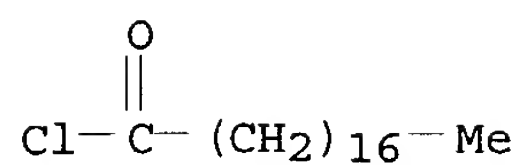
IT 112-67-4DP, Palmitic acid chloride, amide derivs. with poly(N-vinylpyrrolidone) telomers 112-76-5DP, Stearic acid chloride, amide derivs. with poly(N-vinylpyrrolidone) telomers 124-30-1DP, Stearylamine, amide derivs. with poly(N-vinylpyrrolidone) telomers 103298-65-3DP, C18-alkylamide derivs. 187673-24-1DP, C16-18-alkylamide derivs.

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation, properties and **liposome** surface modification of **amphiphilic** poly-N-vinylpyrrolidones)

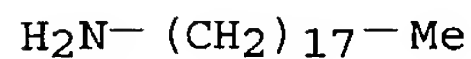
RN 112-67-4 HCAPLUS
 CN Hexadecanoyl chloride (9CI) (CA INDEX NAME)



RN 112-76-5 HCAPLUS
 CN Octadecanoyl chloride (9CI) (CA INDEX NAME)



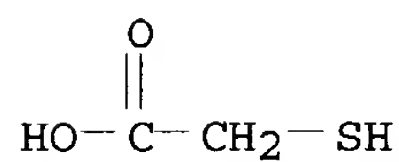
RN 124-30-1 HCAPLUS
 CN 1-Octadecanamine (9CI) (CA INDEX NAME)



RN 103298-65-3 HCAPLUS
 CN Acetic acid, mercapto-, telomer with 1-ethenyl-2-pyrrolidinone (9CI) (CA INDEX NAME)

CM 1

CRN 68-11-1
 CMF C2 H4 O2 S

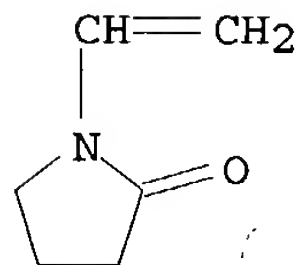


CM 2

CRN 9003-39-8
 CMF (C6 H9 N O) x
 CCI PMS

CM 3

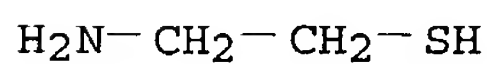
CRN 88-12-0
 CMF C6 H9 N O



RN 187673-24-1 HCAPLUS
 CN 2-Pyrrolidinone, 1-ethenyl-, telomer with 2-aminoethanethiol (9CI) (CA INDEX NAME)

CM 1

CRN 60-23-1
 CMF C2 H7 N S

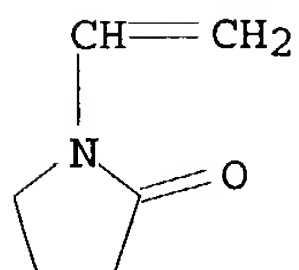


CM 2

CRN 9003-39-8
 CMF (C6 H9 N O) x
 CCI PMS

CM 3

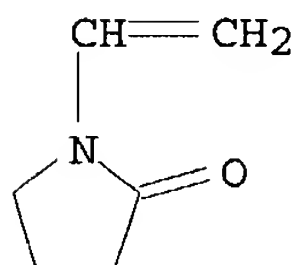
CRN 88-12-0
 CMF C6 H9 N O



IT 9003-39-8, Poly-N-vinylpyrrolidone
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation, properties and **liposome** surface modification of
amphiphilic poly-N-vinylpyrrolidones)
 RN 9003-39-8 HCAPLUS
 CN 2-Pyrrolidinone, 1-ethenyl-, homopolymer (9CI) (CA INDEX NAME)

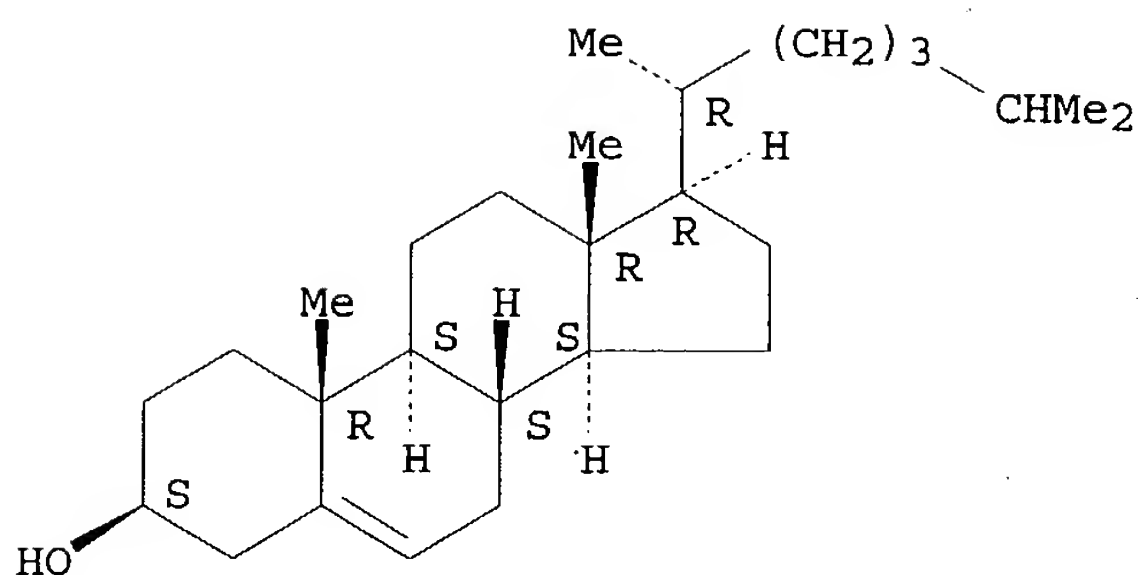
CM 1

CRN 88-12-0
 CMF C6 H9 N O



IT 57-88-5, **Cholesterol**, biological studies
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation, properties and **liposome** surface modification of
amphiphilic poly-N-vinylpyrrolidones)
 RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:313924 HCAPLUS

DOCUMENT NUMBER: 135:231580

TITLE: The Level of Hydrophobic Substitution and the Molecular Weight of **Amphiphilic** Poly-L-lysine-Based Polymers Strongly Affects Their Assembly into Polymeric Bilayer **Vesicles**

AUTHOR(S): Wang, Wei; Tetley, Laurence; Uchegbu, Ijeoma F.
CORPORATE SOURCE: Department of Pharmaceutical Sciences, Strathclyde Institute of Biomedical Sciences, University of Strathclyde, Glasgow, G4 0NR, UK

SOURCE: Journal of Colloid and Interface Science (2001), 237(2), 200-207
CODEN: JCISA5; ISSN: 0021-9797

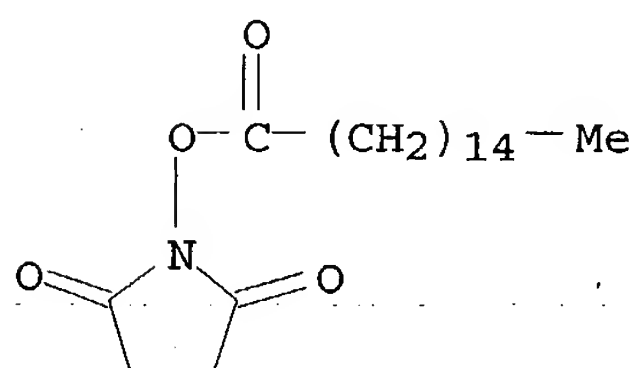
PUBLISHER: Academic Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB With the aim of producing new materials for drug and gene delivery, the variables associated with the preparation of poly-L-lysine-based **vesicles** were investigated. **Amphiphilic** poly-L-lysine graft copolymers with varying levels of grafted methoxypolyethylene glycol (mPEG) and palmitic acid were synthesized using two-step grafting reactions of the macromonomer, mPEG-p-nitrophenyl carbonate (mPEG, MW=5,000), and palmitic acid N-hydroxysuccinimide ester onto poly-L-lysine hydrobromide (MW=4,000 and 19,600). Polymers were characterized by gel permeation chromatog./light scattering, ¹H NMR, and an assay for unreacted .vepsiln.-amino groups. Polymeric unilamellar **vesicles** were produced by probe sonication of the **amphiphilic** poly-L-lysine-based polymers in the presence of **cholesterol**. **Vesicles** were characterized by electron microscopy and photon correlation spectroscopy. **Vesicle** formation was favored by a low mol. weight and a low level of palmitoyl substitution. A **vesicle** formation index has been derived, $F \propto H/L DP$, where H is the %molar level of unreacted L-lysine units, L is the %molar level of substituted palmitoyl units, and DP is the square root of the d.p. of the polymer. Addnl., the size of these **vesicles** may be controlled by controlling the initial mol. weight of the parent poly-L-lysine/resulting **amphiphilic** polymer. Hence, **amphiphilic** poly-L-lysine-based polymers of mol. weight=89,000 and 25,000 produced polymeric **vesicles** of z-average mean diameter 570 nm and 252 nm, resp. **Vesicle** encapsulation efficiency for the **hydrophilic** macromol., fluorescein isothiocyanate-dextran (MW=4,400), increased with **vesicle** size. (c) 2001 Academic Press.

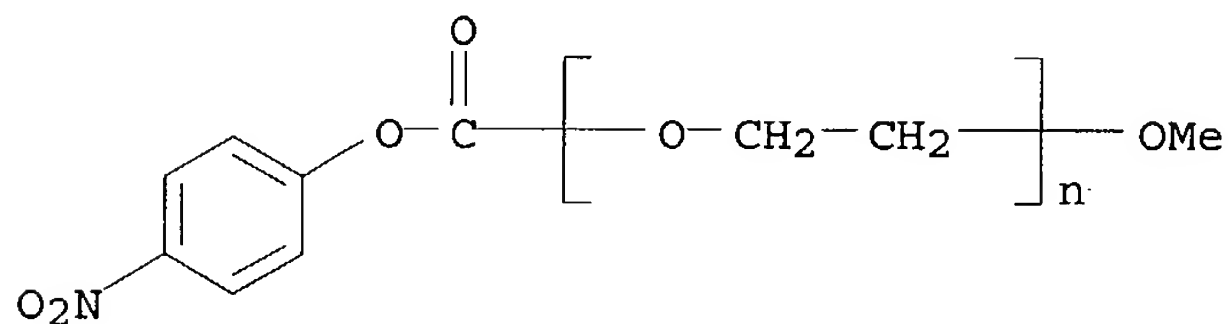
IT 14464-31-4DP, reaction products with poly l-lysine,
methoxypolyethylene glycol-p-nitrophenyl carbonate graft polymers
359726-56-0DP, reaction products with palmitic acid
N-hydroxysuccinimide ester
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(level of hydrophobic substitution and mol. weight of **amphiphilic**
polylysine-based polymers affects assembly of polymeric bilayer
vesicles)
RN 14464-31-4 HCAPLUS
CN 2,5-Pyrrolidinedione, 1-[(1-oxohexadecyl)oxy]- (9CI) (CA INDEX NAME)



RN 359726-56-0 HCAPLUS
CN L-Lysine, polymer with α -[(4-nitrophenoxy)carbonyl]- ω -
methoxypoly(oxy-1,2-ethanediyl), graft (9CI) (CA INDEX NAME)

CM 1

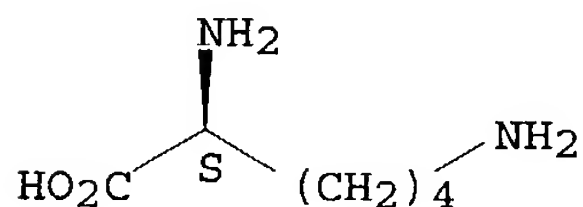
CRN 124661-64-9
CMF (C2 H4 O)_n C8 H7 N O5
CCI PMS



CM 2

CRN 56-87-1
CMF C6 H14 N2 O2

Absolute stereochemistry.



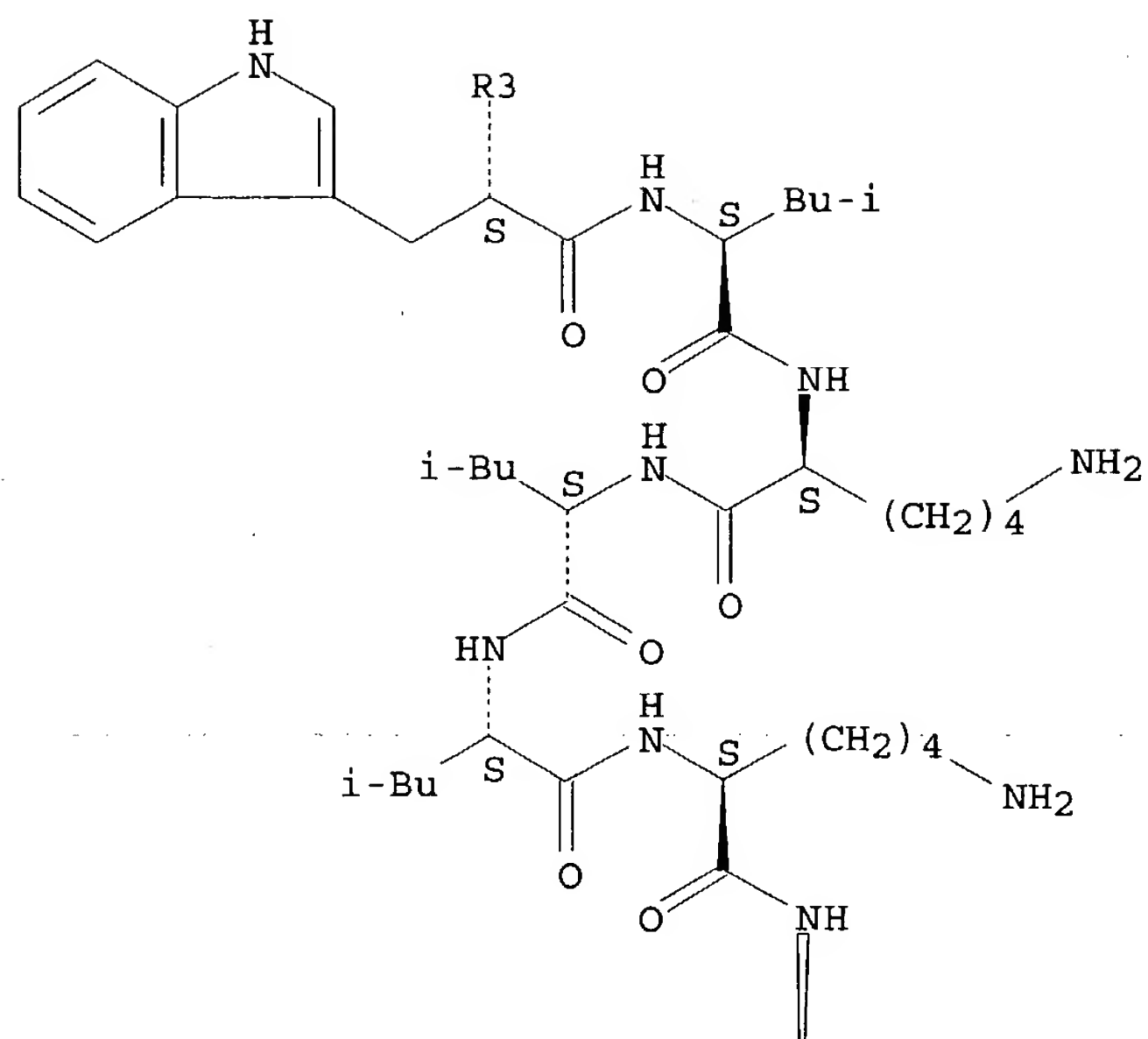
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 14 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

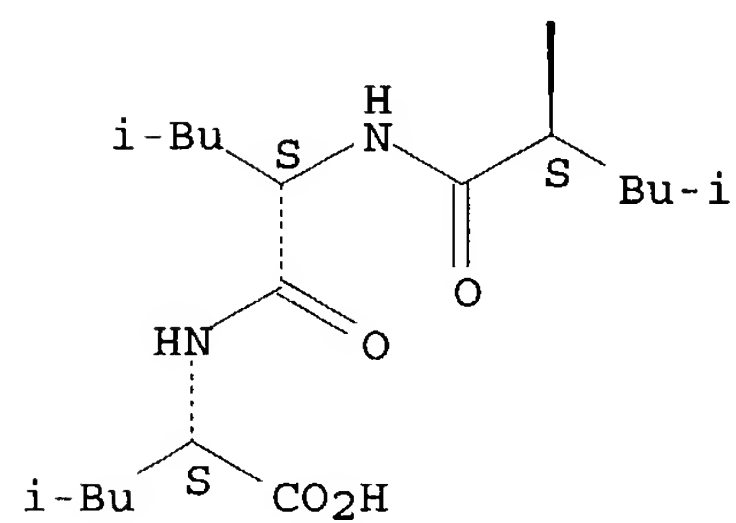
ACCESSION NUMBER: 2001:311867 HCAPLUS
DOCUMENT NUMBER: 135:57540
TITLE: Nanotubular structure formation by a De novo-designed
amphiphilic α -helical peptide, Hel 13-5,
and various biomembrane-specific lipids
AUTHOR(S): Furuya, Tomomi; Kiyota, Taira; Lee, Sannamu; Sugihara,
Gohsuke
CORPORATE SOURCE: Department of Chemistry, Fukuoka university, Fukuoka,
814-0180, Japan
SOURCE: Peptide Science (2001), Volume Date 2000, 37th,
389-392
CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Japanese Peptide Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We previously reported that an 18-mer **amphiphilic**
 α -helical peptide, Hel 13-5, consisting of 13 hydrophobic residues
and 5 **hydrophilic** residues, can induce neutral **liposome**
(PC) to adopt twisted ribbon-like fibril structure. In the present study,
we found that this structure is tubule and such fibril structure is also
found for phosphatidylethanolamine (PE) or sphingomyelin (SM) and even for
their mixture system containing **cholesterol** as well as egg PC.
Interestingly, the microtubular structure is also formed by the
interaction of Hel 13-5 with a lipid mixture based on the composition of Golgi
membrane lipids.
IT 177942-21-1, Peptide Hel 13-5 (synthetic)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(nanotubular structure formation by a De novo-designed
amphiphilic α -helical peptide, Hel 13-5, and various
biomembrane-specific lipids)
RN 177942-21-1 HCAPLUS
CN L-Leucine, L-lysyl-L-leucyl-L-leucyl-L-lysyl-L-leucyl-L-leucyl-L-leucyl-L-
lysyl-L-leucyl-L-tryptophyl-L-leucyl-L-lysyl-L-leucyl-L-leucyl-L-lysyl-L-
leucyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

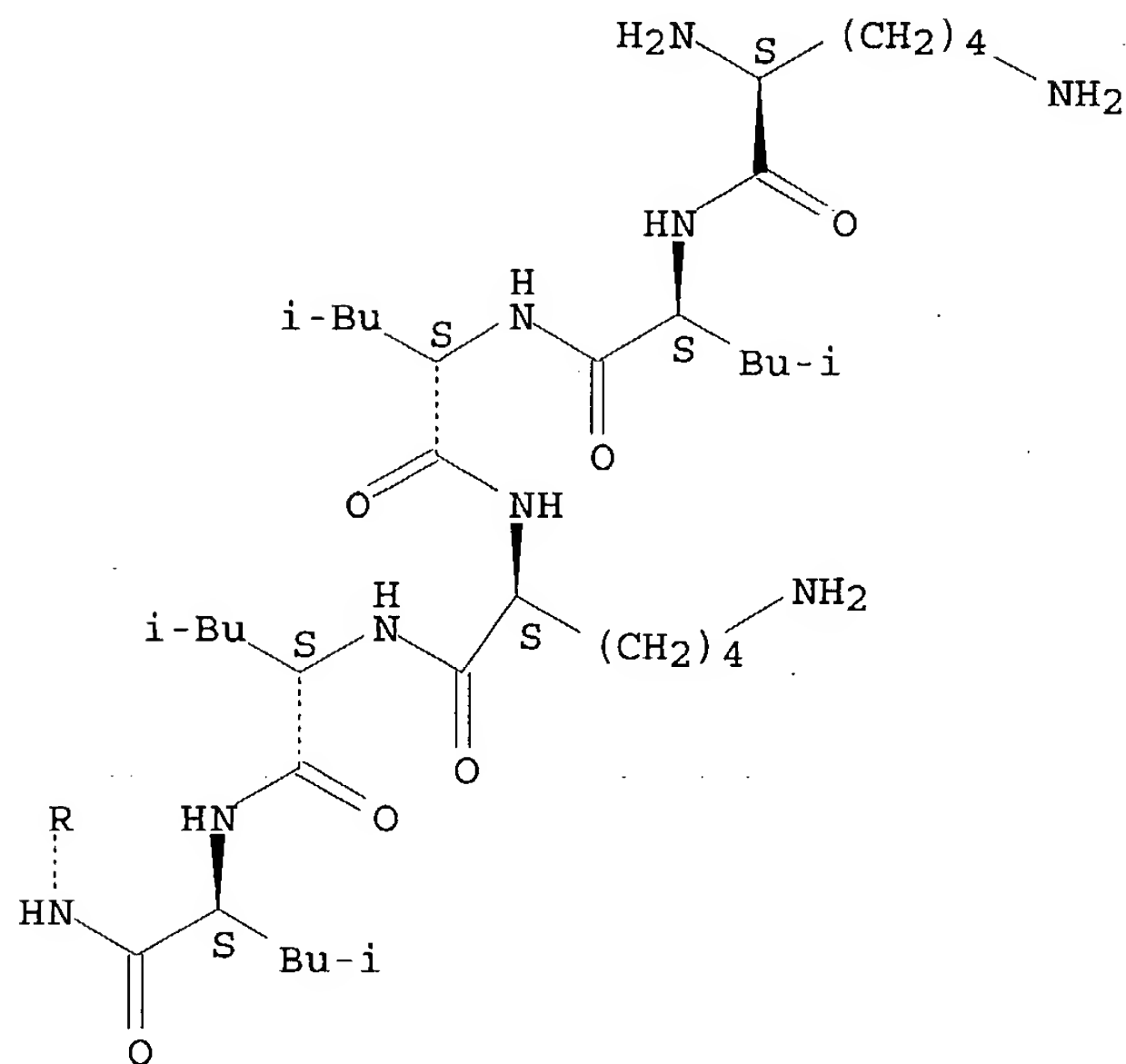
PAGE 1-A



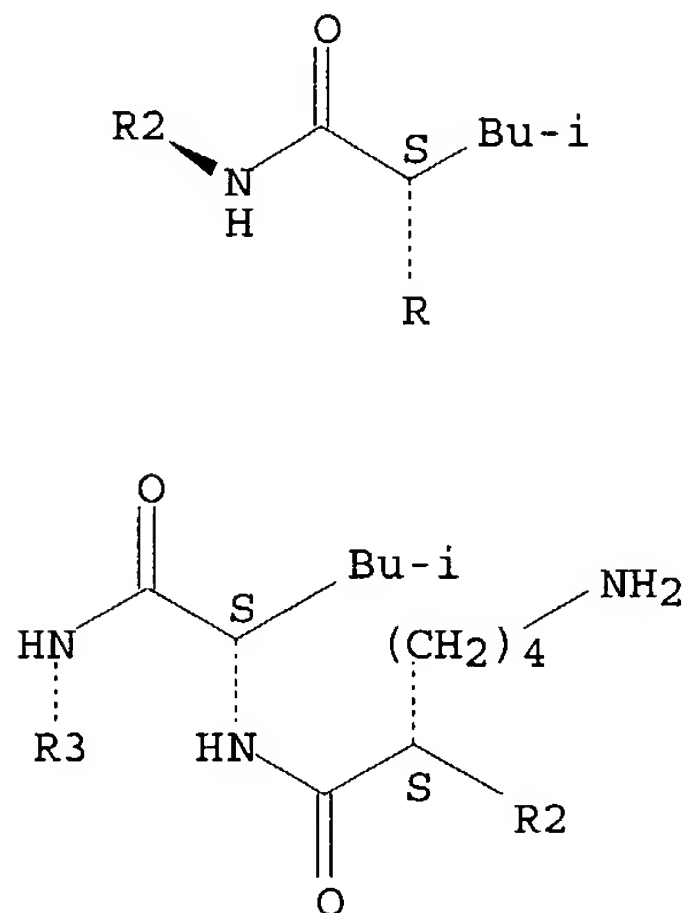
PAGE 2-A



PAGE 3-A



PAGE 4-A



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:241683 HCAPLUS

DOCUMENT NUMBER: 134:271256

TITLE: Methods of forming protein-linked lipidic microparticles, and compositions thereof

INVENTOR(S): Papahadjopoulos, Demetrios; Hong, Keelung; Zheng,

PATENT ASSIGNEE(S): Weiwen; Kirpotin, Dmitri B.
 SOURCE: The Regents of the University of California, USA
 U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 967,791.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6210707	B1	20010403	US 1998-76618	19980512
US 6071533	A	20000606	US 1997-967791	19971110
CA 2330741	AA	19991118	CA 1999-2330741	19990511
WO 9958694	A1	19991118	WO 1999-US10375	19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9939834	A1	19991129	AU 1999-39834	19990511
AU 770111	B2	20040212		
EP 1078079	A1	20010228	EP 1999-922950	19990511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002514432	T2	20020521	JP 2000-548485	19990511
US 6410049	B1	20020625	US 1999-420908	19991020
US 2002001612	A1	20020103	US 2001-765107	20010116
US 6528087	B2	20030304		
US 2002182249	A1	20021205	US 2002-121962	20020412
US 2003003143	A1	20030102	US 2002-177939	20020621
US 6803053	B2	20041012		
US 2004209366	A1	20041021	US 2004-847982	20040517
PRIORITY APPLN. INFO.:				
			US 1996-30578P	P 19961112
			US 1997-967791	A2 19971110
			US 1998-76618	A 19980512
			WO 1999-US10375	W 19990511
			US 1999-420908	A1 19991020
			US 2001-765107	A1 20010116
			US 2002-177939	A1 20020621

AB The present invention provides for lipid/nucleic acid complexes that have increased shelf life and high transfection activity in vivo following i.v. injection, and methods of preparing such complexes. The methods generally involve contacting a nucleic acid with an organic polycation to produce a condensed nucleic acid, and then combining the condensed nucleic acid with a lipid comprising an **amphiphilic** cationic lipid to produce the lipid/nucleic acid complex. This complex can be further stabilized by the addition of a **hydrophilic** polymer attached to hydrophobic side chains. The complex can also be made specific for specific cells, by incorporating a targeting moiety such as an Fab' fragment attached to a **hydrophilic** polymer. The present invention further relates to lipidic microparticles with attached proteins which have been first conjugated to linker mols. having a **hydrophilic** polymer domain and a hydrophobic domain capable of stable association with the microparticle, or proteins which have been engineered to contain a **hydrophilic** domain and a lipid moiety permitting stable association with the

microparticle. For example, maleimido-propionylantido-PEG-distearoylphosphatidylethanolamine (Mal-PEG-DSPE) was prepared, conjugated with a single chain Fv antibody reactive against HER2 oncoprotein, and formulated into **immunoliposomes** for targeting of HER2-overexpressing human breast cancer cells.

IT 23214-92-8, Doxorubicin

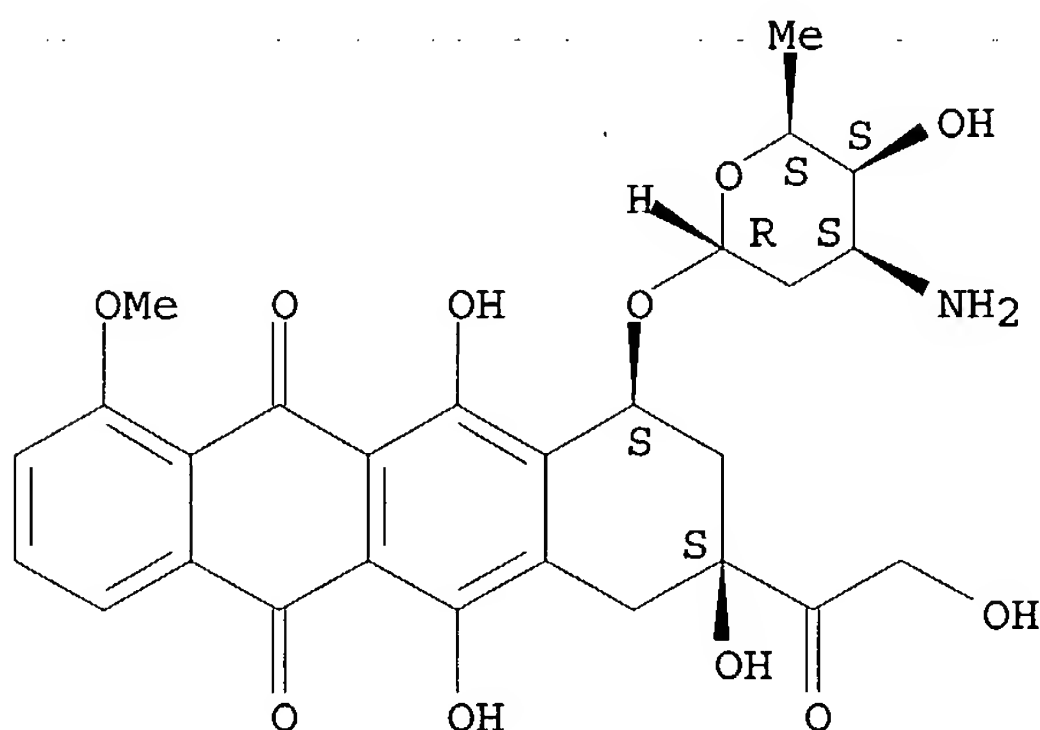
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of protein-linked lipidic microparticles for targeting of nucleic acids)

RN 23214-92-8 HCAPLUS

CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



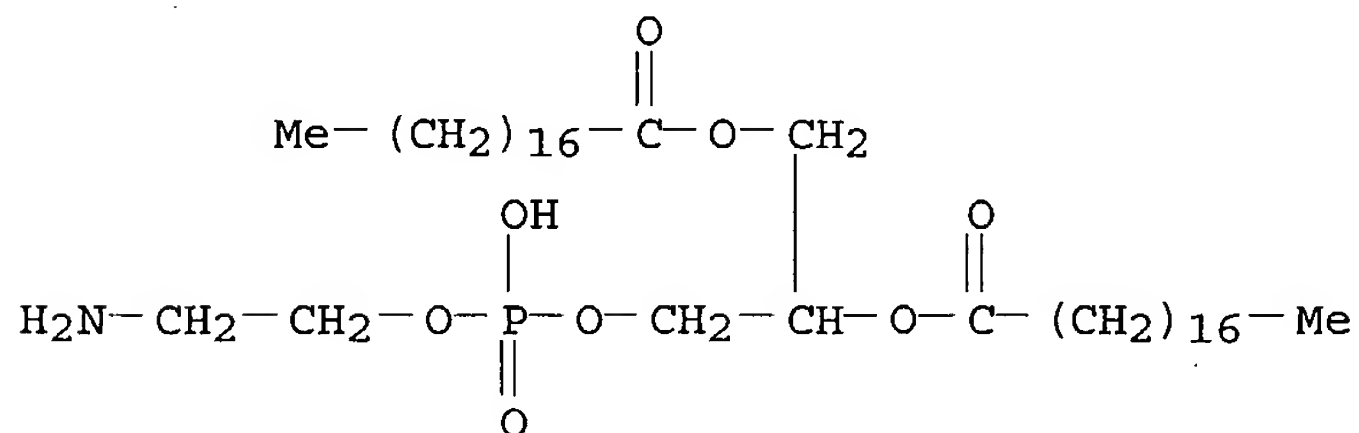
IT 4537-76-2, Distearoylphosphatidylethanolamine 207308-06-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of protein-linked lipidic microparticles for targeting of nucleic acids)

RN 4537-76-2 HCAPLUS

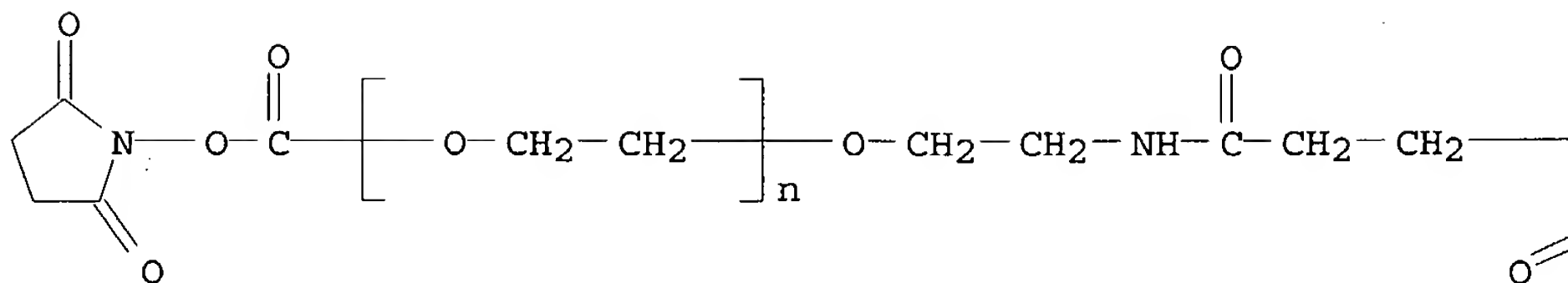
CN Octadecanoic acid, 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



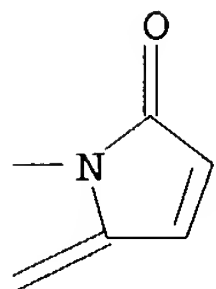
RN 207308-06-3 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]- ω -[2-[[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxopropyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

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PAGE 1-B



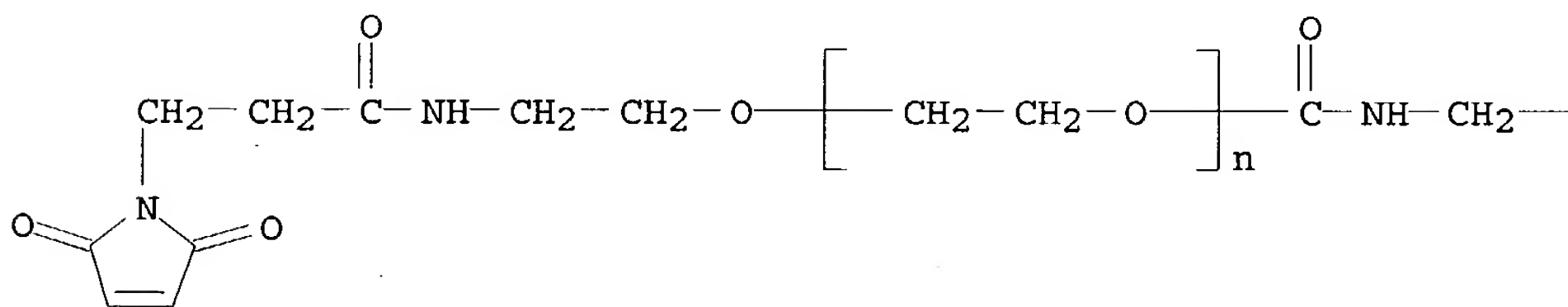
IT 207403-10-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of protein-linked lipidic microparticles for targeting of nucleic acids)

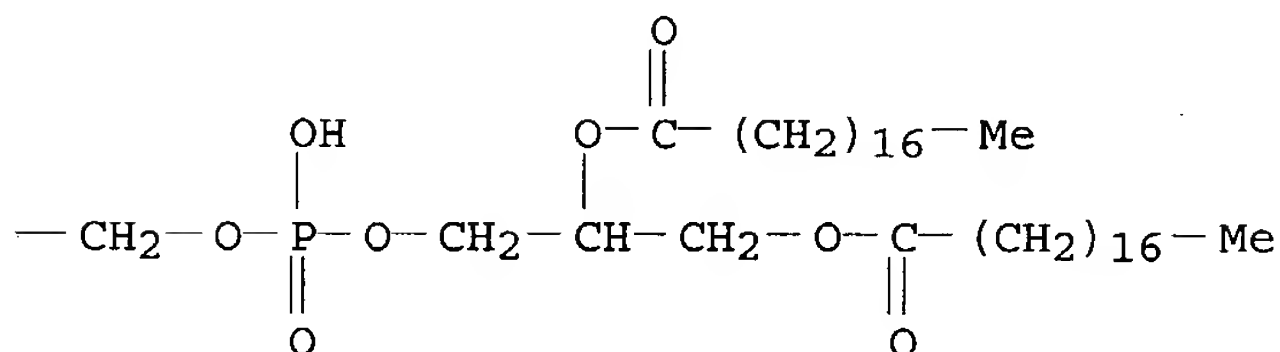
RN 207403-10-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α-[6-hydroxy-6-oxido-1,12-dioxo-9-[(1-oxooctadecyl)oxy]-5,7,11-trioxa-2-aza-6-phosphanacos-1-yl]-ω-[2-[[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxopropyl]amino]ethoxy]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 57-88-5, Cholesterol, biological studies
 2462-63-7, DOPE 2591-17-5, D-Luciferin 3700-67-2
 , Dimethyldioctadecylammonium bromide 26662-91-9,
 1-Palmitoyl-2-oleoyl-phosphatidylcholine 124050-77-7, DOGS

127512-29-2, DODAP 137056-72-5, DC-chol

144189-73-1, DOTAP 178744-28-0 216165-62-7

321975-96-6 331942-29-1

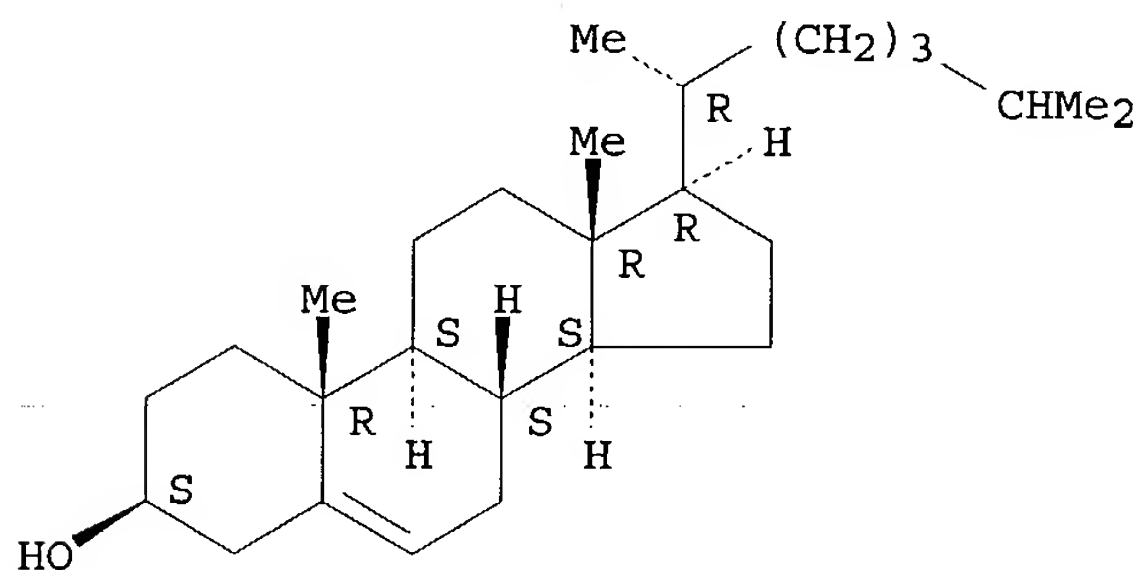
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of protein-linked lipidic microparticles for targeting of nucleic acids)

RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

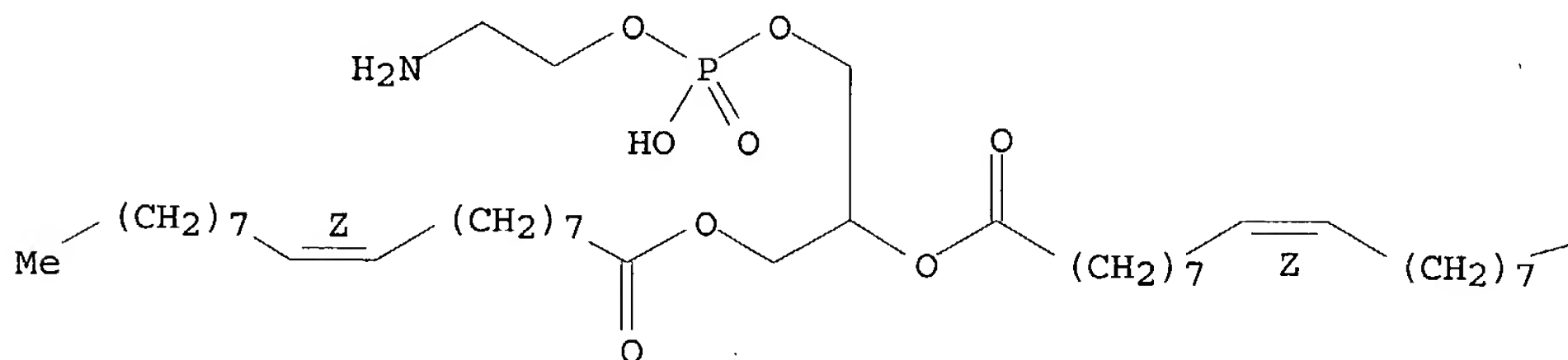


RN 2462-63-7 HCAPLUS

CN 9-Octadecenoic acid (9Z)-, 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



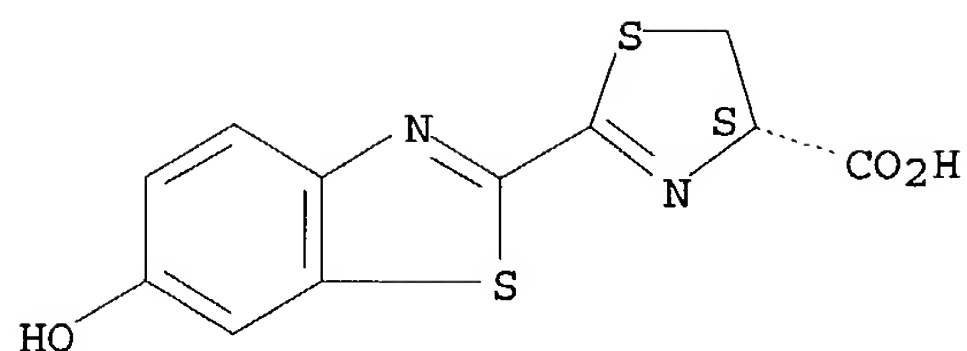
PAGE 1-B

Me

RN 2591-17-5 HCAPLUS

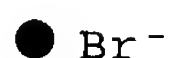
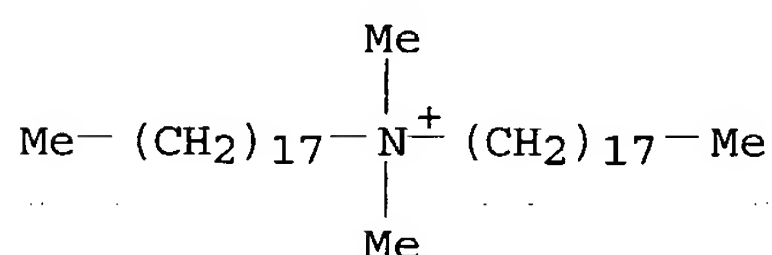
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 3700-67-2 HCAPLUS

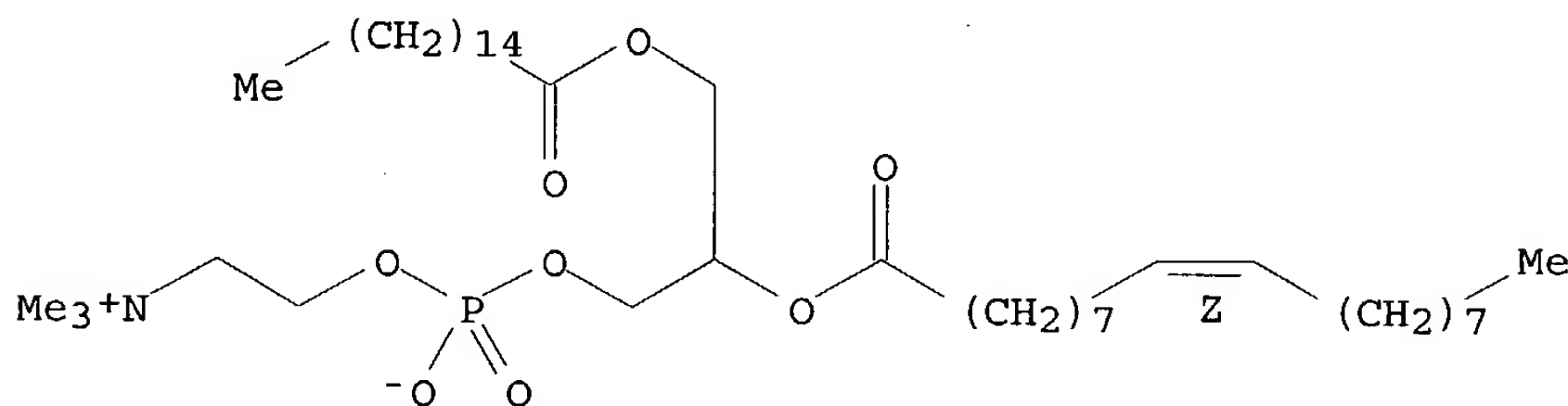
CN 1-Octadecanaminium, N,N-dimethyl-N-octadecyl-, bromide (9CI) (CA INDEX NAME)



RN 26662-91-9 HCAPLUS

CN 3,5,8-Trioxa-4-phosphahexacos-17-en-1-aminium, 4-hydroxy-N,N,N-trimethyl-9-oxo-7-[[[(1-oxohexadecyl)oxy]methyl]-, inner salt, 4-oxide, (17Z)- (9CI) (CA INDEX NAME)

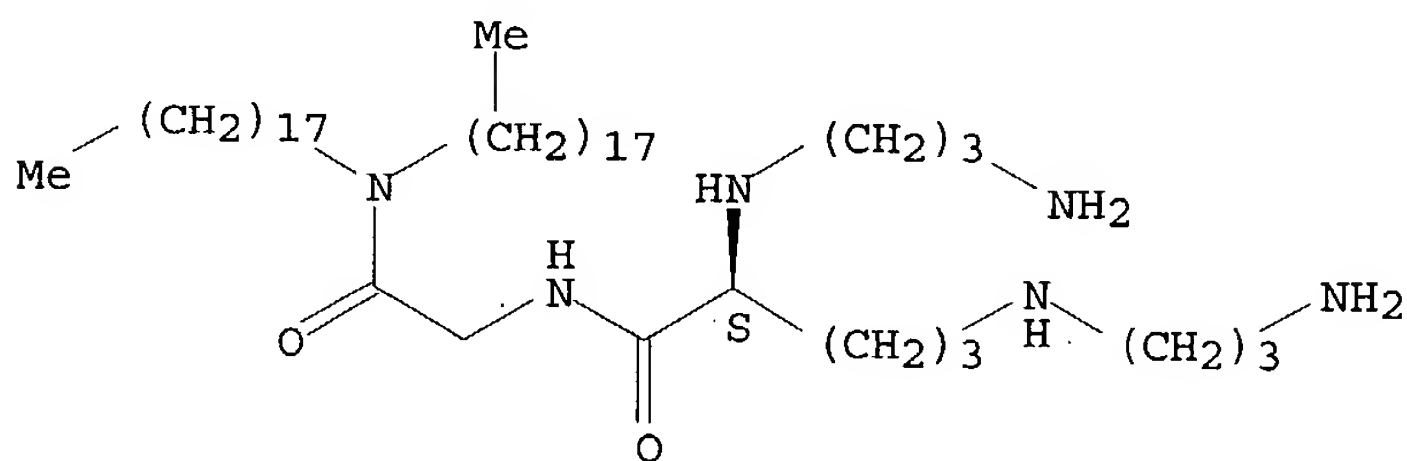
Double bond geometry as shown.



RN 124050-77-7 HCAPLUS

CN Glycinamide, N2,N5-bis(3-aminopropyl)-L-ornithyl-N,N-dioctadecyl- (9CI) (CA INDEX NAME)

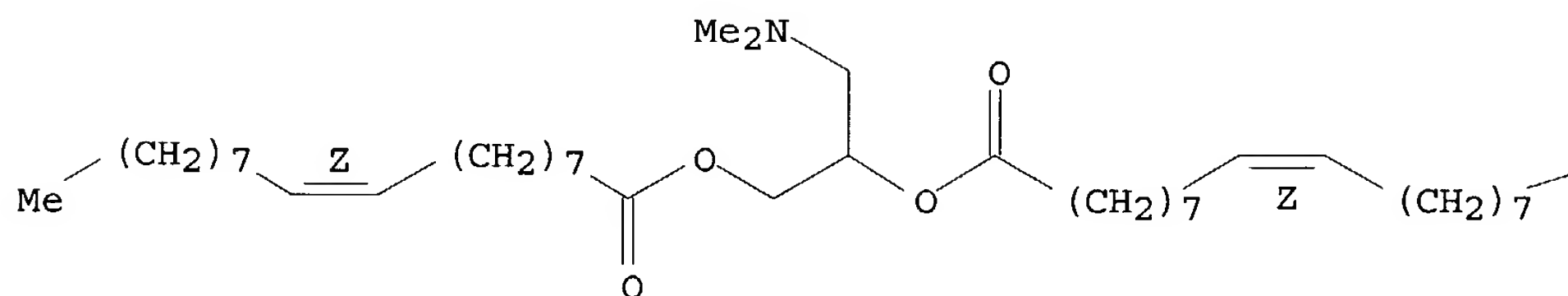
Absolute stereochemistry.



RN 127512-29-2 HCAPLUS
 CN 9-Octadecenoic acid (9Z)-, 1-[(dimethylamino)methyl]-1,2-ethanediyl ester
 (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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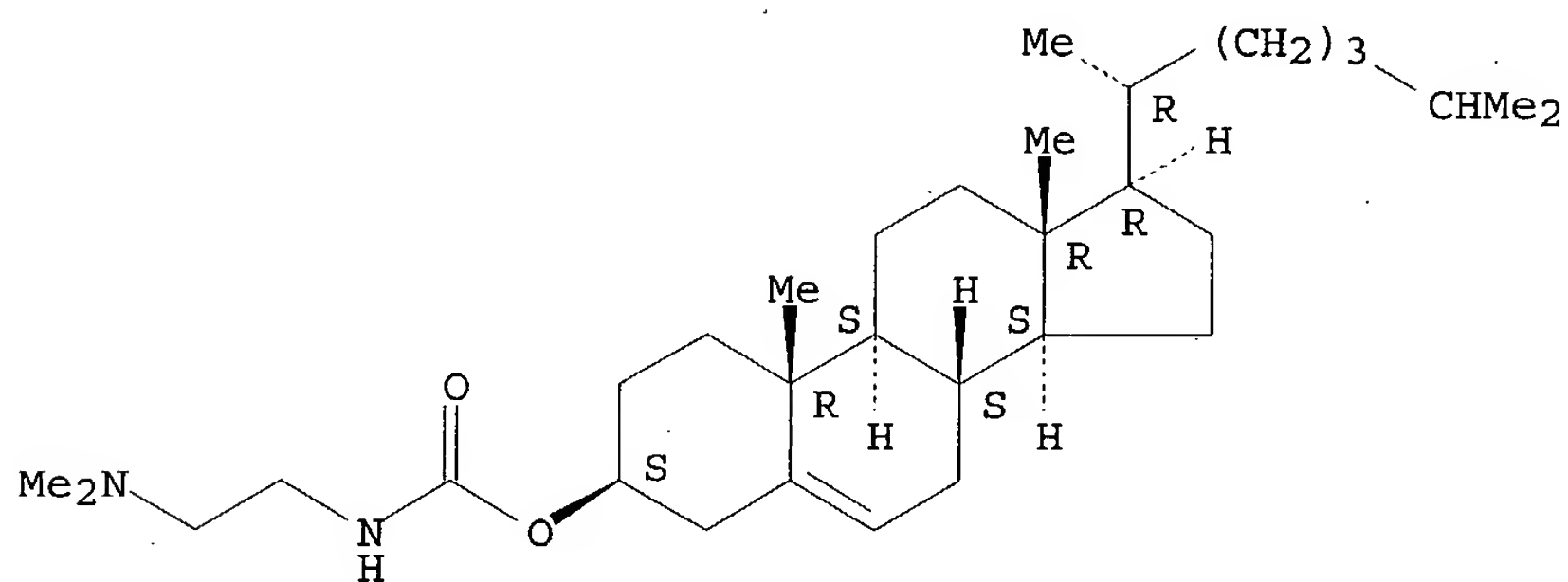


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Me

RN 137056-72-5 HCAPLUS
 CN Cholest-5-en-3-ol (3β)-, [2-(dimethylamino)ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



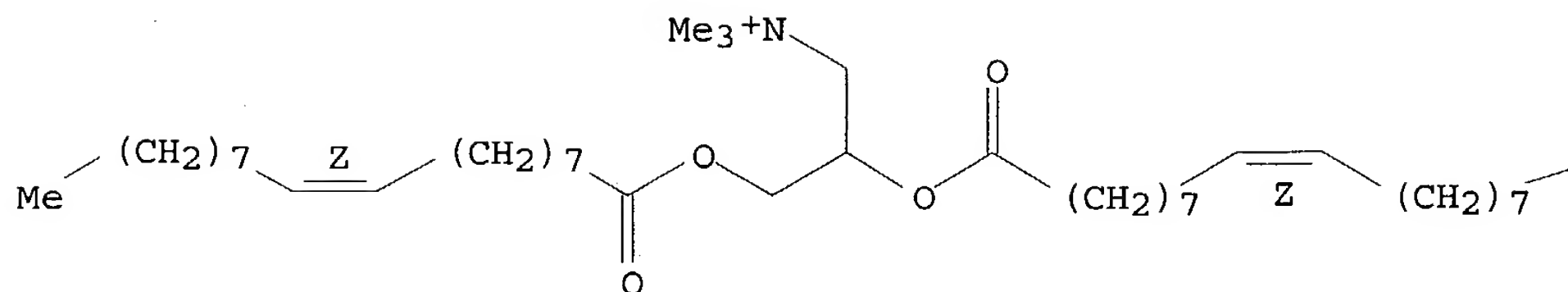
RN 144189-73-1 HCAPLUS
 CN 1-Propanaminium, N,N,N-trimethyl-2,3-bis[[(9Z)-1-oxo-9-octadecenyl]oxy]-, methyl sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 113669-21-9
 CMF C42 H80 N O4

Double bond geometry as shown.

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Me

CM 2

CRN 21228-90-0

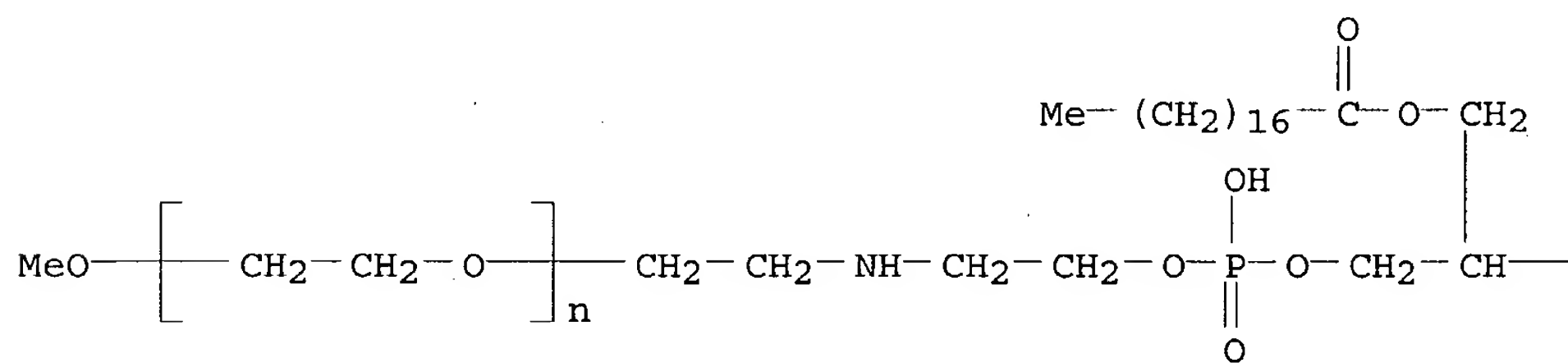
CMF C H3 O4 S

Me-O-SO₃⁻

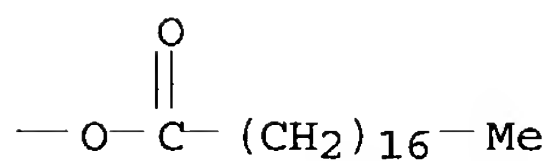
RN 178744-28-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α-[7-hydroxy-7-oxido-13-oxo-10-[(1-oxooctadecyl)oxy]-6,8,12-trioxa-3-aza-7-phosphatriciacont-1-yl]-ω-methoxy- (9CI) (CA INDEX NAME)

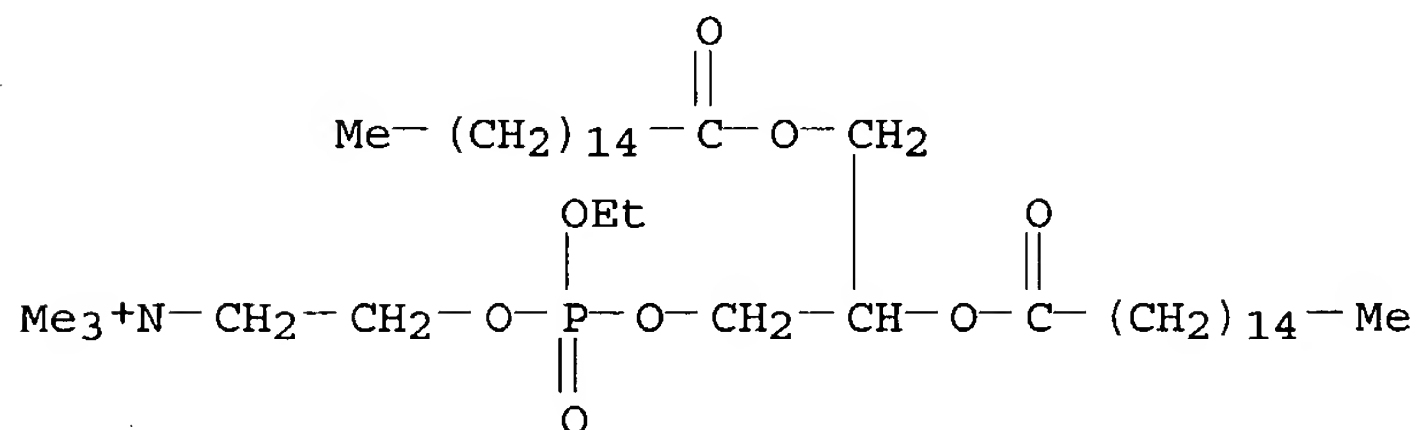
PAGE 1-A



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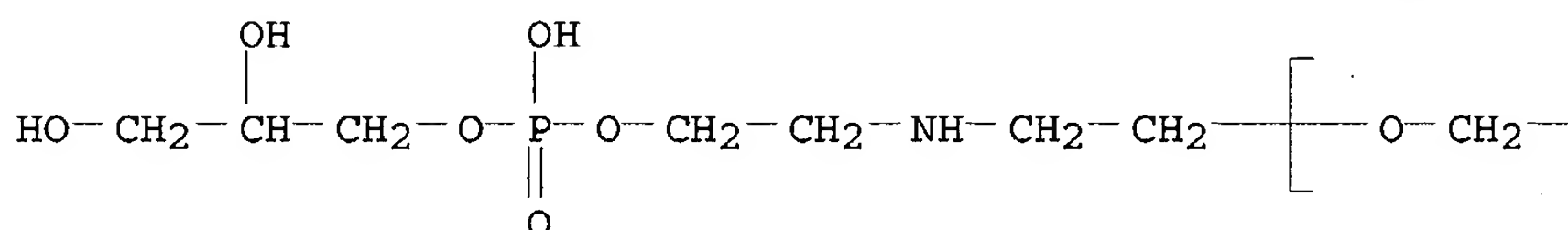


RN	216165-62-7	HCAPLUS
CN	3,5,9-Trioxa-4-phosphapentacosan-1-aminium, 4-ethoxy-N,N,N-trimethyl-10-oxo-7-[(1-oxohexadecyl)oxy]-, 4-oxide (9CI) (CA INDEX NAME)	

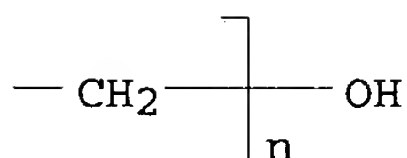


RN. 321975-96-6 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α -(7,10,11-trihydroxy-7-oxido-6,8-dioxa-3-aza-7-phosphaundec-1-yl)- ω -hydroxy- (9CI) (CA INDEX NAME)

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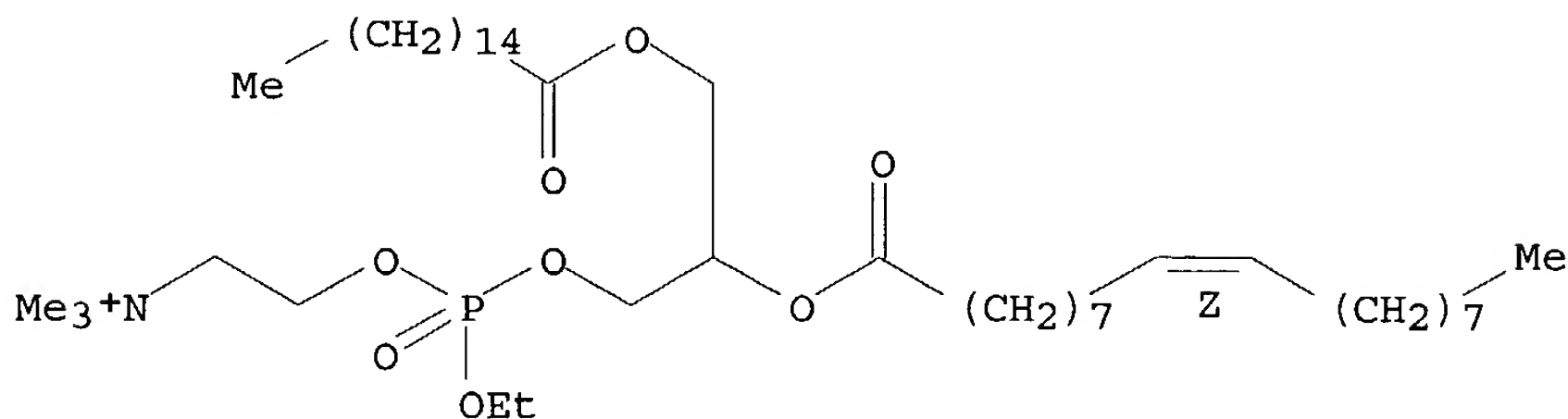


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RN	331942-29-1	HCAPLUS
CN	3,5,8-Trioxa-4-phosphahexacos-17-en-1-aminium, 4-ethoxy-N,N,N-trimethyl-9-oxo-7-[[(1-oxohexadecyl)oxy]methyl]-, 4-oxide, (17Z)- (9CI) (CA INDEX NAME)	

Double bond geometry as shown.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:63802 HCAPLUS
 DOCUMENT NUMBER: 134:136683
 TITLE: **Vinyl ether lipids with cleavable hydrophilic headgroups**
 INVENTOR(S): Thompson, David H.; Boomer, Jeremy A.; Haynes, Robert
 PATENT ASSIGNEE(S): Purdue Research Foundation, USA
 SOURCE: PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005375	A1	20010125	WO 2000-US19430	20000717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1202714	A1	20020508	EP 2000-947445	20000717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			US 1999-144301P	P 19990716
			US 1999-146552P	P 19990730
			WO 2000-US19430	W 20000717

OTHER SOURCE(S): MARPAT 134:136683

AB A novel **amphiphilic** lipid compound having a **cleavable, vinyl ether** linked **hydrophilic** headgroup is described. Also described are **liposomes** containing the **vinyl ether lipid** compound, which may be triggered to release their contents and/or permeabilize or fuse with target lipid membranes. The **cleavable vinyl ether** linkage allows the **hydrophilic** headgroup to be dissociated from the **hydrophobic** tail group(s) of the lipid compound to facilitate phase transitions in the lipid bilayer. Thus, 4-O-cholesteryl-(3Z-buten-1yl)-polyethylene glycolate (I) was prepared by the reaction of cholestoxy-3Z-buten-1-ol with M-PEG-acid. Pharmaceutical **liposomes** comprising 1,2-dioleoyl-sn-glycerophosphoethanolamine:I (98:2) and calcein were prepared. Calcein release at pH = 4.5 and 7.4 in the presence and absence of egg phosphatidylcholine was studied.

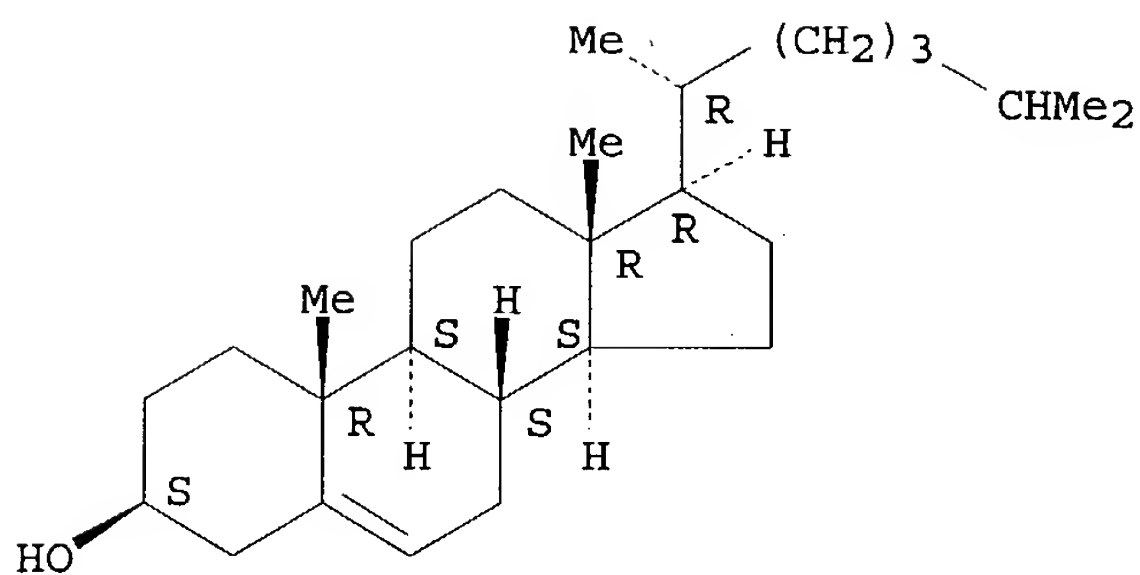
IT 57-88-5, **Cholesterol**, reactions 110-63-4, 1,4
 Butanediol, reactions 814-49-3, Chlorodiethylphosphate
 4004-05-1 18162-48-6, tert-Butyldimethylsilyl chloride
 22323-82-6 67665-18-3 87184-99-4
 259738-67-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (vinyl ether lipids with
 cleavable hydrophilic headgroups)

RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β)- (9CI) (CA INDEX NAME)

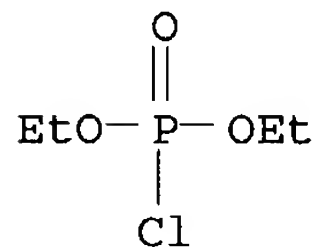
Absolute stereochemistry.



RN 110-63-4 HCAPLUS
 CN 1,4-Butanediol (8CI, 9CI) (CA INDEX NAME)

HO-(CH₂)₄-OH

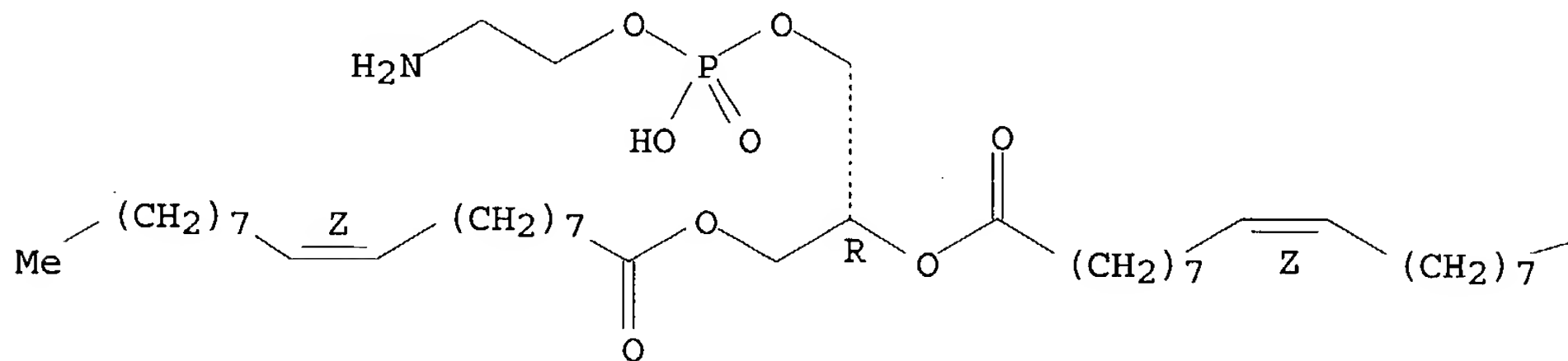
RN 814-49-3 HCAPLUS
 CN Phosphorochloridic acid, diethyl ester (8CI, 9CI) (CA INDEX NAME)



RN 4004-05-1 HCAPLUS
 CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

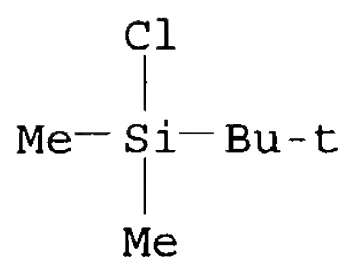
PAGE 1-A



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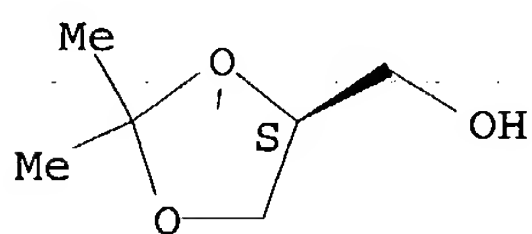
Me

RN 18162-48-6 HCAPLUS
 CN Silane, chloro(1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

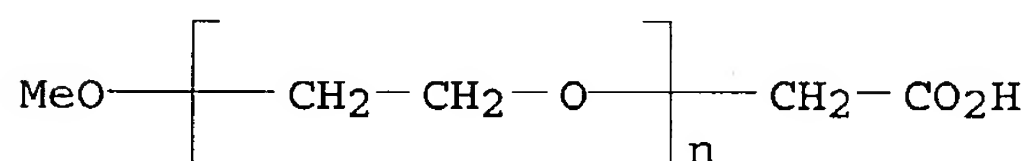


RN 22323-82-6 HCAPLUS
 CN 1,3-Dioxolane-4-methanol, 2,2-dimethyl-, (4S)- (9CI) (CA INDEX NAME)

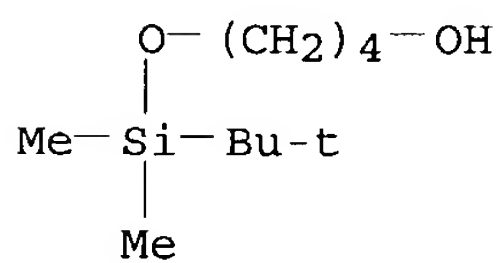
Absolute stereochemistry. Rotation (+).



RN 67665-18-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -(carboxymethyl)- ω -methoxy- (9CI)
 (CA INDEX NAME)

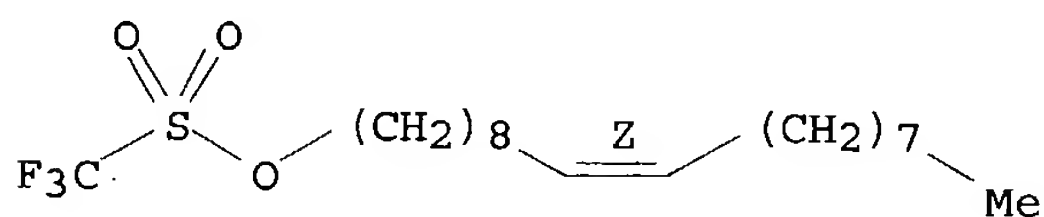


RN 87184-99-4 HCAPLUS
 CN 1-Butanol, 4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]- (9CI) (CA INDEX NAME)



RN 259738-67-5 HCAPLUS
 CN Methanesulfonic acid, trifluoro-, (9Z)-9-octadecenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 6076-41-1P 69171-62-6P 85951-08-2P
 99605-29-5P 321674-31-1P 321674-32-2P

321674-33-3P 321674-34-4P 321674-36-6P
 321674-37-7P 321674-38-8P 321674-39-9P
 321674-40-2P 321674-42-4P

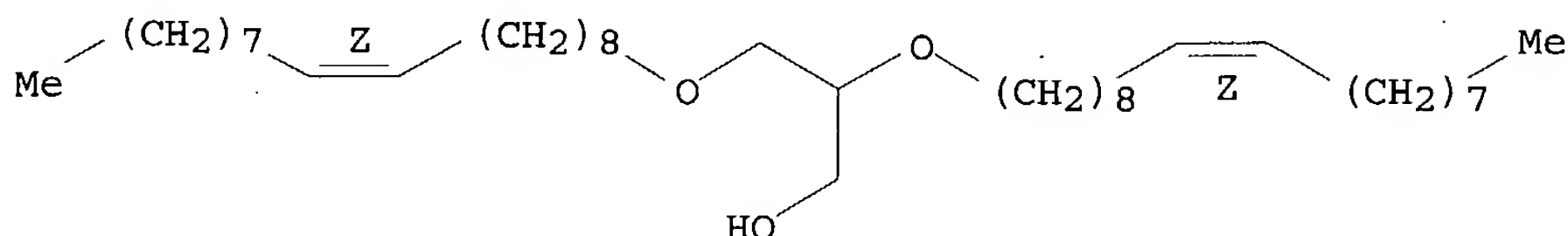
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(vinyl ether lipids with
 cleavable hydrophilic headgroups)

RN 6076-41-1 HCAPLUS

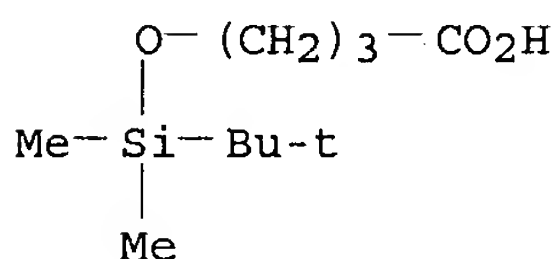
CN 1-Propanol, 2,3-bis[(9Z)-9-octadecenyl]oxy - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



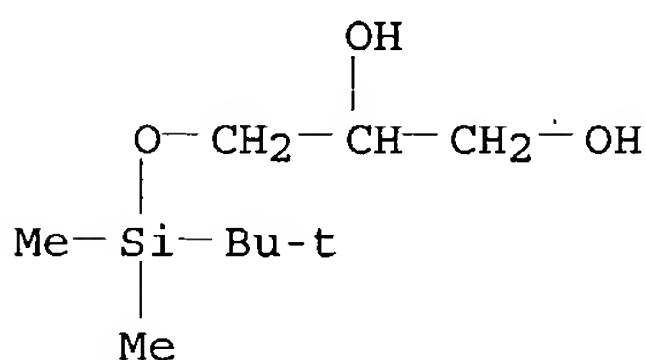
RN 69171-62-6 HCAPLUS

CN Butanoic acid, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy] - (9CI) (CA INDEX NAME)



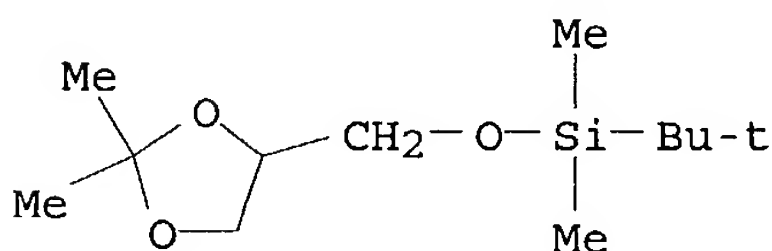
RN 85951-08-2 HCAPLUS

CN 1,2-Propanediol, 3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy] - (9CI) (CA INDEX NAME)



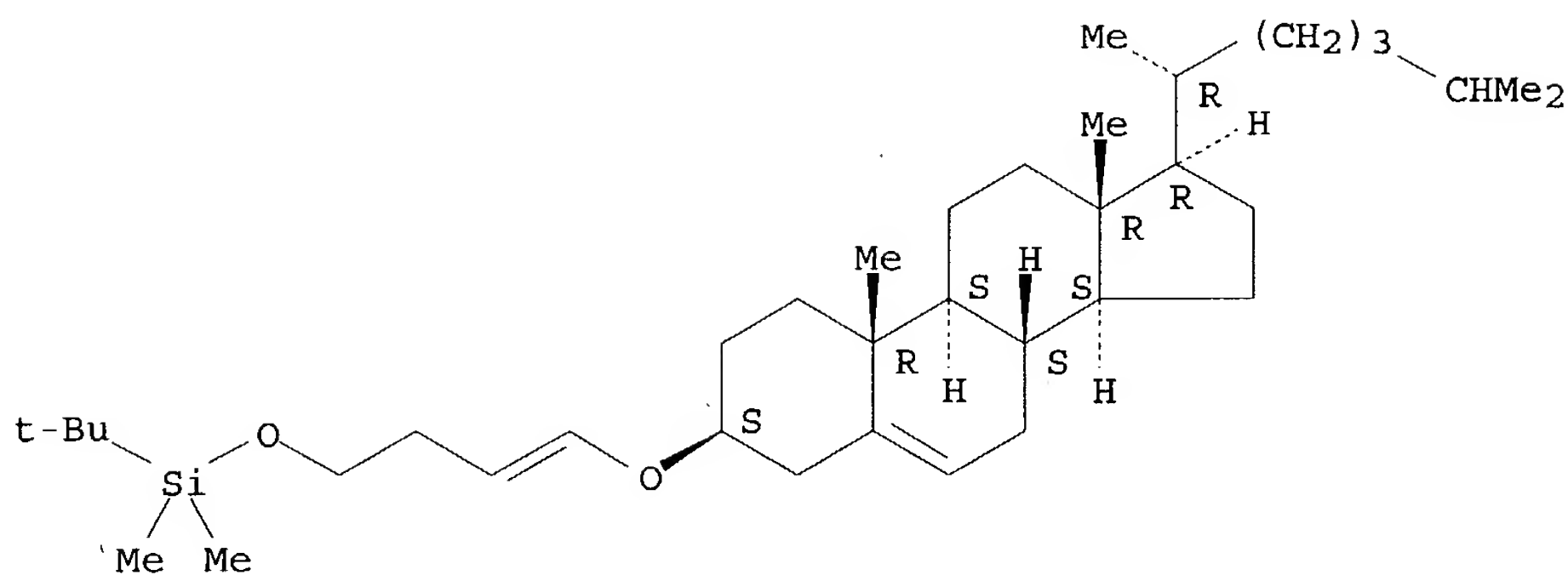
RN 99605-29-5 HCAPLUS

CN Silane, [(2,2-dimethyl-1,3-dioxolan-4-yl)methoxy](1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)



RN 321674-31-1 HCAPLUS

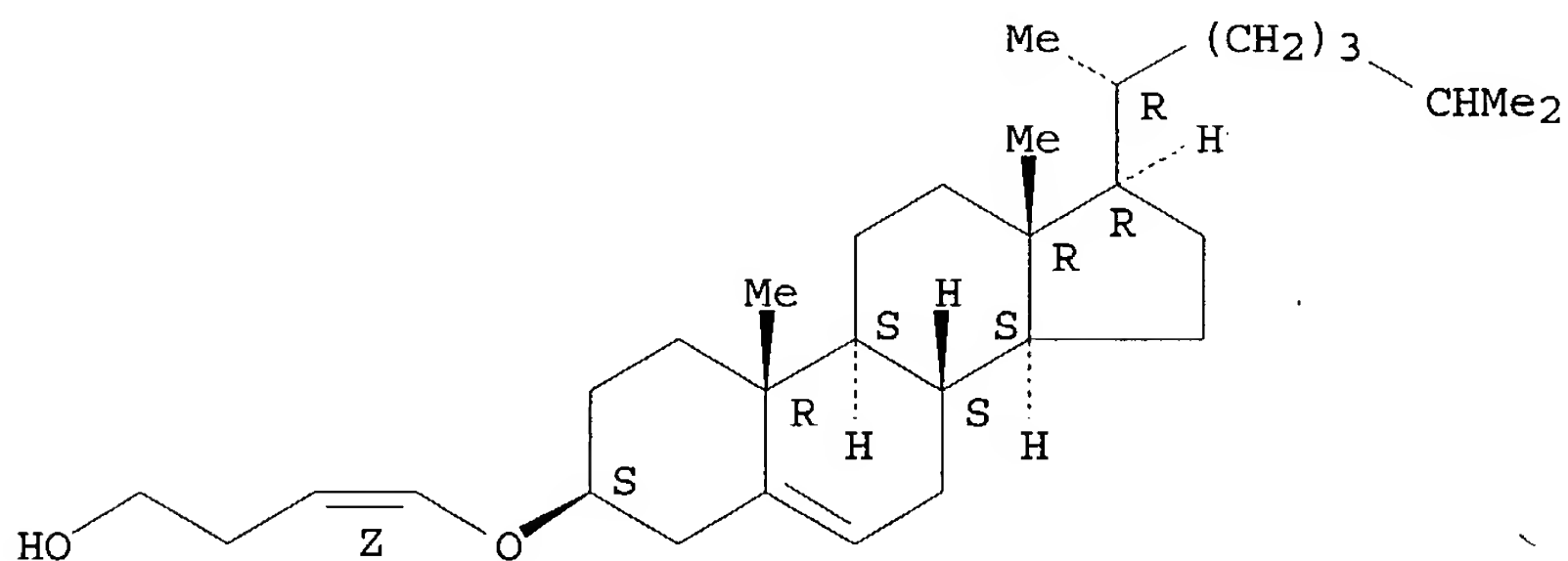
CN Cholest-5-en-3-ol (3β)-, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]butanoate (9CI) (CA INDEX NAME)



RN 321674-34-4 HCAPLUS

CN 3-Buten-1-ol, 4-[(3 β)-cholest-5-en-3-yloxy]-, (3Z)- (9CI) (CA INDEX NAME)

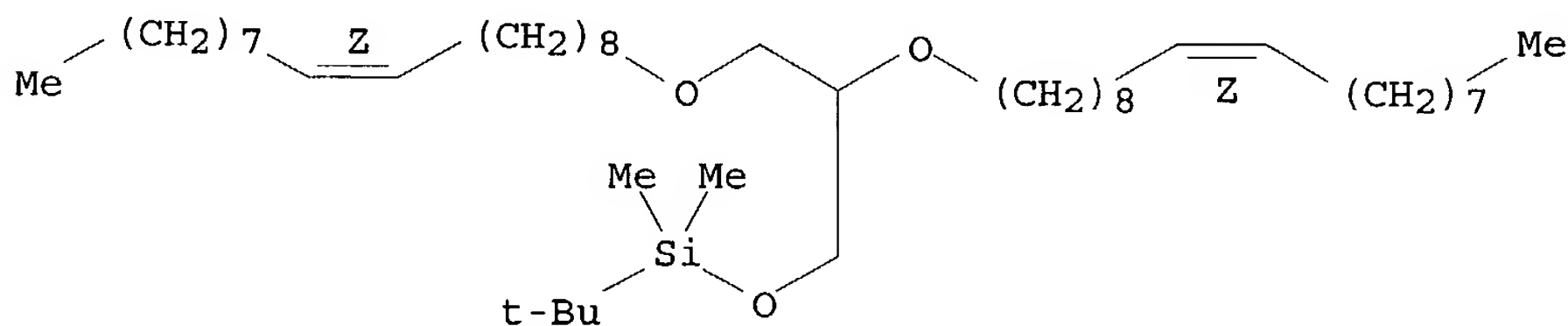
Absolute stereochemistry.
Double bond geometry as shown.



RN 321674-36-6 HCAPLUS

CN Silane, [2,3-bis[(9Z)-9-octadecenyloxy]propoxy](1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

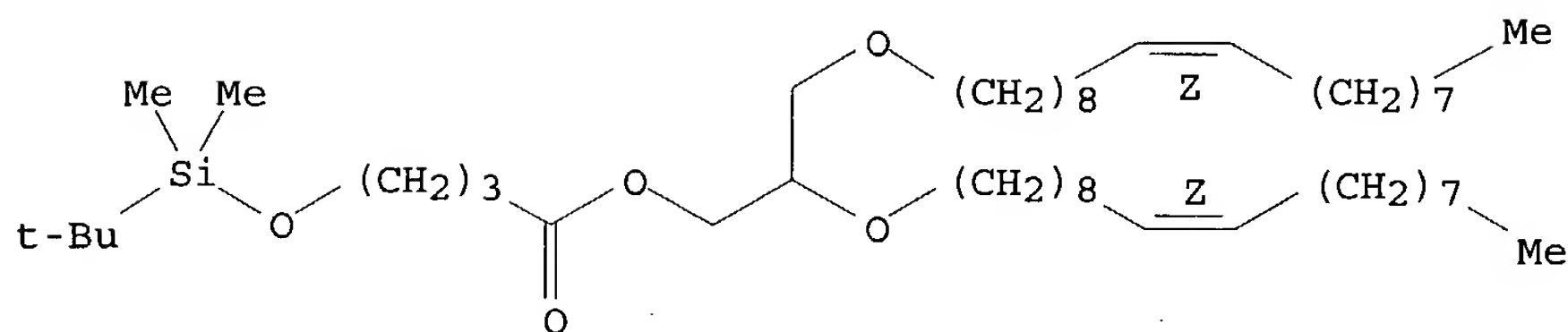
Double bond geometry as shown.



RN 321674-37-7 HCAPLUS

CN Butanoic acid, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 2,3-bis[(9Z)-9-octadecenyloxy]propyl ester (9CI) (CA INDEX NAME)

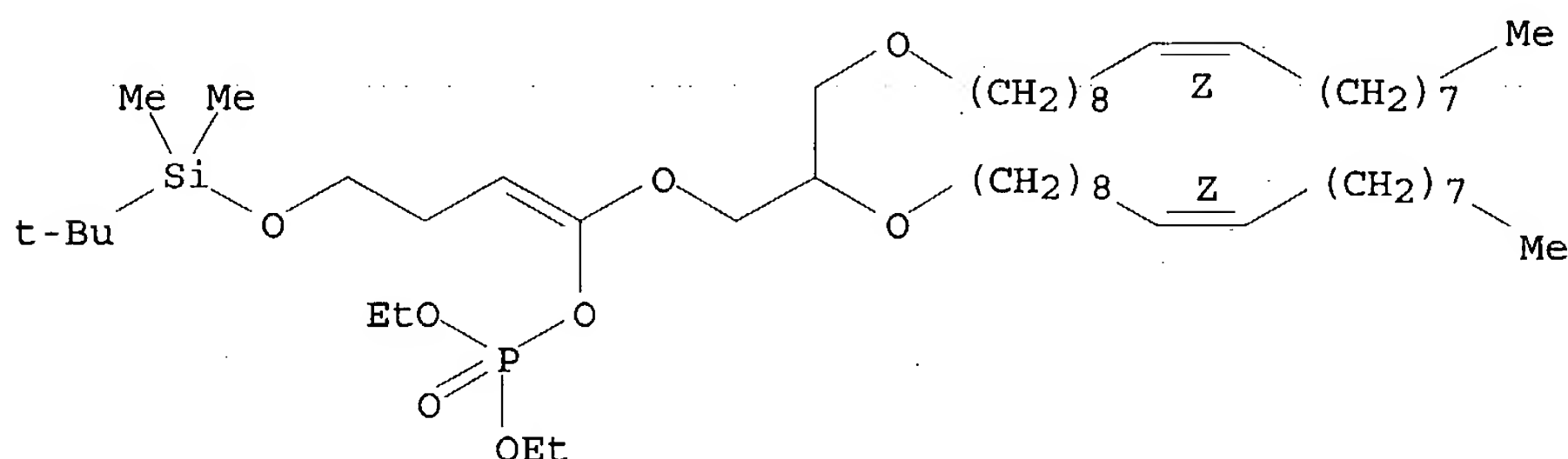
Double bond geometry as shown.



RN 321674-38-8 HCAPLUS

CN Phosphoric acid, 1-[2,3-bis[(9Z)-9-octadecenyl]propoxy]-4-[[[1,1-dimethylethyl]dimethylsilyl]oxy]-1-butenyl diethyl ester (9CI) (CA INDEX NAME)

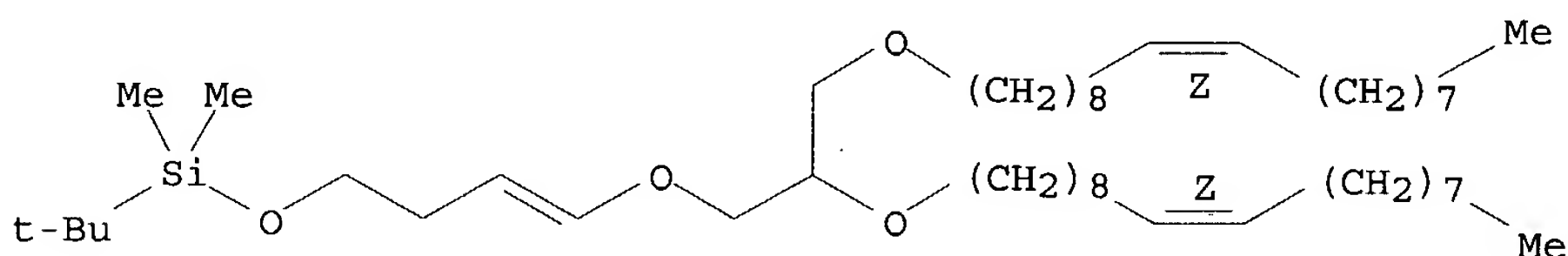
Double bond geometry as described by E or Z.



RN 321674-39-9 HCAPLUS

CN 4,9,13-Trioxa-3-silahentriaconta-7,22-diene, 2,2,3,3-tetramethyl-11-[(9Z)-9-octadecenyl]-, (22Z)- (9CI) (CA INDEX NAME)

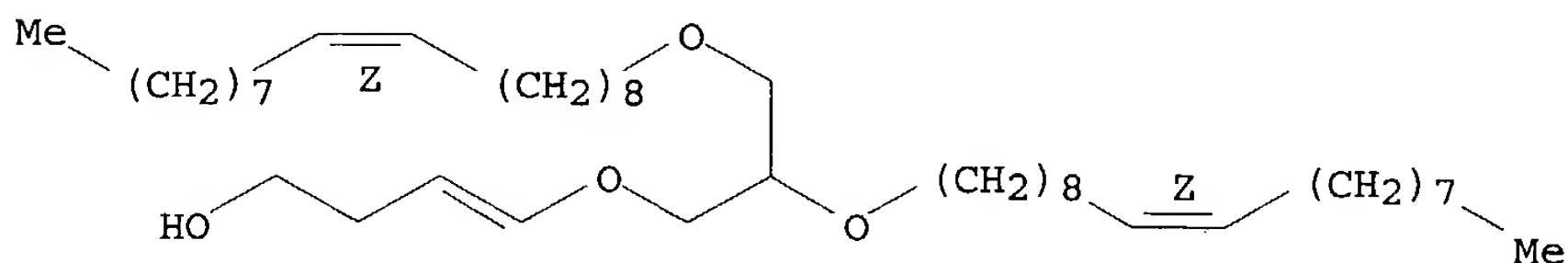
Double bond geometry as described by E or Z.



RN 321674-40-2 HCAPLUS

CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl]propoxy]- (9CI) (CA INDEX NAME)

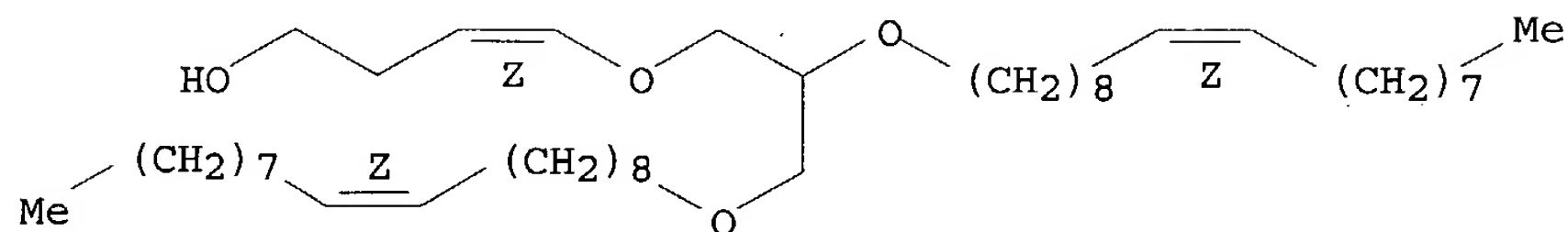
Double bond geometry as described by E or Z.



RN 321674-42-4 HCAPLUS

CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl]propoxy]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 237056-02-9P 321674-35-5P 321674-41-3P

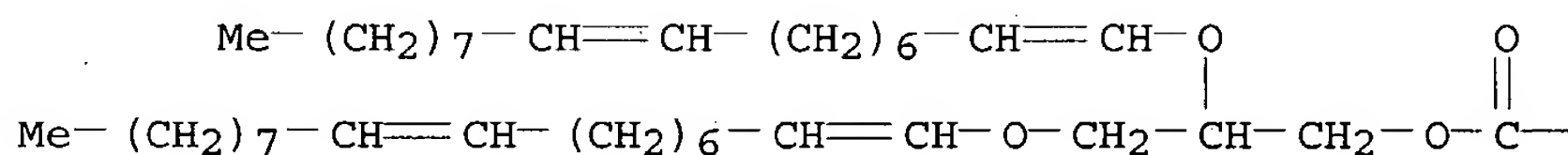
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)

(vinyl ether lipids with
cleavable hydrophilic headgroups)

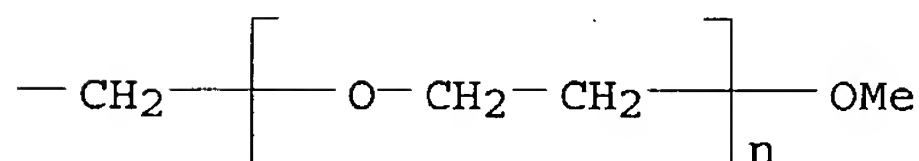
RN 237056-02-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(2R)-2,3-bis[(1Z,9Z)-1,9-octadecadienyloxy]propoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



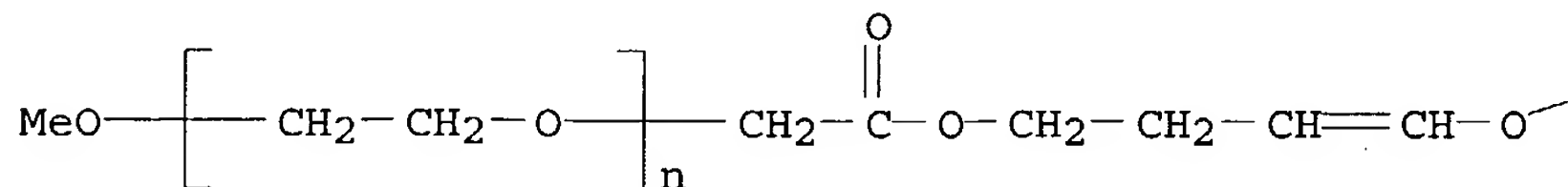
PAGE 1-B



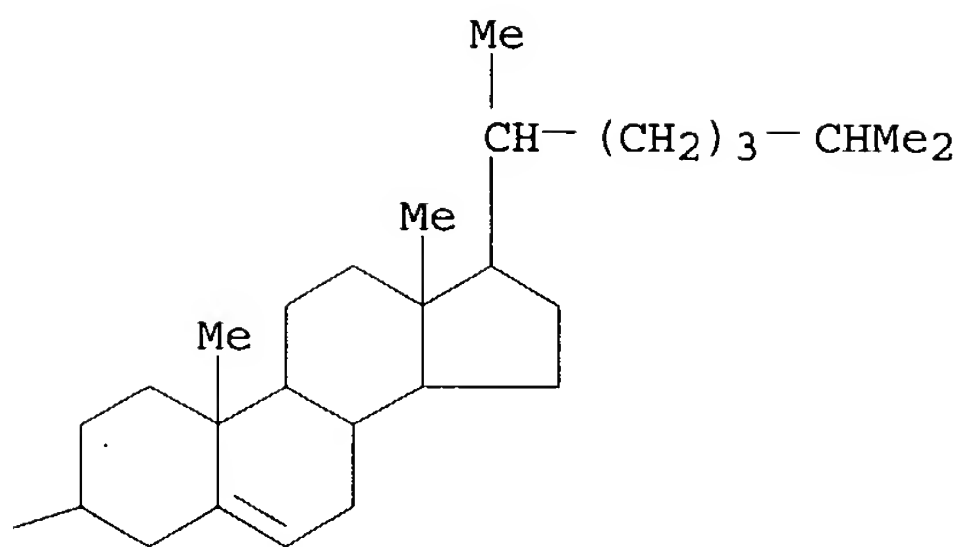
RN 321674-35-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3Z)-4-[(3 β)-cholest-5-en-3-yloxy]butoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

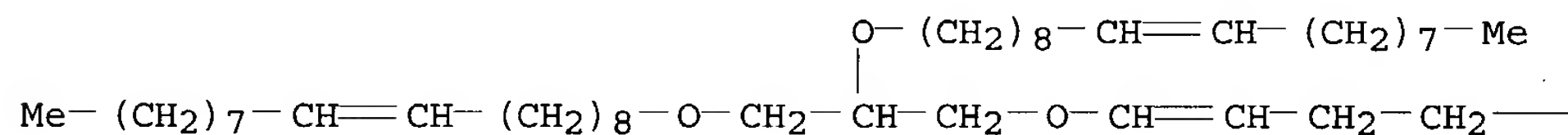


PAGE 1-B

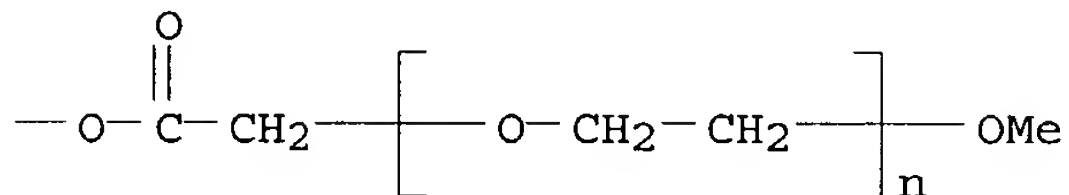


RN 321674-41-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3Z)-4-[2,3-bis[(9Z)-9-octadecenyl]oxy]propoxy]-3-butenyl]oxy]-2-oxoethyl]- ω -methoxy- (9CI)
 (CA INDEX NAME)

PAGE 1-A

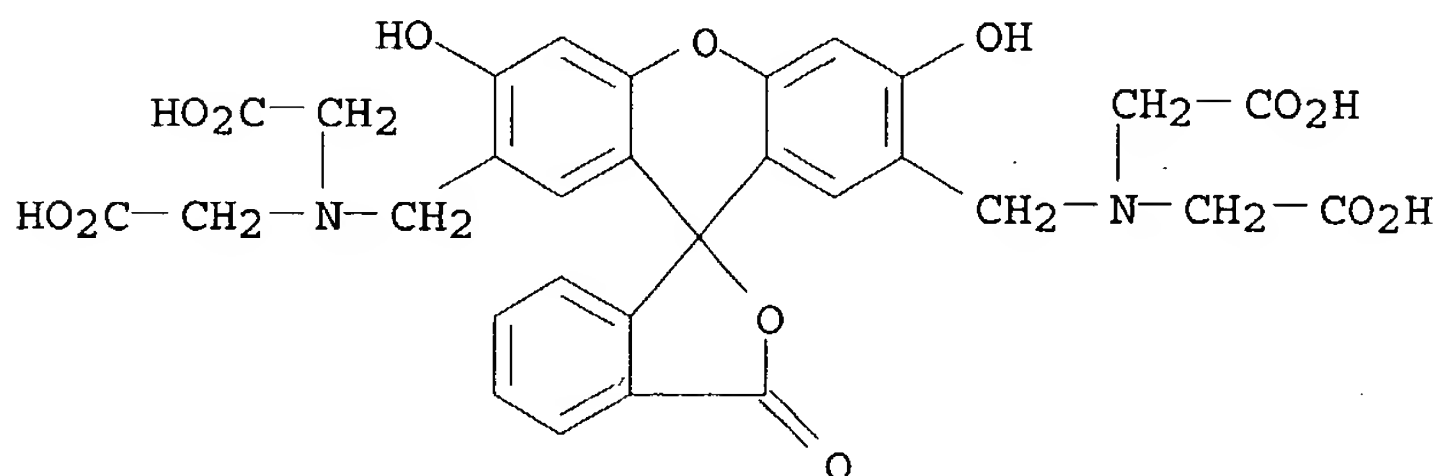


PAGE 1-B



IT 1461-15-0, Calcein
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (vinyl ether lipids with
 cleavable hydrophilic headgroups)

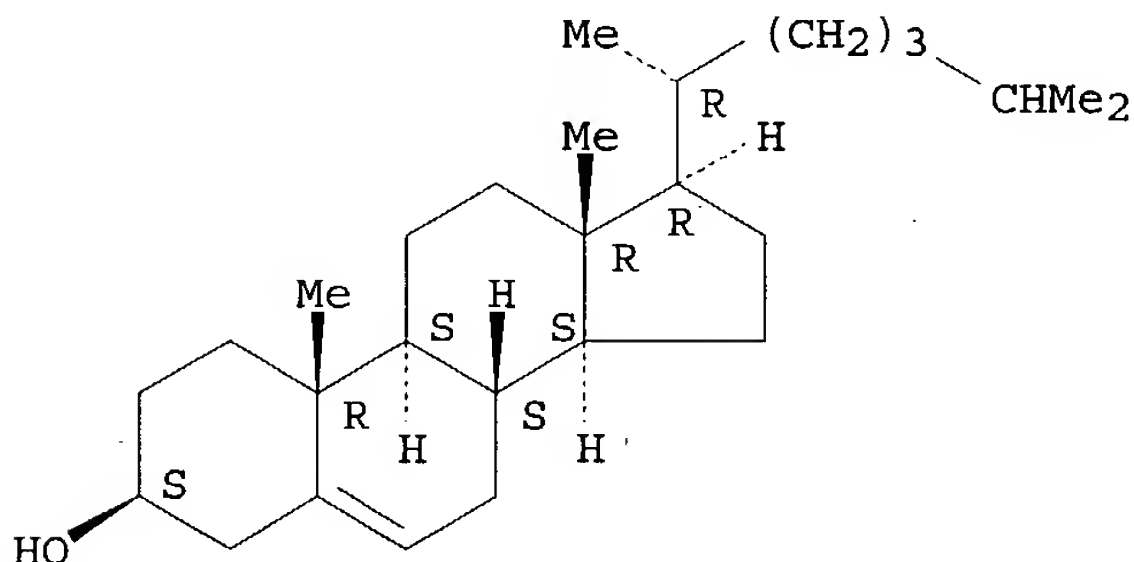
RN 1461-15-0 HCAPLUS
 CN Glycine, N,N'-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthene]-2',7'-diyl)bis(methylene)]bis[N-(carboxymethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:672064 HCAPLUS
 DOCUMENT NUMBER: 134:271162
 TITLE: Polymeric **vesicle** prepared from
 poly-L-lysine modified **amphiphilic** graft
 copolymer
 AUTHOR(S): Wang, W.; Tetley, L.; Uchegbu, I. F.
 CORPORATE SOURCE: Department of Pharmaceutical Sciences, Strathclyde
 Institute for Biomedical Sciences, University of
 Strathclyde, Glasgow, G4 0NR, UK
 SOURCE: Proceedings of the International Symposium on
 Controlled Release of Bioactive Materials (2000),
 27th, 367-368
 CODEN: PCRMEY; ISSN: 1022-0178
 PUBLISHER: Controlled Release Society, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Polymer unilamellar **vesicles** are produced from a polylysine
 based graft copolymer with a defined level of hydrophobic and
hydrophilic modification. The size of these **vesicles**
 may be controlled by controlling the initial mol. weight of the polylysine
 parent and the **vesicle** encapsulation efficiency for a
hydrophilic macromol. could be increased by maintaining a high
 level of unreacted lysine units in this polymer.
 IT 57-88-5, **Cholesterol**, processes 127829-97-4,
 Solulan C 24
 RL: PEP (Physical, engineering or chemical process); PROC (Process)
 (polymeric **vesicle** prepared from poly-L-lysine modified
amphiphilic graft copolymer)
 RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

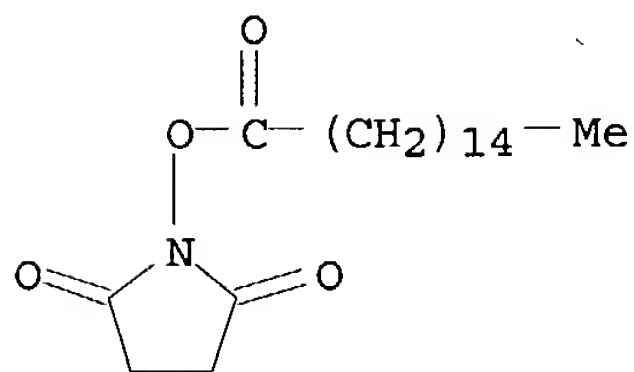


RN 127829-97-4 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[(9Z)-1-oxo-9-octadecenyl]- ω -
 hydroxy-, mixt. with ethoxylated C16-18-alcs. (9CI) (CA INDEX NAME)

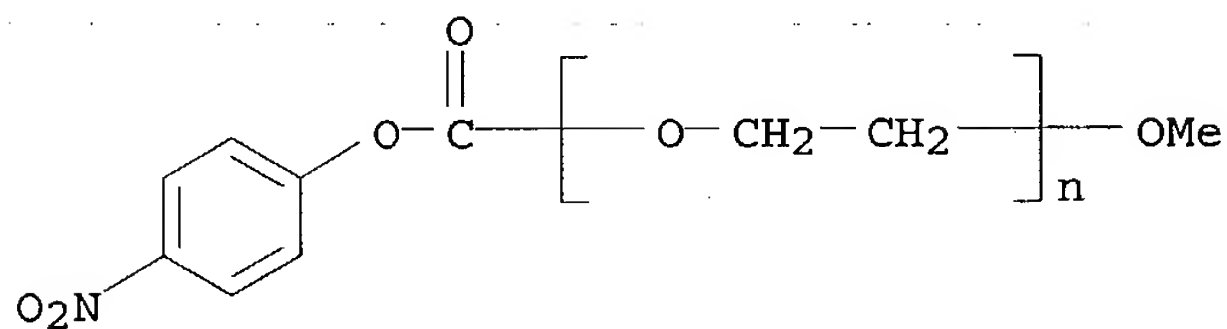
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 14464-31-4DP, reaction products with polylysine and PEG derivative
 124661-64-9DP, reaction products with polylysine and palmitic acid
 derivative 143073-46-5DP, palmitamide derivs.
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
 PREP (Preparation); PROC (Process); USES (Uses)
 (polymeric **vesicle** prepared from poly-L-lysine modified
amphiphilic graft copolymer)

RN 14464-31-4 HCAPLUS
 CN 2,5-Pyrrolidinedione, 1-[(1-oxohexadecyl)oxy]- (9CI) (CA INDEX NAME)



RN 124661-64-9 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[(4-nitrophenoxy)carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)



RN 143073-46-5 HCAPLUS
 CN L-Lysine, polymer with oxirane, graft (9CI) (CA INDEX NAME)

CM 1

CRN 75-21-8

CMF C2 H4 O

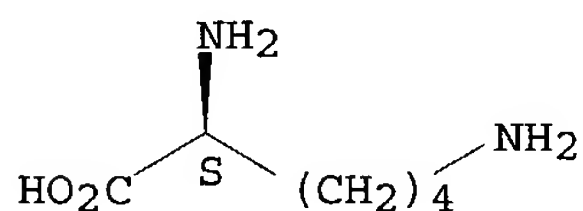


CM 2

CRN 56-87-1

CMF C6 H14 N2 O2

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:26992 HCAPLUS
 DOCUMENT NUMBER: 132:194548

TITLE: Synthesis of **cholesterol** derivatives with amino acid as **hydrophilic** group and the **vesicles** prepared therefrom

AUTHOR(S): Li, Zi Chen; Jin, Wei; Li, Fu Mian

CORPORATE SOURCE: College of Chemistry, Peking University, Beijing, 100871, Peop. Rep. China

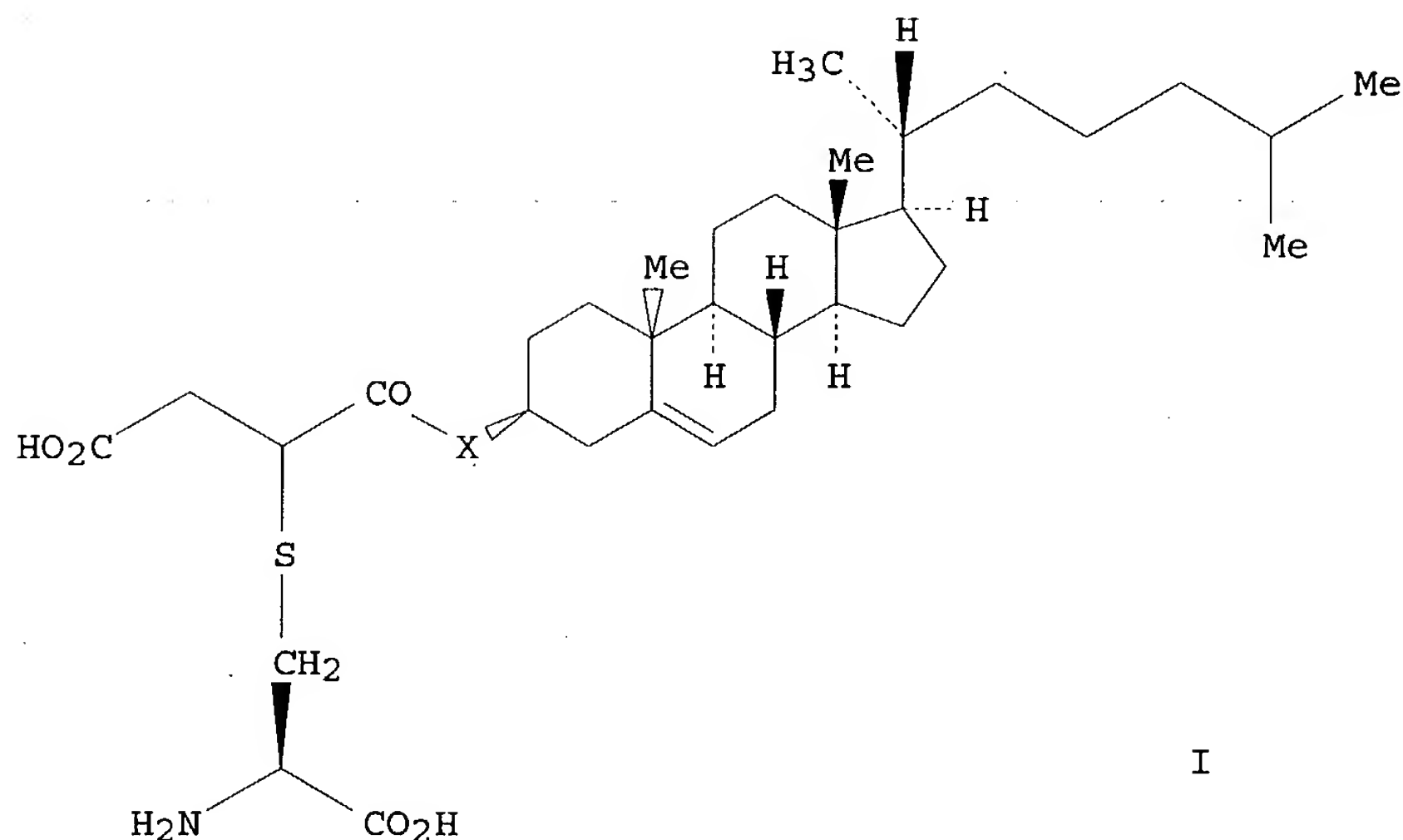
SOURCE: Chinese Chemical Letters (1999), 10(12), 1007-1010
CODEN: CCLEE7; ISSN: 1001-8417

PUBLISHER: Chinese Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

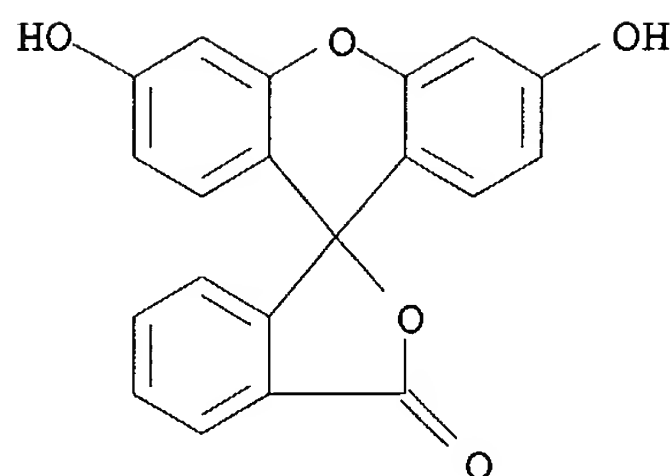


AB Three single chain **amphiphilic cholesterol** derivs. with amino acid as **hydrophilic** groups (I) [X = O, O(CH₂)₂₀, O(CH₂)₄] were synthesized. When they were hydrated in water all formed lamellae structures as evidenced by DSC measurement. The lamellae states of I [X = O(CH₂)₂₀, O(CH₂)₄₀] can be converted to **vesicles** upon ultrasonication of the diluted aqueous solns. The **vesicles** showed very slow release rate of the encapsulated water-soluble dyes, indicating that they are stable and belong to the least permeable **vesicles**.

IT 72088-94-9, 5(6)-Carboxyfluorescein
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(encapsulation by **vesicles** prepared from **cholesterol** derivs. with **hydrophilic** amino acid group by ultrasonication)

RN 72088-94-9 HCAPLUS

CN Spiro[isobenzofuran-1(3H),9'-[9H]xanthene]-ar-carboxylic acid, 3',6'-dihydroxy-3-oxo- (9CI) (CA INDEX NAME)

D1-CO₂H

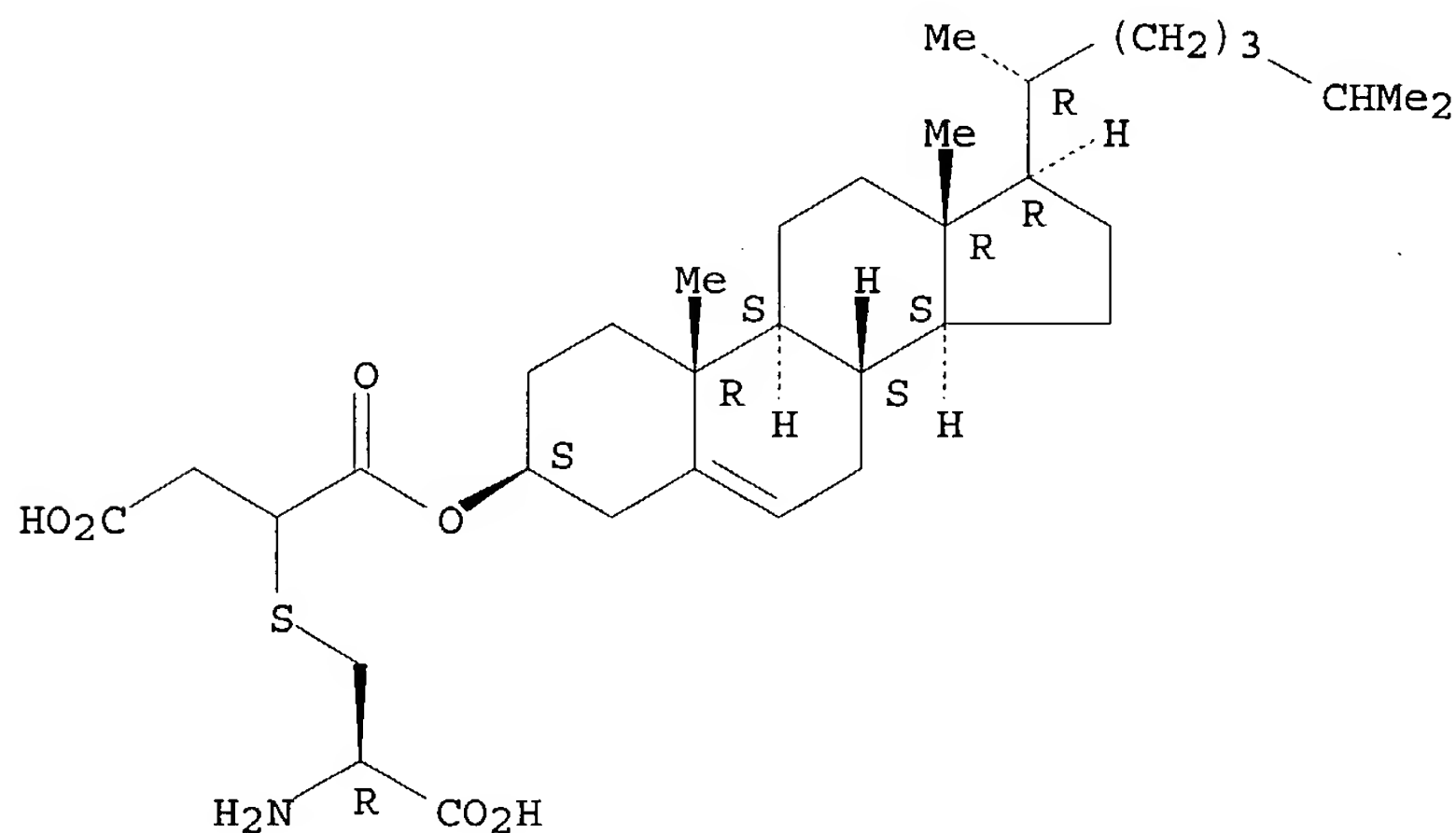
IT 260256-88-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of **cholesterol** derivs. with amino acid as
hydrophilic group and the **vesicles** prepared therefrom)

RN 260256-88-0 HCAPLUS

CN Cholest-5-en-3-ol (3 β)-, 4-hydrogen 2-[[(2R)-2-amino-2-carboxyethyl]thio]butanedioate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



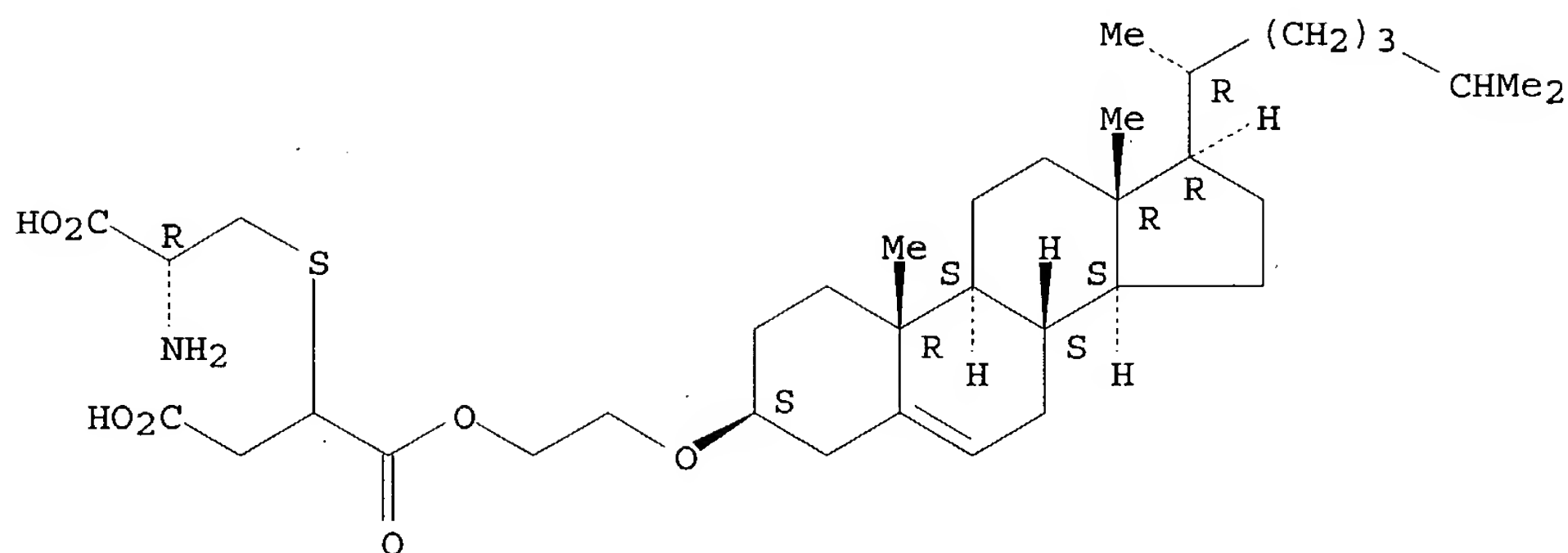
IT 260256-89-1P 260256-90-4P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of **cholesterol** derivs. with **hydrophilic**
 amino acid group and the **vesicles** prepared therefrom)

RN 260256-89-1 HCAPLUS

CN Butanedioic acid, [[(2R)-2-amino-2-carboxyethyl]thio]-,
 1-[2-[(3 β)-cholest-5-en-3-yloxy]ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

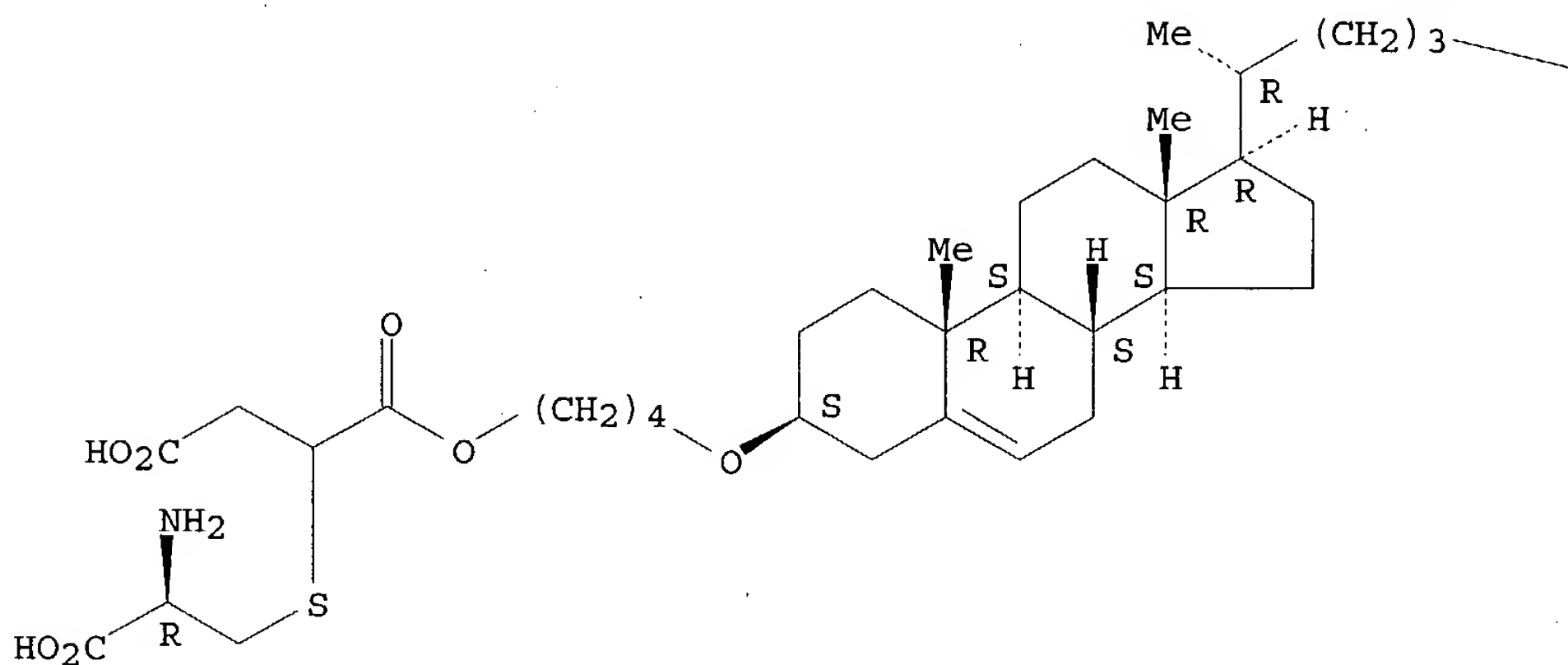


RN 260256-90-4 HCAPLUS

CN Butanedioic acid, [[(2R)-2-amino-2-carboxyethyl]thio]-,
1-[4-[(3β)-cholest-5-en-3-yloxy]butyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

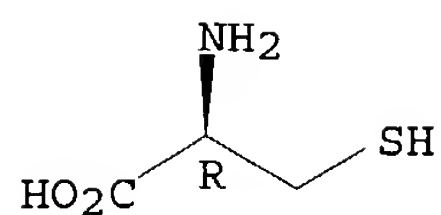
CHMe₂

IT 52-89-1, Cysteine hydrochloride 57-88-5,
Cholesterol, reactions 108-31-6, 2,5-Furandione,
reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of **cholesterol** derivs. with **hydrophilic**
amino acid group and the **vesicles** prepared therefrom)

RN 52-89-1 HCAPLUS

CN L-Cysteine, hydrochloride (9CI) (CA INDEX NAME)

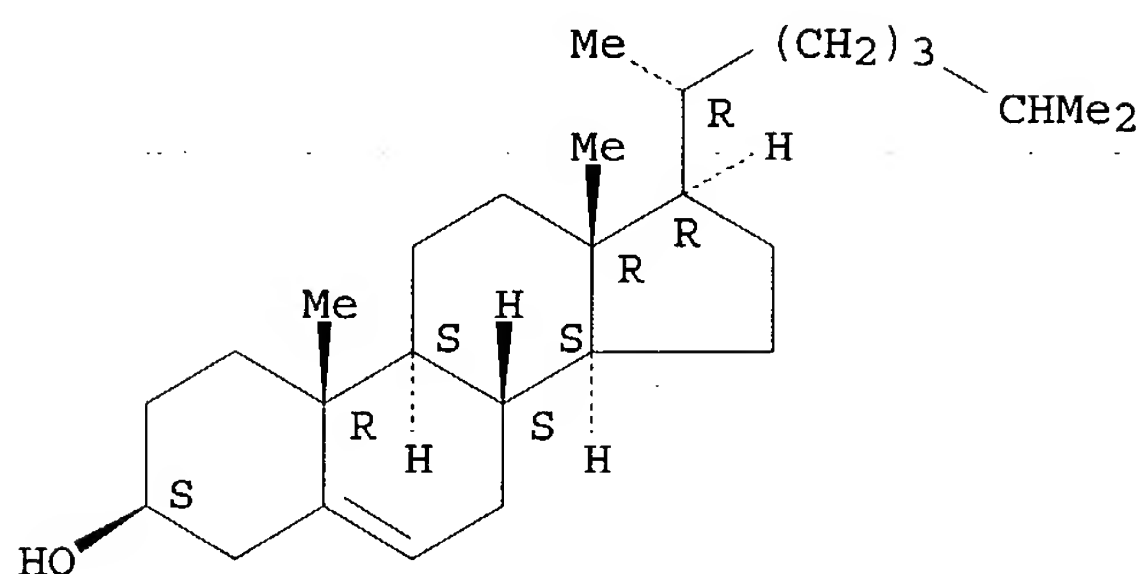
Absolute stereochemistry.



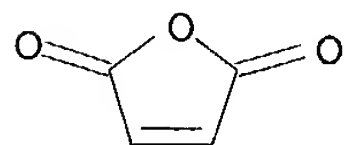
● HCl

RN 57-88-5 HCAPLUS
CN Cholest-5-en-3-ol (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 108-31-6 HCAPLUS
CN 2,5-Furandione (9CI) (CA INDEX NAME)

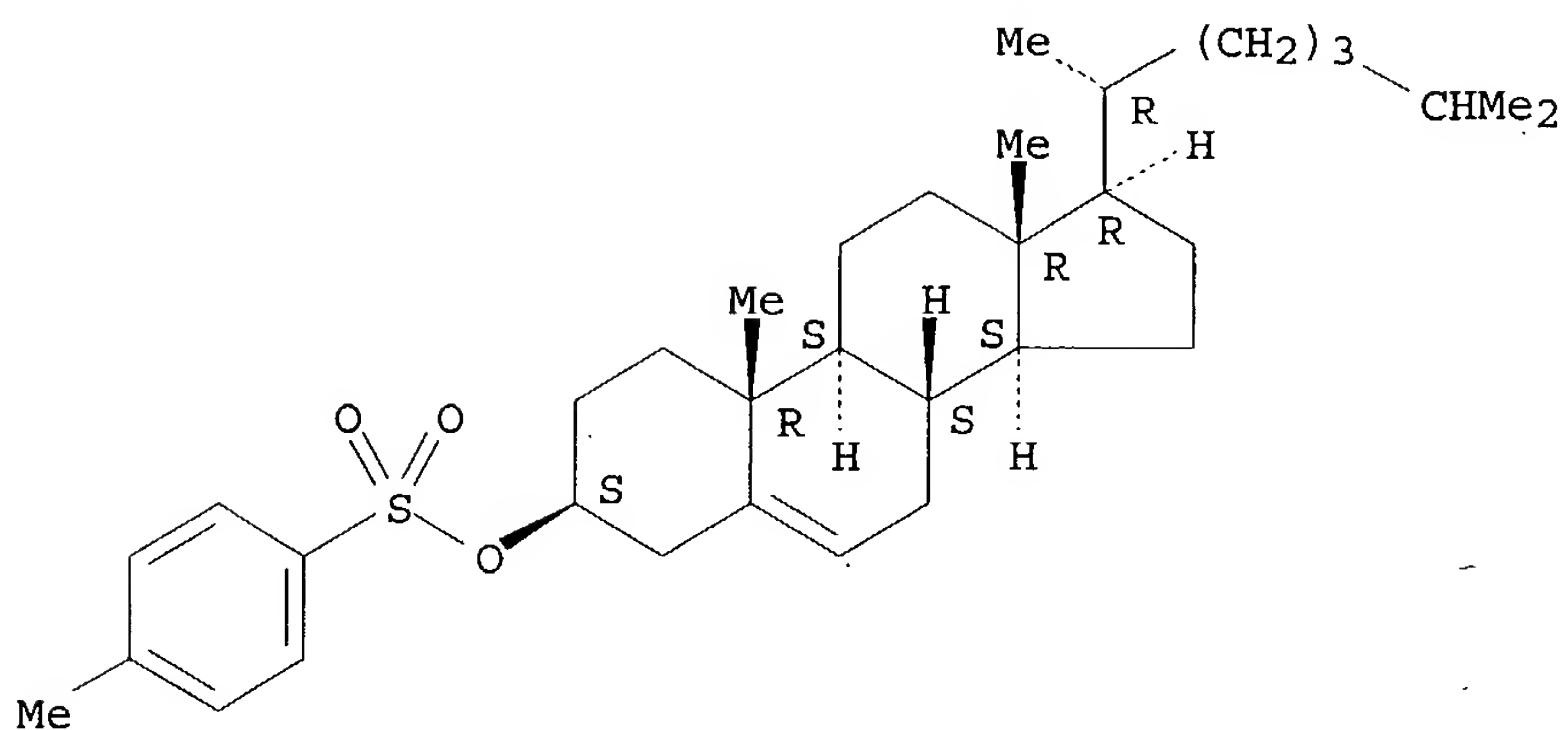


IT 1182-65-6P 30788-35-3P 260256-91-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(synthesis of **cholesterol** derivs. with **hydrophilic**
amino acid group and the **vesicles** prepared therefrom)

RN 1182-65-6 HCAPLUS
CN Cholest-5-en-3-ol (3β)-, 4-methylbenzenesulfonate (9CI) (CA INDEX
NAME)

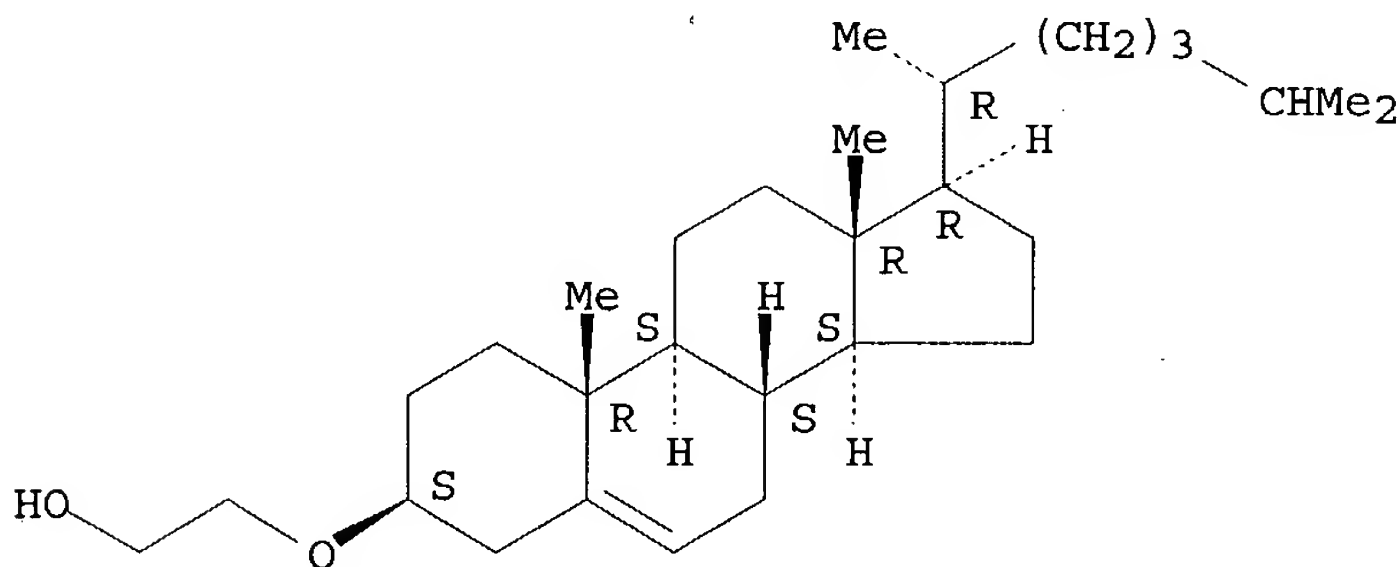
Absolute stereochemistry.



RN 30788-35-3 HCAPLUS

CN Ethanol, 2-[[(3β)-cholest-5-en-3-yl]oxy]- (9CI) (CA INDEX NAME)

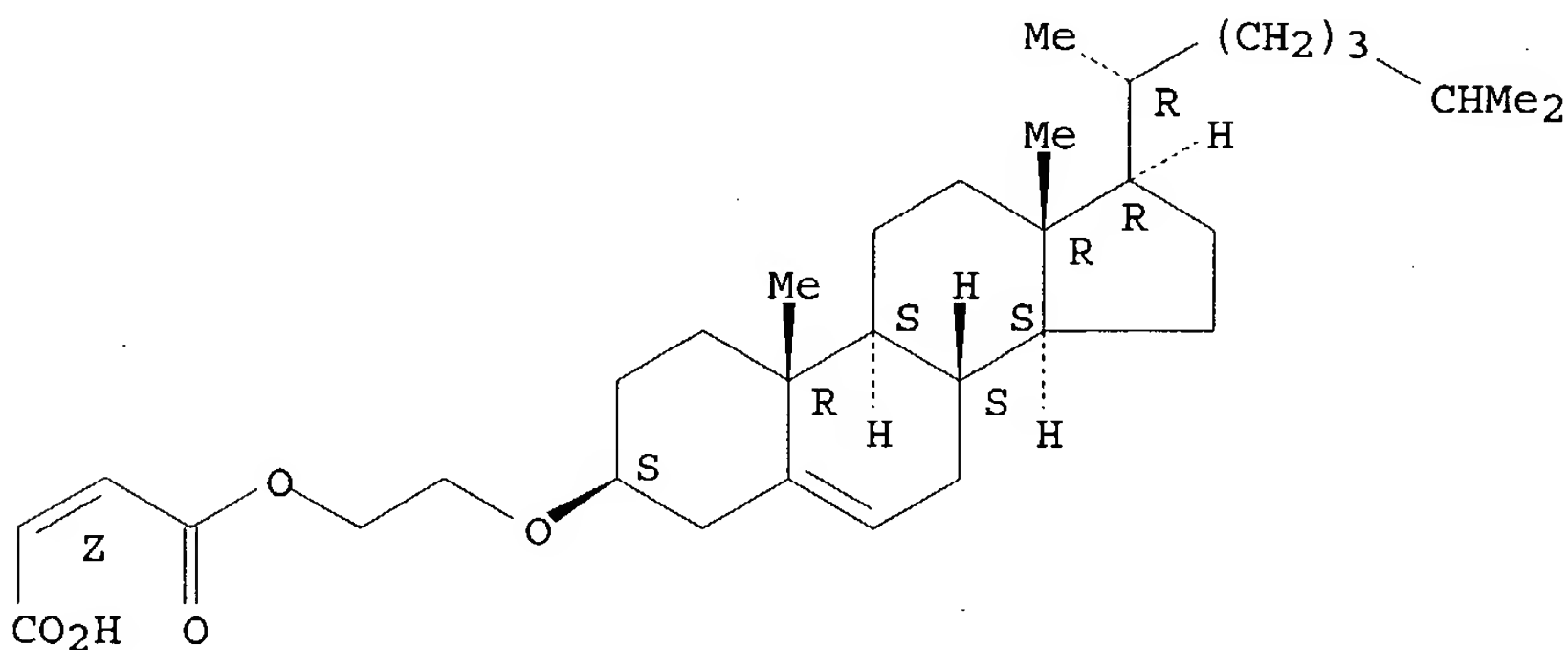
Absolute stereochemistry. Rotation (-).



RN 260256-91-5 HCAPLUS

CN 2-Butenedioic acid (2Z)-, mono[2-[(3β)-cholest-5-en-3-yl]oxy]ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:736953 HCAPLUS
 DOCUMENT NUMBER: 131:333010
 TITLE: Preparation of protein-linked lipidic microparticles with improved shelf-life using polycation-induced condensation
 INVENTOR(S): Papahadjopoulos, Demetrios; Hong, Keelung; Zheng, Weiwen; Kirpotin, Dmitri
 PATENT ASSIGNEE(S): The Regents of the University of California, USA
 SOURCE: PCT Int. Appl., 76 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9958694	A1	19991118	WO 1999-US10375	19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6210707	B1	20010403	US 1998-76618	19980512
CA 2330741	AA	19991118	CA 1999-2330741	19990511
AU 9939834	A1	19991129	AU 1999-39834	19990511
AU 770111	B2	20040212		
EP 1078079	A1	20010228	EP 1999-922950	19990511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002514432	T2	20020521	JP 2000-548485	19990511
PRIORITY APPLN. INFO.:			US 1998-76618	A 19980512
			US 1996-30578P	P 19961112
			US 1997-967791	A2 19971110
			WO 1999-US10375	W 19990511

AB The present invention provides for lipid:nucleic acid complexes that have increased shelf life and high transfection activity in vivo following i.v. injection, and methods of preparing such complexes. The methods generally involve contacting a nucleic acid with an organic polycation to produce a condensed nucleic acid, and then combining the condensed nucleic acid with a lipid comprising an **amphiphilic** cationic lipid to produce the lipid:nucleic acid complex. This complex can be further stabilized by the addition of a **hydrophilic** polymer attached to hydrophobic side chains. The complex can also be made specific for specific cells by incorporating a targeting moiety such as a Fab' fragment attached to a **hydrophilic** polymer. The present invention further relates to lipidic microparticles with attached proteins which have been first conjugated to linker mols. having a **hydrophilic** polymer domain and a hydrophobic domain capable of stable association with the microparticle, or proteins which have been engineered to contain a **hydrophilic** domain and a lipid moiety permitting stable association with the microparticle.

IT 23214-92-8, Doxil

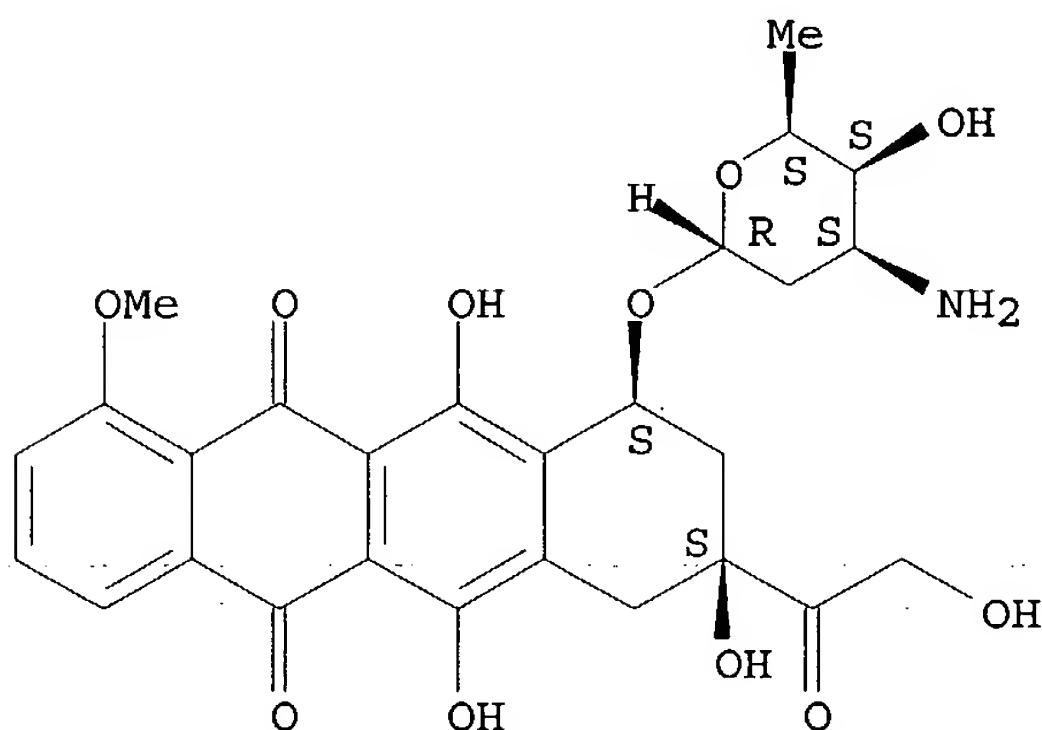
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (delivery of; preparation of protein-linked lipidic microparticles with

improved shelf-life using polycation-induced condensation)

RN 23214-92-8 HCAPLUS

CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 57-88-5, Cholesterol, biological studies 71-44-3

, Spermine 124-20-9, Spermidine 2390-68-3, DDAB

4537-76-2D, Distearoylphosphatidylethanolamine, conjugates with polyethylene glycol-amphipathic lipid 9005-64-5D, conjugates with polyethylene glycol-amphipathic lipid 25322-68-3D, Polyethylene glycol, reaction products with amphipathic lipid and antibody fragments 37758-47-7D, Ganglioside GM1, conjugates with polyethylene glycol-amphipathic lipid

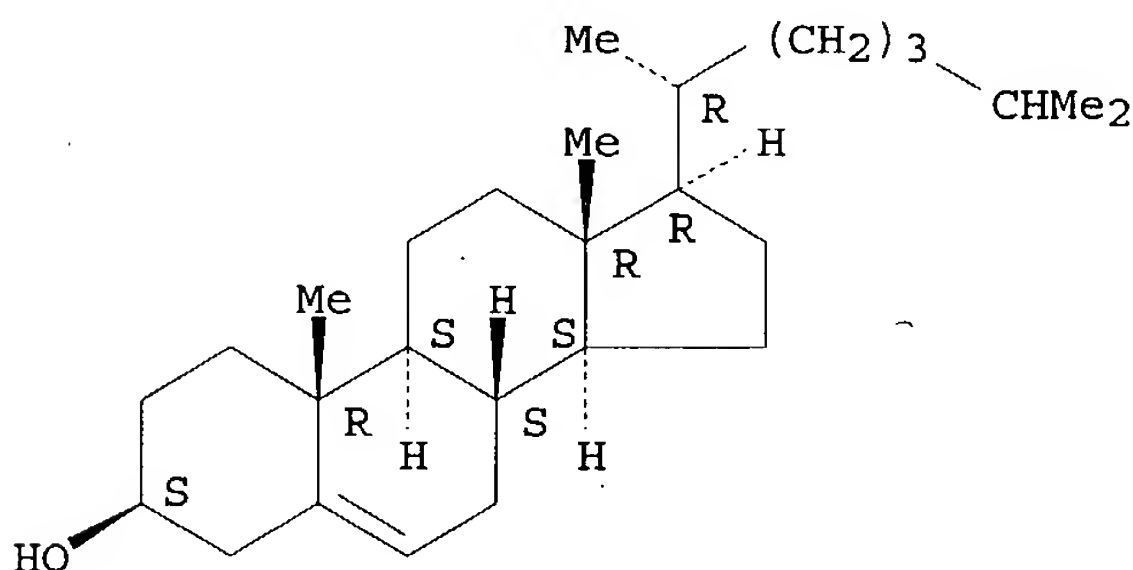
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(preparation of protein-linked lipidic microparticles with improved shelf-life using polycation-induced condensation)

RN 57-88-5 HCAPLUS

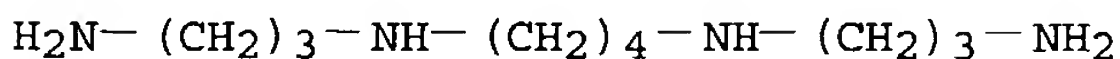
CN Cholest-5-en-3-ol (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 71-44-3 HCAPLUS

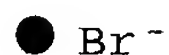
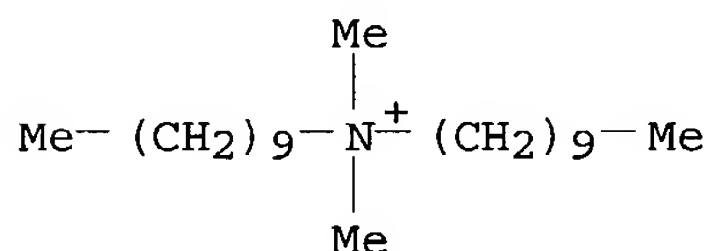
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)- (8CI, 9CI) (CA INDEX NAME)



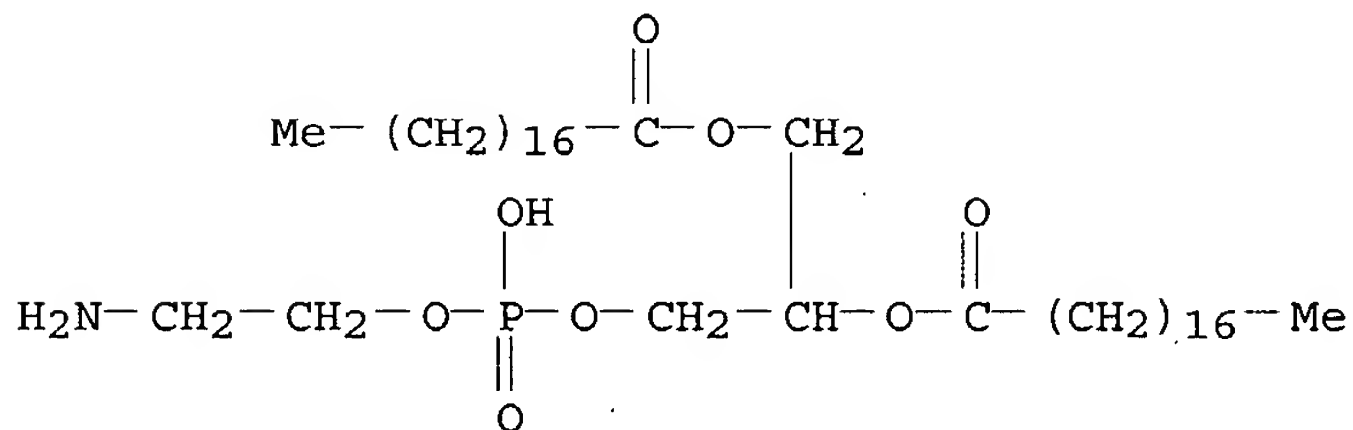
RN 124-20-9 HCAPLUS
 CN 1,4-Butanediamine, N-(3-aminopropyl)- (8CI, 9CI) (CA INDEX NAME)



RN 2390-68-3 HCAPLUS
 CN 1-Decanaminium, N-decyl-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



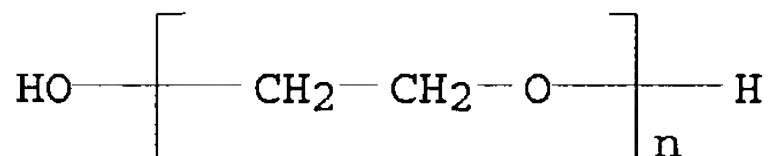
RN 4537-76-2 HCAPLUS
 CN Octadecanoic acid, 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



RN 9005-64-5 HCAPLUS
 CN Sorbitan, monododecanoate, poly(oxy-1,2-ethanediyl) derivs. (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 25322-68-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -hydro- ω -hydroxy- (9CI) (CA INDEX NAME)



RN 37758-47-7 HCAPLUS
 CN Ganglioside GM1 (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:317194 HCAPLUS

DOCUMENT NUMBER: 130:343017

TITLE: Method of inhibiting side effects of pharmaceutical compositions containing **amphiphilic** vehicles or drug carrier molecules

INVENTOR(S): Szebeni, Janos; Alving, Carl R.

PATENT ASSIGNEE(S): Walter Reed Army Institute of Research, USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9922759	A1	19990514	WO 1998-US23280	19981030
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9912978	A1	19990524	AU 1999-12978	19981030
EP 996461	A1	20000503	EP 1998-956455	19981030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 2002136759	A1	20020926	US 1998-183375	19981030
PRIORITY APPLN. INFO.: US 1997-63876P P 19971031				
WO 1998-US23280 W 19981030				

AB Toxicity and other unwanted effects caused by (a) solvents or emulsifiers for pharmaceuticals which contain **amphiphilic** mols. such as polyethoxylated oils or (b) drug vehicles containing **amphiphilic** mols. such as phospholipids are inhibited or prevented by use of a complement inhibitor such as soluble complement receptor type 1. Thus, Cremophor EL (polyethoxylated castor oil) and phospholipid **liposomes**, used in taxol formulations, caused significant complement activation in human serum by both classical and alternative pathways; this effect was potentiated by EtOH in the formulations. Injection of large multilamellar phosphatidylcholine-phosphatidylglycerol-**cholesterol liposomes** or **liposome**-encapsulated Hb into pigs induced pulmonary hypertension and a large increase in plasma level of TXB2 (the stable metabolite of TXA2); these effects were inhibited by murine anti-porcine complement C5a antibody GS1 (1.6 mg/kg), recombinant soluble complement receptor type 1 (0.2 or 2 mg/kg), or the cyclooxygenase inhibitor, indomethacin (5 mg/kg).

IT 80295-54-1, Complement C5a

RL: BSU (Biological study, unclassified); BIOL (Biological study) (antibodies to; method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)

RN 80295-54-1 HCAPLUS

CN Complement C5a (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 53-86-1, Indomethacin

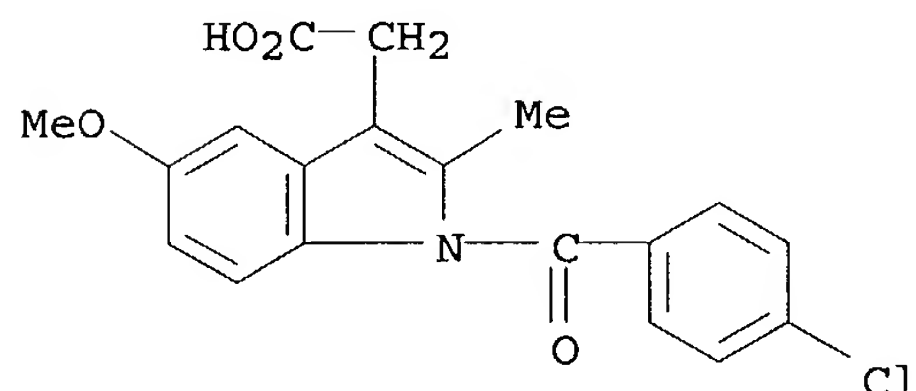
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antihypertensive; method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)

RN 53-86-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)



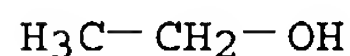
IT 64-17-5, Ethanol, biological studies

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(complement activation by Cremophor EL potentiation by; method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)

RN 64-17-5 HCAPLUS

CN Ethanol (9CI) (CA INDEX NAME)



IT 37259-58-8, Serine esterase 80295-33-6, Complement C1q

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)

RN 37259-58-8 HCAPLUS

CN Proteinase, serine (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 80295-33-6 HCAPLUS

CN Complement C1q (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 57-88-5, Cholest-5-en-3-ol (3 β)-, biological studies

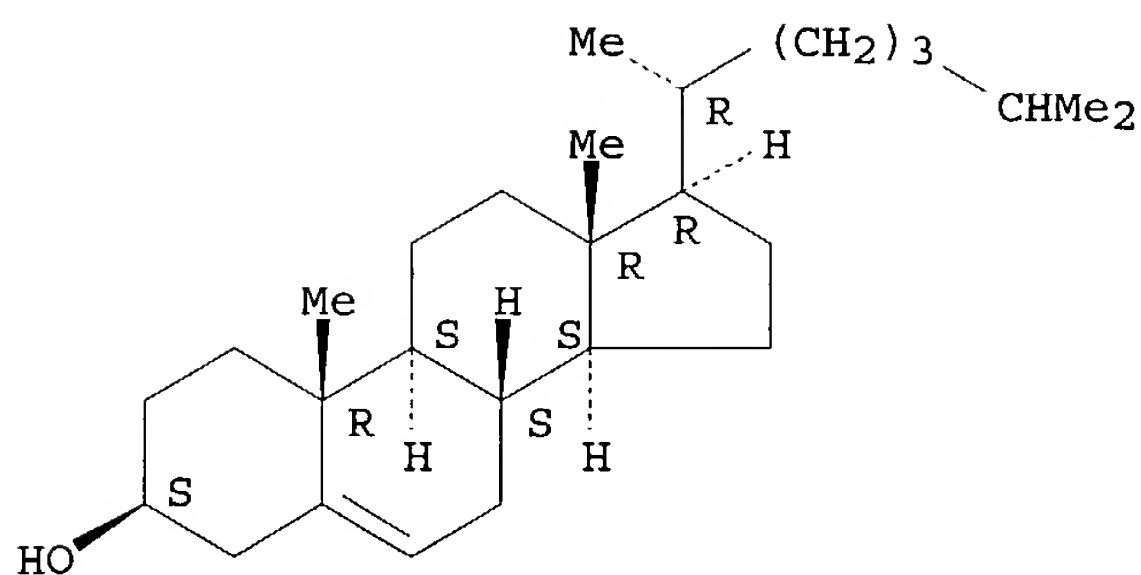
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**liposomes** containing phospholipids and; method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)

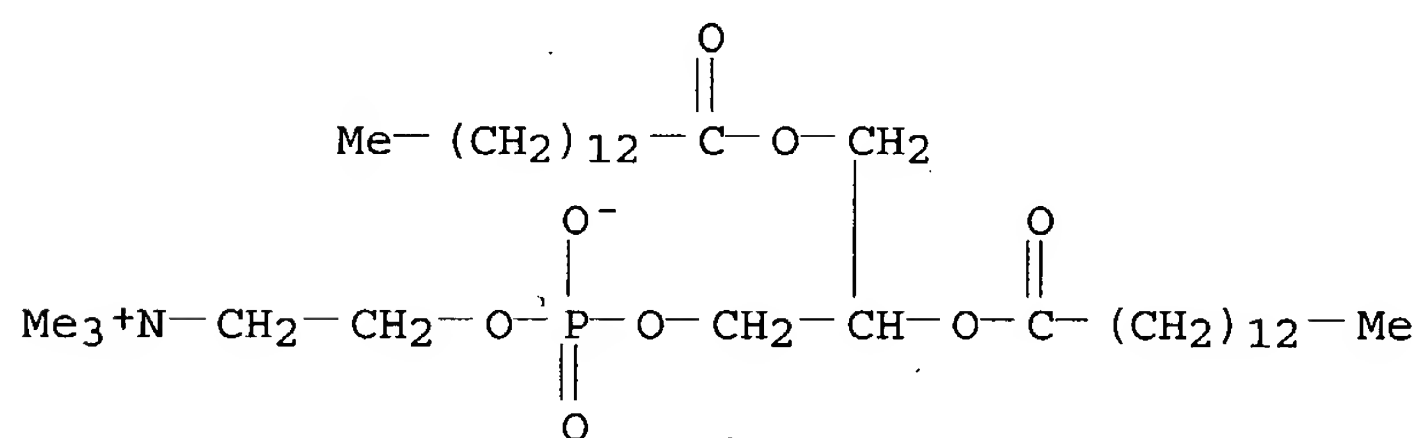
RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β)- (9CI) (CA INDEX NAME)

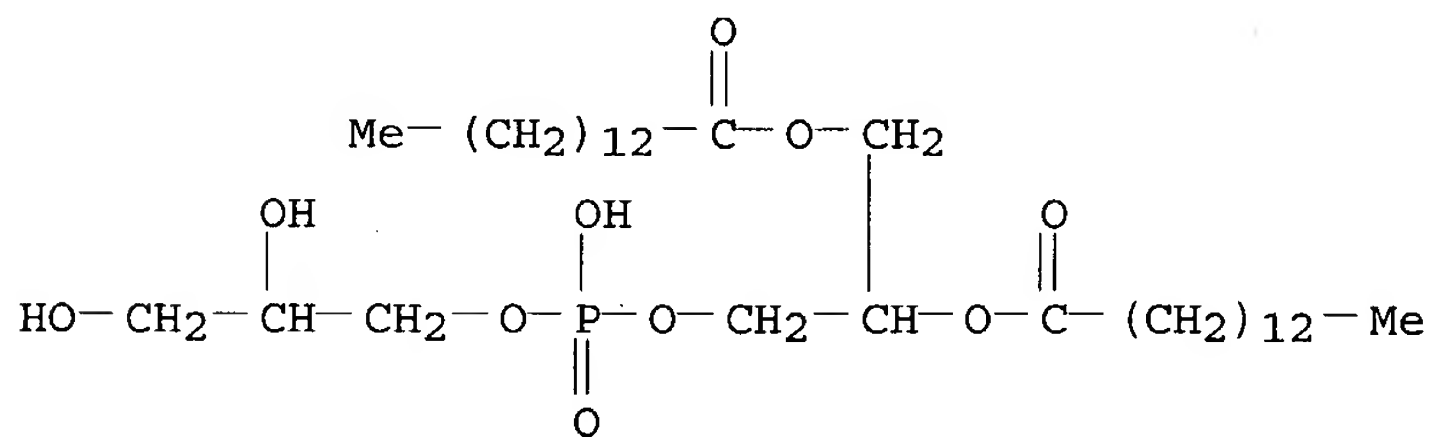
Absolute stereochemistry.



IT 18656-38-7 61361-72-6, Dimyristoylphosphatidylglycerol
 RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (liposomes containing; method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)
 RN 18656-38-7 HCAPLUS
 CN 3,5,9-Trioxa-4-phosphatricosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-10-oxo-7-[(1-oxotetradecyl)oxy]-, inner salt, 4-oxide (9CI) (CA INDEX NAME)



RN 61361-72-6 HCAPLUS
 CN Tetradecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



IT 39279-69-1, Cremophor
 RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)
 RN 39279-69-1 HCAPLUS
 CN Cremophor (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

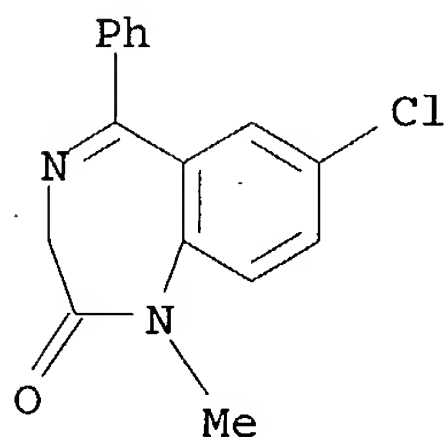
IT 439-14-5, Diazepam 512-64-1, Echinomycin
 1397-89-3, Amphotericin B 1421-14-3 8067-82-1,
 Althesin 20830-81-3, Daunorubicin 23214-92-8,
 Doxorubicin 29767-20-2, Teniposide 33069-62-4, Taxol
 59865-13-3, Cyclosporin A 69598-75-0, Complestatin
 71117-22-1, K-76COOH 80295-41-6D, Complement C3, peptide
 analogs of carboxy-terminal portion of 80295-65-4, Complement
 factor H 80295-66-5, Complement factor I 97230-31-4,
 Didemnin E 99085-47-9, Complement decay-accelerating factor
 114977-28-5, Docetaxel

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)

(method of inhibiting side effects of pharmaceutical compns. containing
amphiphilic vehicles or drug carrier mols.)

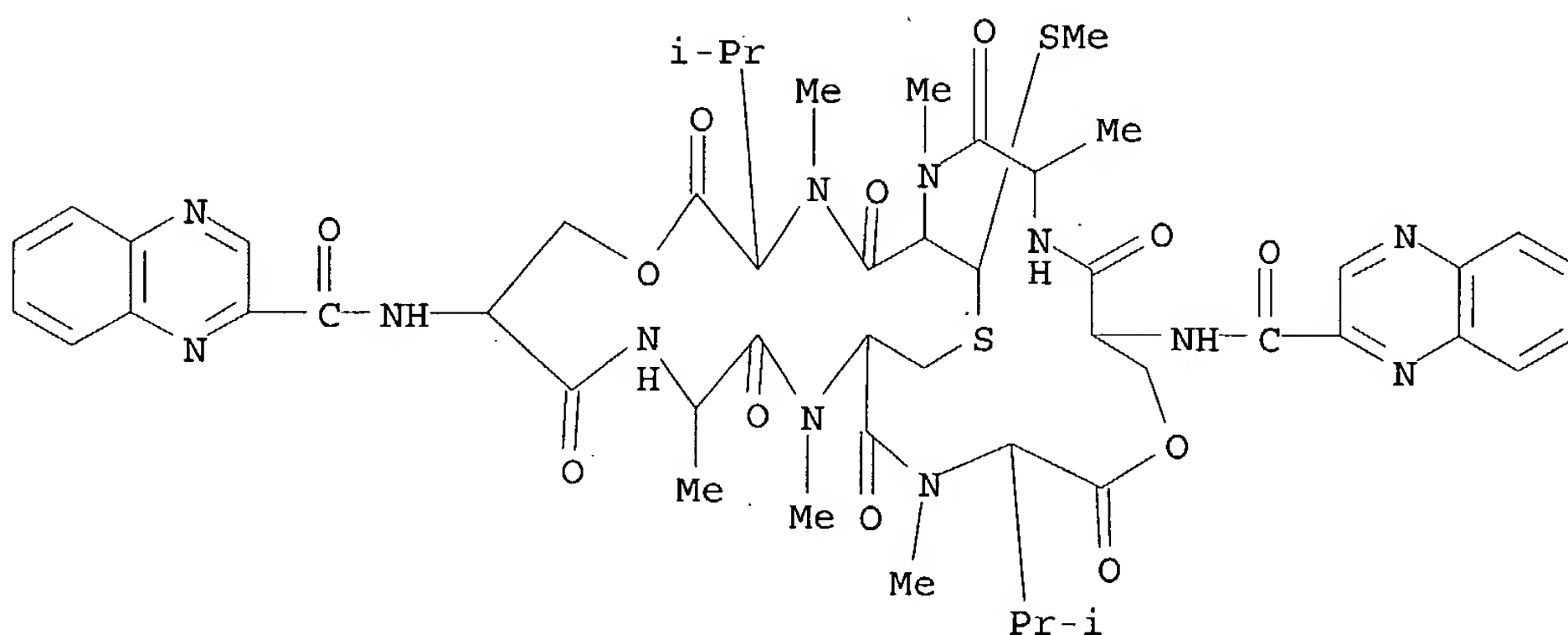
RN 439-14-5 HCAPLUS

CN 2H-1,4-Benzodiazepin-2-one, 7-chloro-1,3-dihydro-1-methyl-5-phenyl- (8CI,
 9CI) (CA INDEX NAME)



RN 512-64-1 HCAPLUS

CN Quinomycin A (7CI, 9CI) (CA INDEX NAME)

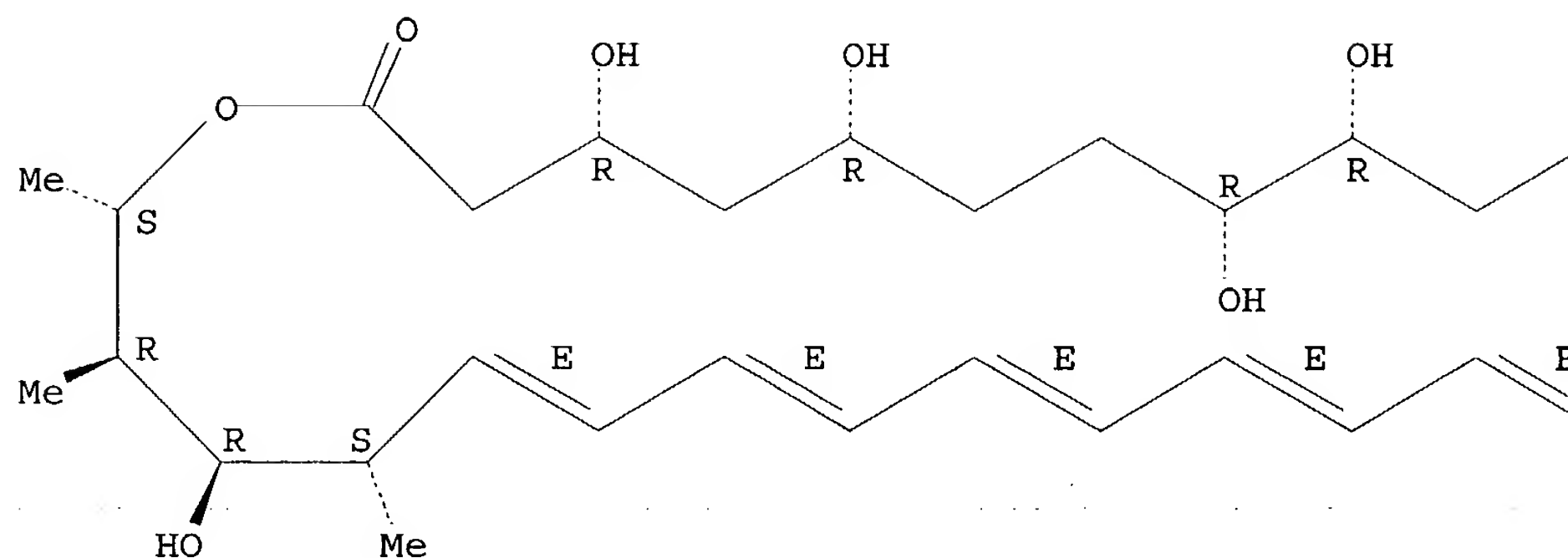


RN 1397-89-3 HCAPLUS

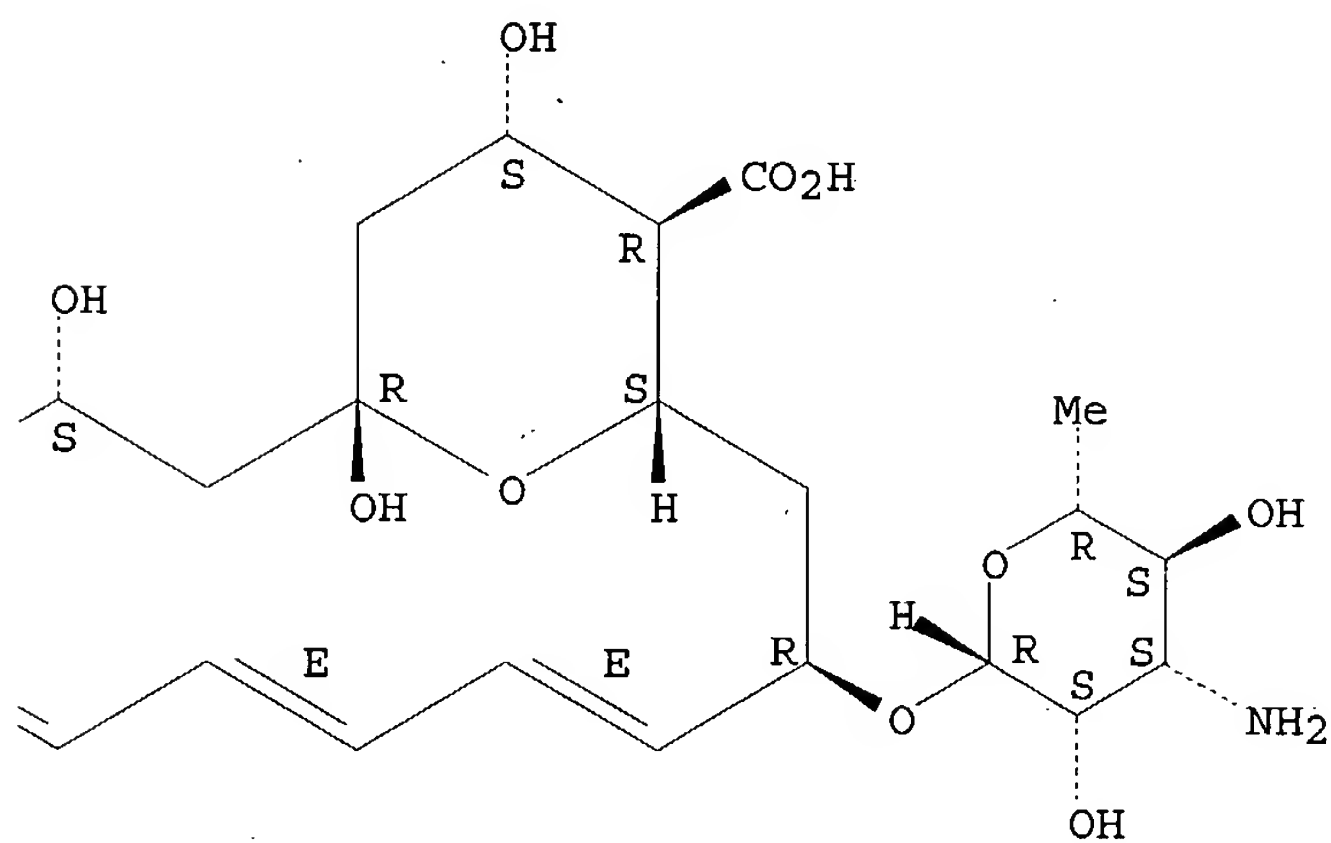
CN Amphotericin B (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

PAGE 1-A

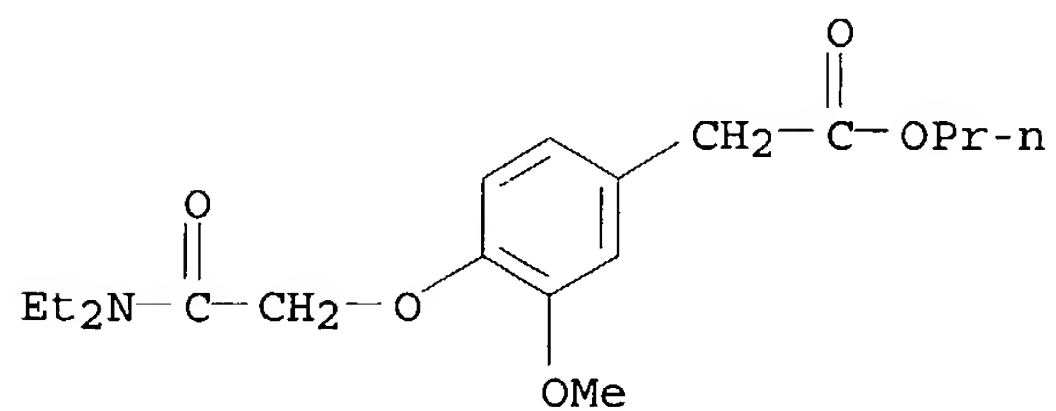


PAGE 1-B



RN 1421-14-3 HCAPLUS

CN Benzeneacetic acid, 4-[2-(diethylamino)-2-oxoethoxy]-3-methoxy-, propyl ester (9CI) (CA INDEX NAME)



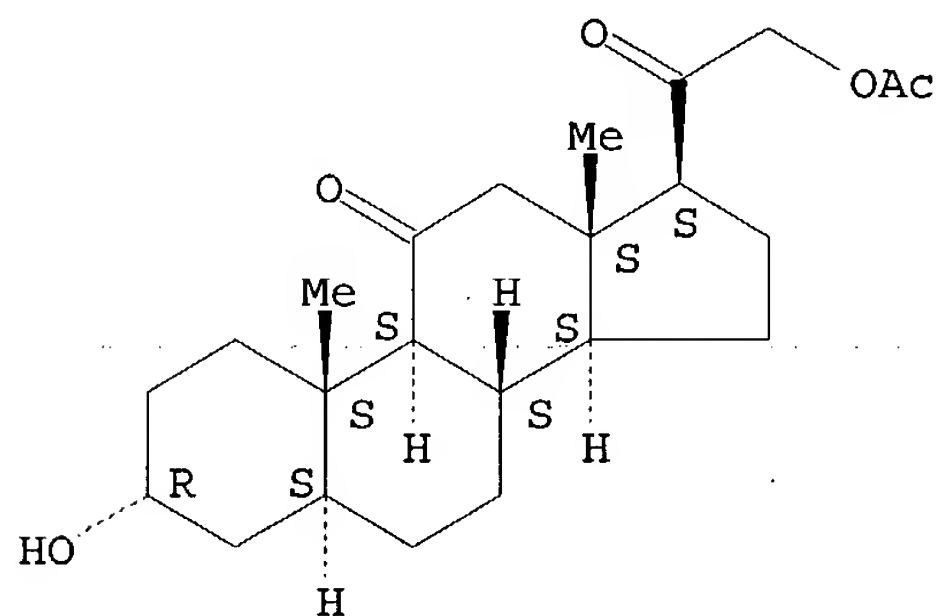
RN 8067-82-1 HCAPLUS
 CN Pregnane-11,20-dione, 21-(acetyloxy)-3-hydroxy-, (3 α ,5 α)-,
 mixt. with (3 α ,5 α)-3-hydroxypregnane-11,20-dione (9CI) (CA
 INDEX NAME)

CM 1

CRN 23930-37-2

CMF C23 H34 O5

Absolute stereochemistry.

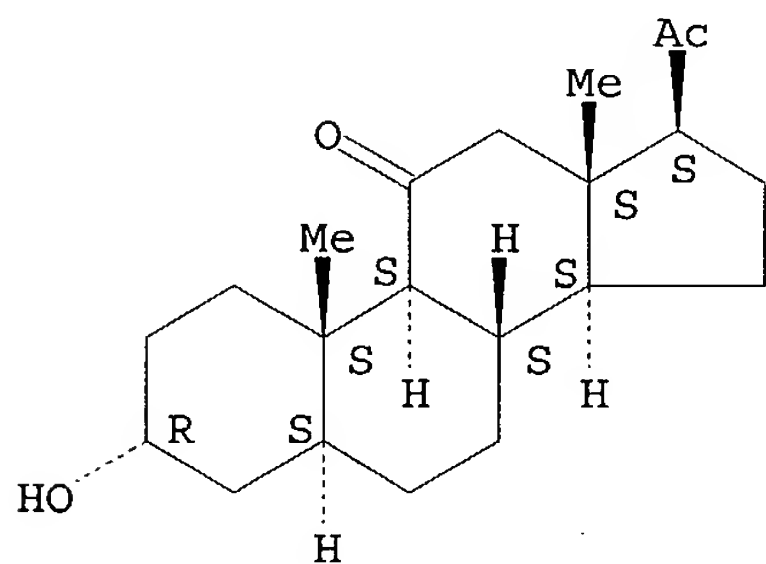


CM 2

CRN 23930-19-0

CMF C21 H32 O3

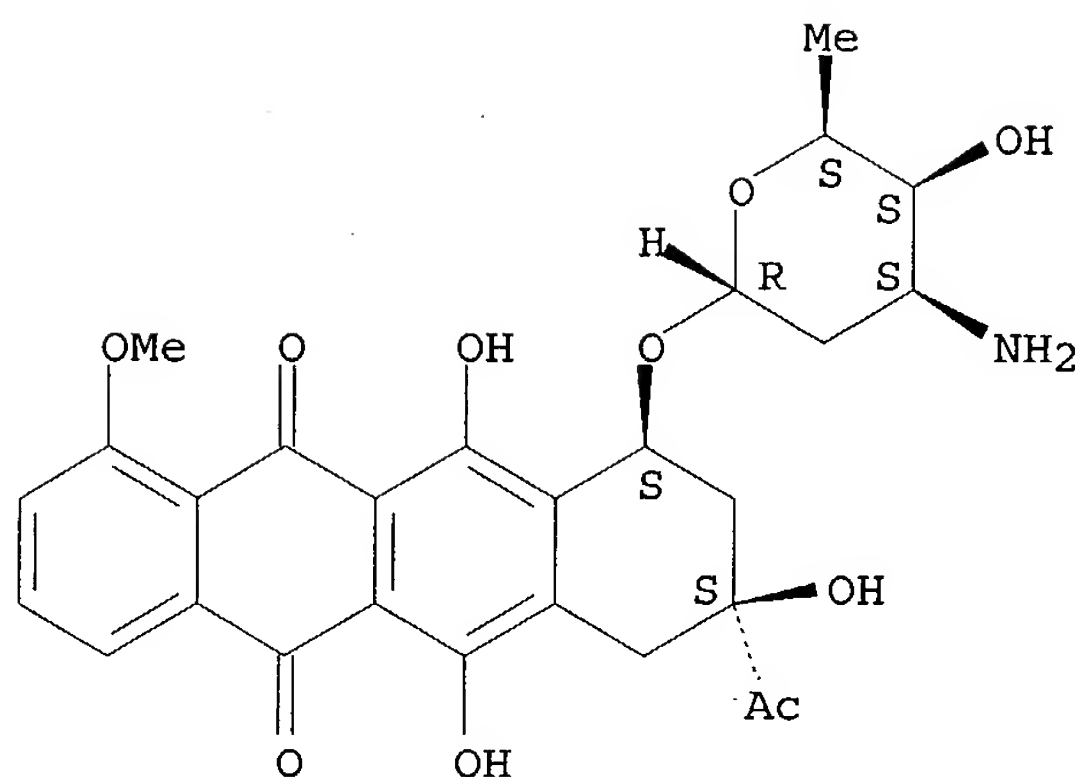
Absolute stereochemistry.



RN 20830-81-3 HCAPLUS

CN 5,12-Naphthacenedione, 8-acetyl-10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-1-methoxy-,
 (8S,10S)- (9CI) (CA INDEX NAME)

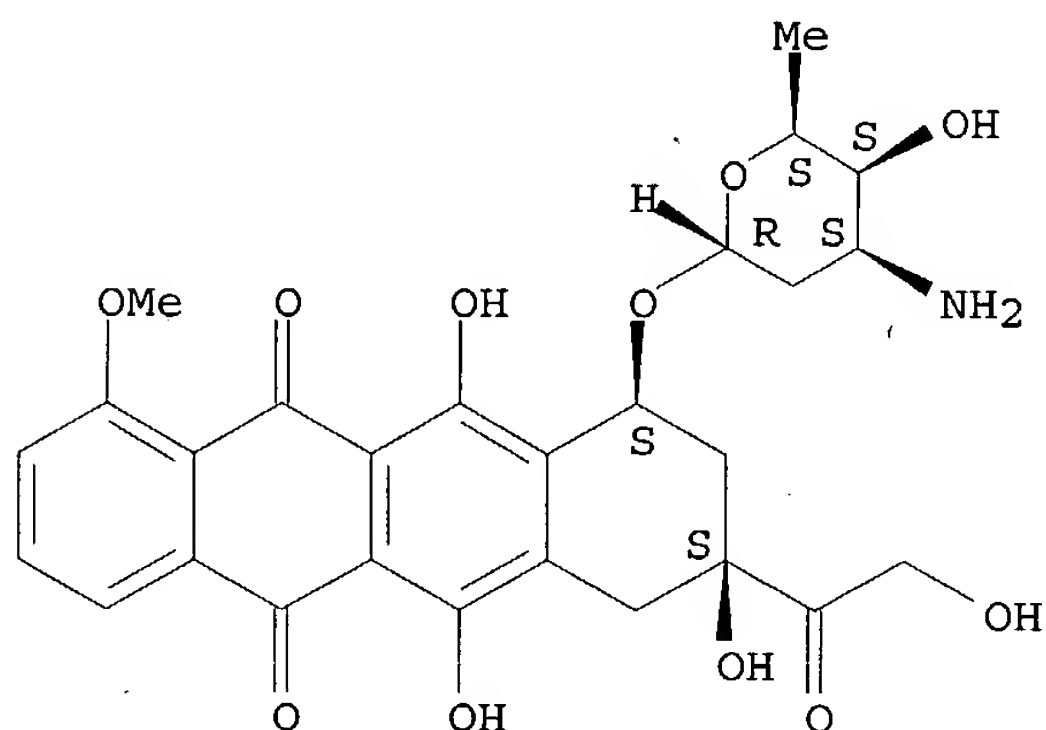
Absolute stereochemistry.



RN 23214-92-8 HCAPLUS

CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

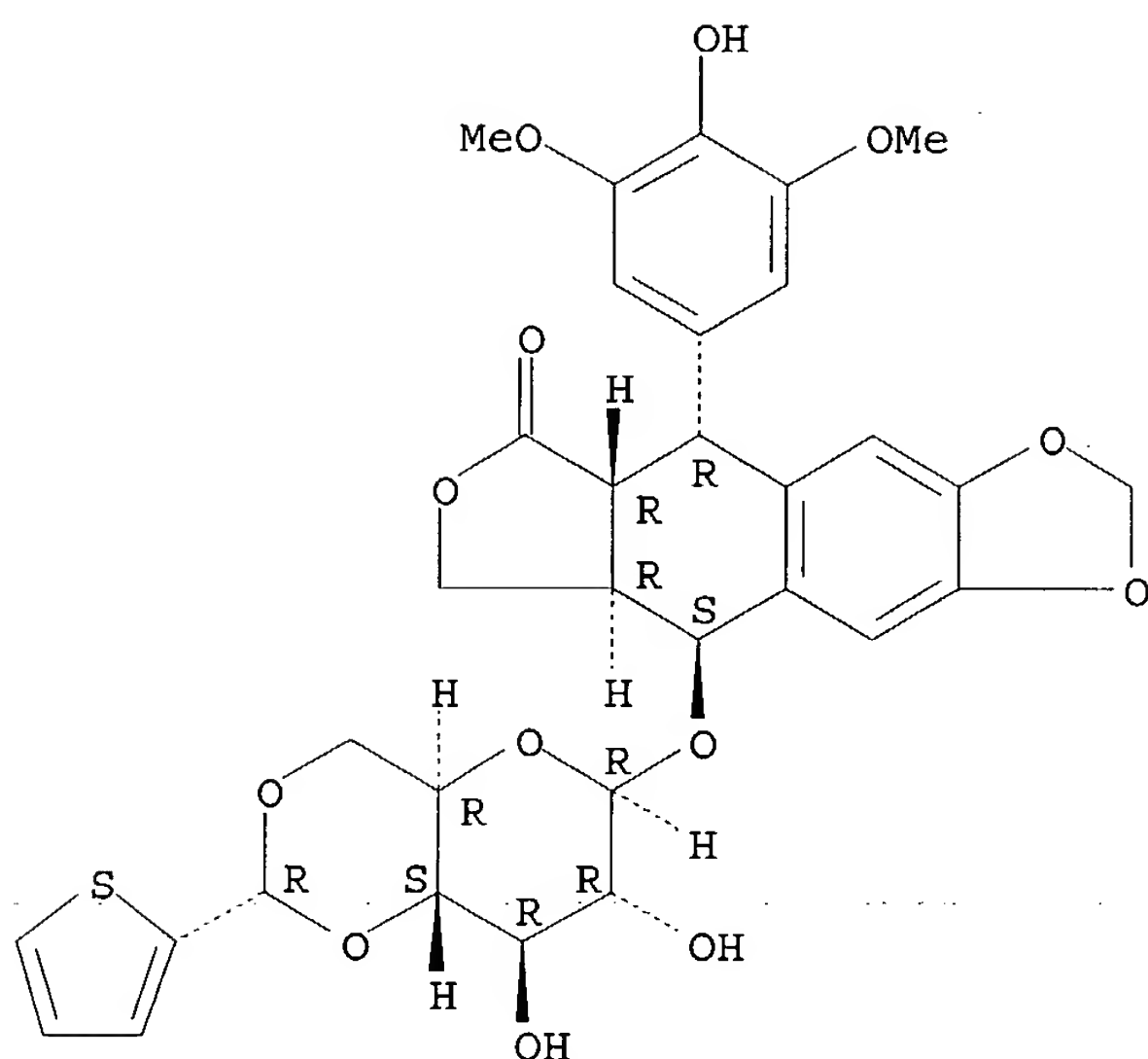
Absolute stereochemistry.



RN 29767-20-2 HCAPLUS

CN Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 5,8,8a,9-tetrahydro-5-(4-hydroxy-3,5-dimethoxyphenyl)-9-[[4,6-O-[(R)-2-thienylmethylene]- β -D-glucopyranosyl]oxy]-, (5R,5aR,8aR,9S)- (9CI) (CA INDEX NAME)

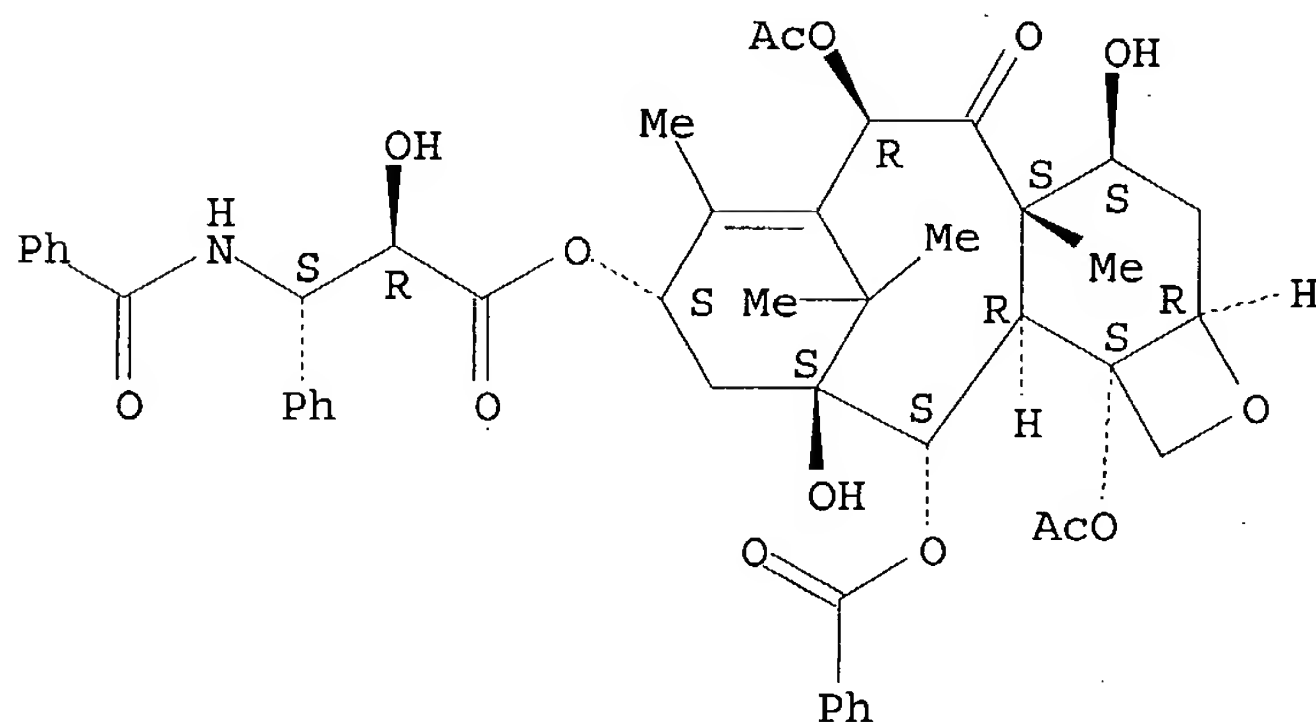
Absolute stereochemistry. Rotation (-).



RN 33069-62-4 HCAPLUS

CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
 tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
 ester, (α R, β S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

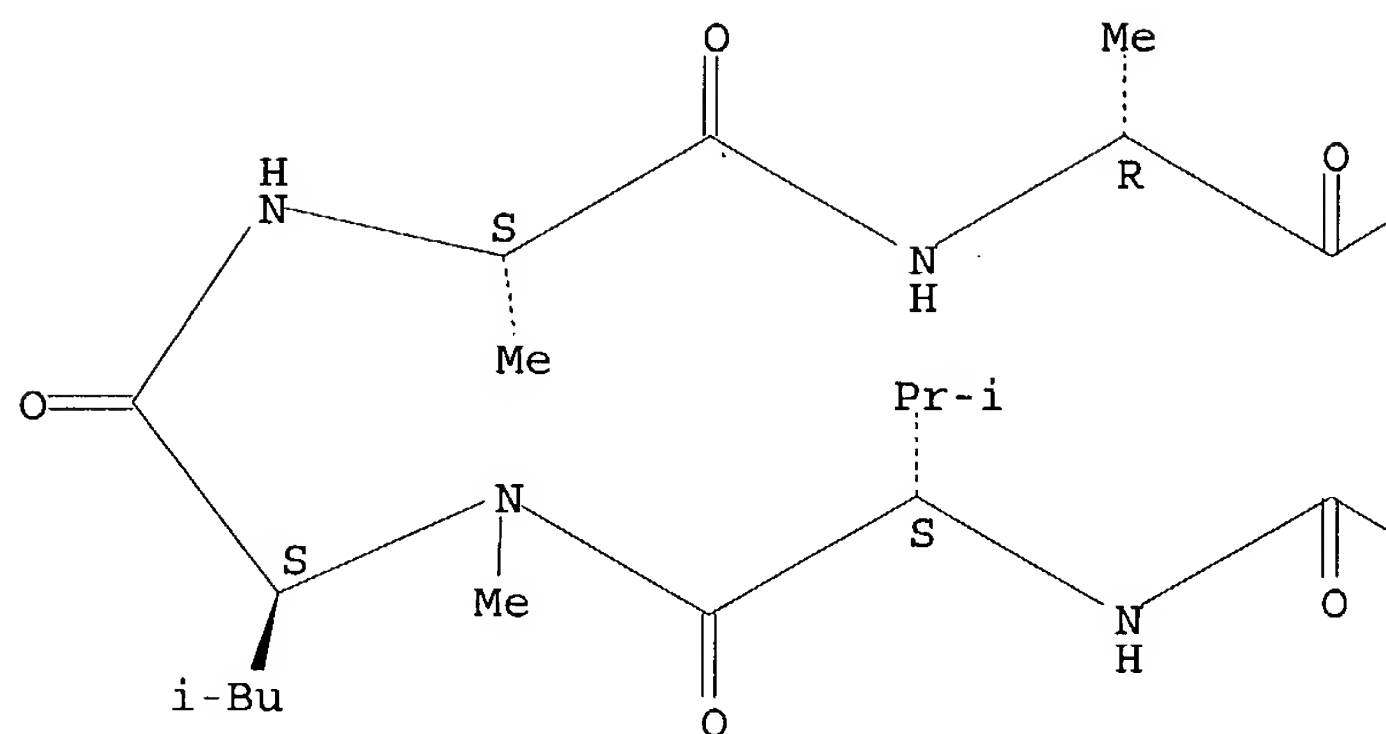


RN 59865-13-3 HCAPLUS

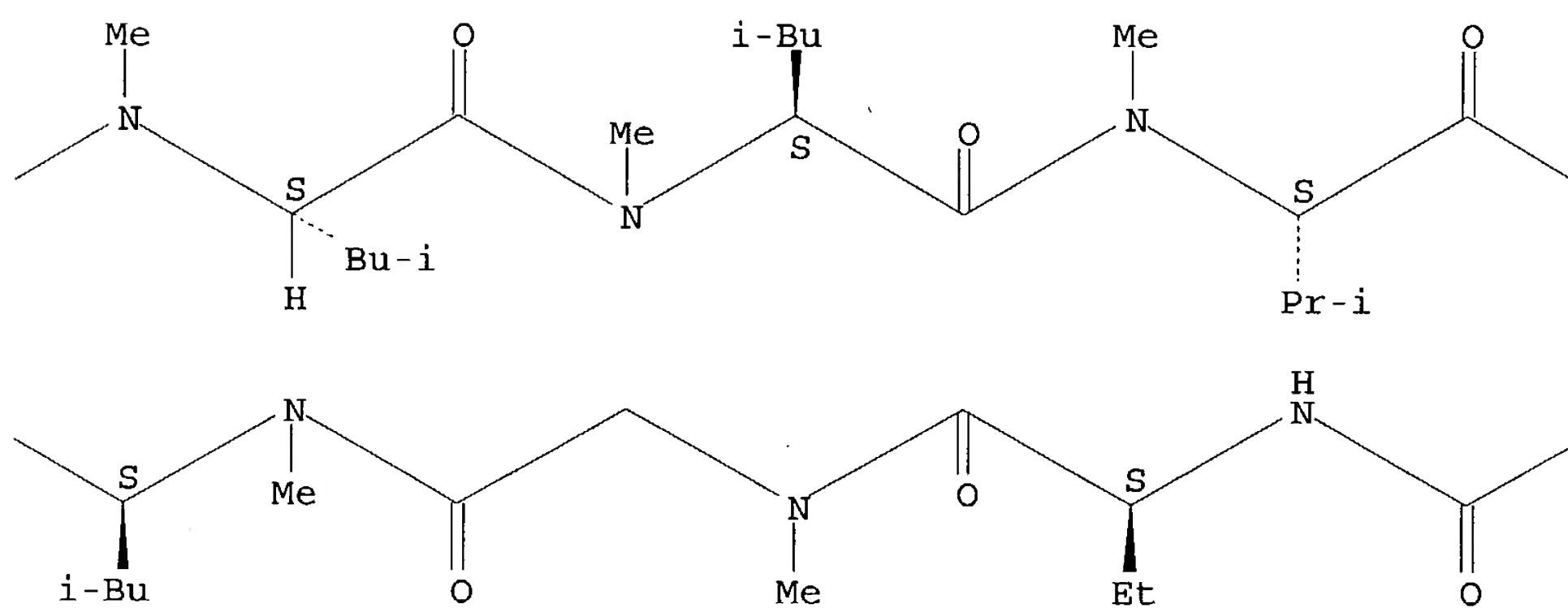
CN Cyclosporin A (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

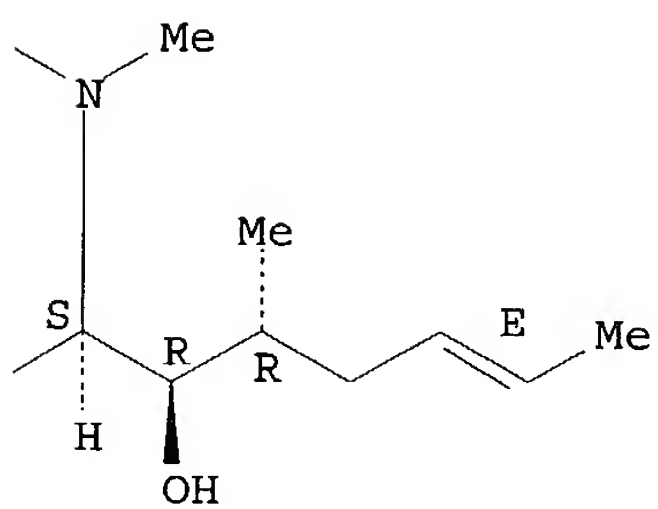
PAGE 1-A



PAGE 1-B



PAGE 1-C

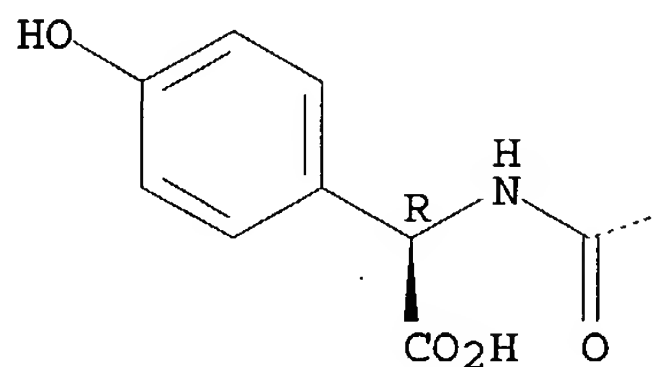


RN 69598-75-0 HCAPLUS

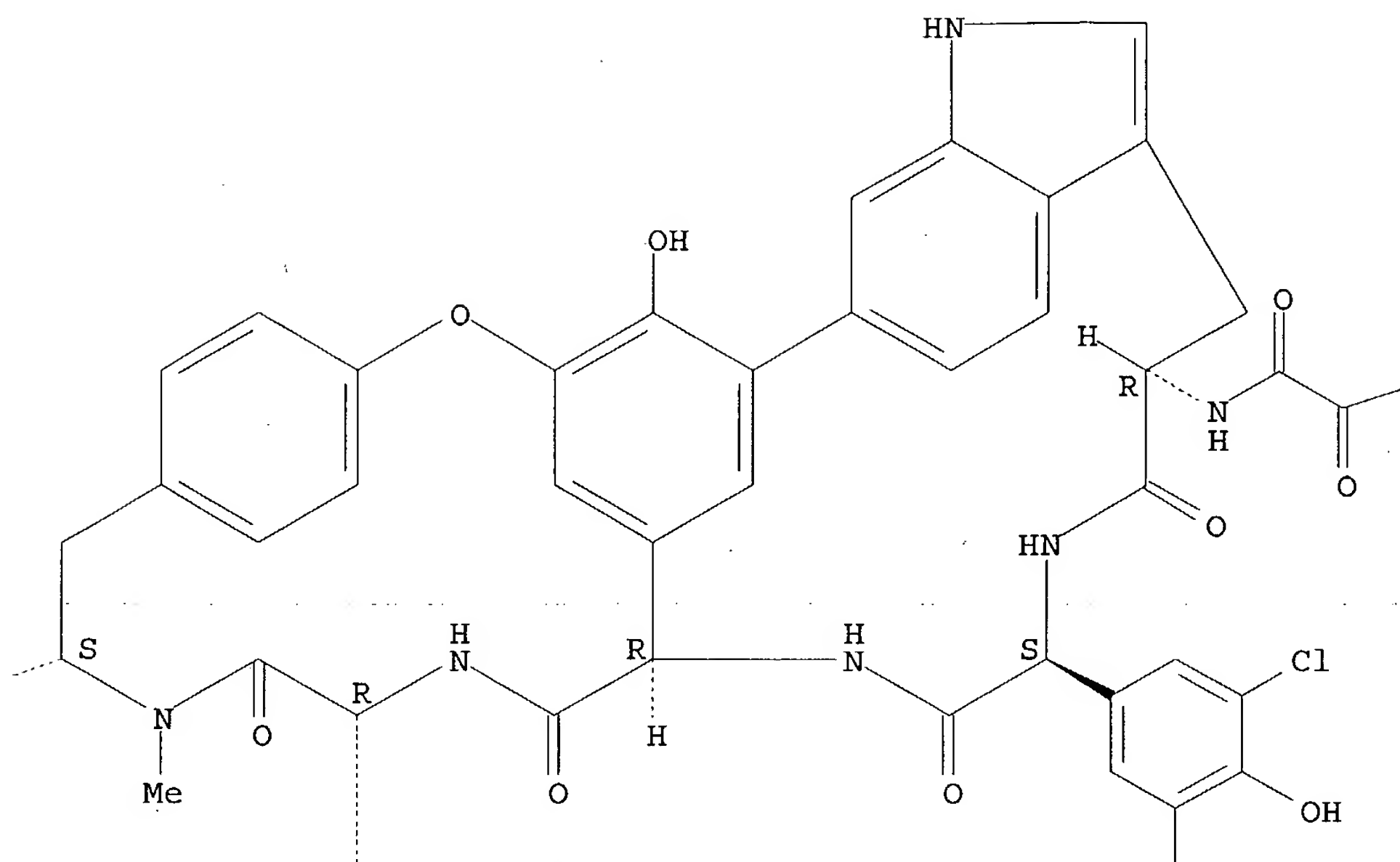
CN Benzeneacetic acid, α -[[[(5R,8R,10aR,13R,16S)-8,13-bis(3,5-dichloro-4-hydroxyphenyl)-5-[[[(3,5-dichloro-4-hydroxyphenyl)oxoacetyl]amino]-2,4,5,6,7,8,9,10,10a,11,12,13,14,15,16,17-hexadecahydro-31-hydroxy-15-methyl-6,9,11,14-tetraoxo-18,21-etheno-1,27:23,26-dimethenopyrrolo[3',4':12,13][1,4]diazacyclohexadecino[6,5-d][1,7,10]oxadiazacyclohexadecin-16-yl]carbonyl]amino]-4-hydroxy-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

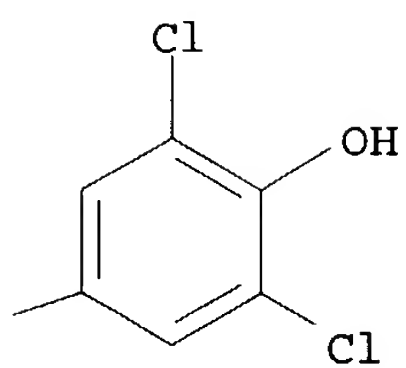
PAGE 1-A



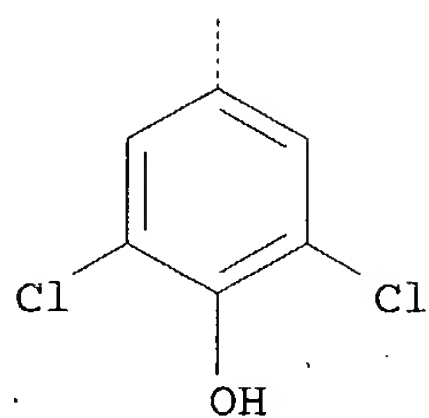
PAGE 1-B



PAGE 1-C

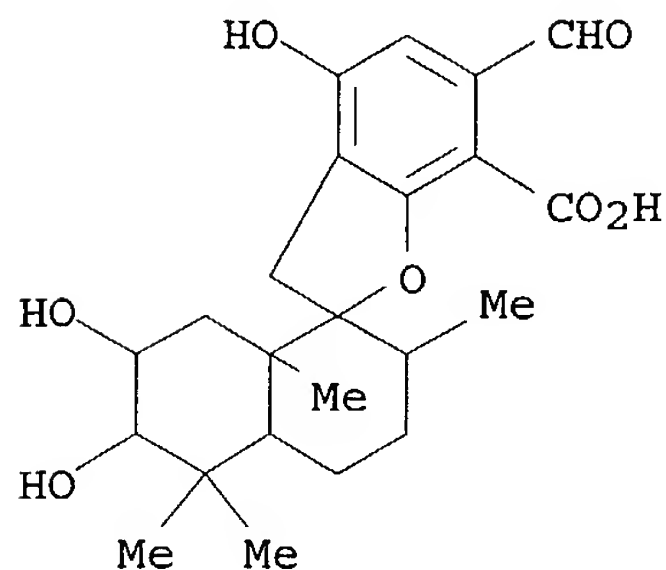


PAGE 2-B



RN 71117-22-1 HCAPLUS
CN Spiro[benzofuran-2(3H),1'(2'H)-naphthalene]-7-carboxylic acid,

6-formyl-3',4',4'a,5',6',7',8',8'a-octahydro-4,6',7'-trihydroxy-
2',5',5',8'a-tetramethyl-, (1'R,2'R,4'aS,6'S,7'R,8'aS) - (9CI) (CA INDEX
NAME)



RN 80295-41-6 HCAPLUS
CN Complement C3 (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 80295-65-4 HCAPLUS
CN Complement factor H (9CI) (CA INDEX NAME)

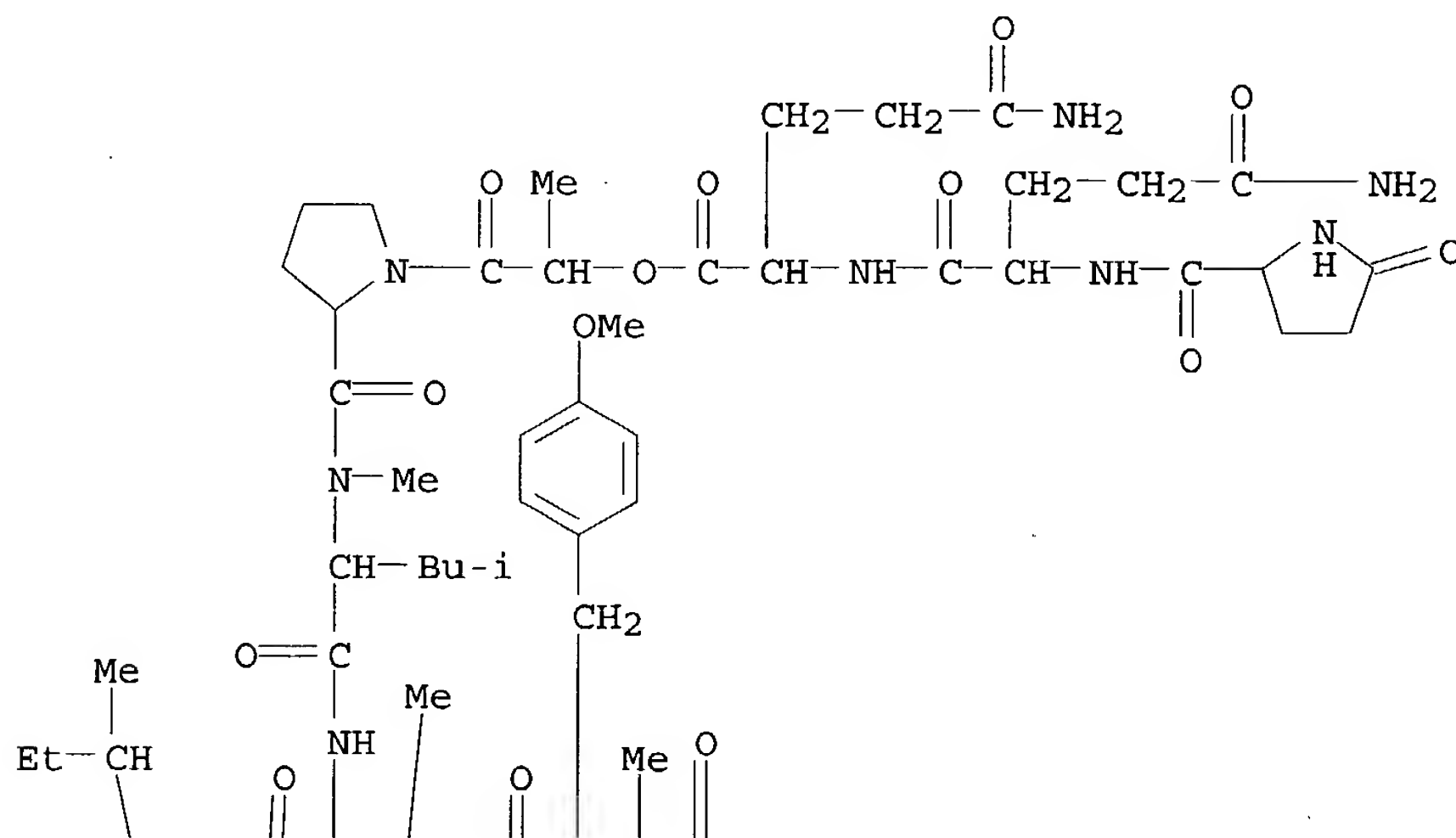
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 80295-66-5 HCAPLUS
CN Complement factor I (9CI) (CA INDEX NAME)

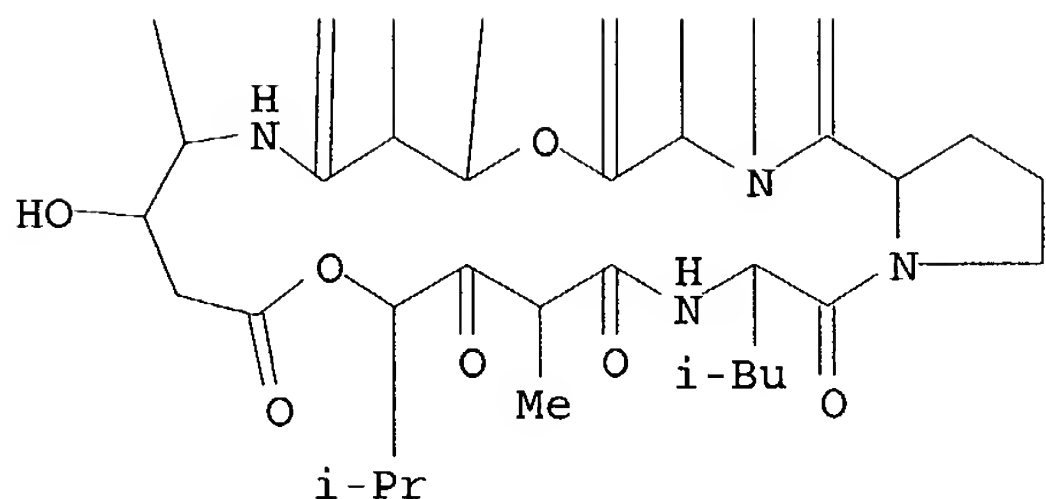
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 97230-31-4 HCAPLUS
CN Didemnins E (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 99085-47-9 HCAPLUS

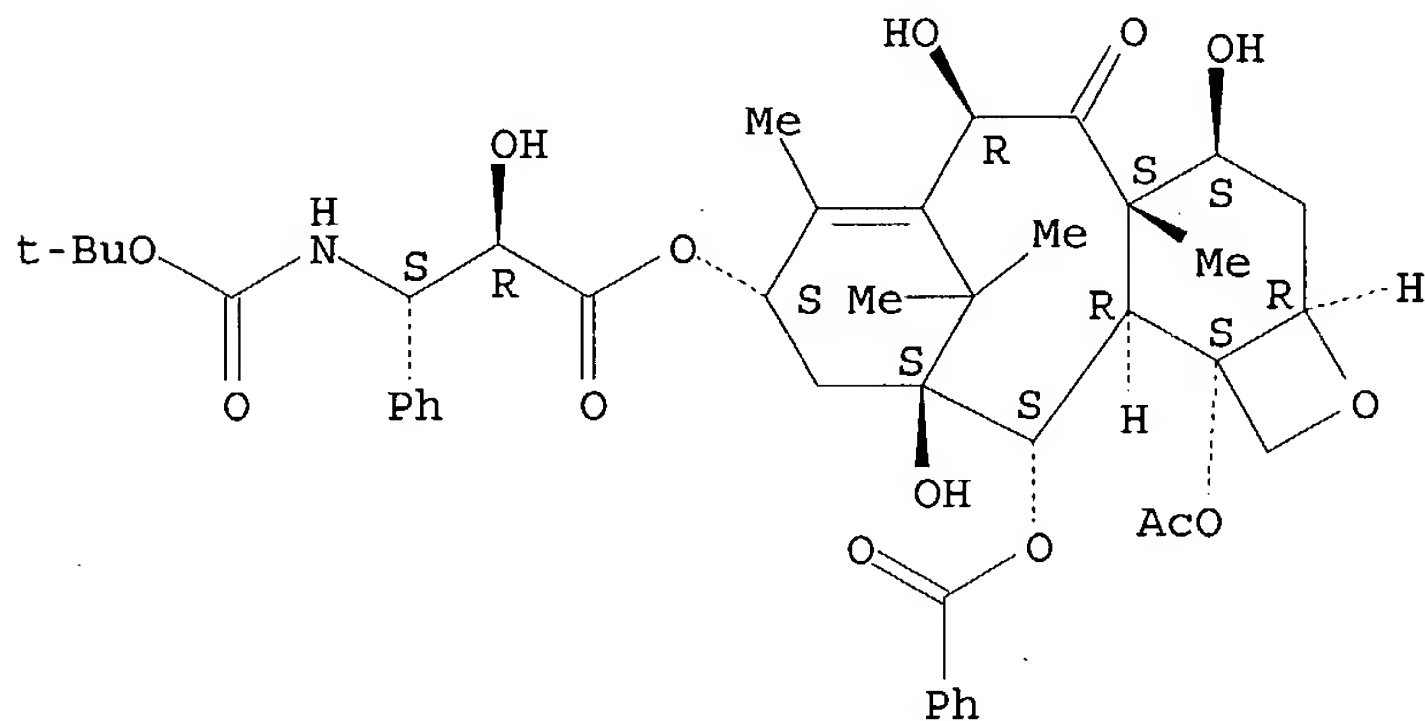
CN Complement decay-accelerating factor (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 114977-28-5 HCAPLUS

CN Benzenepropanoic acid, β -[[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 54397-85-2, TXB2 57576-52-0, TXA2

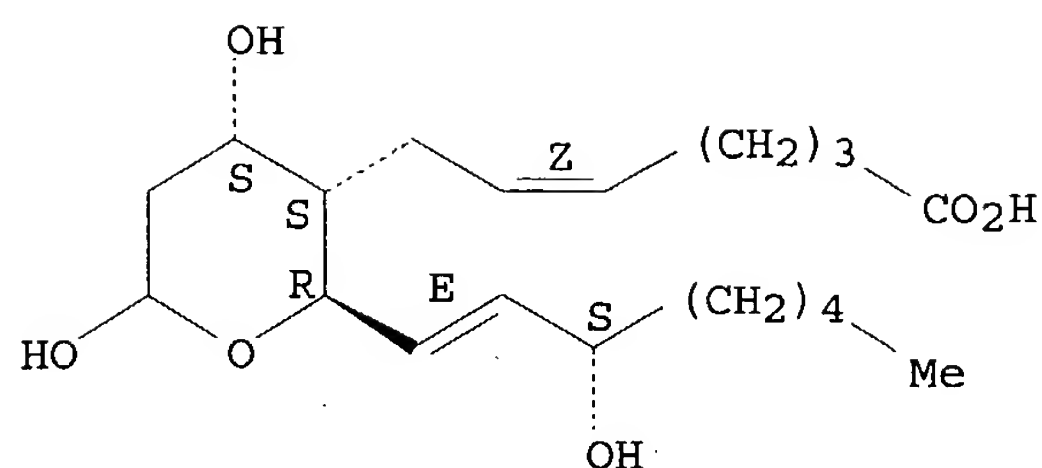
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(of blood plasma, **liposomes** effect on; method of inhibiting side effects of pharmaceutical compns. containing **amphiphilic** vehicles or drug carrier mols.)

RN 54397-85-2 HCAPLUS

CN 5-Heptenoic acid, 7-[(2R,3S,4S)-tetrahydro-4,6-dihydroxy-2-[(1E,3S)-3-hydroxy-1-octenyl]-2H-pyran-3-yl]-, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

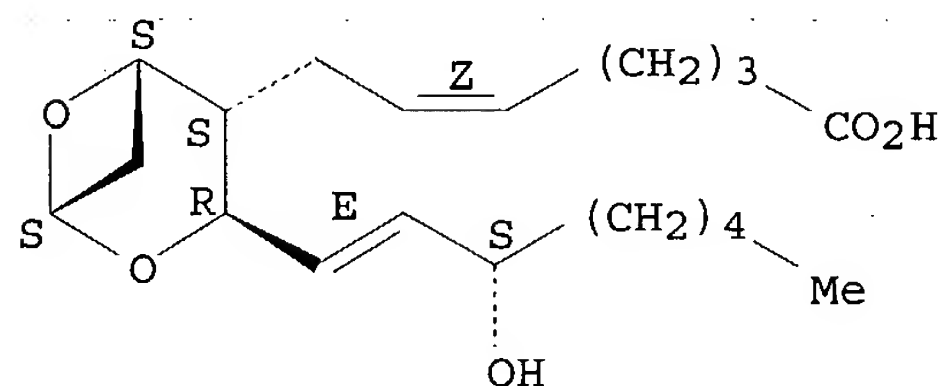
Double bond geometry as shown.



RN 57576-52-0 HCAPLUS

CN 5-Heptenoic acid, 7-[(1S,3R,4S,5S)-3-[(1E,3S)-3-hydroxy-1-octenyl]-2,6-dioxabicyclo[3.1.1]hept-4-yl]-, (5Z)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:484928 HCAPLUS

DOCUMENT NUMBER: 129:113548

TITLE: Pharmaceutical or cosmetic compositions containing homogeneously charged particulate vector

INVENTOR(S): Betbeder, Didier; Major, Michel

PATENT ASSIGNEE(S): Biovector Therapeutics S.A., Fr.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829102	A1	19980709	WO 1997-FR2397	19971223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757768	A1	19980703	FR 1996-16146	19961227
FR 2757768	B1	19990402		
CA 2276692	AA	19980709	CA 1997-2276692	19971223
AU 9856688	A1	19980731	AU 1998-56688	19971223

EP 946153 A1 19991006 EP 1997-952990 19971223
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
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 PRIORITY APPLN. INFO.: FR 1996-16146 A 19961227
 WO 1997-FR2397 W 19971223

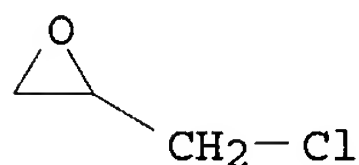
AB The invention concerns a particulate carrier comprising a non-liquid **hydrophilic** nucleus; an **amphiphilic** lamella characterized in that the nucleus carries a global cationic, anionic or neutral charge and that the **amphiphilic** lamella carries a global charge of same polarity as that carried by the nucleus. The invention also concerns a pharmaceutical or cosmetic composition or a nutrient additive containing such a vector. Thus, maltodextrin (500 g) was treated with 7 g NaBH₄ followed by the reaction with NaOH, 30.25 mL epichlorohydrin and 382.3 g glycidyltrimethylammonium chloride. The resulting gel was diluted with water and neutralized with HOAc. Nanoparticle carriers were prepared by using the above polysaccharide and a phospholipid.

IT 106-89-8DP, Epichlorohydrin, reaction products with glycidyltrimethylammonium chloride and maltodextrin 3033-77-0DP, Glycidyltrimethylammonium chloride, reaction products with maltodextrin ether 9050-36-6DP, Maltodextrin, oxiranylmethyl ether, reaction products with glycidyltrimethylammonium chloride
 RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical or cosmetic compns. containing homogeneously charged particulate vector)

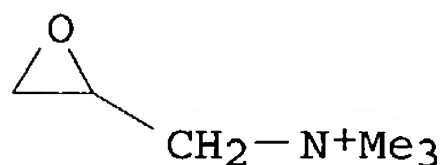
RN 106-89-8 HCAPLUS

CN Oxirane, (chloromethyl)- (9CI) (CA INDEX NAME)



RN 3033-77-0 HCAPLUS

CN Oxiranemethanaminium, N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

RN 9050-36-6 HCAPLUS

CN Maltodextrin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 57-88-5, **Cholesterol**, biological studies 63-89-8
 , DPPC 124-30-1, Stearylamine 3036-82-6,
 Dipalmitoylphosphatidylserine 4537-77-3,
 Dipalmitoylphosphatidylglycerol 4537-78-4,
 Distearoylphosphatidylglycerol 9004-34-6, Cellulose, biological
 studies 9004-54-0, Dextran, biological studies 9005-25-8

, Starch, biological studies 9050-36-6D, Maltodextrin, ethers
 19698-29-4, Dipalmitoylphosphatidic acid 30170-00-4,
 Dimyristoylphosphatidic acid 61361-72-6,
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 144189-73-1, DOTAP

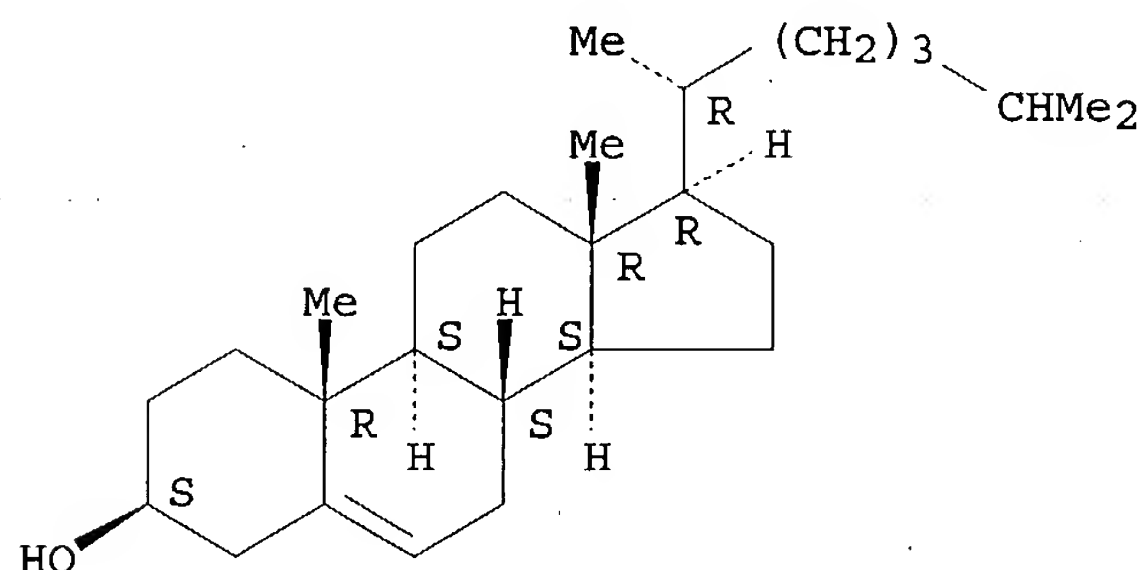
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(pharmaceutical or cosmetic compns. containing homogeneously charged
 particulate vector)

RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

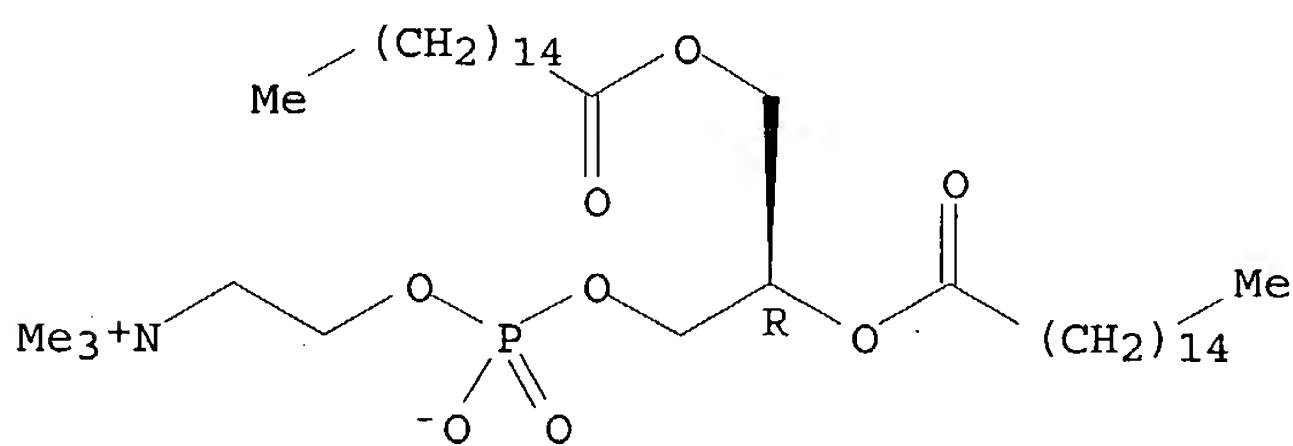
Absolute stereochemistry.



RN 63-89-8 HCAPLUS

CN 3,5,9-Trioxa-4-phosphapentacosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-10-
 oxo-7-[(1-oxohexadecyl)oxy]-, inner salt, 4-oxide, (7R) - (9CI) (CA INDEX
 NAME)

Absolute stereochemistry. Rotation (+).



RN 124-30-1 HCAPLUS

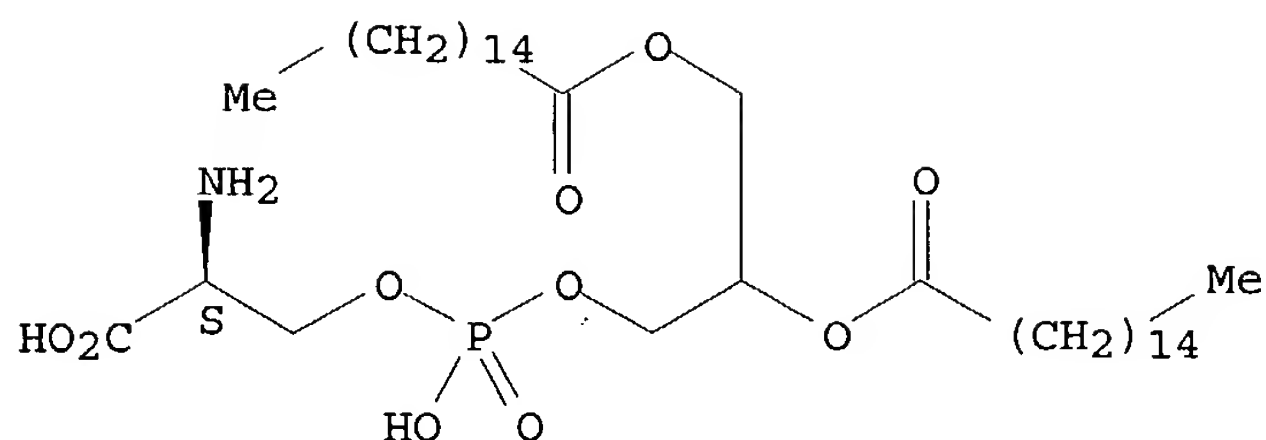
CN 1-Octadecanamine (9CI) (CA INDEX NAME)

H₂N - (CH₂)₁₇ - Me

RN 3036-82-6 HCAPLUS

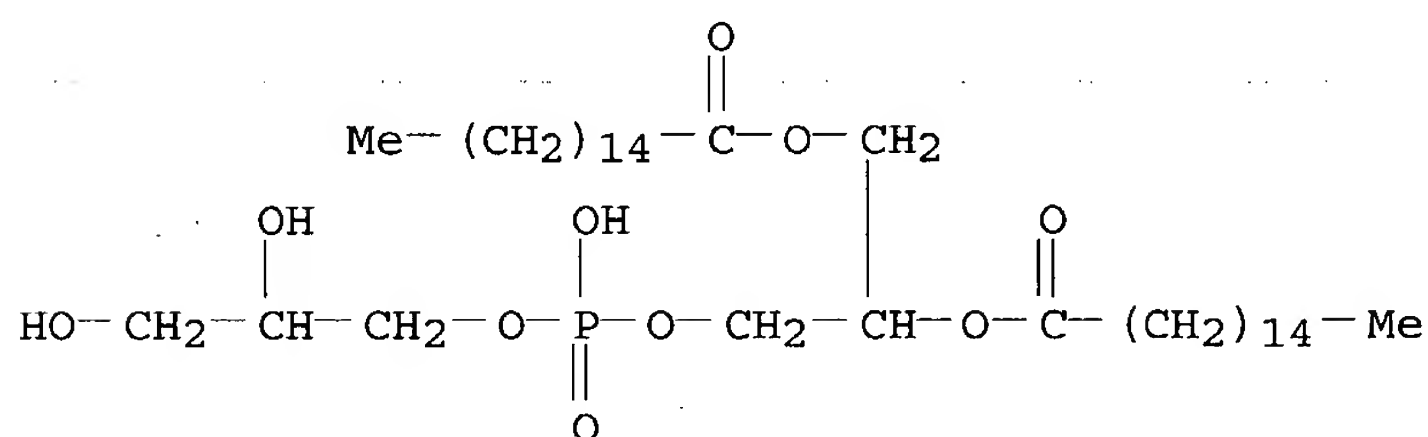
CN L-Serine, 2,3-bis[(1-oxohexadecyl)oxy]propyl hydrogen phosphate (ester)
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



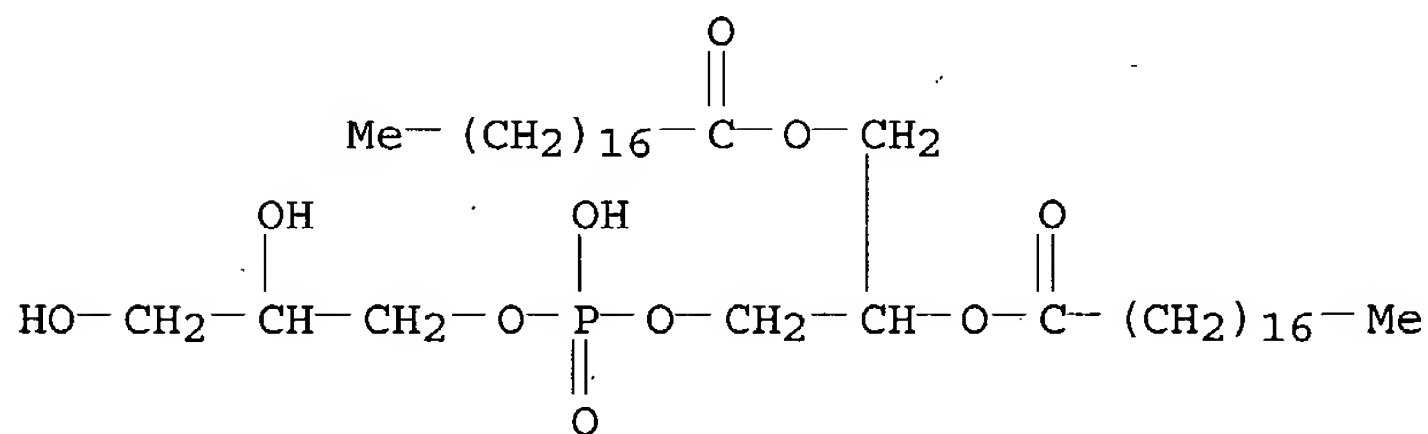
RN 4537-77-3 HCAPLUS

CN Hexadecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



RN 4537-78-4 HCAPLUS

CN Octadecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



RN 9004-34-6 HCAPLUS

CN Cellulose (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9004-54-0 HCAPLUS

CN Dextran (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9005-25-8 HCAPLUS

CN Starch (8CI, 9CI) (CA INDEX NAME)

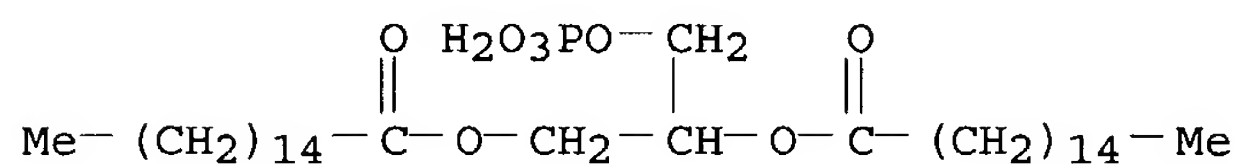
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9050-36-6 HCAPLUS

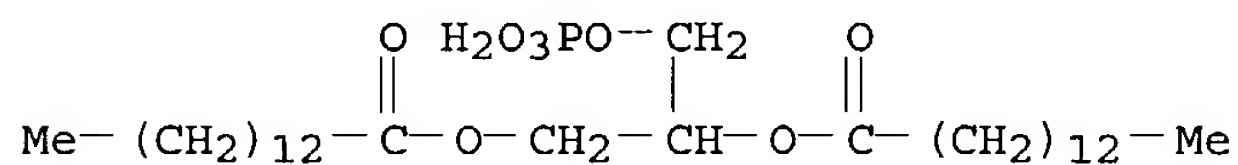
CN Maltodextrin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 19698-29-4 HCAPLUS

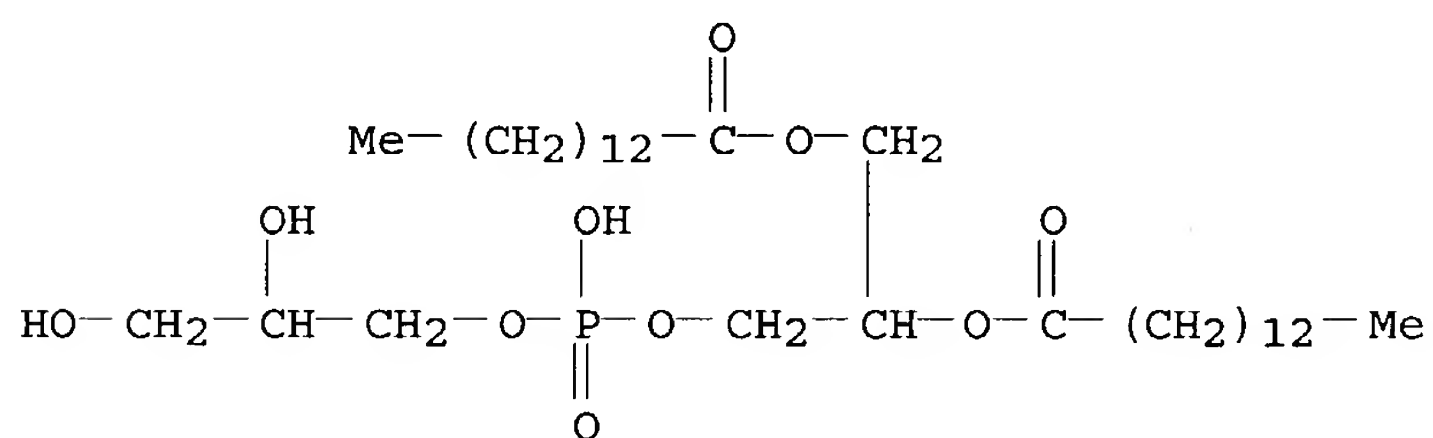
CN Hexadecanoic acid, 1-[(phosphonooxy)methyl]-1,2-ethanediyl ester (9CI)
(CA INDEX NAME)

RN 30170-00-4 HCAPLUS

CN Tetradecanoic acid, 1-[(phosphonooxy)methyl]-1,2-ethanediyl ester (9CI)
(CA INDEX NAME)

RN 61361-72-6 HCAPLUS

CN Tetradecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

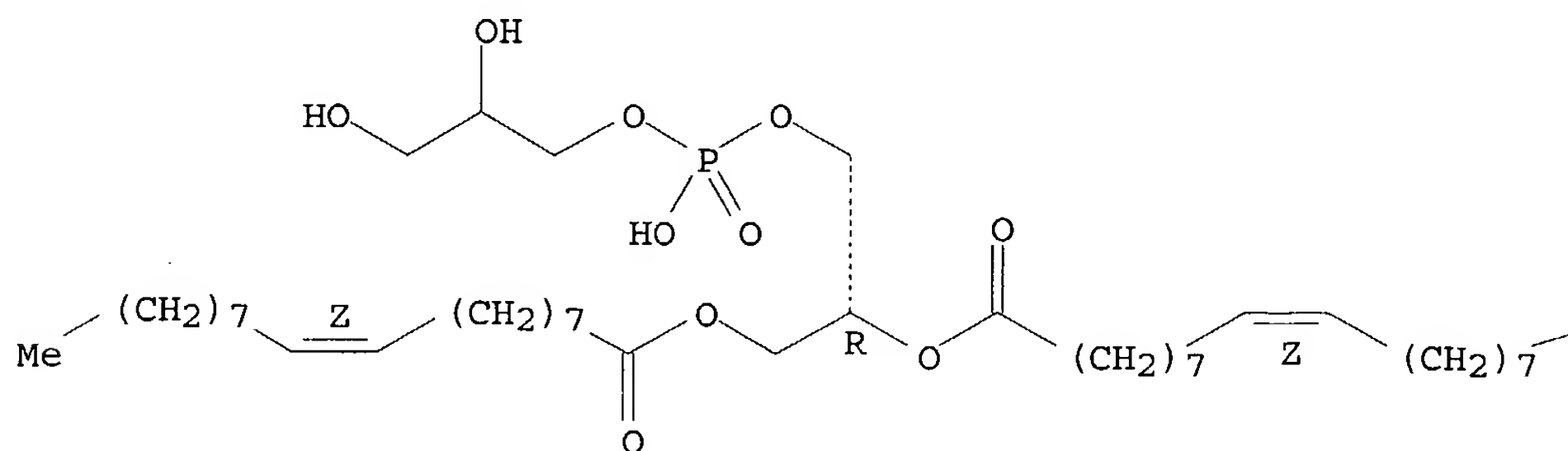


RN 62700-69-0 HCAPLUS

CN 9-Octadecenoic acid (9Z)-, (1R)-1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



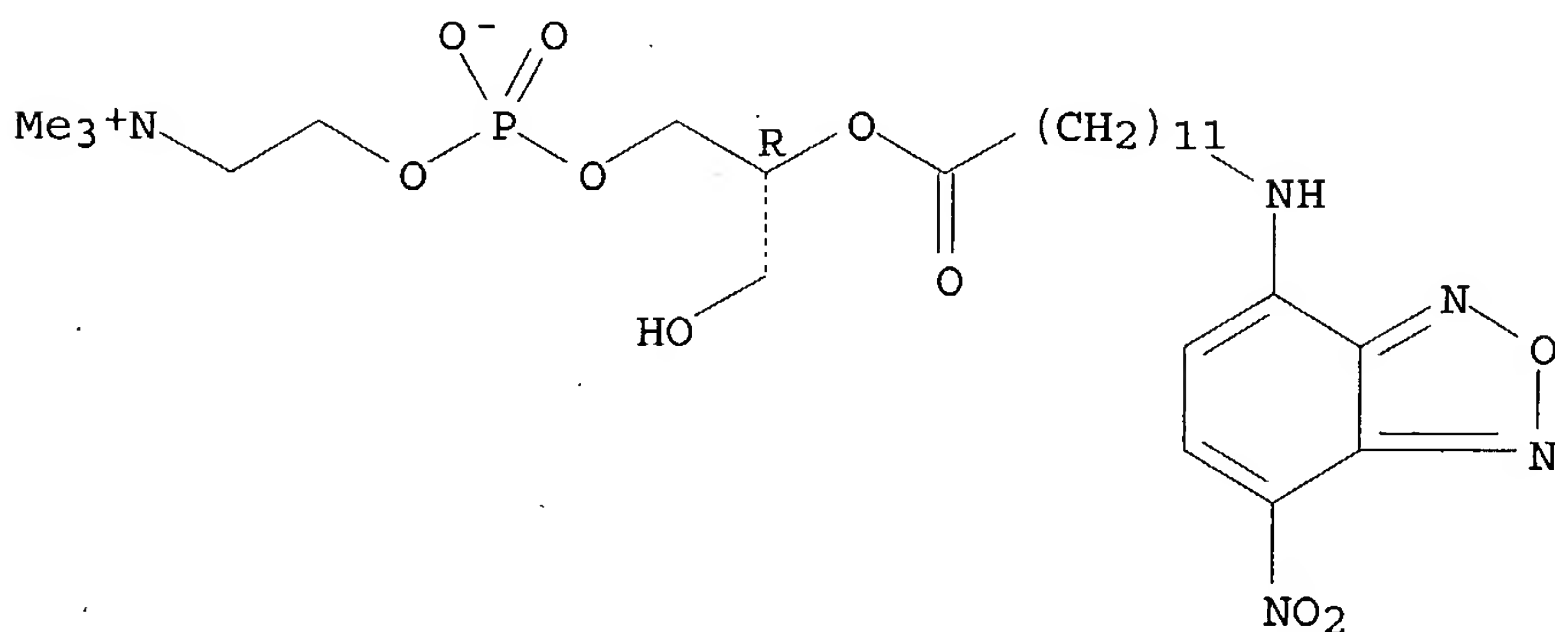
PAGE 1-B

Me

RN 137720-22-0 HCAPLUS

CN 3,5,8-Trioxa-4-phosphaeicosan-1-aminium, 4-hydroxy-7-(hydroxymethyl)-N,N,N-trimethyl-20-[(7-nitro-2,1,3-benzoxadiazol-4-yl)amino]-9-oxo-, inner salt, 4-oxide, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 144189-73-1 HCAPLUS

CN 1-Propanaminium, N,N,N-trimethyl-2,3-bis[[(9Z)-1-oxo-9-octadecenyl]oxy]-, methyl sulfate (9CI) (CA INDEX NAME)

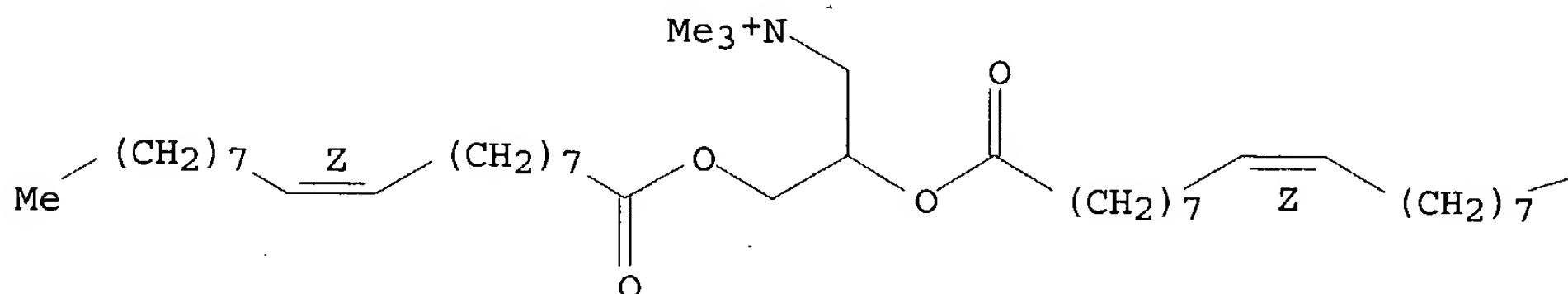
CM 1

CRN 113669-21-9

CMF C42 H80 N O4

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

CM 2

CRN 21228-90-0
 CMF C H3 O4 S

Me-O-SO₃⁻

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1998:347641 HCAPLUS
 DOCUMENT NUMBER: 129:113412
 TITLE: Polymeric chitosan-based **vesicles** for drug
 delivery
 AUTHOR(S): Uchegbu, Ijeoma F.; Schatzlein, Andreas G.; Tetley,
 Laurence; Gray, Alexander I.; Sludden, Julieann;
 Siddique, Soryia; Mosha, Erasto
 CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of
 Strathclyde, Glasgow, G1 1XW, UK
 SOURCE: Journal of Pharmacy and Pharmacology (1998), 50(5),
 453-458
 CODEN: JPPMAB; ISSN: 0022-3573
 PUBLISHER: Royal Pharmaceutical Society of Great Britain
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A simple carbohydrate polymer glycol chitosan (d.p. 800 approx.) has been
 investigated for its ability to form polymeric **vesicle** drug
 carriers. The attachment of hydrophobic groups to glycol chitosan should
 yield an **amphiphilic** polymer capable of self-assembly into
vesicles. Chitosan is used because the membrane-penetration
 enhancement of chitosan polymers offers the possibility of fabricating a
 drug delivery system suitable for the oral and intranasal administration
 of gut-labile mols. Glycol chitosan modified by attachment of a strategic
 number of fatty acid pendant groups (11-16 mol%) assembles into unilamellar
 polymeric **vesicles** in the presence of **cholesterol**.
 These polymeric **vesicles** are found to be biocompatible and
 hemocompatible and capable of entrapping water-soluble drugs. By use of an
 ammonium sulfate gradient bleomycin (MW 1400), for example, can be
 efficiently loaded on to these polymeric **vesicles** to yield a
 bleomycin-to-polymer ratio of 0.5 units mg⁻¹. Previously polymers were
 thought to assemble into **vesicles** only if the polymer backbone
 was separated from the membrane-forming amphiphile by a **hydrophilic**
 side-arm spacer. The **hydrophilic** spacer was thought to be
 necessary to decouple the random motion of the polymer backbone from the
 ordered amphiphiles that make up the **vesicle** membrane. However,
 stable polymeric **vesicles** for use in drug delivery have been
 prepared from a modified carbohydrate polymer, palmitoyl glycol chitosan,

without this specific architecture. These polymeric **vesicles** efficiently entrap water-soluble drugs.

IT 214222-35-2P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymeric chitosan-based **vesicles** for drug delivery)

RN 214222-35-2 HCAPLUS

CN Chitosan, N-(1-oxohexadecyl), 2-hydroxyethyl ether (9CI) (CA INDEX NAME)

CM 1

CRN 57407-13-3
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 107-21-1
CMF C2 H6 O2

HO-CH₂-CH₂-OH

IT 11056-06-7, Bleomycin

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(polymeric chitosan-based **vesicles** for drug delivery)

RN 11056-06-7 HCAPLUS

CN Bleomycin (8CI, 9CI) (CA INDEX NAME)

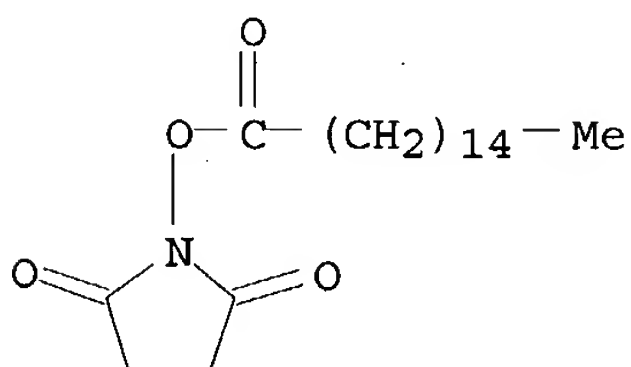
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 14464-31-4 39280-86-9, Glycol chitosan

RL: RCT (Reactant); RACT (Reactant or reagent)
(polymeric chitosan-based **vesicles** for drug delivery)

RN 14464-31-4 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[(1-oxohexadecyl)oxy]- (9CI) (CA INDEX NAME)



RN 39280-86-9 HCAPLUS

CN Chitosan, 2-hydroxyethyl ether (9CI) (CA INDEX NAME)

CM 1

CRN 9012-76-4
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 107-21-1
CMF C2 H6 O2HO-CH₂-CH₂-OHREFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 23 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:338109 HCAPLUS

DOCUMENT NUMBER: 129:19697

TITLE: Preparation of stable formulations of lipid-nucleic
acid complexes for efficient in vivo deliveryINVENTOR(S): Papahadjopoulos, Demetrios; Hong, Deelung; Zheng,
Weiwen

PATENT ASSIGNEE(S): Regents of the University of California, USA

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

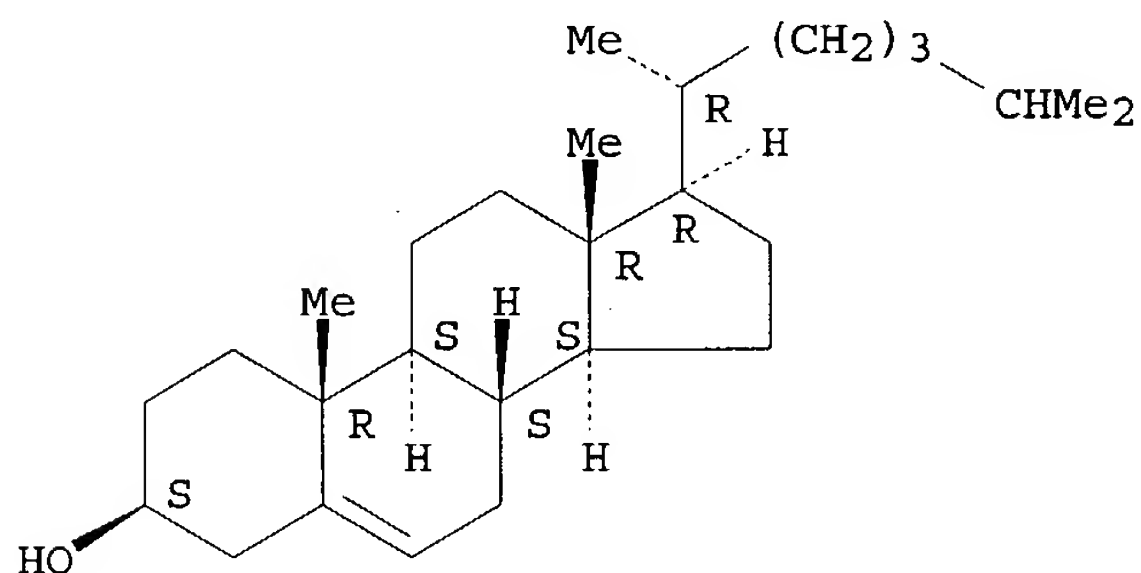
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9820857	A1	19980522	WO 1997-US20690	19971110
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2271325	AA	19980522	CA 1997-2271325	19971110
AU 9871779	A1	19980603	AU 1998-71779	19971110
AU 729655	B2	20010208		
EP 956001	A1	19991117	EP 1997-949417	19971110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001510457	T2	20010731	JP 1998-522807	19971110
US 2002182249	A1	20021205	US 2002-121962	20020412
PRIORITY APPLN. INFO.:				
			US 1996-30578P	P 19961112
			US 1997-967791	A1 19971110
			WO 1997-US20690	W 19971110
			US 1999-420908	A1 19991020

AB The present invention provides for lipid:nucleic acid complexes that have increased shelf life and high transfection activity in vivo following i.v. injection, and methods of preparing such complexes. The methods generally involve contacting a nucleic acid with an organic polycation to produce a condensed nucleic acid, and then combining the condensed nucleic acid with a lipid comprising an **amphiphilic** cationic lipid to produce the lipid:nucleic acid complex. This complex can be further stabilized by the addition of a **hydrophilic** polymer attached to hydrophobic side chains. The complex can also be made specific for specific cells, by

incorporating a targeting moiety such as a Fab' fragment attached to a **hydrophilic** polymer. An example is give for preparation of stable lipid:plasmid DNA complexes for in vivo gene delivery comprising **liposomes** prepared from dimethyldioctadecylammonium bromide, dioleoylphosphatidylethanolamine, and **cholesterol**, and a luciferase reported system.

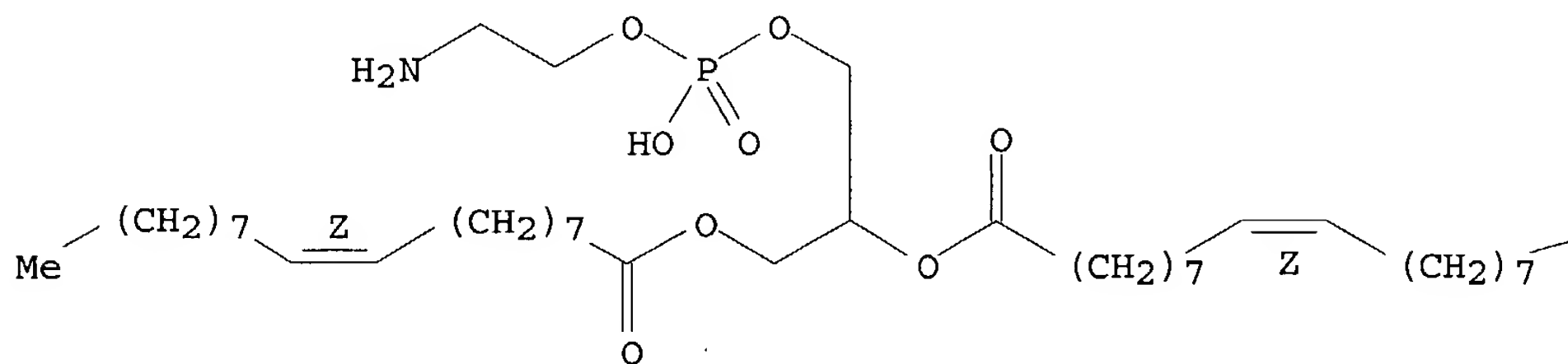
IT 57-88-5, **Cholesterol**, biological studies
 2462-63-7, Dioleoylphosphatidylethanolamine 3700-67-2,
 Dimethyldioctadecylammonium bromide 4537-76-2D,
 Distearoylphosphatidylethanolamine, conjugates with PEG 25322-68-3
 25322-68-3D, conjugates with phosphatidylethanolamines
 37758-47-7, Ganglioside Gm1
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (preparation of stable formulations of lipid-nucleic acid complexes for efficient in vivo delivery)
 RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 2462-63-7 HCAPLUS
 CN 9-Octadecenoic acid (9Z)-, 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

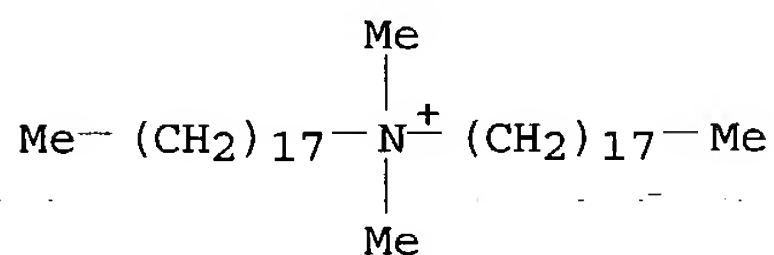


PAGE 1-A

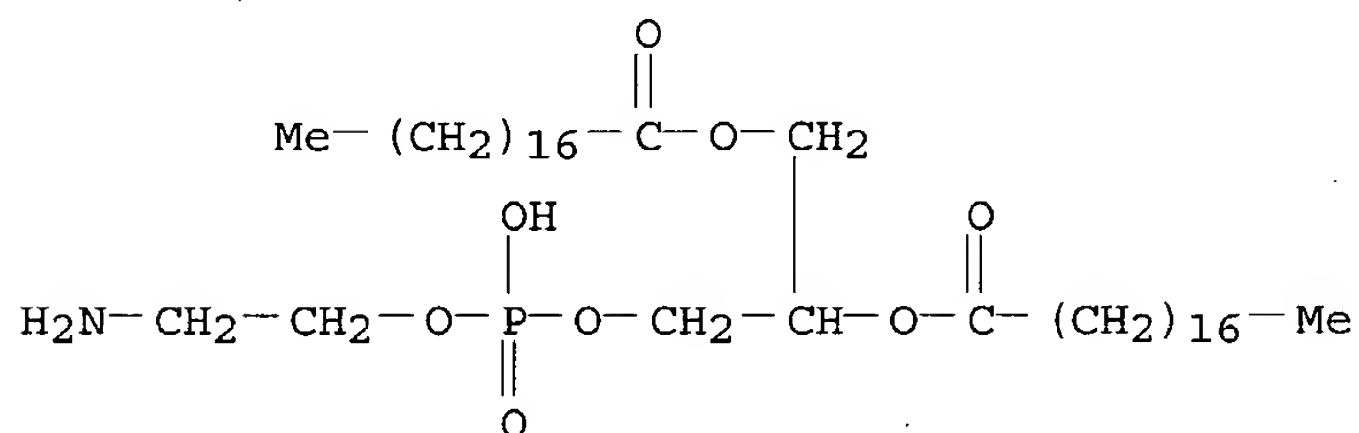
PAGE 1-B

Me

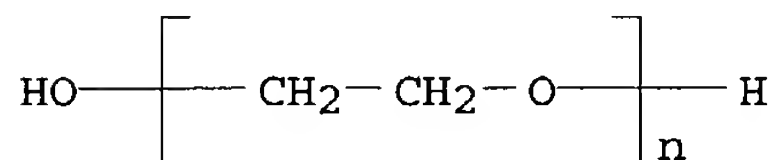
RN 3700-67-2 HCAPLUS
 CN 1-Octadecanaminium, N,N-dimethyl-N-octadecyl-, bromide (9CI) (CA INDEX NAME)

● Br⁻

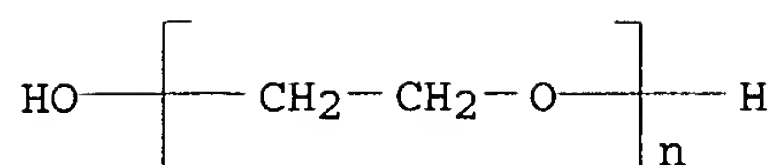
RN 4537-76-2 HCAPLUS
 CN Octadecanoic acid, 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI), (CA INDEX NAME)



RN 25322-68-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (9CI) (CA INDEX NAME)



RN 25322-68-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (9CI) (CA INDEX NAME)

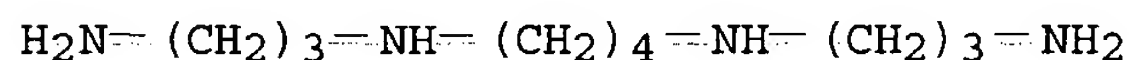


RN 37758-47-7 HCAPLUS
 CN Ganglioside GM1 (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 71-44-3, Spermine 124-20-9, Spermidine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of stable formulations of lipid-nucleic acid complexes for
 efficient in vivo delivery)

RN 71-44-3 HCAPLUS
 CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)- (8CI, 9CI) (CA INDEX NAME)



RN 124-20-9 HCAPLUS
 CN 1,4-Butanediamine, N-(3-aminopropyl)- (8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 24 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:87577 HCAPLUS

DOCUMENT NUMBER: 120:87577

TITLE: Nonionic surfactant **vesicles**: a study of
vesicle formation, characterization, and
 stability

AUTHOR(S): Hofland, Hans E. J.; Bouwstra, Joke A.; Gooris, Gert
 S.; Spies, Fer; Talsma, Herre; Junginger, Hans E.

CORPORATE SOURCE: Div. Pharm. Technol., Cent. Bio-Pharm. Sci., Leiden,
 2300 RA, Neth.

SOURCE: Journal of Colloid and Interface Science (1993),
 161(2), 366-76

CODEN: JCISA5; ISSN: 0021-9797

DOCUMENT TYPE: Journal

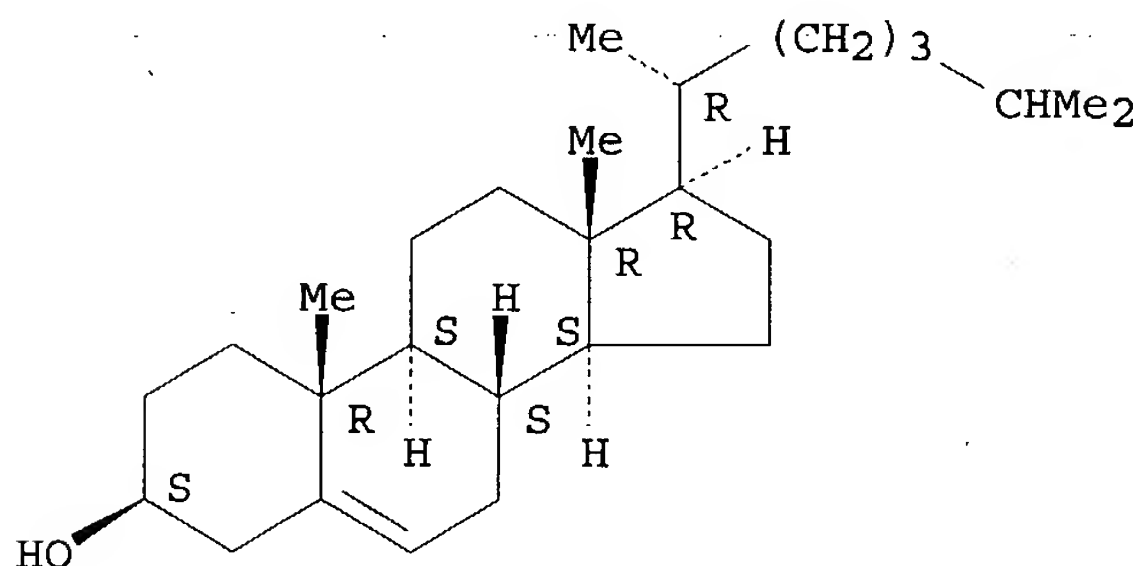
LANGUAGE: English

AB The aggregation state of monoalkyl polyoxyethylene ether surfactants was investigated. This study mainly focused on the aggregation of surfactant mols. into vesicular structures, which can be used as drug delivery systems. The physicochem. parameters that play a role in **vesicle** formation were determined by varying both the hydrophobic and the **hydrophilic** moiety of the **amphiphilic** mols. systematically. A wide range of these nonionic surfactants was studied. The alkyl chain length was varied between 10 and 18 carbon atoms, and the length of the polyoxyethylene head group was varied from 3 to 7 ethyleneoxide units. It appeared that stable **vesicle** suspensions could only be obtained using surfactants that form liquid state bilayers, and contain a relatively small head group compared to the alkyl chain. These surfactants exhibit a lamellar phase in the water rich regions of the water/surfactant phase diagram. If the head group were too

large, micelles instead of **vesicles** were formed. After addition of 40 mol% **cholesterol vesicle** formation was possible for all surfactants used. It appeared that the size of the **vesicles** is dependent on the preparation method, but can also be controlled by shaking the suspension vigorously. The nonionic surfactant **vesicles** were stable at room temperature for at least two months. Electrolytes such as NaCl and phosphate appeared to have an effect on the bilayer stacking of the **vesicles**.

IT 57-88-5, **Cholesterol**, properties 7647-14-5,
Sodium chloride, properties 7722-88-5, Sodium diphosphate
7778-77-0, Potassium biphosphate
RL: PRP (Properties)
(nonionic surfactant **vesicles** formation in presence of)
RN 57-88-5 HCAPLUS
CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

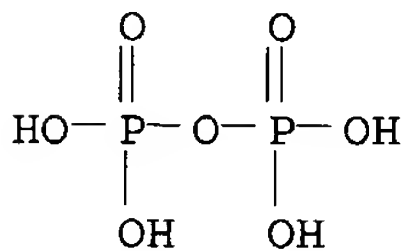
Absolute stereochemistry.



RN 7647-14-5 HCAPLUS
CN Sodium chloride (NaCl) (9CI) (CA INDEX NAME)

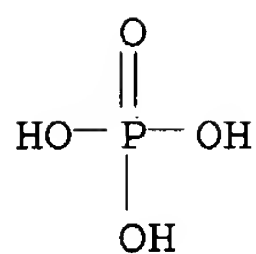
Cl-Na

RN 7722-88-5 HCAPLUS
CN Diphosphoric acid, tetrasodium salt (9CI) (CA INDEX NAME)



●4 Na

RN 7778-77-0 HCAPLUS
CN Phosphoric acid, monopotassium salt (8CI, 9CI) (CA INDEX NAME)



● K

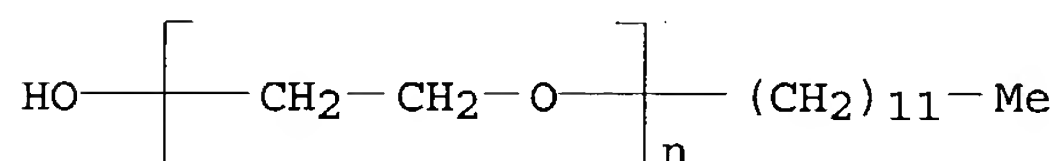
IT 9002-92-0P, Polyoxyethylene monododecyl ether 9004-95-9P
 , Polyoxyethylene monohexadecyl ether 9005-00-9P,
 Polyoxyethylene monooctadecyl ether 26183-52-8P, Polyoxyethylene
 monodecyl ether 27306-79-2P, Polyoxyethylene monotetradecyl
 ether

RL: PREP (Preparation)

(vesicles of, formation, characterization and stability of)

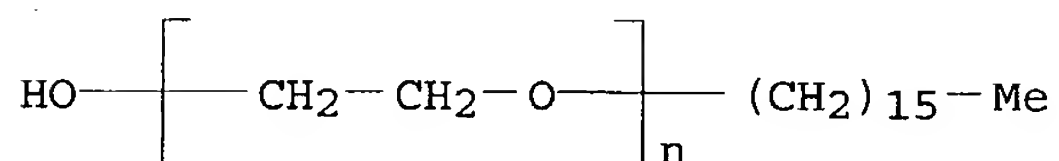
RN 9002-92-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -dodecyl- ω -hydroxy- (9CI) (CA
 INDEX NAME)



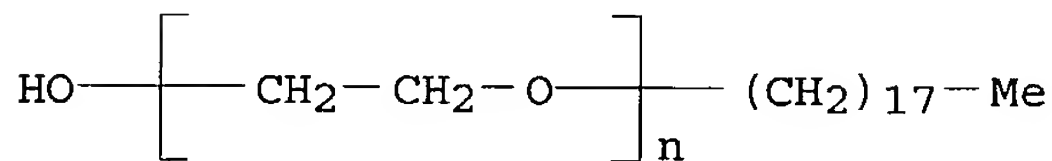
RN 9004-95-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -hexadecyl- ω -hydroxy- (9CI) (CA
 INDEX NAME)



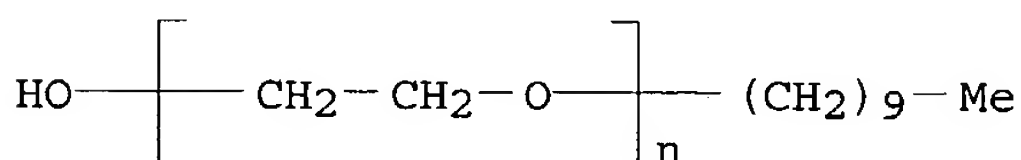
RN 9005-00-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -octadecyl- ω -hydroxy- (9CI) (CA
 INDEX NAME)



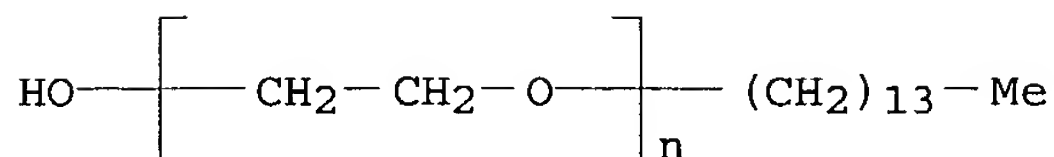
RN 26183-52-8 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -decyl- ω -hydroxy- (9CI) (CA INDEX
 NAME)



RN 27306-79-2 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -tetradecyl- ω -hydroxy- (9CI) (CA INDEX NAME)



L18 ANSWER 25 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:14874 HCAPLUS

DOCUMENT NUMBER: 120:14874

TITLE: Sterilization of **liposomes** by heat treatment

AUTHOR(S): Zuidam, Nicolaas J.; Lee, Stephen S. L.; Crommelin, Daan J. A.

CORPORATE SOURCE: Fac. Pharm., Utrecht Univ., Utrecht, Neth.

SOURCE: Pharmaceutical Research (1993), 10(11), 1591-6

CODEN: PHREEB; ISSN: 0724-8741

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Autoclaving of **liposomes** composed of egg phospholipids or saturated phospholipids, the latter sometimes combined with **cholesterol**, was performed in an isotonic acetate buffer (pH 4.0) or Hepes buffer (pH 7.4). After a standard autoclaving cycle (15 min, 121°), no change was observed in pH, size, and extent of oxidation. Dependent on the exptl. conditions, a minor or substantial increase in the fraction of hydrolyzed phospholipids was found. After a sterilization cycle, pronounced leakage was found for a water-soluble, encapsulated compound (calcein) and for an **amphiphilic** compound (doxorubicin). Lipophilic, **liposome** bilayer-associated compds. [N-trifluoroacetyldoxorubicin-14-valerate (AD-32) and α -tocopherol] remained in the **liposome** after autoclaving. However, substantial degradation of AD-32 was observed. Under proper conditions **liposomes** without or with thermostable, lipophilic drugs can be sterilized by autoclaving. However, the hydrolysis of phospholipids can pose a problem, as hydrolysis kinetics depend on the pH used. In the chosen circumstances, the autoclaving cycle caused massive loss of **hydrophilic**, nonbilayer interacting compds.; under those conditions free drug removal or drug encapsulation should be performed after the autoclaving step.

IT 59-02-9, α -Tocopherol 1461-15-0, Calcein

23214-92-8, Doxorubicin 56124-62-0, Ad-32

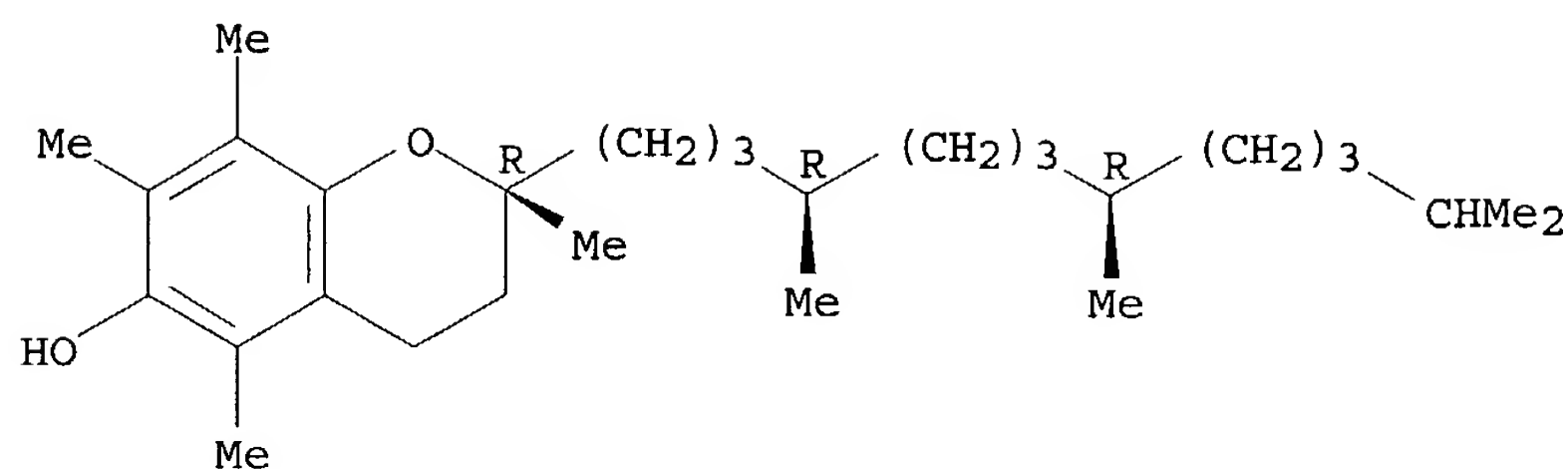
RL: USES (Uses)

(**liposomes** containing phospholipids and, sterilization of, by heat)

RN 59-02-9 HCAPLUS

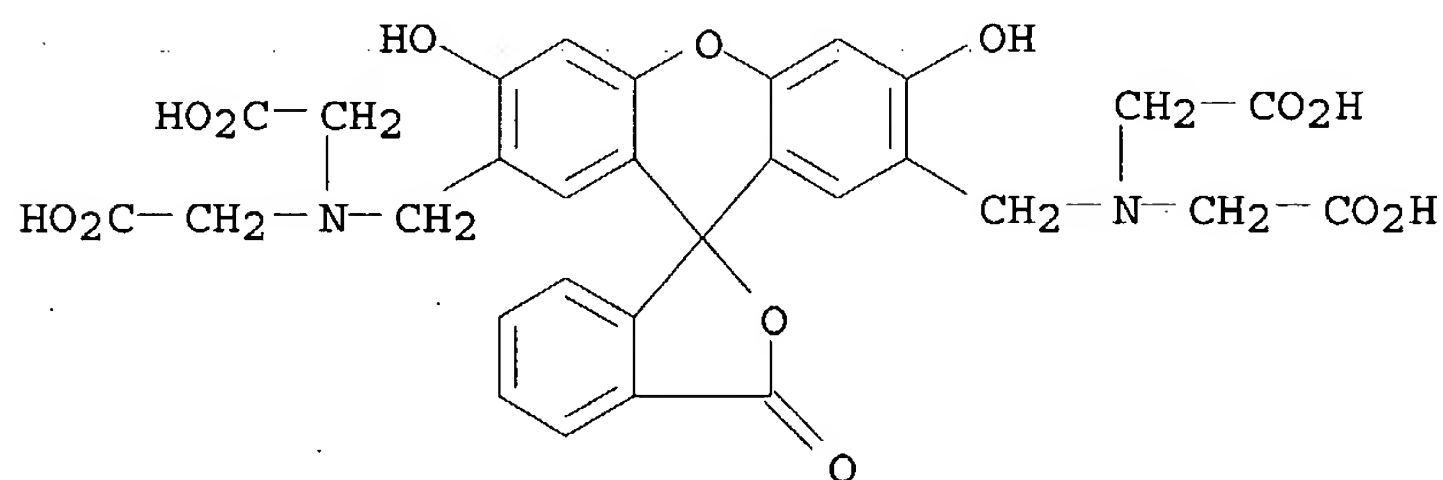
CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 1461-15-0 HCAPLUS

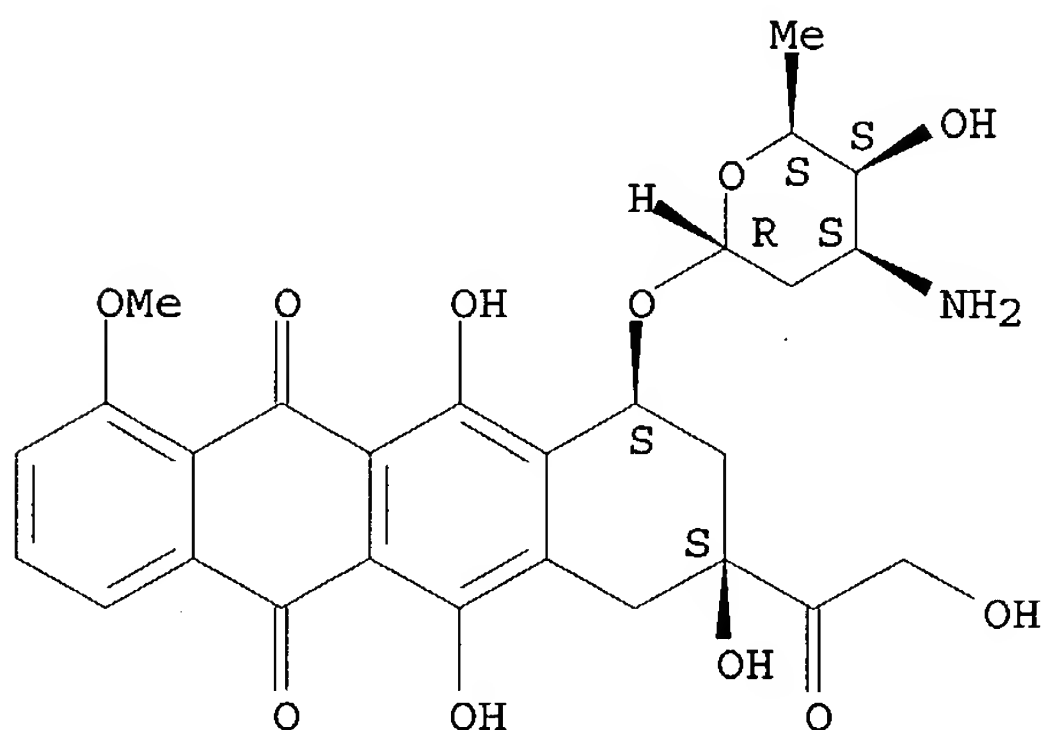
CN Glycine, N,N'-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthene]-2',7'-diyl)bis(methylene)]bis[N-(carboxymethyl)- (9CI) (CA INDEX NAME)



RN 23214-92-8 HCAPLUS

CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

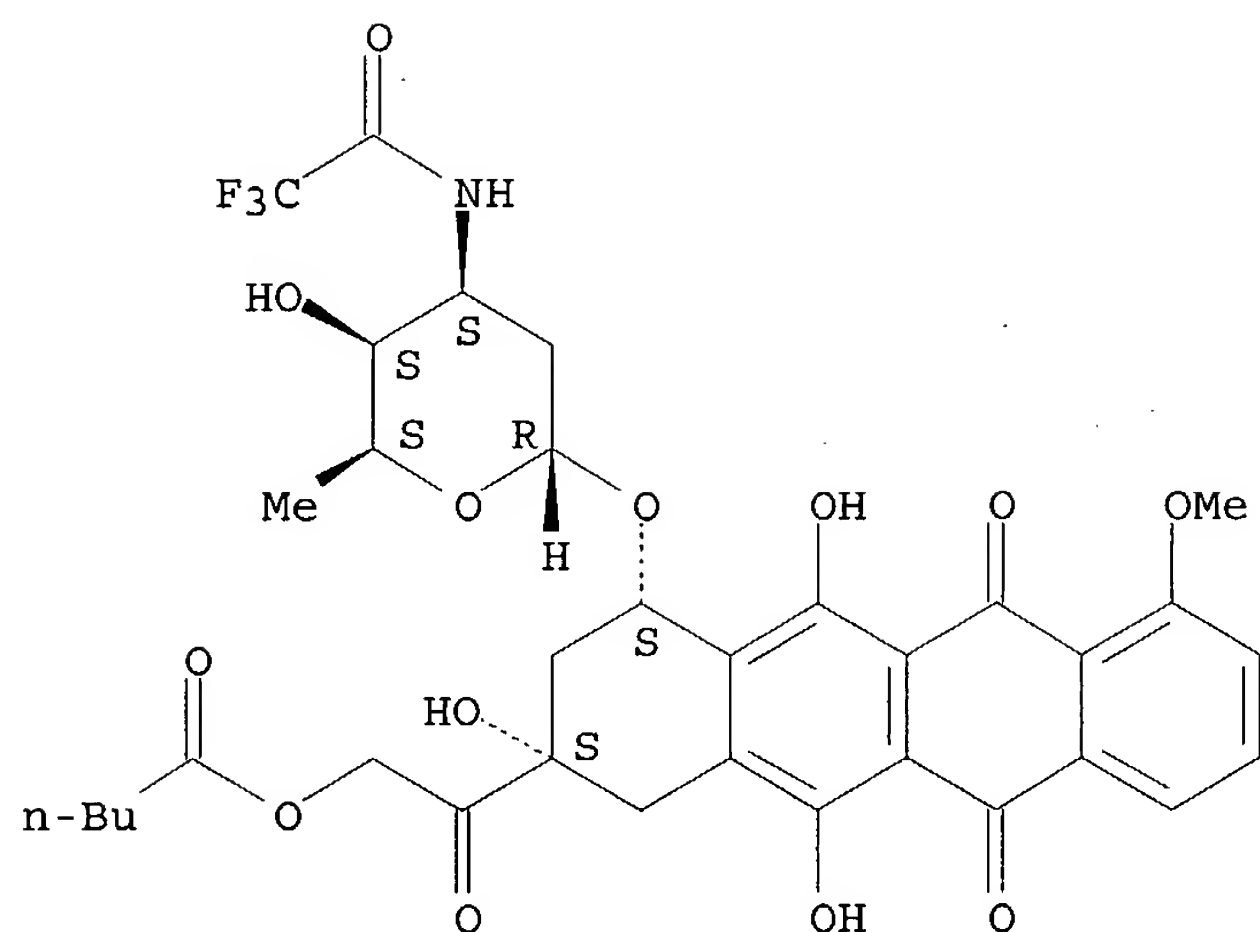
Absolute stereochemistry.



RN 56124-62-0 HCAPLUS

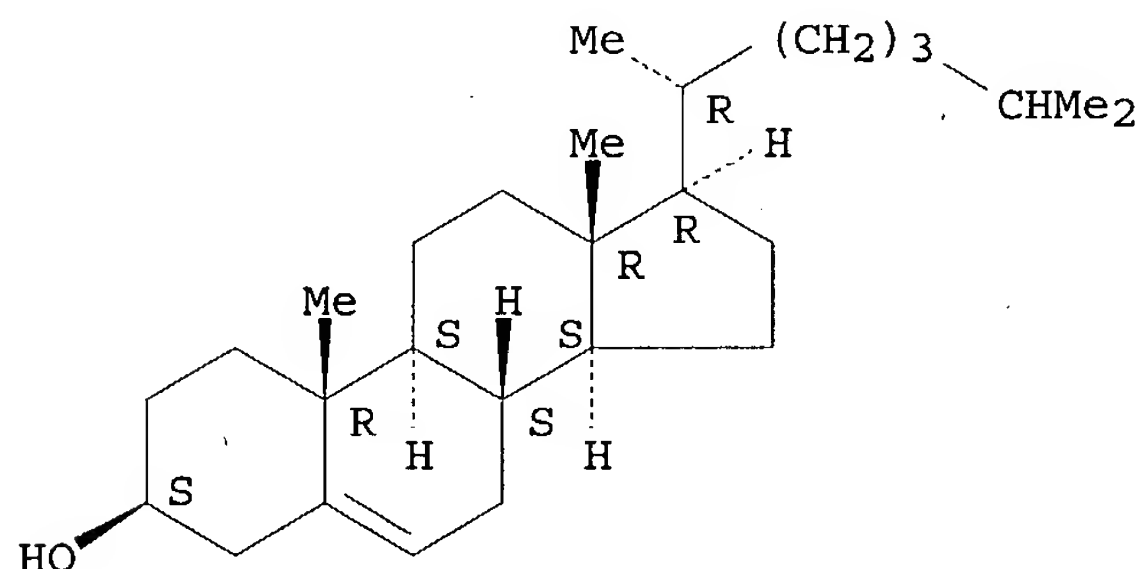
CN Pentanoic acid, 2-[(2S,4S)-1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[(trifluoroacetyl)amino]- α -L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



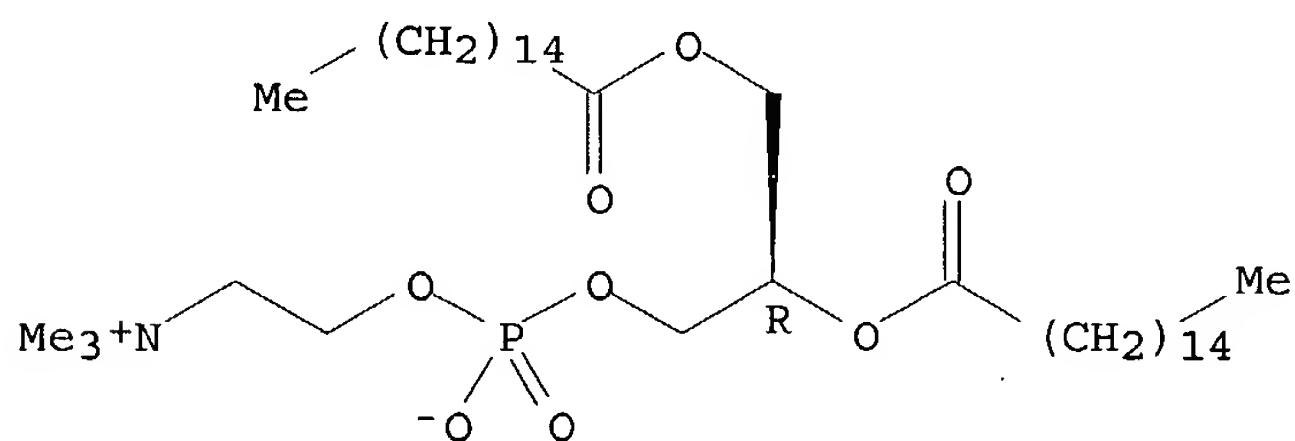
IT 57-88-5, **Cholesterol**, biological studies 63-89-8
 , Dipalmitoylphosphatidylcholine 4537-77-3,
 Dipalmitoylphosphatidylglycerol
 RL: BIOL (Biological study)
 (liposomes containing, sterilization of, by heat)
 RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

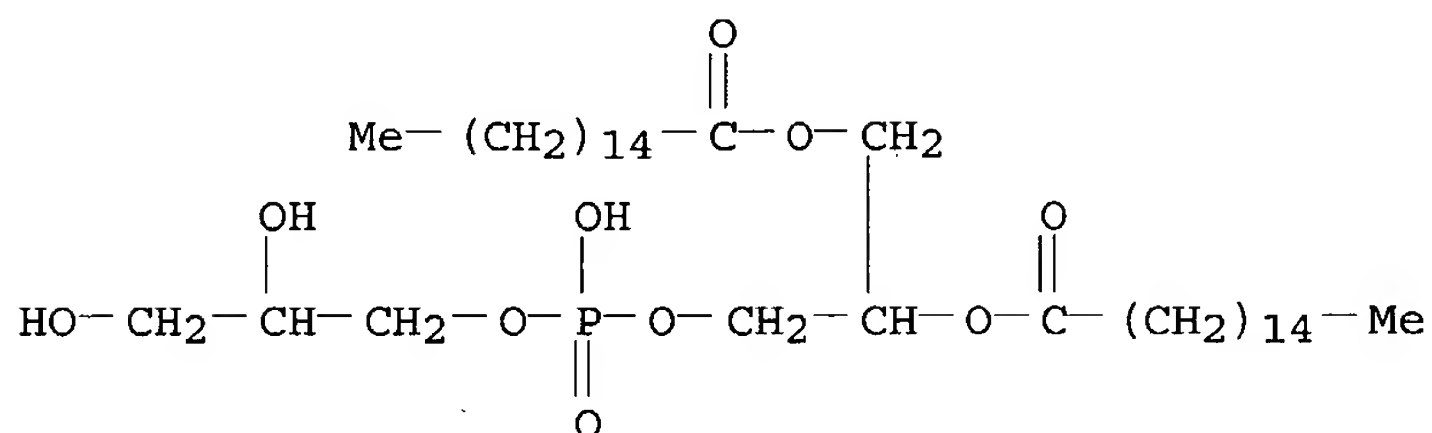


RN 63-89-8 HCAPLUS
 CN 3,5,9-Trioxa-4-phosphapentacosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-10-oxo-7-[(1-oxohexadecyl)oxy]-, inner salt, 4-oxide, (7R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 4537-77-3 HCAPLUS
 CN Hexadecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 26 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:639572 HCAPLUS

DOCUMENT NUMBER: 115:239572

TITLE: Encapsulation of drugs into large unilamellar **liposomes** prepared by an extemporaneous method

AUTHOR(S): Liautard, Janny; Philippot, J. R.; Liautard, Jean Pierre

CORPORATE SOURCE: USTL, Montpellier, 34095, Fr.

SOURCE: Journal of Microencapsulation (1991), 8(3), 381-9

CODEN: JOMIEF; ISSN: 0265-2048

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The method developed for extemporaneous preparation of large unilamellar **liposomes** was applied to the encapsulation of 12 different antibiotics, anti-asthmatic and anti-inflammatory drugs. The behavior of these drugs, during encapsulation, assigns them to one of the 3 classes: **hydrophilic**, **hydrophobic** or both. Alone, the first type of compound gave an appreciable encapsulation. However, the entrapment yield depended on the resp. charges of the **liposome** and the drug. The **amphiphilic** mols. tested are permeant and thus did not stay inside the **liposomes**. Only one of the hydrophobic drugs analyzed associated with the **liposome** membrane lipids with a good yield.

IT 29836-26-8

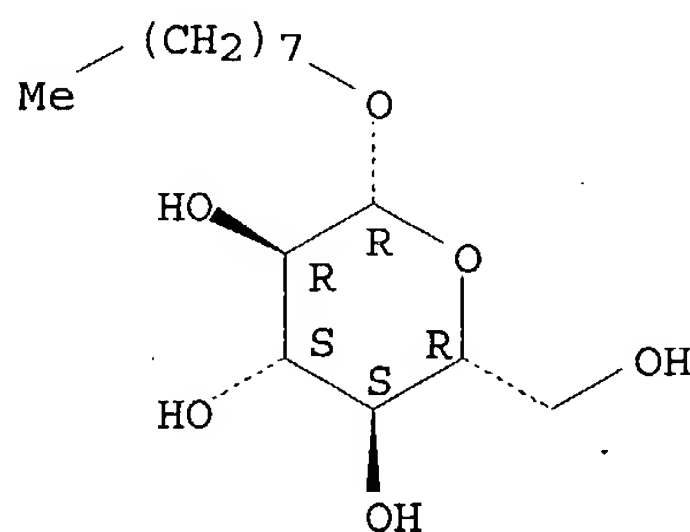
RL: BIOL (Biological study)

(encapsulation of drugs into large unilamellar **liposomes** prepared by extemporaneous method in presence of)

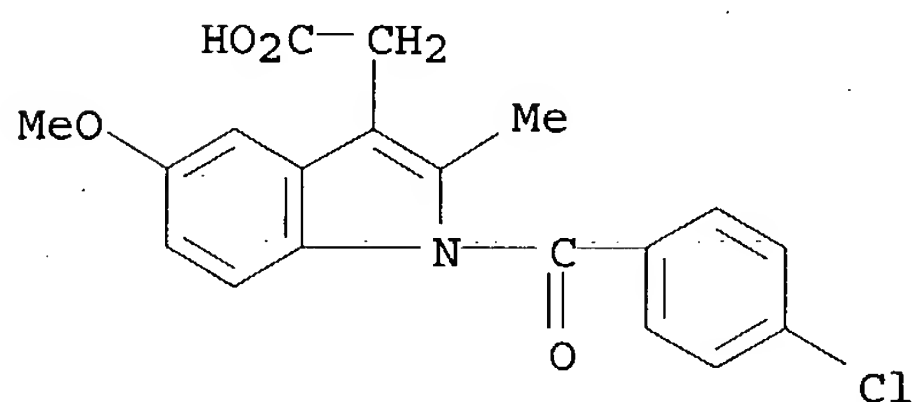
RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

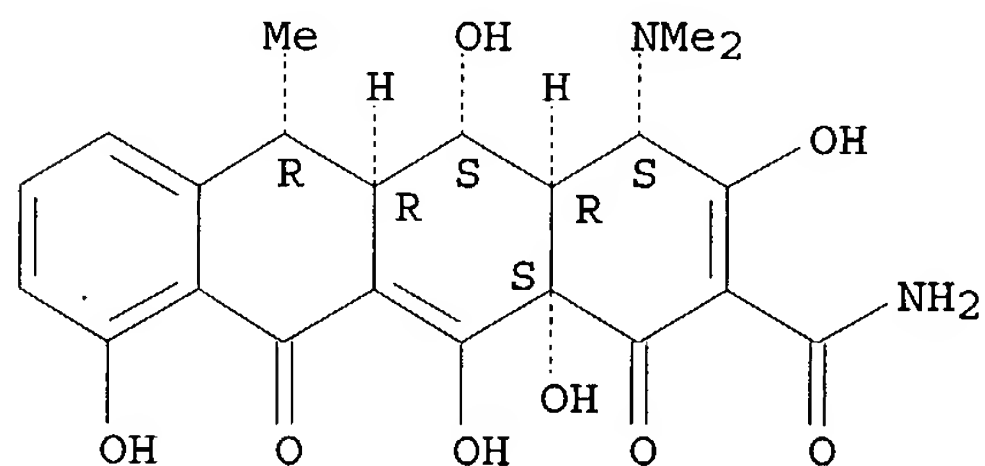


IT 53-86-1P 564-25-0P, Doxycycline 738-70-5P,
 Trimethoprim 1403-66-3P, Gentamycin 6998-60-3P,
 Rifamycin 14897-39-3P 15307-86-5P, Diclofenac
 16110-51-3P 16846-24-5P, Josamycin 18559-94-9P
 , Salbutamol 50370-12-2P, Cefadroxil
 RL: PREP (Preparation)
 (encapsulation of, into large unilamellar liposomes prepared by
 extemporaneous method)
 RN 53-86-1 HCAPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)

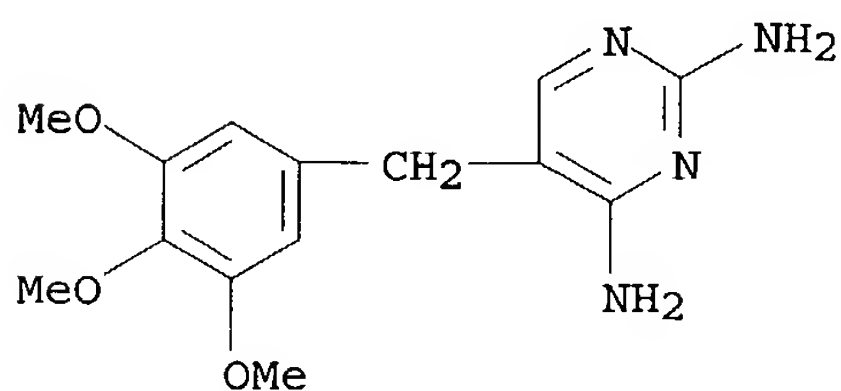


RN 564-25-0 HCAPLUS
 CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-
 3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS) -
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 738-70-5 HCAPLUS
 CN 2,4-Pyrimidinediamine, 5-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA
 INDEX NAME)



RN 1403-66-3 HCAPLUS
 CN Gentamicin (9CI) (CA INDEX NAME)

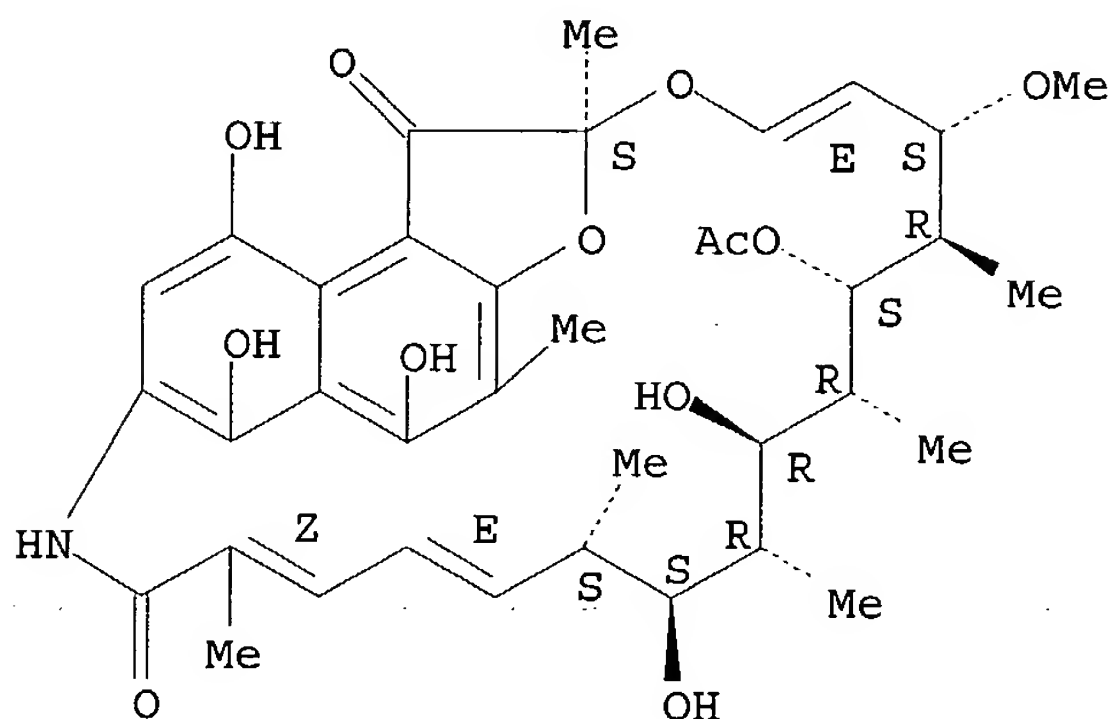
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 6998-60-3 HCAPLUS

CN Rifamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

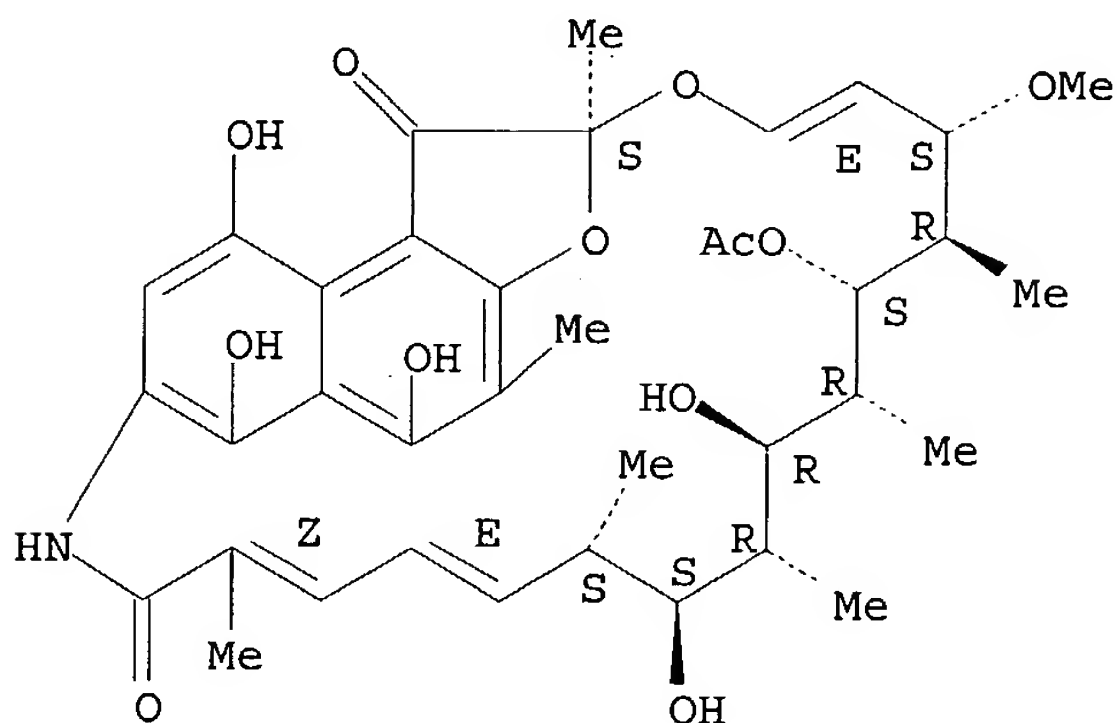


RN 14897-39-3 HCAPLUS

CN Rifamycin, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

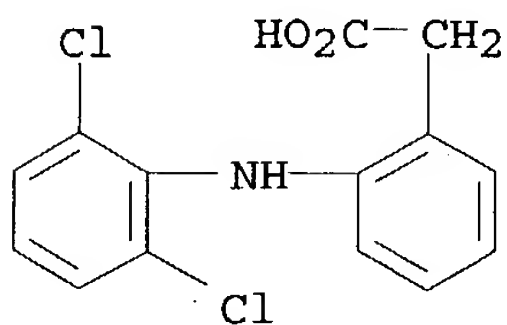
Double bond geometry as described by E or Z.



● Na

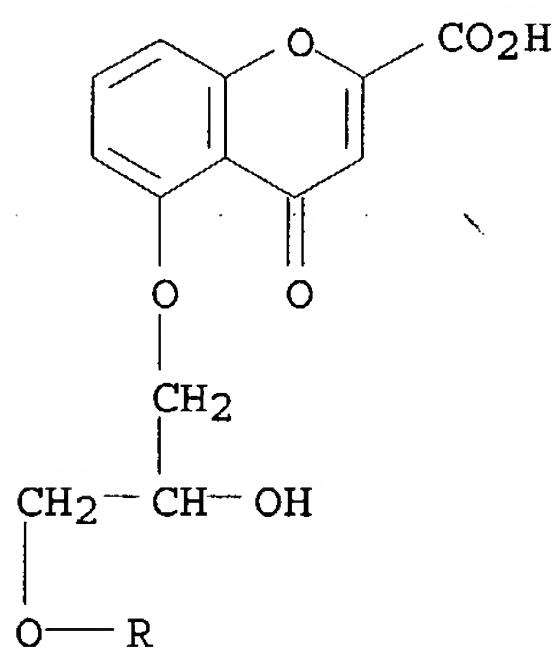
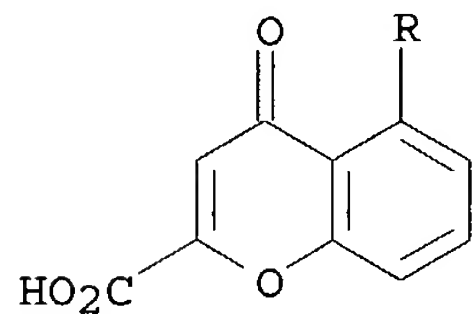
RN 15307-86-5 HCAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)



RN 16110-51-3 HCAPLUS

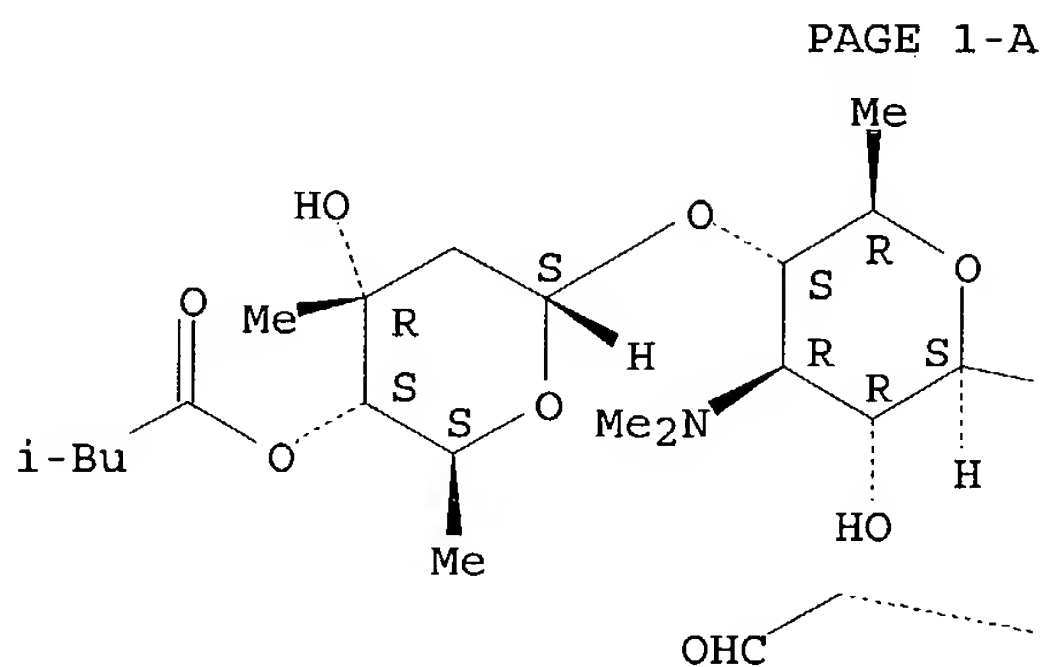
CN 4H-1-Benzopyran-2-carboxylic acid, 5,5'-[(2-hydroxy-1,3-propanediyl)bis(oxy)]bis[4-oxo- (9CI) (CA INDEX NAME)



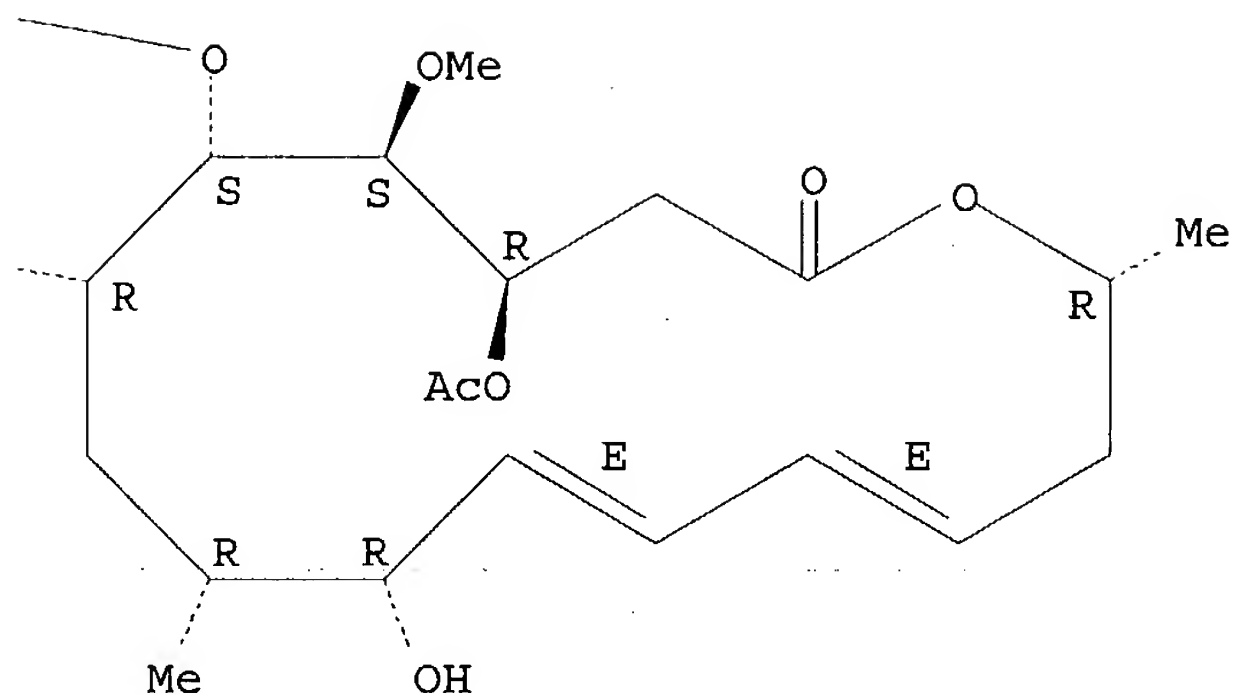
RN 16846-24-5 HCAPLUS

CN Leucomycin V, 3-acetate 4B-(3-methylbutanoate) (9CI) (CA INDEX NAME)

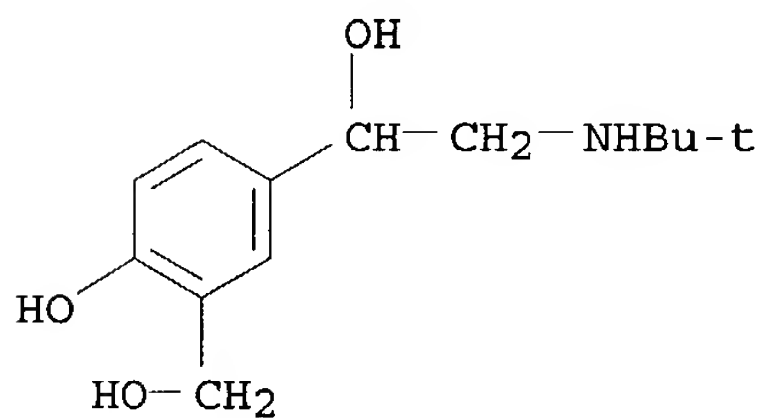
Absolute stereochemistry.
Double bond geometry as shown.



PAGE 1-B



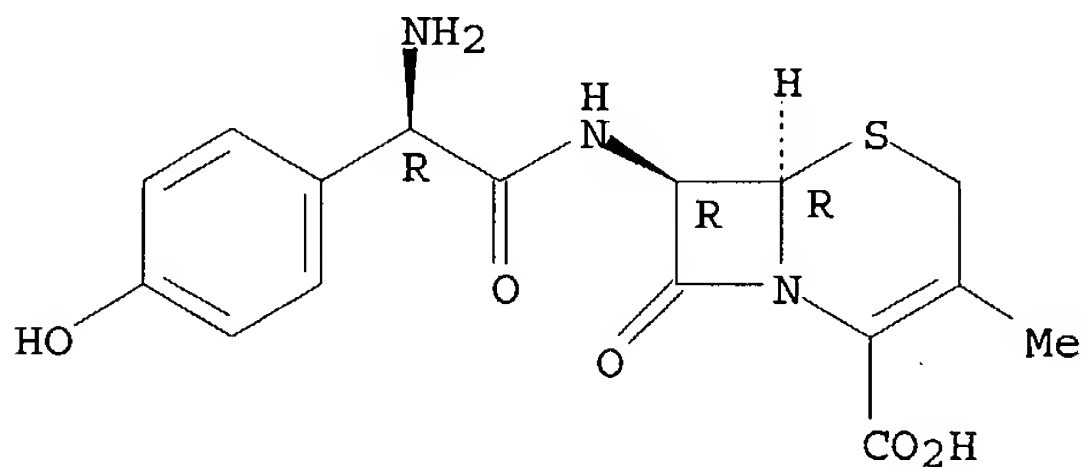
RN 18559-94-9 HCAPLUS

CN 1,3-Benzenedimethanol, α 1-[[[(1,1-dimethylethyl) amino]methyl]-4-hydroxy- (9CI) (CA INDEX NAME)

RN 50370-12-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2R)-amino(4-hydroxyphenyl)acetyl]amino]-3-methyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 7732-18-5P

RL: PREP (Preparation)

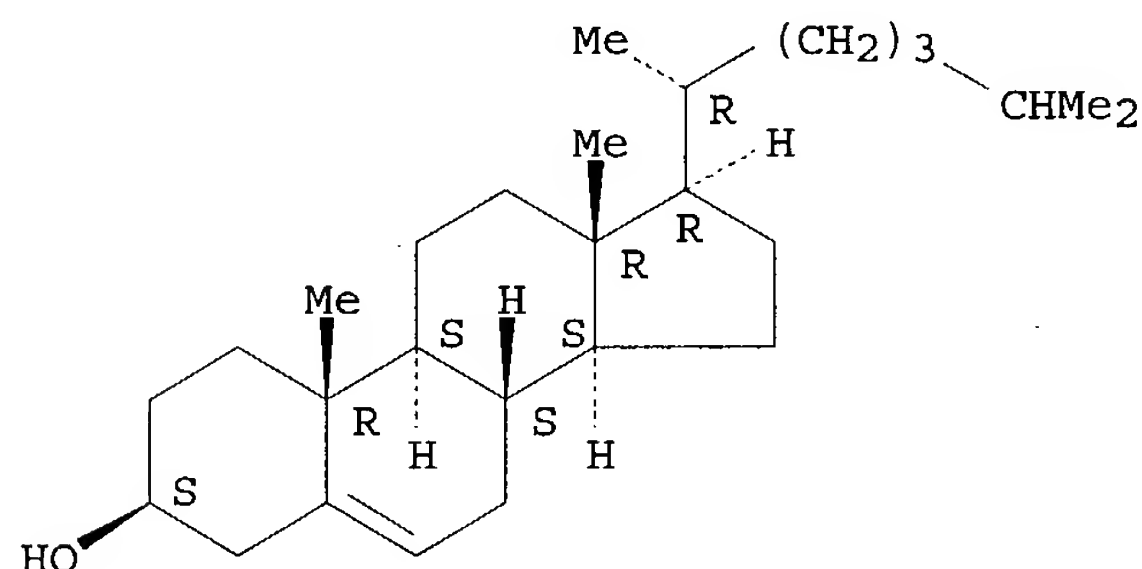
(hydrophilicity, of drugs, encapsulation into large unilamellar liposomes prepared by extemporaneous method in

relation to)
 RN 7732-18-5 HCAPLUS
 CN Water (8CI, 9CI) (CA INDEX NAME)

H₂O

RL: PREP (Preparation)
 (hydrophobicity, of drugs, encapsulation into large unilamellar
 liposomes prepd. by extemporaneous method in relation to
 IT 57-88-5, Cholesterol, biological studies
 RL: BIOL (Biological study)
 (liposomes containing, encapsulation of drugs into large
 unilamellar, by extemporaneous method)
 RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 27 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1989:639540 HCAPLUS
 DOCUMENT NUMBER: 111:239540
 TITLE: Liposomes containing hydrophilic
 drugs and a process for manufacture them
 INVENTOR(S): Profitt, Richard Thomas; Adler-Moore, Jill; Chiang,
 Su-Ming
 PATENT ASSIGNEE(S): Vestar, Inc., USA
 SOURCE: Eur. Pat. Appl., 13 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

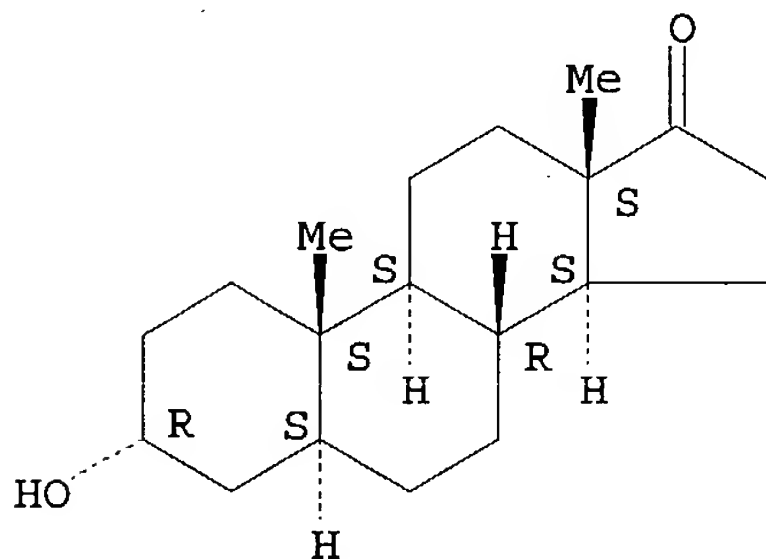
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 317120	A1	19890524	EP 1988-310278	19881101
EP 317120	B1	19910828		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 8824161	A1	19890518	AU 1988-24161	19881024
AU 598958	B2	19900705		
AT 66598	E	19910915	AT 1988-310278	19881101
ES 2029330	T3	19920801	ES 1988-310278	19881101
KR 9707187	B1	19970507	KR 1988-14547	19881105
NO 8804989	A	19890516	NO 1988-4989	19881109

NO 178484	B	19960102		
NO 178484	C	19960410		
JP 01160915	A2	19890623	JP 1988-284828	19881110
JP 2958774	B2	19991006		
CA 1339008	A1	19970325	CA 1988-582730	19881110
DK 8806293	A	19890513	DK 1988-6293	19881111
DK 175052	B1	20040510		
US 6770290	B1	20040803	US 1990-600154	19901019
US 5965156	A	19991012	US 1995-469251	19950606
US 2004175417	A1	20040909	US 2004-802377	20040317
PRIORITY APPLN. INFO.:			US 1987-119518	A 19871112
			EP 1988-310278	A 19881101
			US 1990-600154	A1 19901019

AB A novel **liposome** composition and a method for solubilizing **amphiphilic** drugs in a small amount of organic solvent for use in improved **liposomes** are described. A phosphatidylglycerol is acidified and the **amphiphilic** drugs suspended in an organic solvent are added to solubilize the drugs. Distearoylphosphatidylglycerol Na solution dissolved in CHCl₃-MeOH mixture (1:1) was acidified with HCl and then mixed with amphotericin B (I) solution dissolved in the same solvent. Hydrogenated egg phosphatidylcholine solution and **cholesterol** solution dissolved in the same solvent were then mixed with the mixture. The pH was adjusted to 4.5 by addition of 2.5 N NaOH. The molar ratio of I, distearoylphosphatidylglycerol, hydrogenated egg phosphatidylcholine, and **cholesterol** in the solution was 0.4, 0.4, 2.0, and 1.0 resp. The lipid solution was spray-dried to give a powder, which was hydrated with 9% lactose-containing 10 mM succinate buffer (pH 5.62) and sonicated to give **liposomes**. Mice were i.v. inoculated with *Candida albicans* and 3 days post-infection, mice were treated with a single dose of either free I or liposomal I. There was no dose level of free I which produced any survivors at 29 days post-infection; however, all animals treated with 10 or 15 mg/kg of liposomal I were still alive 42 days post-infection.

IT 53-41-8, Androsterone 57-87-4, Ergosterol
 57-88-5, **Cholesterol**, biological studies 83-48-7
 , Stigmasterol 2644-64-6, Dipalmitoylphosphatidylcholine
 4537-78-4, Distearoylphosphatidylglycerol 4539-70-2,
 Distearoylphosphatidylcholine 61361-72-6,
 Dimyristoylphosphatidylglycerol 63644-55-3,
 Dilauroylphosphatidylglycerol 124011-52-5
 RL: BIOL (Biological study)
 (liposomes containing amphotericin B and)
 RN 53-41-8 HCAPLUS
 CN Androstan-17-one, 3-hydroxy-, (3 α ,5 α)- (9CI) (CA INDEX NAME)

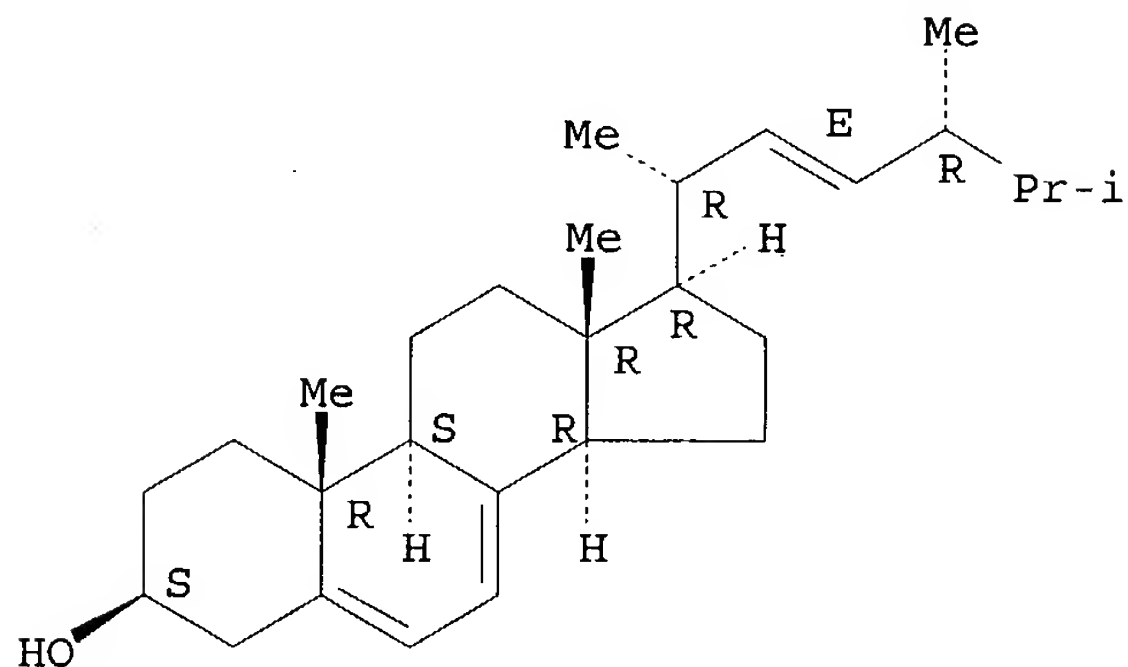
Absolute stereochemistry.



RN 57-87-4 HCAPLUS

CN Ergosta-5,7,22-trien-3-ol, (3 β ,22E) - (9CI) (CA INDEX NAME)

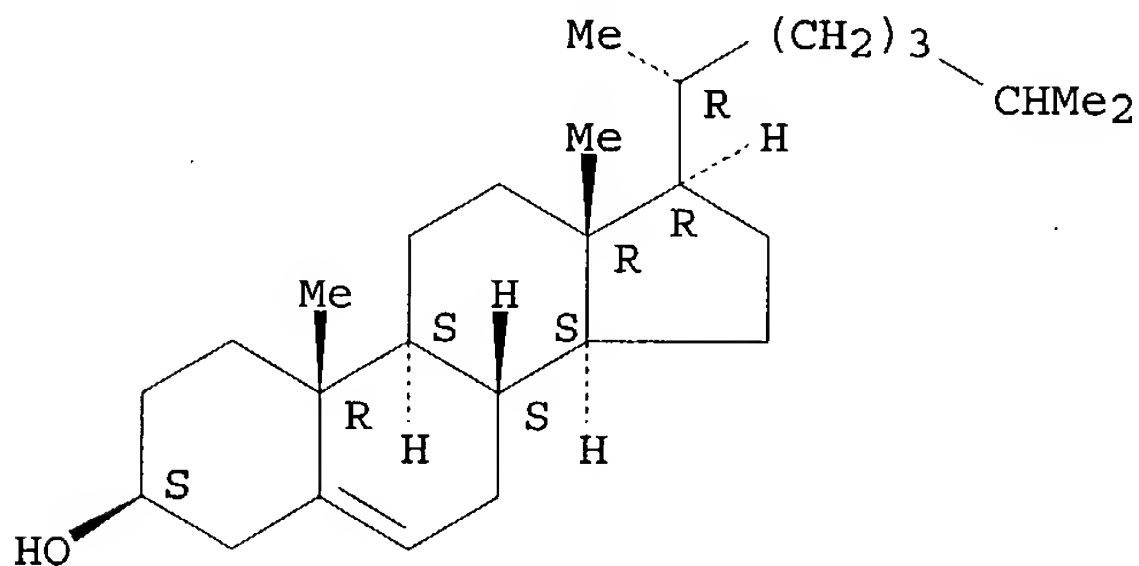
Absolute stereochemistry.
Double bond geometry as shown.



RN 57-88-5 HCAPLUS

CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

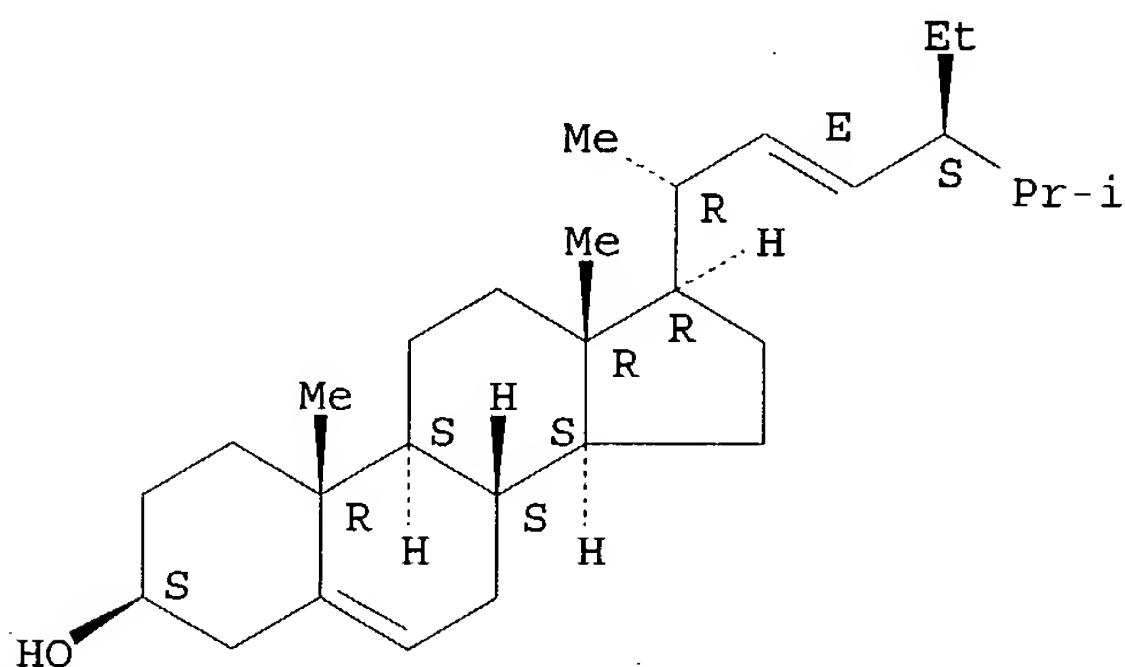
Absolute stereochemistry.



RN 83-48-7 HCAPLUS

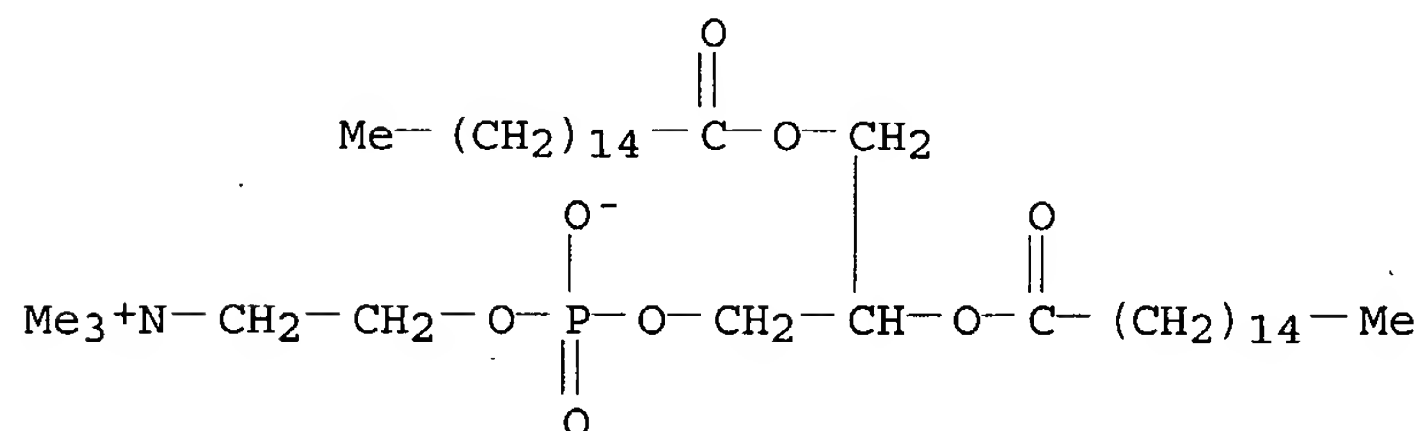
CN Stigmasta-5,22-dien-3-ol, (3 β ,22E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



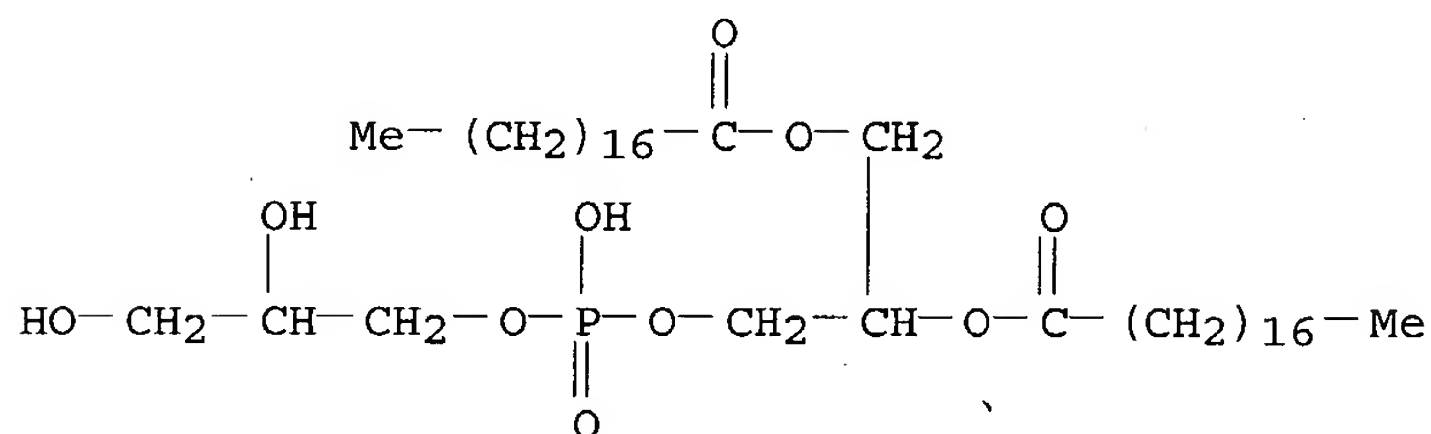
RN 2644-64-6 HCAPLUS

CN 3,5,9-Trioxa-4-phosphapentacosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-10-oxo-7-[(1-oxohexadecyl)oxy]-, inner salt, 4-oxide (9CI) (CA INDEX NAME)



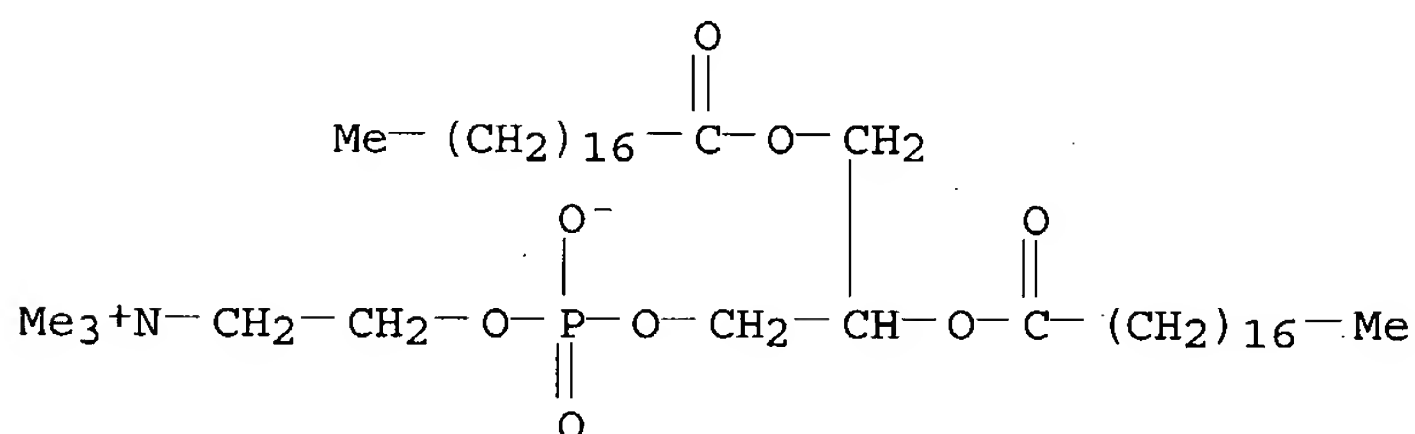
RN 4537-78-4 HCAPLUS

CN Octadecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



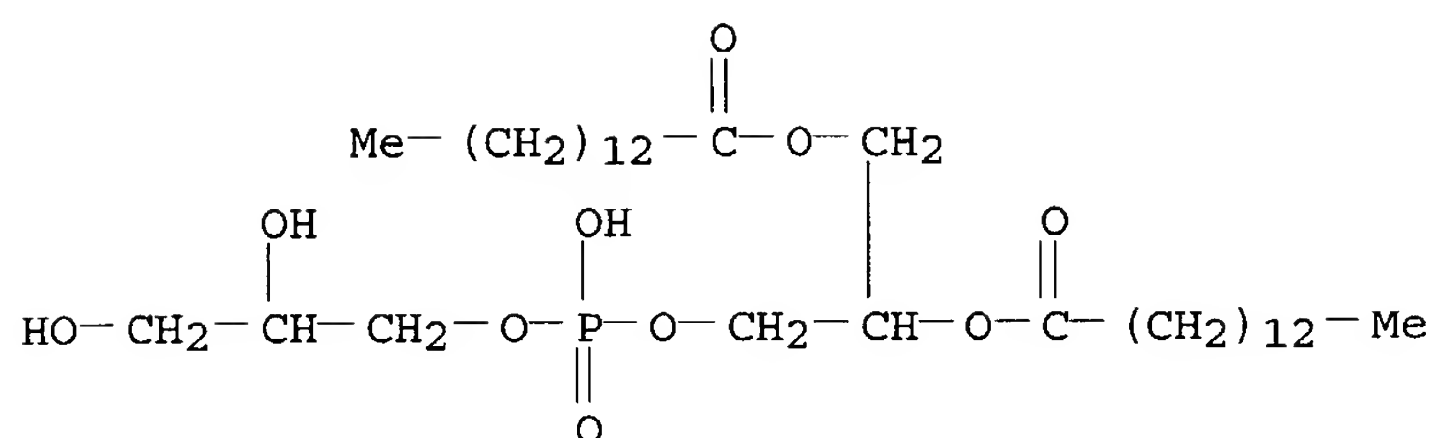
RN 4539-70-2 HCAPLUS

CN 3,5,9-Trioxa-4-phosphaheptacosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-10-oxo-7-[(1-oxooctadecyl)oxy]-, inner salt, 4-oxide (9CI) (CA INDEX NAME)



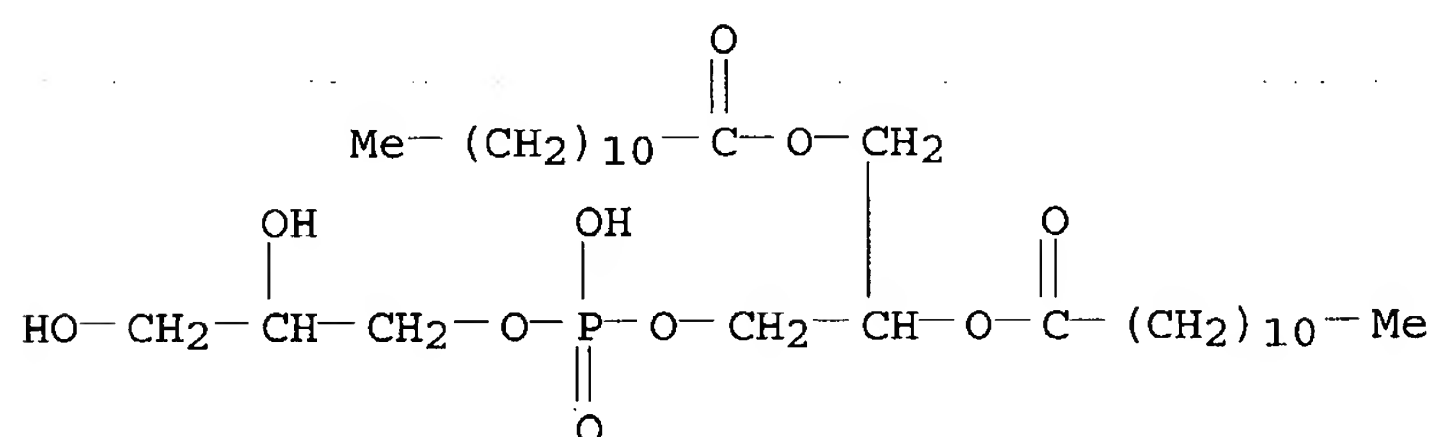
RN 61361-72-6 HCAPLUS

CN Tetradecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



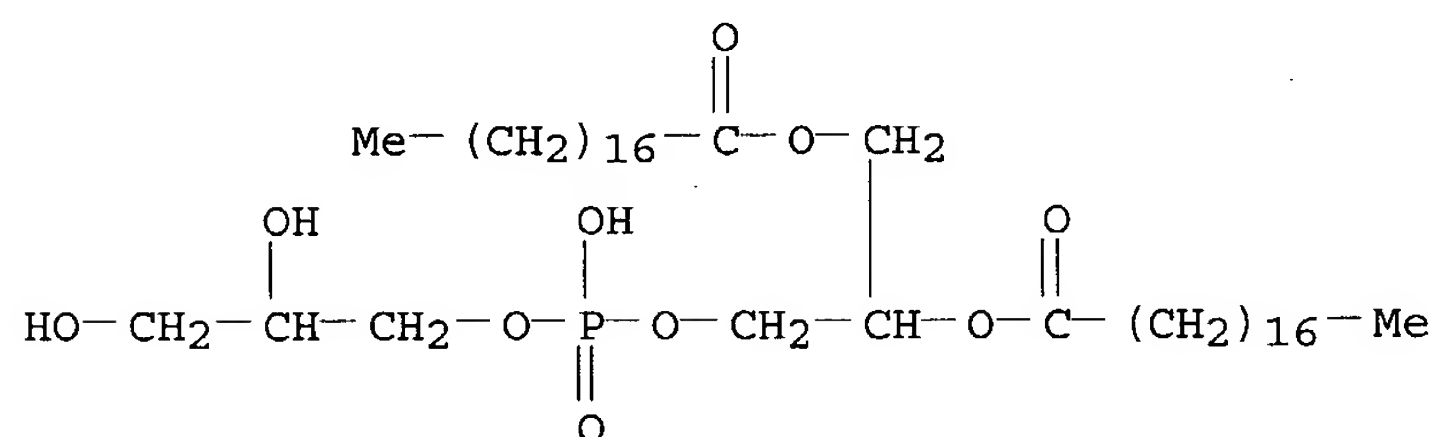
RN 63644-55-3 HCAPLUS

CN Dodecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



RN 124011-52-5 HCAPLUS

CN Octadecanoic acid, 1-[[[(2,3-dihydroxypropoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester, sodium salt (9CI) (CA INDEX NAME)



●x Na

IT 1397-89-3, Amphotericin B

RL: BIOL (Biological study)

(liposomes containing, manufacture of)

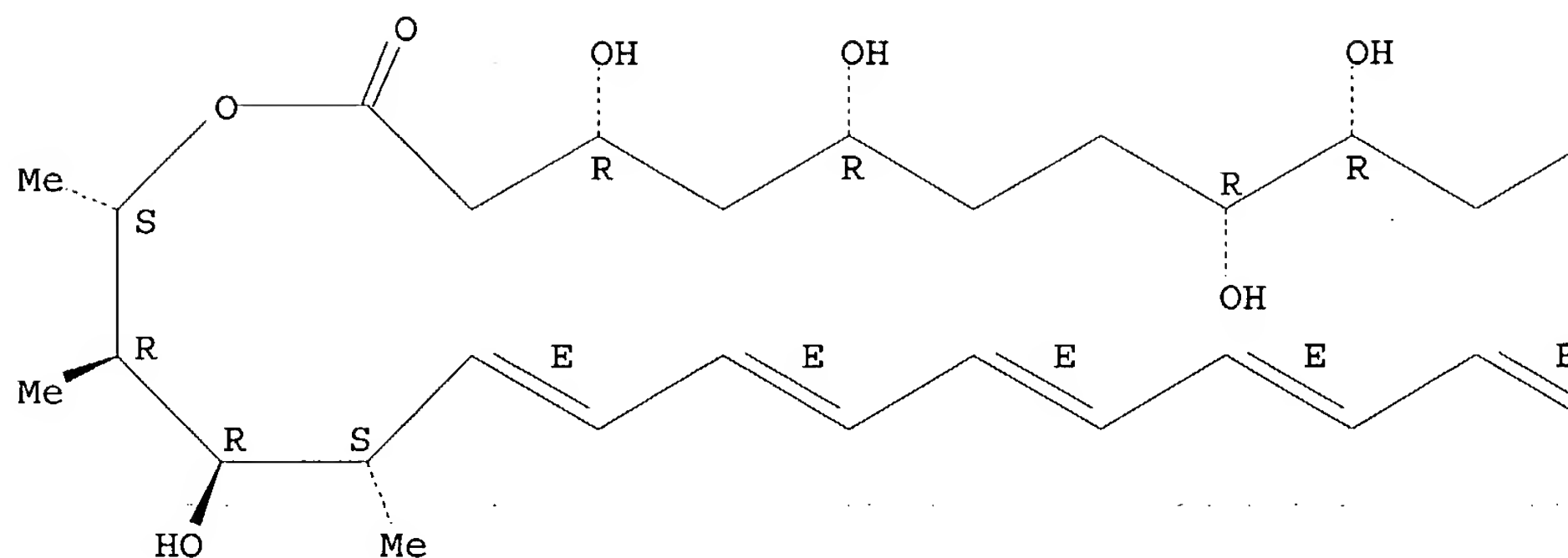
RN 1397-89-3 HCAPLUS

CN Amphotericin B (8CI, 9CI) (CA INDEX NAME)

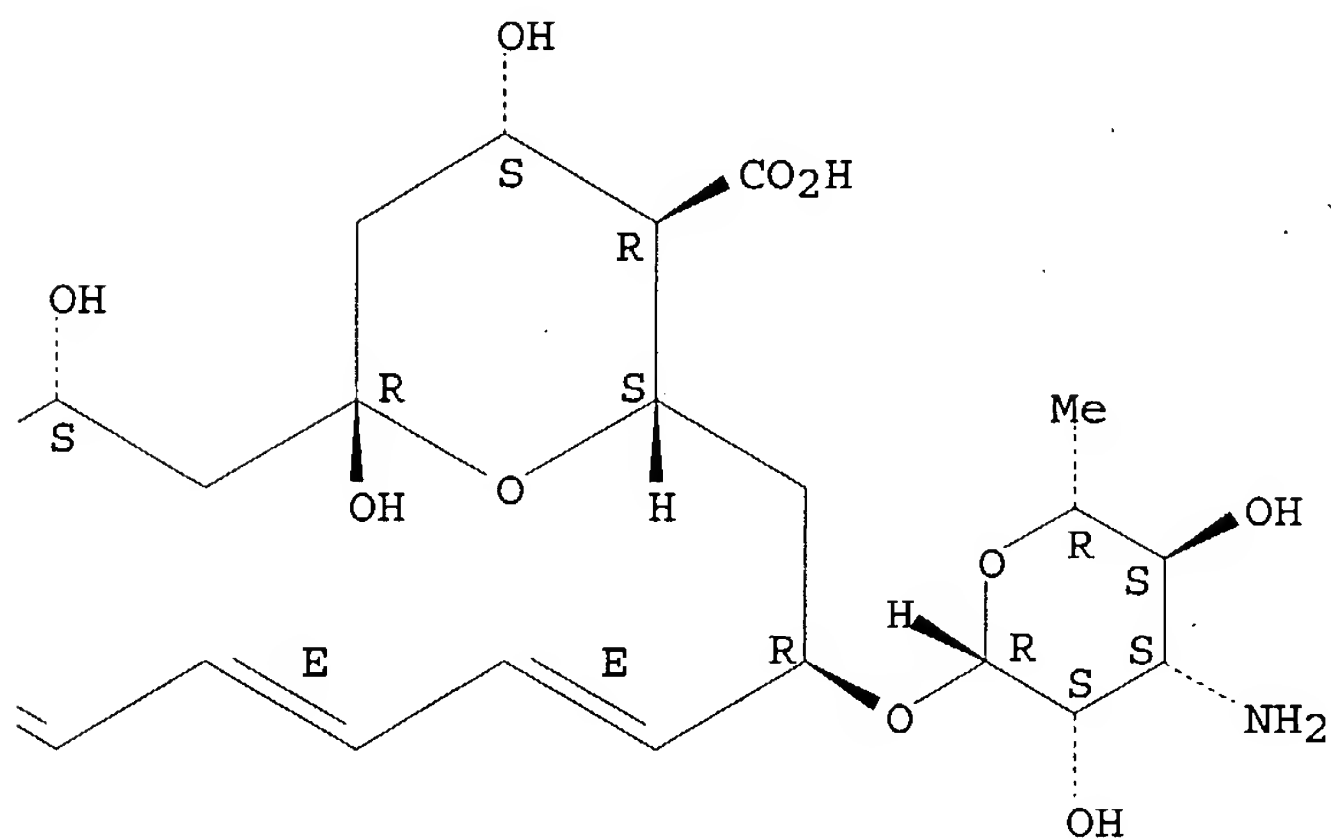
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

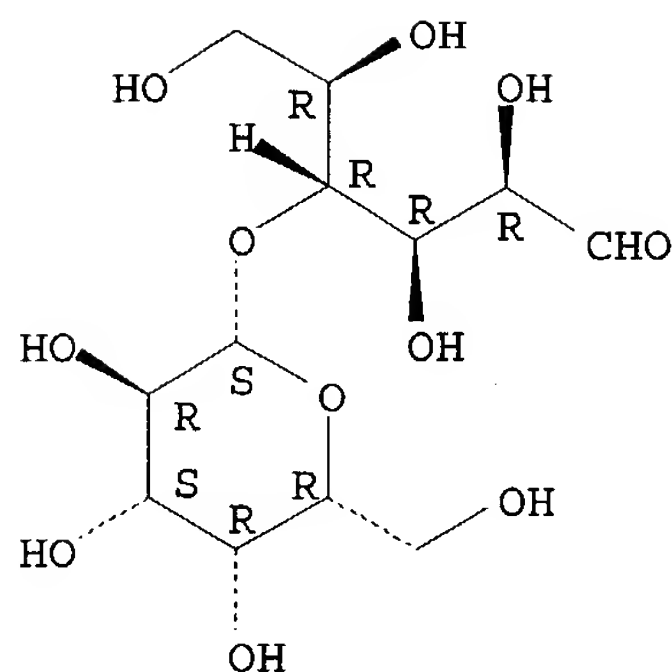


PAGE 1-B



IT 63-42-3P, Lactose
 RL: PREP (Preparation)
 (stabilizer in manufacture of amphotericin B-containing **liposomes**)
 RN 63-42-3 HCAPLUS
 CN D-Glucose, 4-O-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L18 ANSWER 28 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:520887 HCAPLUS

DOCUMENT NUMBER: 111:120887

TITLE: Method of producing high aqueous volume multilamellar vesicles

INVENTOR(S): Wallach, Donald F. H.

PATENT ASSIGNEE(S): Micro-Pak, Inc., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8806881	A1	19880922	WO 1988-US721	19880308
W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4855090	A	19890808	US 1987-78658	19870728
AU 8816836	A1	19881010	AU 1988-16836	19880308
AU 603447	B2	19901115		
EP 349593	A1	19900110	EP 1988-904011	19880308
EP 349593	B1	19911127		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02503646	T2	19901101	JP 1988-503735	19880308
JP 06000193	B4	19940105		
AT 69723	E	19911215	AT 1988-904011	19880308
CA 1289420	A1	19910924	CA 1988-561288	19880311
CA 2062726	AA	19901227	CA 1990-2062726	19900613
WO 9100084	A1	19910110	WO 1990-US3339	19900613
W: AU, BR, CA, FI, HU, JP, NO, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9059471	A1	19910117	AU 1990-59471	19900613
US 5234767	A	19930810	US 1991-759732	19910912
US 5474848	A	19951212	US 1994-200351	19940203
US 5628936	A	19970513	US 1995-456283	19950531
PRIORITY APPLN. INFO.:			US 1987-25525	A 19870313
			US 1987-78658	A 19870728
			US 1987-124824	A2 19871124
			US 1988-157571	A2 19880303
			EP 1988-904011	A 19880308

WO 1988-US721	A 19880308
US 1989-371738	A 19890626
US 1989-410647	B1 19890921
US 1989-443516	A1 19891129
WO 1990-US3339	A 19900613
US 1991-683835	B1 19910411
US 1992-944696	B1 19920914
US 1993-5940	B1 19930119

OTHER SOURCE(S): MARPAT 111:120887

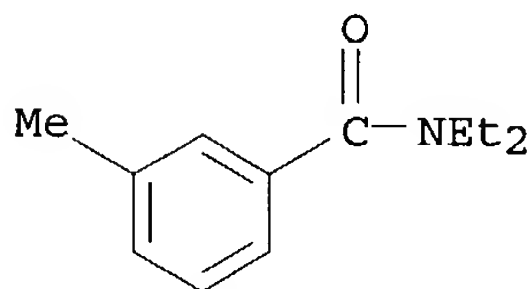
AB The title **vesicles** are prepared by combining a lipophilic phase with an excess of aqueous phase, under high shear. The lipophilic phase is obtained by blending a polyoxyethylene alkyl ether or polyglycerol alkyl ether surfactant with a sterol and a charge-producing amphiphile, at a temperature above the m.p. of the surfactant. **Amphiphilic** or **hydrophilic** drugs and agrochemicals may be encapsulated into the **vesicles**. A mixture of polyoxyethylene cetyl ether 0.696, **cholesterol** 0.073 and dicetyl phosphate 0.055g was blended at 40° into 10 mL 5 mM phosphate buffer (pH 7.4) containing 150 mM NaCl, to give multilamellar **vesicles**.

IT 134-62-3

RL: BIOL (Biological study)
(lipid **vesicle** containing)

RN 134-62-3 HCAPLUS

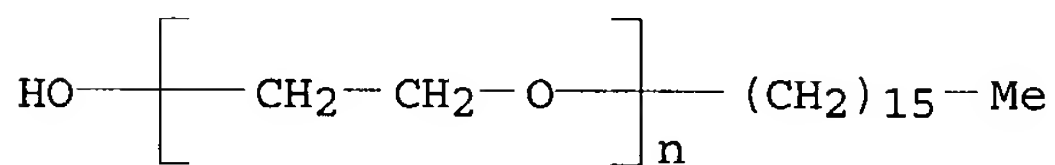
CN Benzamide, N,N-diethyl-3-methyl- (9CI) (CA INDEX NAME)



IT 9004-95-9, Polyoxyethylene cetyl ether

RL: BIOL (Biological study)
(lipid **vesicles** containing steroids and)

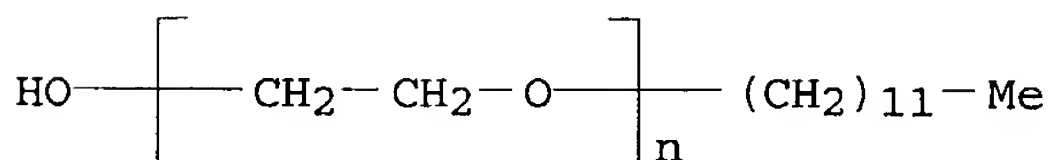
RN 9004-95-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -hexadecyl- ω -hydroxy- (9CI) (CA INDEX NAME)

IT 9002-92-0

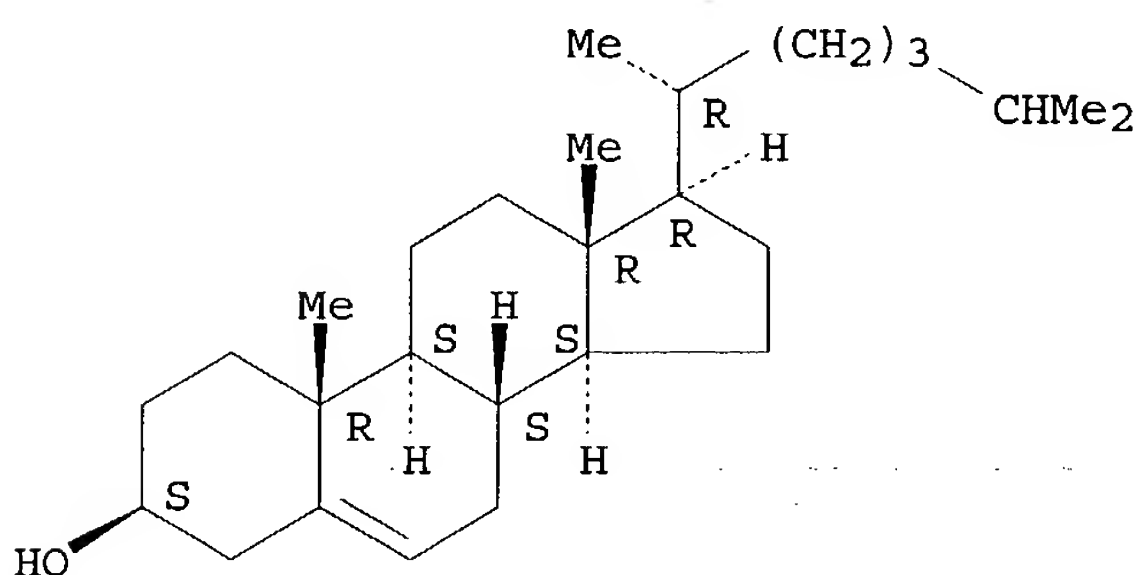
RL: BIOL (Biological study)
(lipid **vesicles** containing sterols and charge-producing amphiphiles and)

RN 9002-92-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -dodecyl- ω -hydroxy- (9CI) (CA INDEX NAME)

IT 57-88-5, **Cholesterol**, biological studies
 RL: BIOL (Biological study)
 (lipid **vesicles** containing surfactants and charge-producing
 amphiphiles and)
 RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

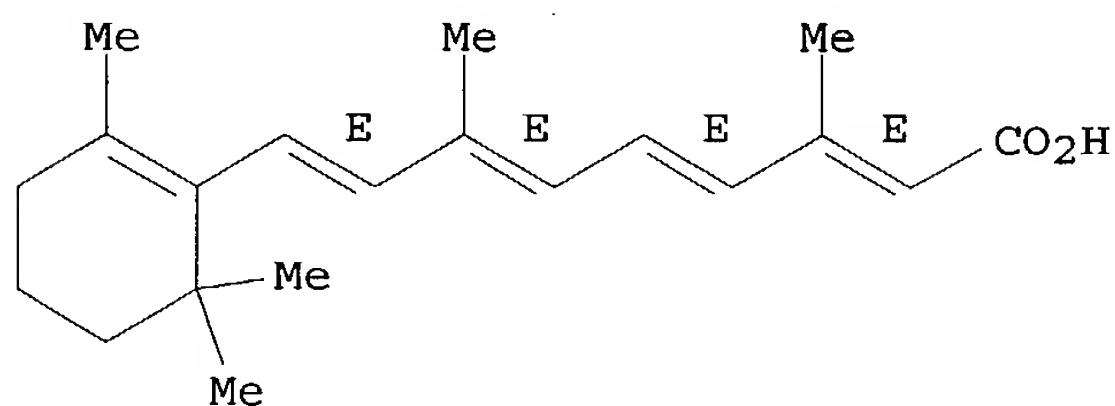


IT 143-02-2, Cetyl sulfate 302-79-4, Retinoic acid
 2197-63-9, Dicetyl phosphate
 RL: BIOL (Biological study)
 (lipid **vesicles** containing surfactants and sterols and)
 RN 143-02-2 HCAPLUS
 CN 1-Hexadecanol, hydrogen sulfate (8CI, 9CI) (CA INDEX NAME)

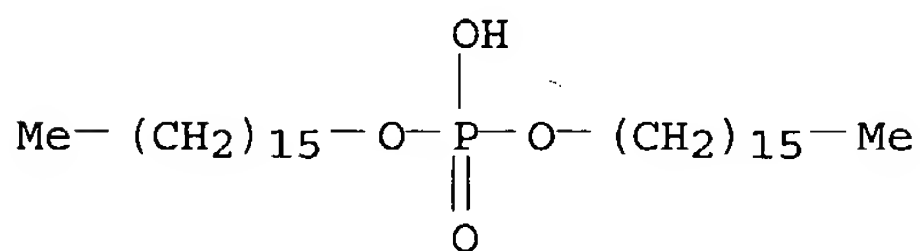
HO₃SO—(CH₂)₁₅—Me

RN 302-79-4 HCAPLUS
 CN Retinoic acid (6CI, 9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 2197-63-9 HCAPLUS
 CN 1-Hexadecanol, hydrogen phosphate (8CI, 9CI) (CA INDEX NAME)



L18 ANSWER 29 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1988:75083 HCAPLUS
 DOCUMENT NUMBER: 108:75083
 TITLE: Membrane-spanning steroidal metalloporphyrins as
 site-selective catalysts in synthetic **vesicles**
 AUTHOR(S): Groves, John T.; Neumann, Ronny
 CORPORATE SOURCE: Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA
 SOURCE: Journal of the American Chemical Society (1987),
 109(16), 5045-7
 CODEN: JACSAT; ISSN: 0002-7863
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 108:75083
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

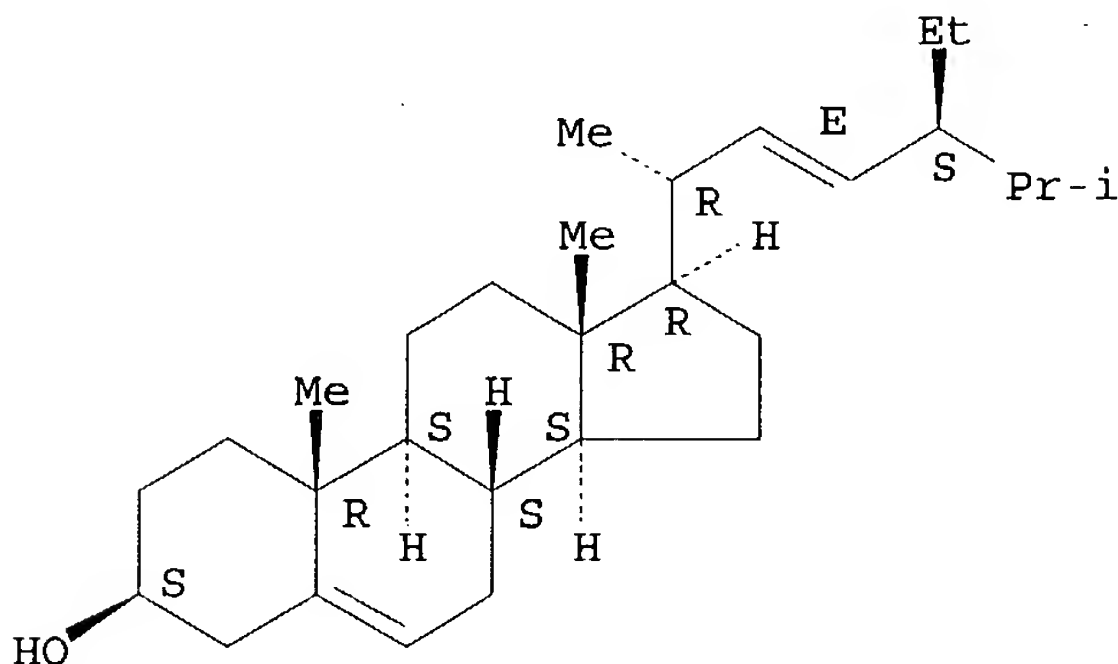
AB The **amphiphilic** iron porphyrin I was prepared by amidating the aminophenylporphyrin. Intercalation of I into a dimyristoylphosphocholine or dipalmitoylphosphocholine phospholipid bilayer resulted in an assembly which was a regioselective catalytic oxidation system. Epoxidn. with PhIO of desmosterol and fucosterol gave exclusively side chain epoxidn. in moderate (30%) yields. Epoxidns. of polyunsatd. fatty acids, such as linoleic acid, gave epoxides of the more hydrophobic position in 2:1 ratio with the epoxides of the more **hydrophilic** position. Regioselectivity was a function of membrane rigidity. Addition of **cholesterol** increased the selectivity for epoxidn. at the hydrophobic terminus to 6.7:1.

IT 83-48-7, Stigmasterol
 RL: PROC (Process)
 (attempted epoxidn. of, with iron tetrakis(cholenylamidophenyl)porphyrin catalyst)

RN 83-48-7 HCAPLUS

CN Stigmasta-5,22-dien-3-ol, (3 β ,22E)- (9CI) (CA INDEX NAME)

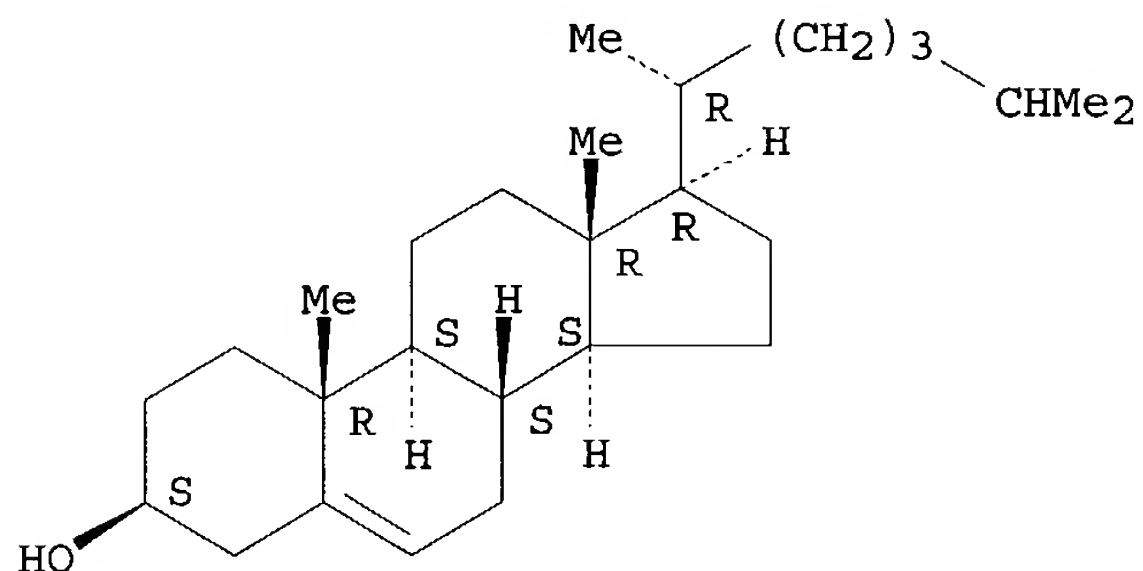
Absolute stereochemistry.
 Double bond geometry as shown.



IT 57-88-5, Cholesterol, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (attempted oxidation of, with iron(tetrakischolelenylamidophenyl)porphyrin)

RN 57-88-5 HCAPLUS
 CN Cholest-5-en-3-ol (3 β) - (9CI) (CA INDEX NAME)

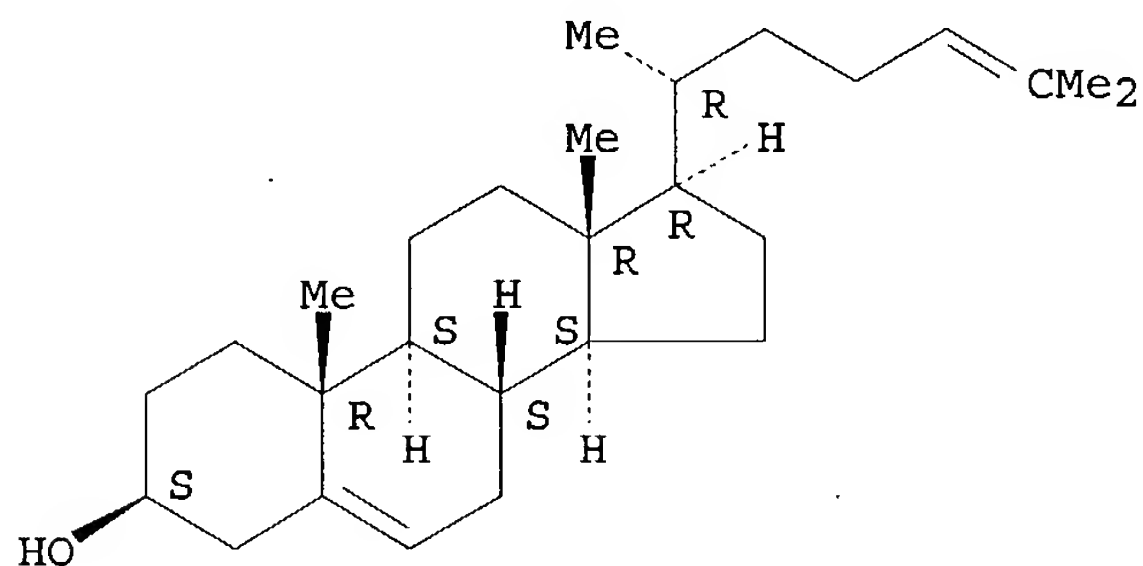
Absolute stereochemistry.



IT 313-04-2, Desmosterol 17605-67-3, Fucosterol
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidation of, with iron tetrakis(cholenylamidophenyl)porphyrin catalyst,
 regioselectivity in)

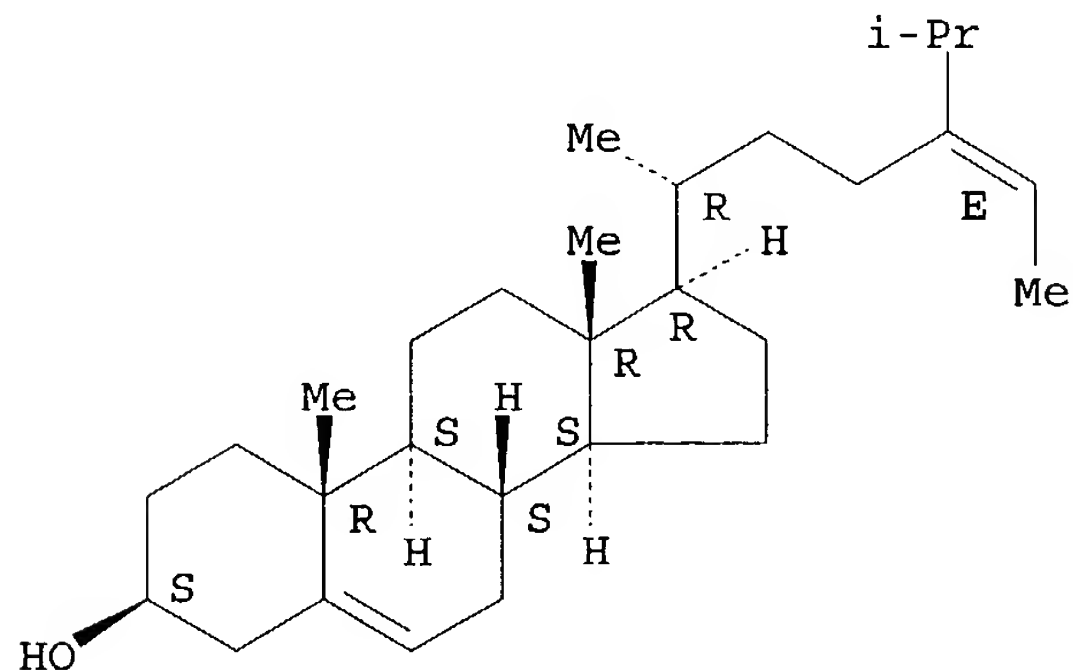
RN 313-04-2 HCAPLUS
 CN Cholesta-5,24-dien-3-ol, (3 β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 17605-67-3 HCAPLUS
 CN Stigmasta-5,24(28)-dien-3-ol, (3 β ,24E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



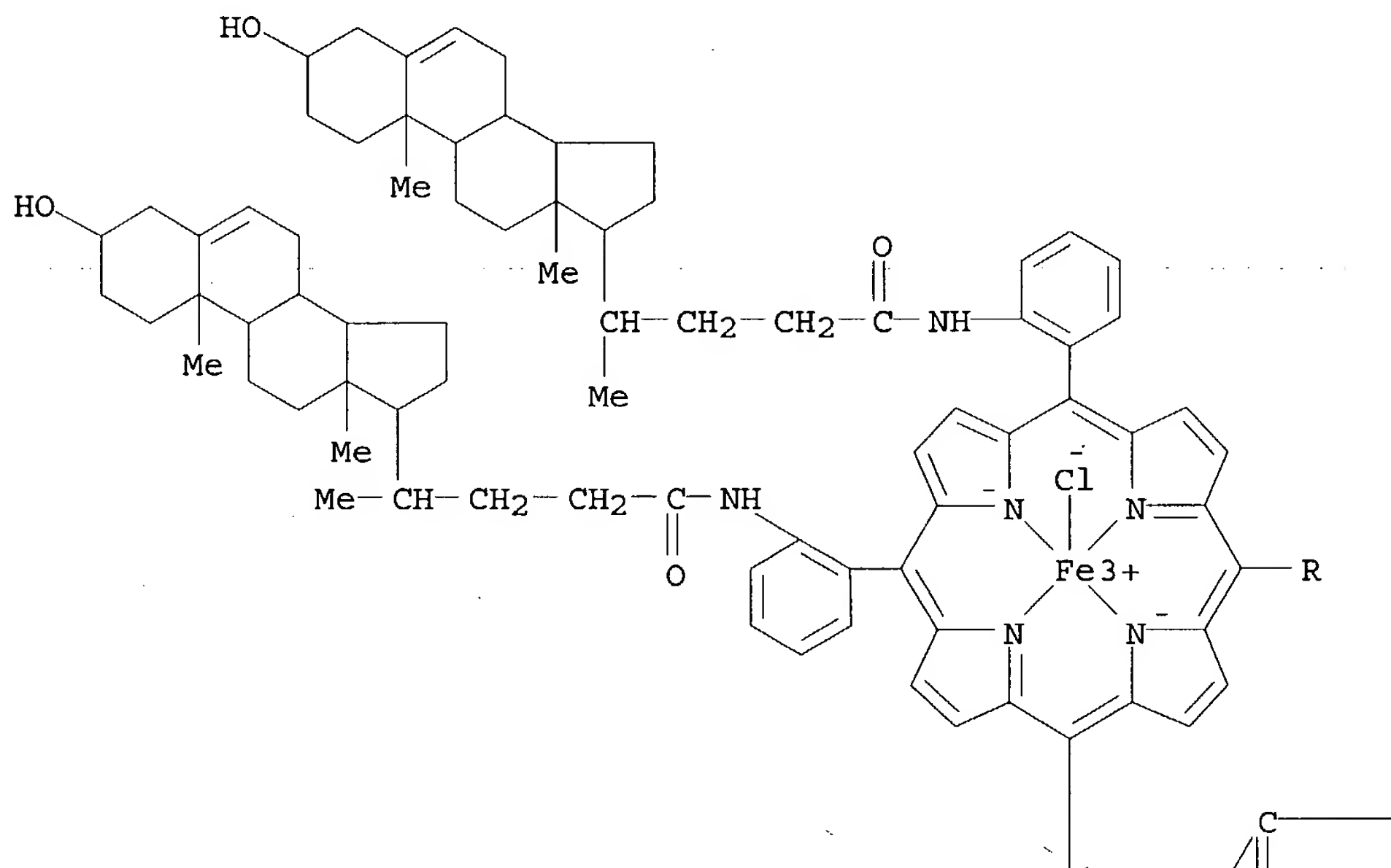
IT 109182-30-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and catalysis by, by double bond epoxidn.)

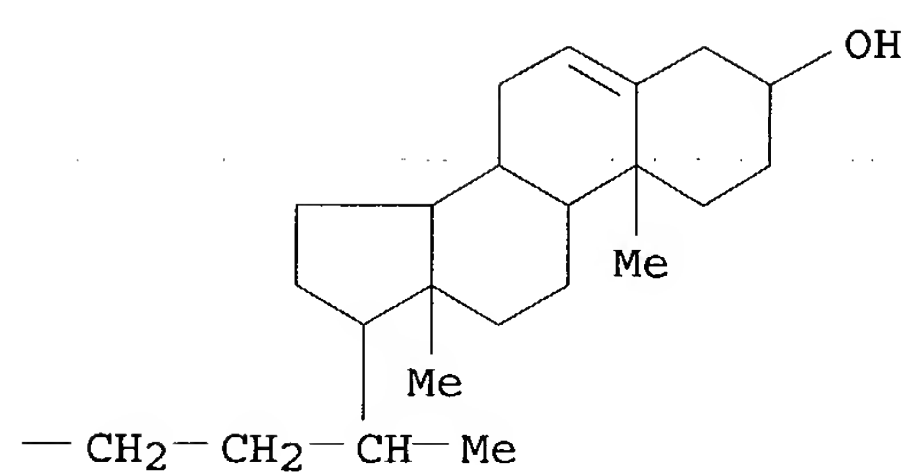
RN 109182-30-1 HCAPLUS

CN Iron, chloro[[N,N',N'',N'''-(21H,23H-porphine-5,10,15,20-tetrayltetra-2,1-phenylene) tetrakis[3-hydroxycholest-5-en-24-amidato]] (2-)-N21,N22,N23,N24]-, stereoisomer (9CI) (CA INDEX NAME)

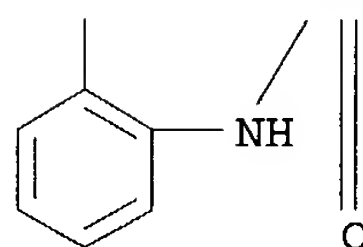
PAGE 1-A



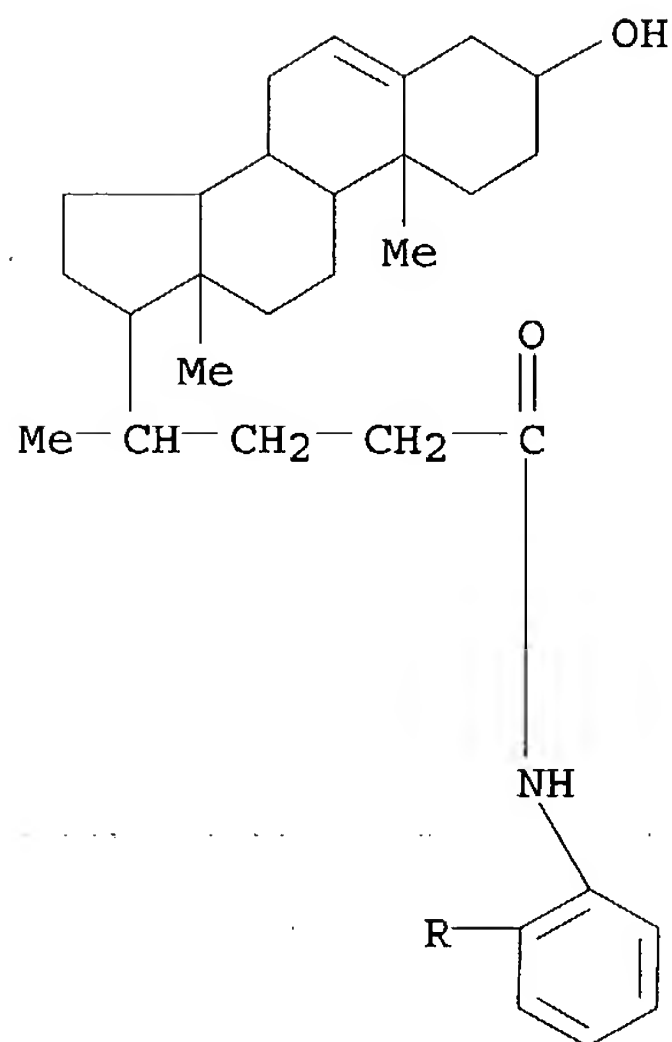
PAGE 1-B



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PAGE 3-A



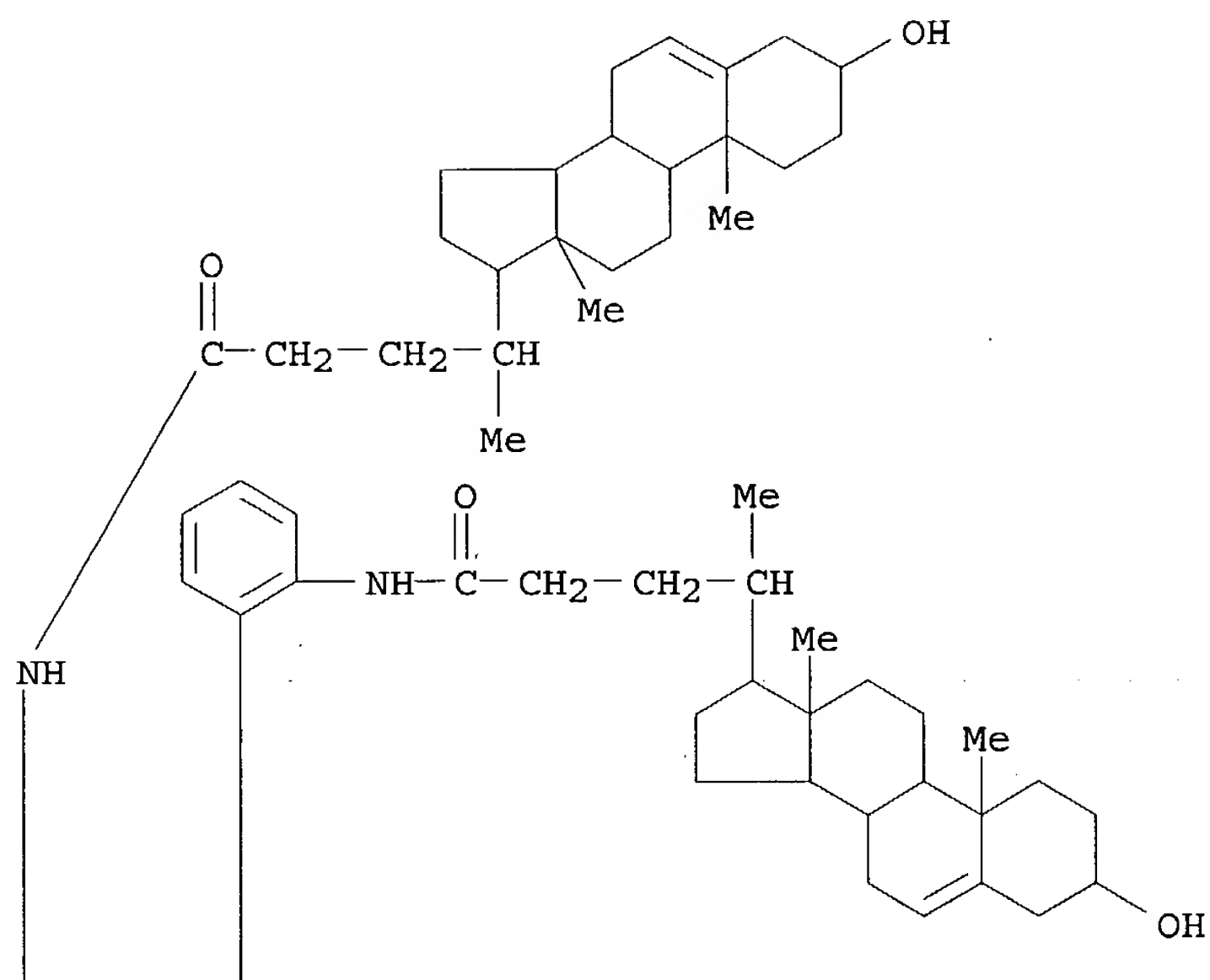
IT 109217-10-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and metalation of)

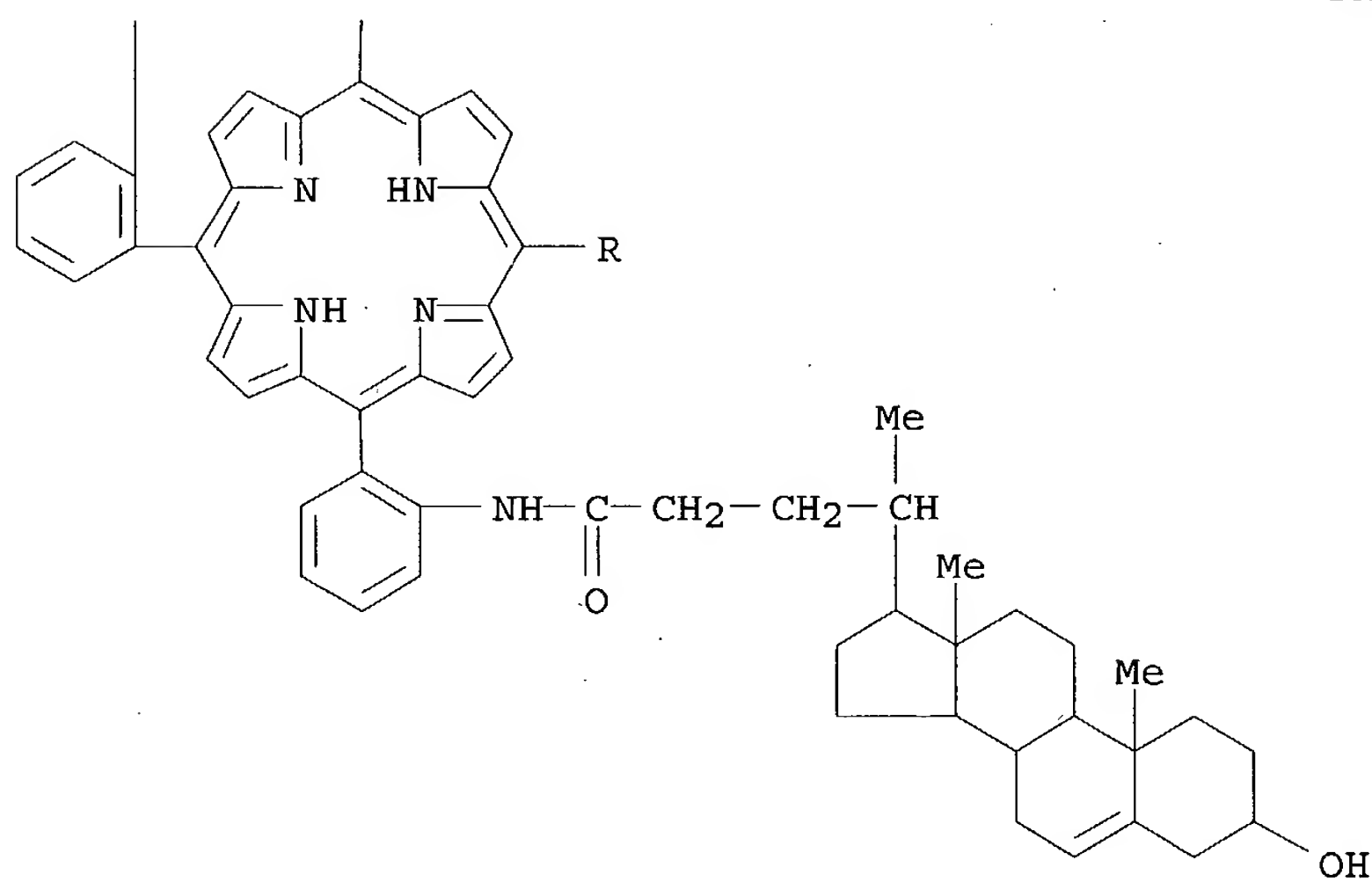
RN 109217-10-9 HCAPLUS

CN Chol-5-en-24-amide, N,N',N'',N'''-(21H,23H-porphine-5,10,15,20-
tetrayltetra-2,1-phenylene)tetrakis[3-hydroxy-, stereoisomer (9CI) (CA
INDEX NAME)

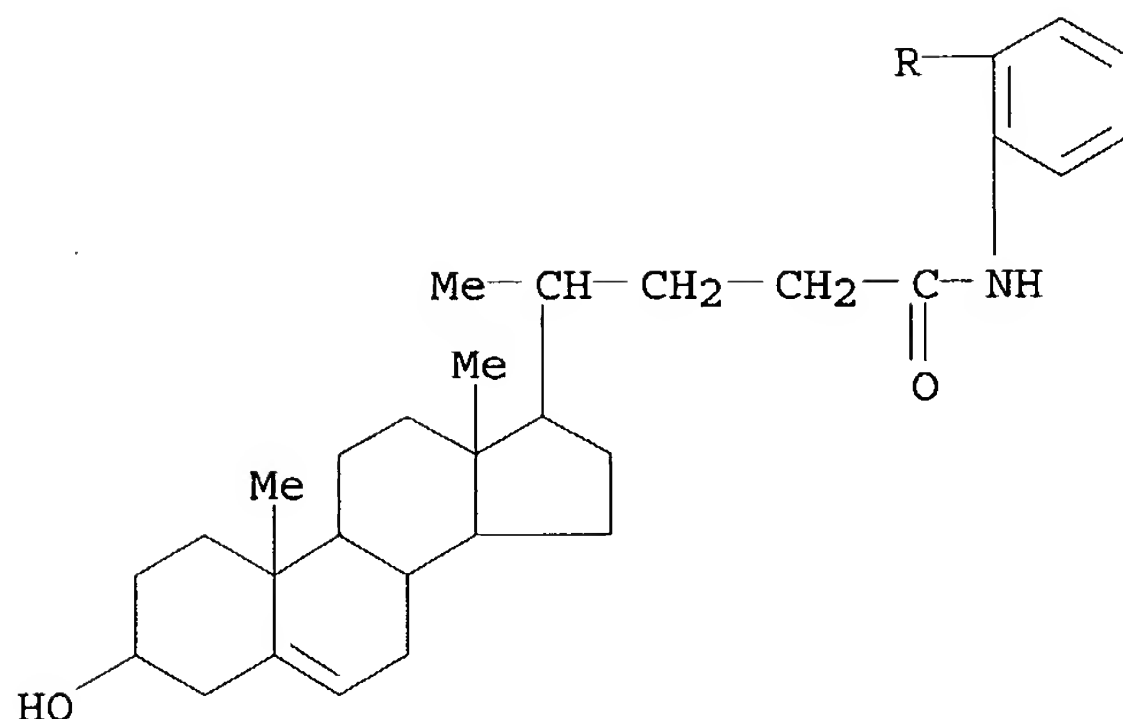
PAGE 1-A



PAGE 2-A

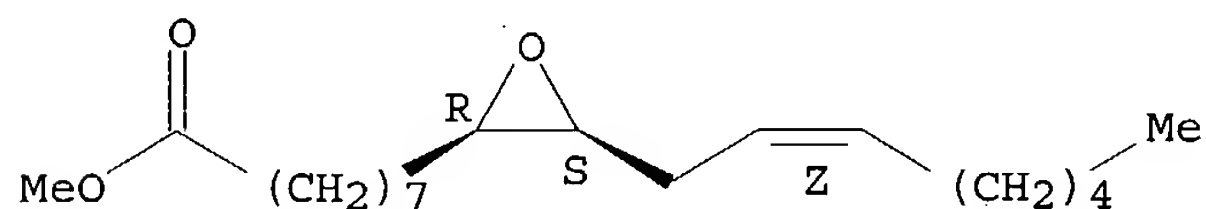


PAGE 3-A



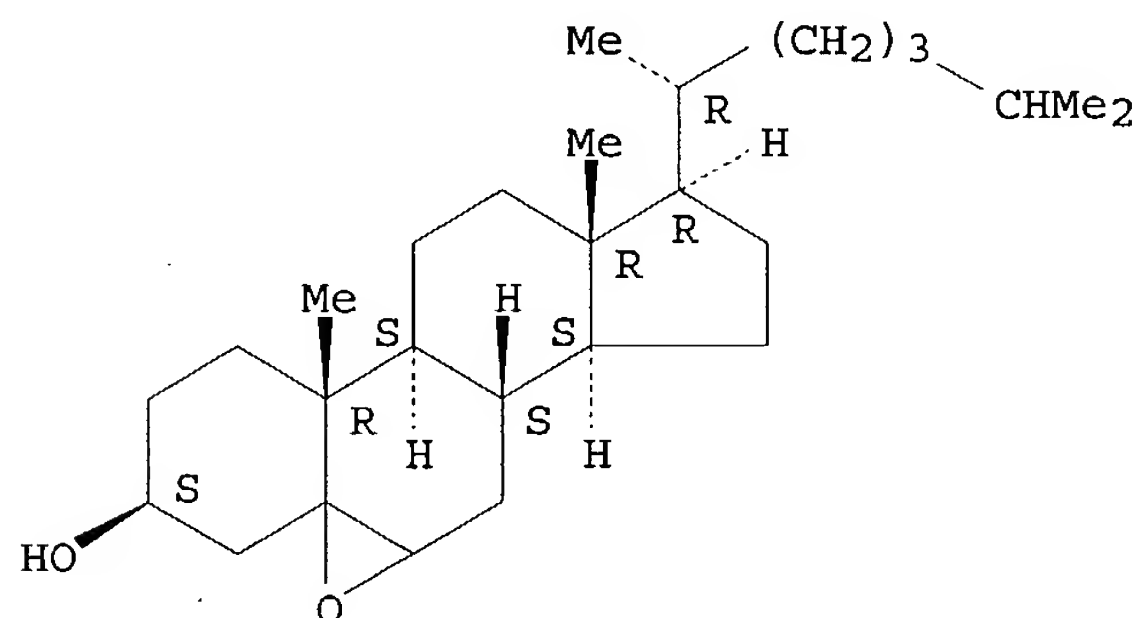
IT 6084-72-6P 55700-78-2P 61949-82-4P
 109217-11-0P 109217-12-1P 109217-14-3P
 109281-36-9P 110658-06-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, iron porphyrin catalyst for)
 RN 6084-72-6 HCAPLUS
 CN Oxiraneoctanoic acid, 3-(2-octenyl)-, methyl ester, [2R-
 [2 α ,3 α (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



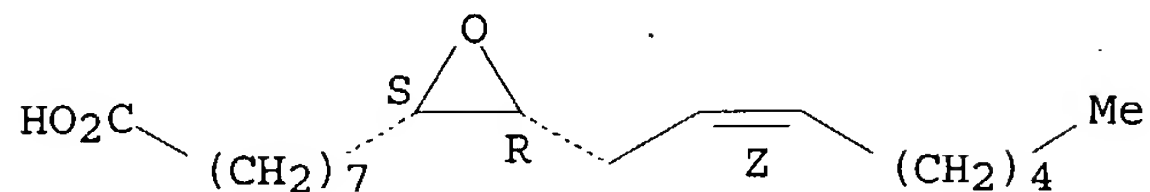
RN 55700-78-2 HCAPLUS
 CN Cholestan-3-ol, 5,6-epoxy-, (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



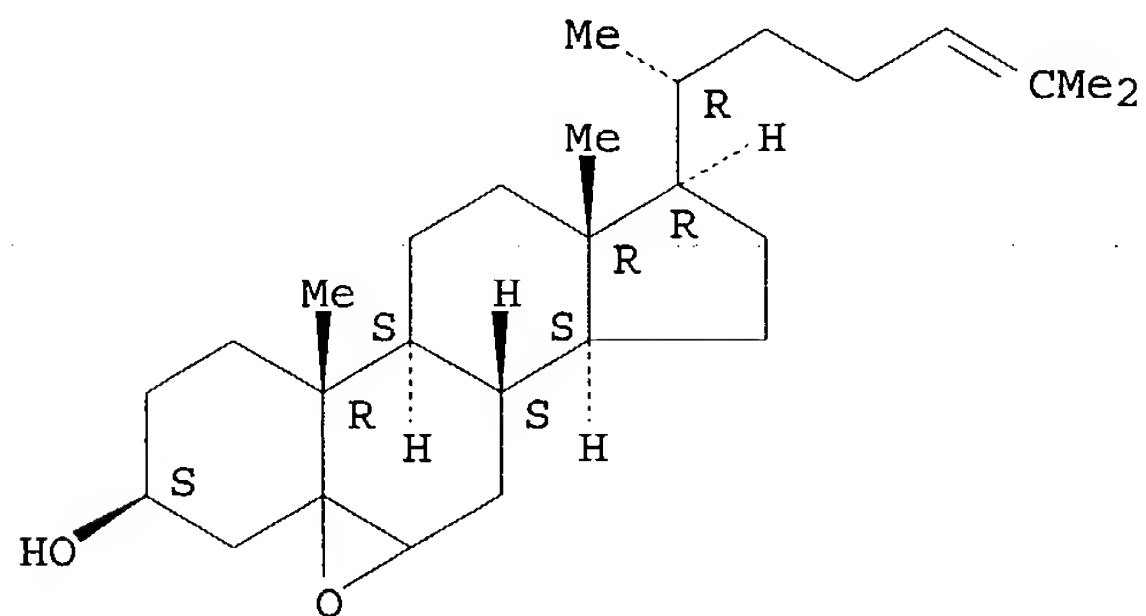
RN 61949-82-4 HCAPLUS
 CN Oxiraneoctanoic acid, 3-(2Z)-2-octenyl-, (2R,3S)-rel- (9CI) (CA INDEX
 NAME)

Relative stereochemistry.
Double bond geometry as shown.



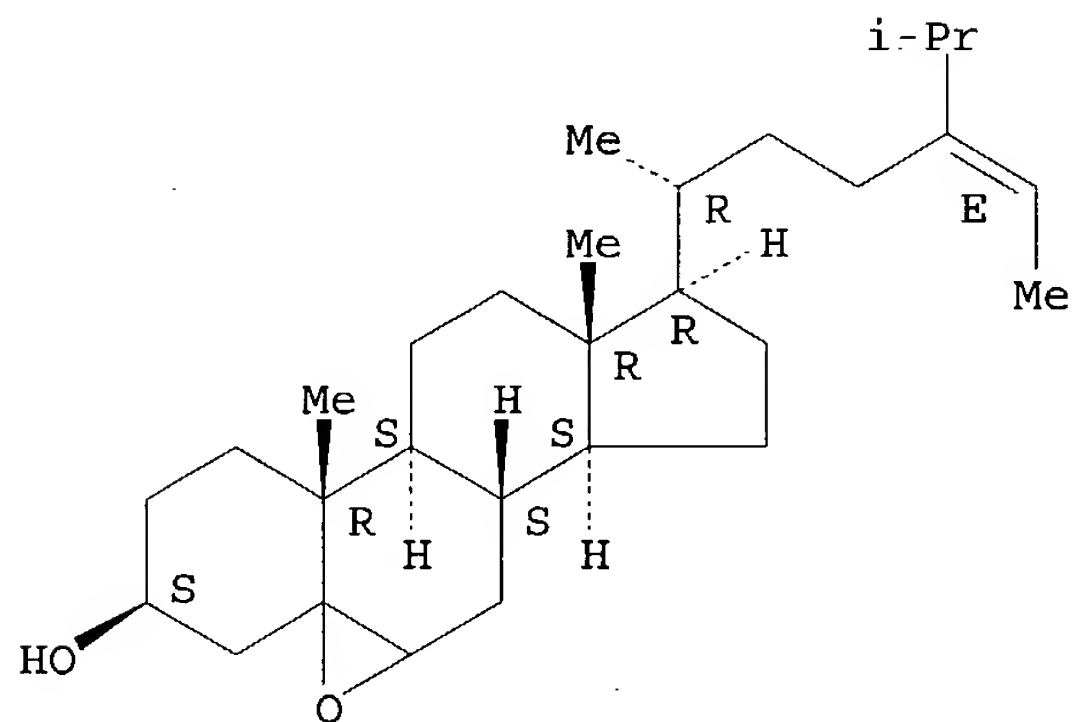
RN 109217-11-0 HCAPLUS
CN Cholest-24-en-3-ol, 5,6-epoxy-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



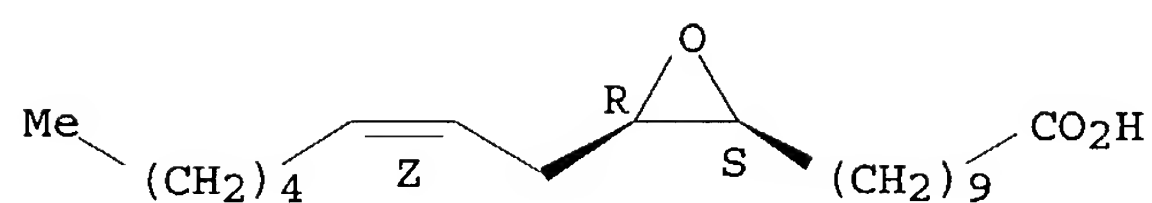
RN 109217-12-1 HCAPLUS
CN Stigmast-24(28)-en-3-ol, 5,6-epoxy-, (3β,24E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 109217-14-3 HCAPLUS
CN Oxiranedecanoic acid, 3-(2Z)-2-octenyl-, (2R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

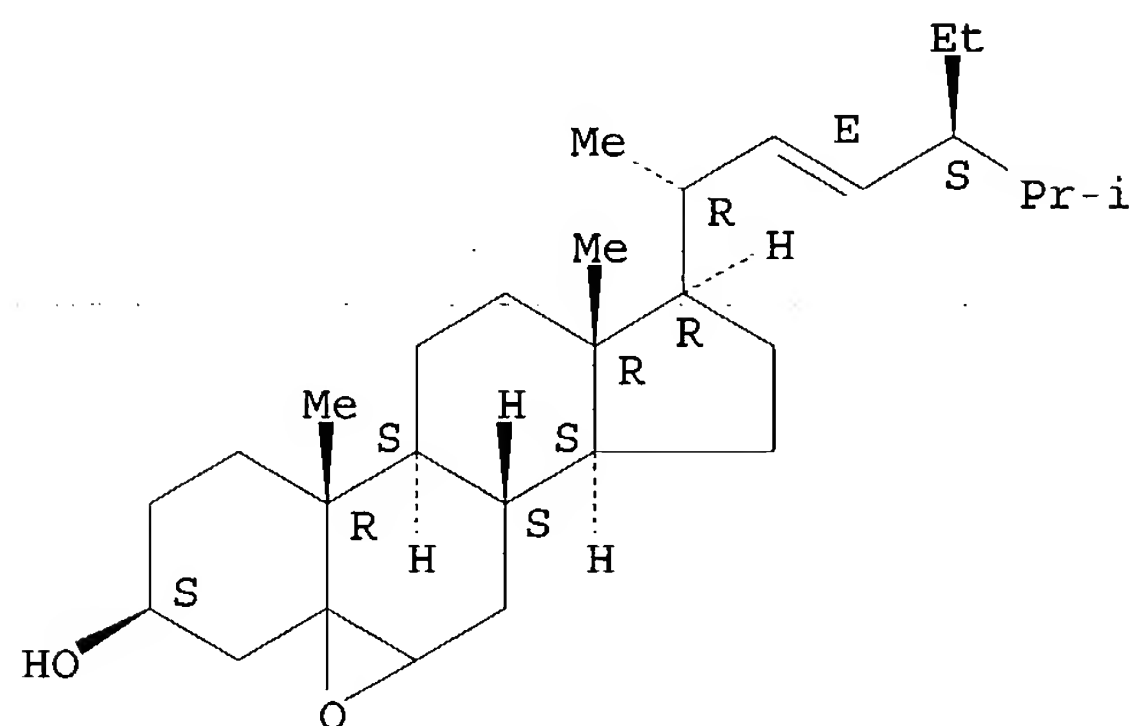


RN 109281-36-9 HCAPLUS

CN Stigmast-22-en-3-ol, 5,6-epoxy-, (3β,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

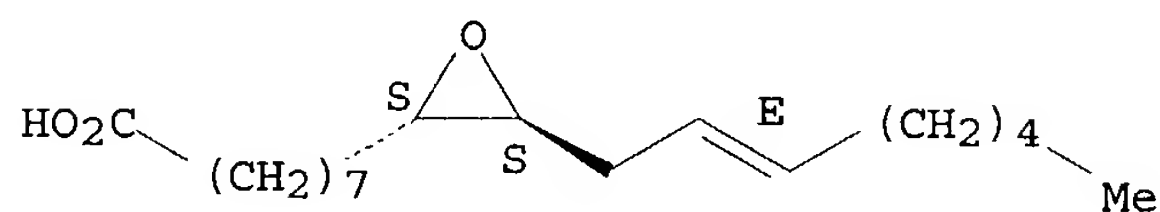


RN 110658-06-5 HCAPLUS

CN Oxiraneoctanoic acid, 3-(2-octenyl)-, [2α,3β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

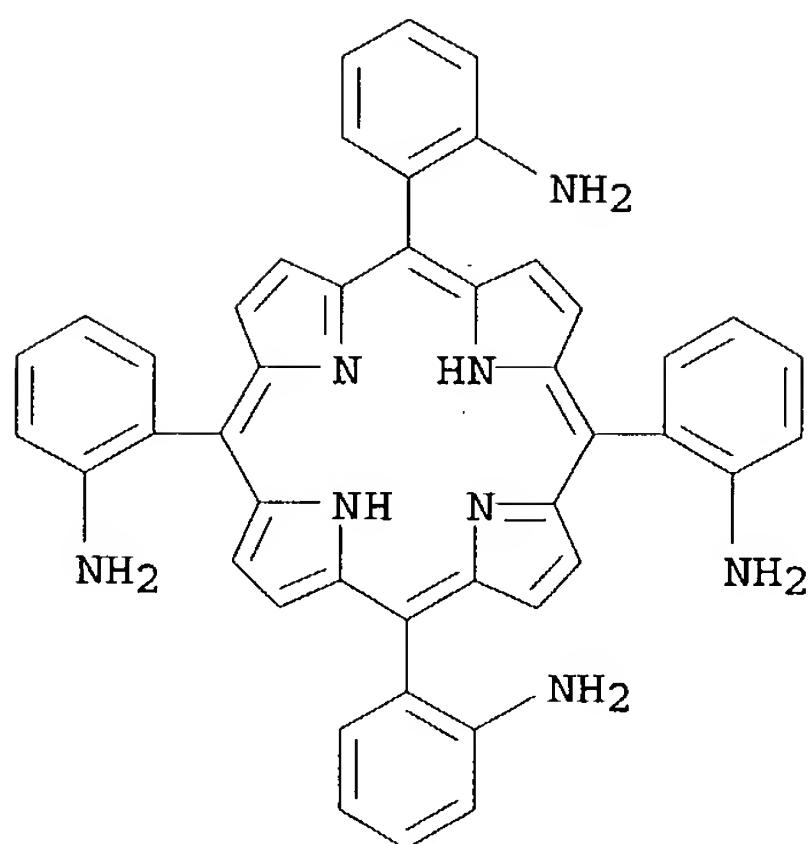


IT 68070-28-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxycholinic acid)

RN 68070-28-0 HCAPLUS

CN Benzenamine, 2,2',2'',2'''-(21H,23H-porphine-5,10,15,20-tetrayl)tetrakis-, stereoisomer (9CI) (CA INDEX NAME)



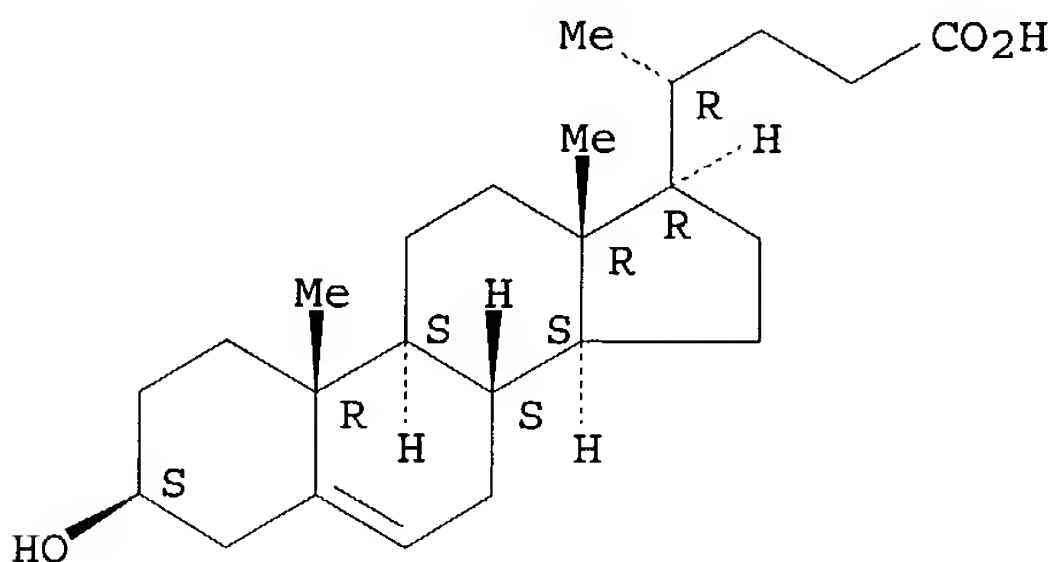
IT 5255-17-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tetrakis(aminophenyl)porphyrin)

RN 5255-17-4 HCAPLUS

CN Chol-5-en-24-oic acid, 3-hydroxy-, (3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



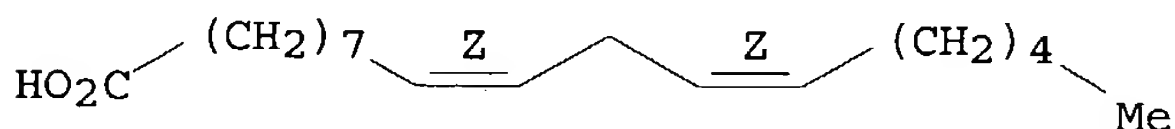
IT 60-33-3, reactions 112-63-0 506-21-8
5598-38-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(regioselective epoxidn. of, iron tetrakis(cholenylamidophenyl)porphyrin catalyst for)

RN 60-33-3 HCAPLUS

CN 9,12-Octadecadienoic acid (9Z,12Z)- (9CI) (CA INDEX NAME)

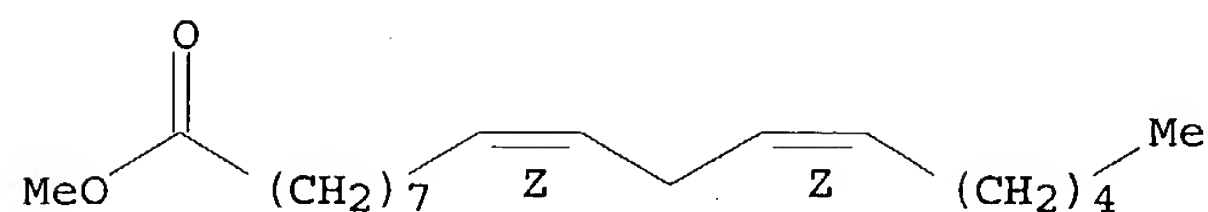
Double bond geometry as shown.



RN 112-63-0 HCAPLUS

CN 9,12-Octadecadienoic acid (9Z,12Z)-, methyl ester (9CI) (CA INDEX NAME)

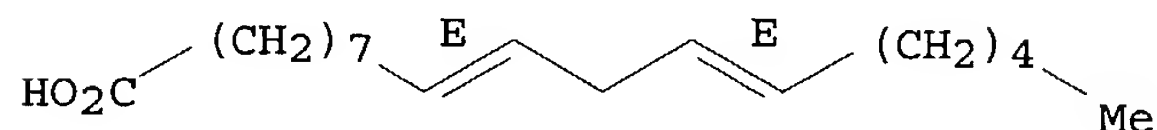
Double bond geometry as shown.



RN 506-21-8 HCAPLUS

CN 9,12-Octadecadienoic acid, (9E,12E) - (9CI) (CA INDEX NAME)

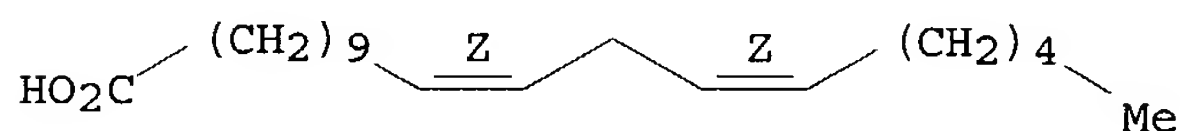
Double bond geometry as shown.



RN 5598-38-9 HCAPLUS

CN 11,14-Eicosadienoic acid, (11Z,14Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 10547-36-1P 17966-13-1P 72542-49-5P
109217-13-2P 109281-35-8P 109281-37-0P
110658-05-4P

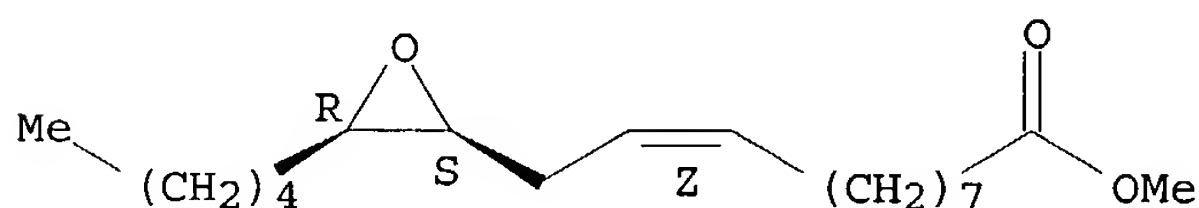
RL: SPN (Synthetic preparation); PREP (Preparation)
(regioselective preparation of, iron porphyrin catalyst for)

RN 10547-36-1 HCAPLUS

CN 9-Undecenoic acid, 11-[(2R,3S)-3-pentyloxiranyl]-, methyl ester, (9Z)-rel-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

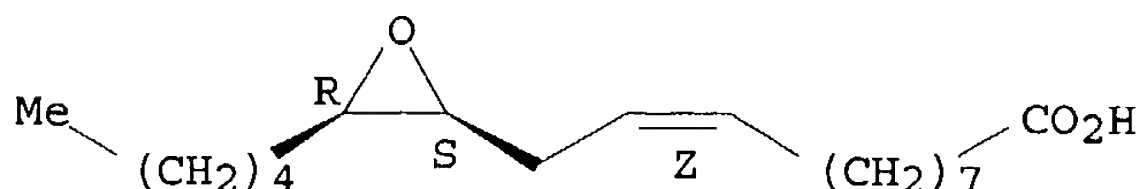


RN 17966-13-1 HCAPLUS

CN 9-Undecenoic acid, 11-[(2R,3S)-3-pentyloxiranyl]-, (9Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

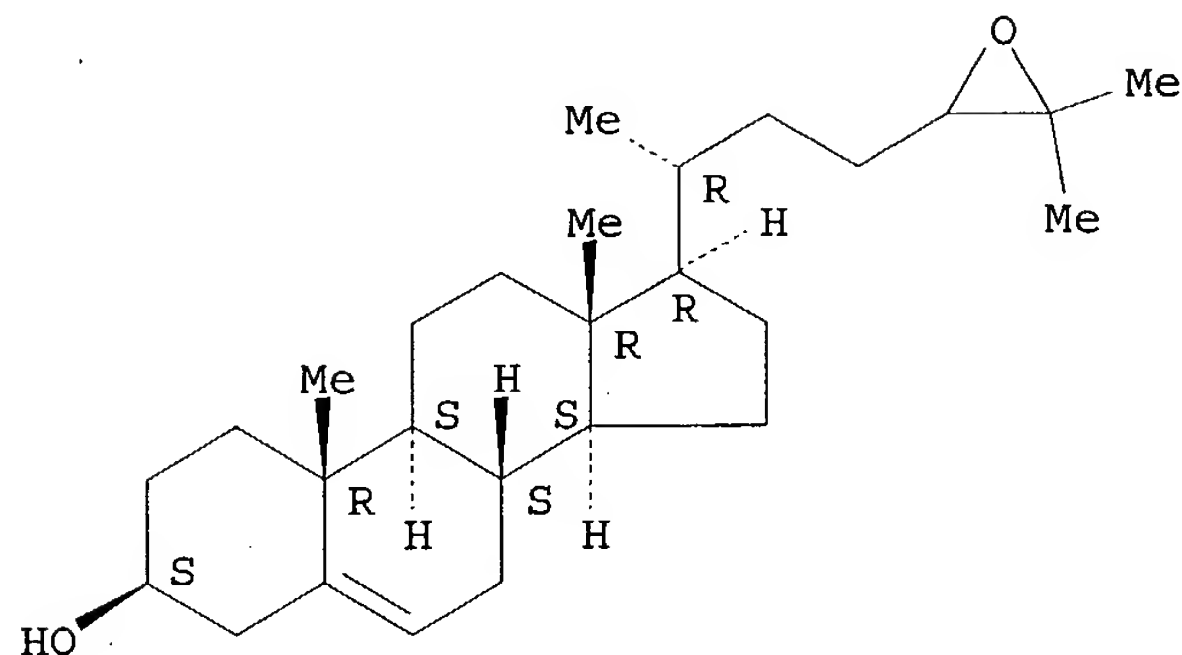
Double bond geometry as shown.



RN 72542-49-5 HCAPLUS

CN Cholest-5-en-3-ol, 24,25-epoxy-, (3β) - (9CI) (CA INDEX NAME)

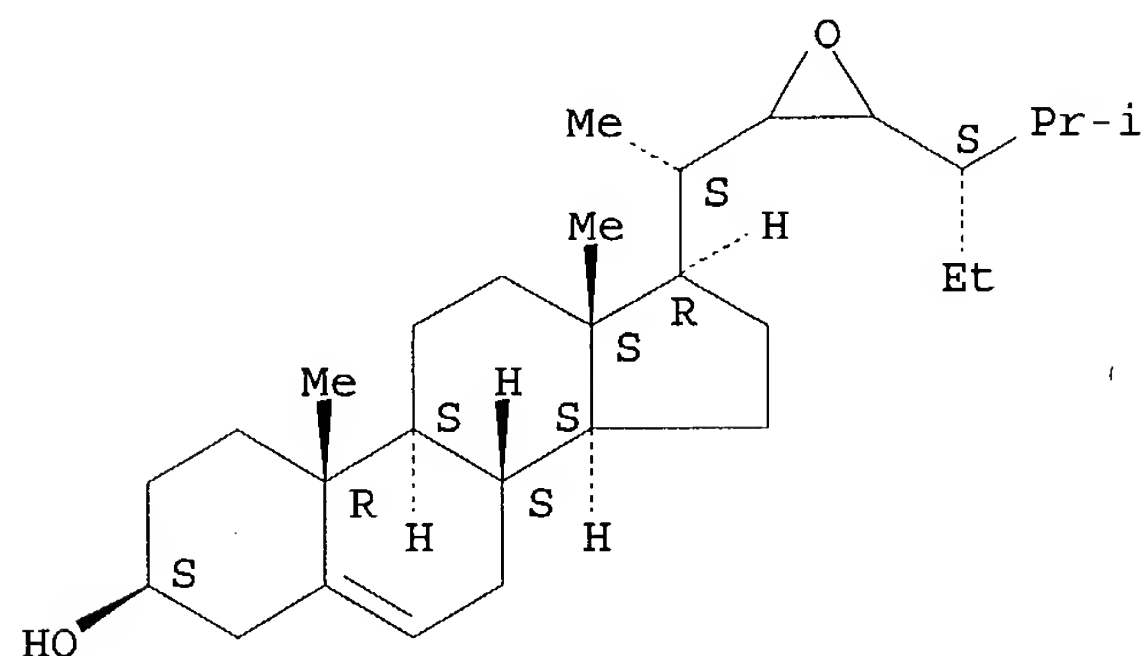
Absolute stereochemistry.



RN 109217-13-2 HCAPLUS

CN Stigmast-5-en-3-ol, 22,23-epoxy-, (3β) - (9CI) (CA INDEX NAME)

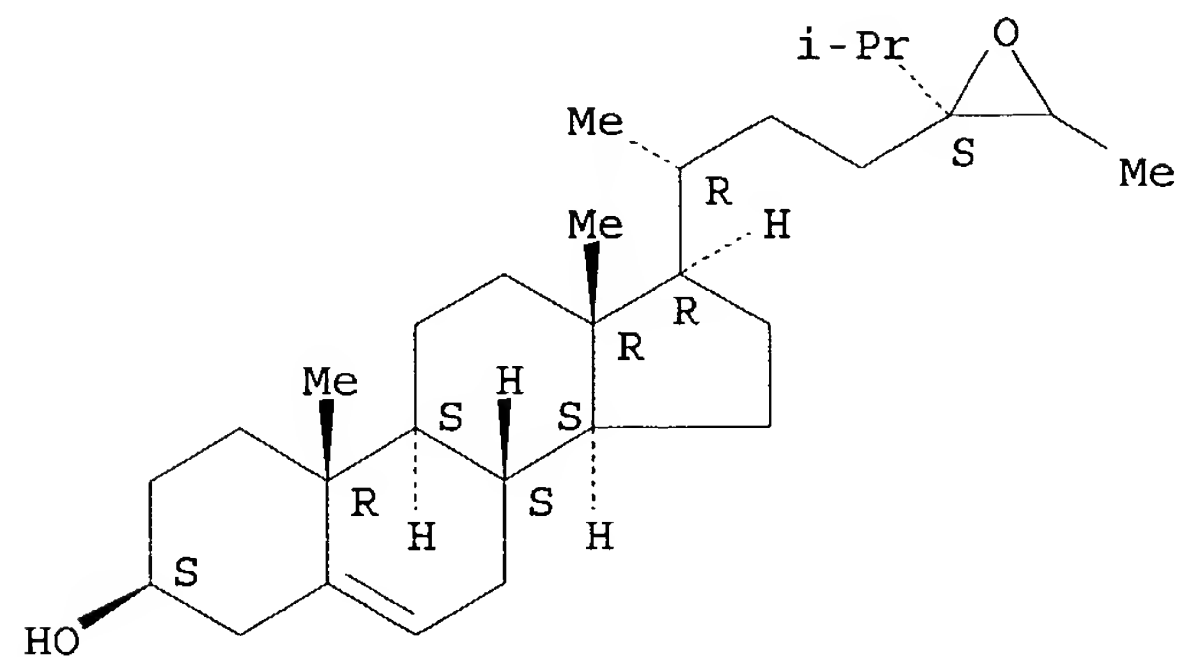
Absolute stereochemistry.



RN 109281-35-8 HCAPLUS

CN Stigmast-5-en-3-ol, 24,28-epoxy-, (3β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

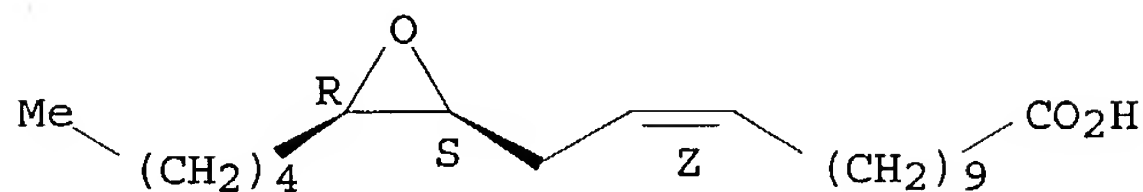


RN 109281-37-0 HCAPLUS

CN 11-Tridecenoic acid, 13-[(2R,3S)-3-pentyloxiranyl]-, (11Z)-rel- (9CI) (CA

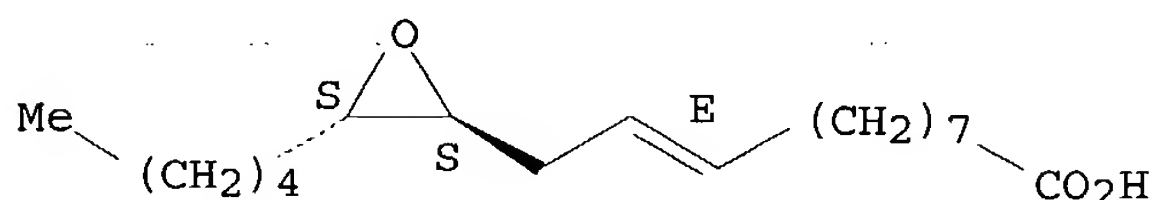
INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

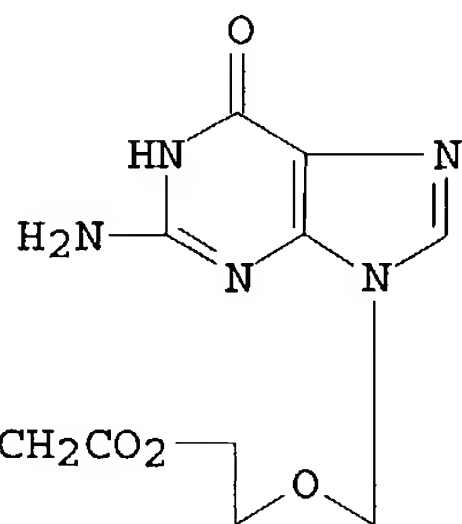


RN 110658-05-4 HCAPLUS
CN 9-Undecenoic acid, 11-[(2R,3R)-3-pentyloxiranyl]-, (9E)-rel- (9CI) (CA
INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L18 ANSWER 30 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:422873 HCAPLUS
DOCUMENT NUMBER: 103:22873
TITLE: A nucleolipid containing the antiviral acycloguanosine
as **hydrophilic** group - synthesis and
liposome formation
AUTHOR(S): Rosemeyer, Helmut; Ahlers, Michael; Schmidt, Brigitta;
Seela, Frank
CORPORATE SOURCE: Lab. Bjoorg. Chem., Univ. Warburgerstr, Paderborn,
D-4790, Fed. Rep. Ger.
SOURCE: Angewandte Chemie (1985), 97(6), 500-2
CODEN: ANCEAD; ISSN: 0044-8249
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 103:22873
GI



AB The acyclovir derivative I was prepared by esterifying acyclovir with succinic anhydride, converting the monosuccinate to its active ester with

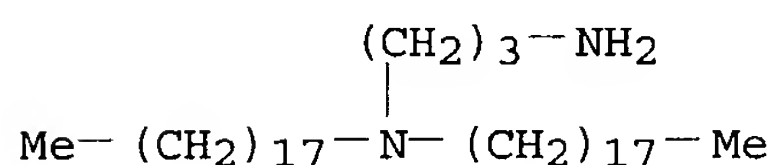
N-hydroxysuccinimide and heating the latter with $[\text{Me}(\text{CH}_2)_{17}]_2\text{N}(\text{CH}_2)_3\text{NH}_2$.
I formed stable **liposomes** with **cholesterol** or dicetyl phosphate.

IT 15337-59-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation by, of acyclovir succinate active ester)

RN 15337-59-4 HCAPLUS

CN 1,3-Propanediamine, N,N-dioctadecyl- (8CI, 9CI) (CA INDEX NAME)



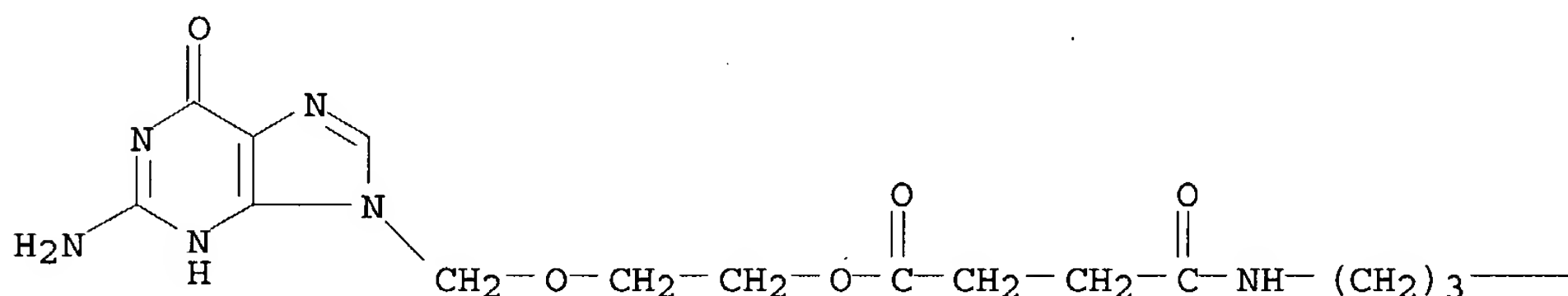
IT 96328-28-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and **amphiphilic** properties of)

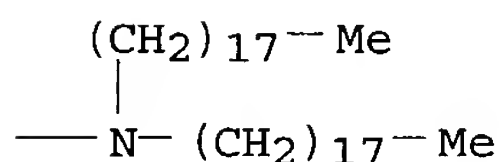
RN 96328-28-8 HCAPLUS

CN Butanoic acid, 4-[[3-(dioctadecylamino)propyl]amino]-4-oxo-,
2-[(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)methoxy]ethyl ester (9CI) (CA
INDEX NAME)

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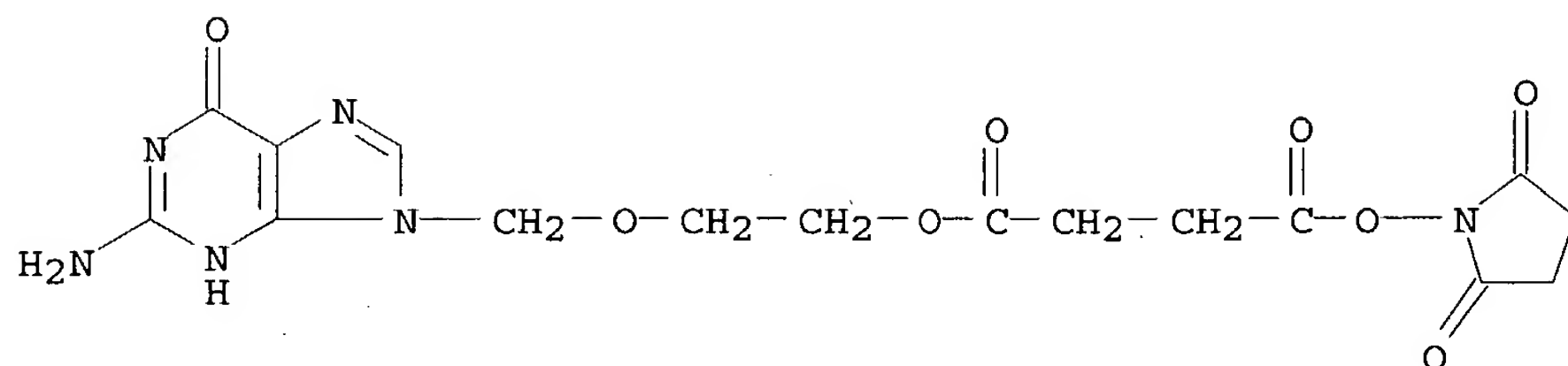


IT 96328-27-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, with dioctadecylpropanediamine)

RN 96328-27-7 HCAPLUS

CN Butanoic acid, 4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxo-,
2-[(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)methoxy]ethyl ester (9CI) (CA
INDEX NAME)



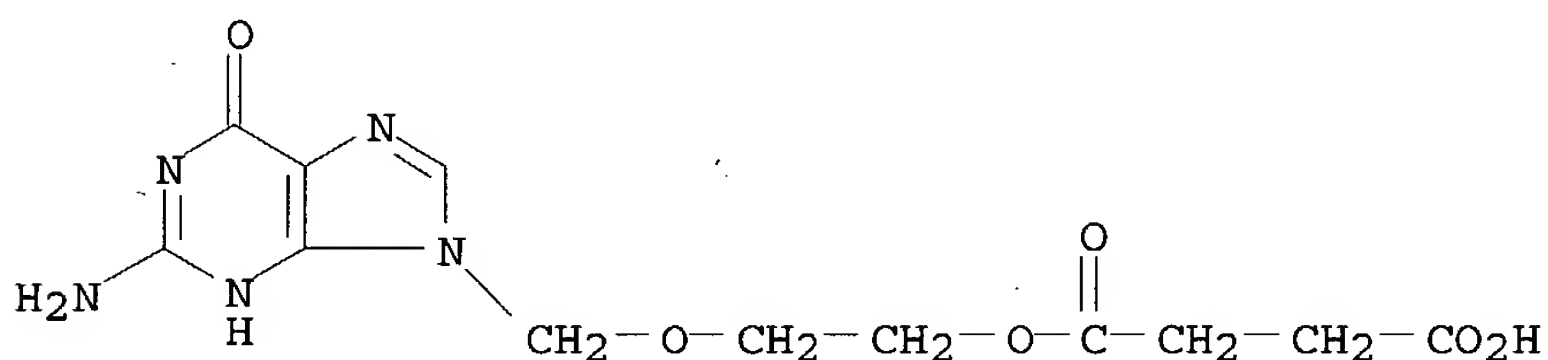
IT 59298-42-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with N-hydroxysuccinimide)

RN 59298-42-9 HCAPLUS

CN Butanedioic acid, mono[2-[(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)methoxy]ethyl] ester (9CI) (CA INDEX NAME)

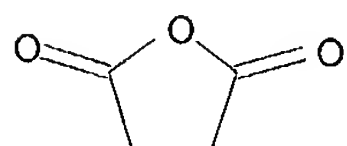


IT 108-30-5, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acyclovir)

RN 108-30-5 HCAPLUS

CN 2,5-Furandione, dihydro- (9CI) (CA INDEX NAME)

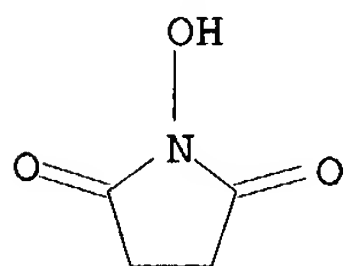


IT 6066-82-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acyclovir succinate)

RN 6066-82-6 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-hydroxy- (9CI) (CA INDEX NAME)



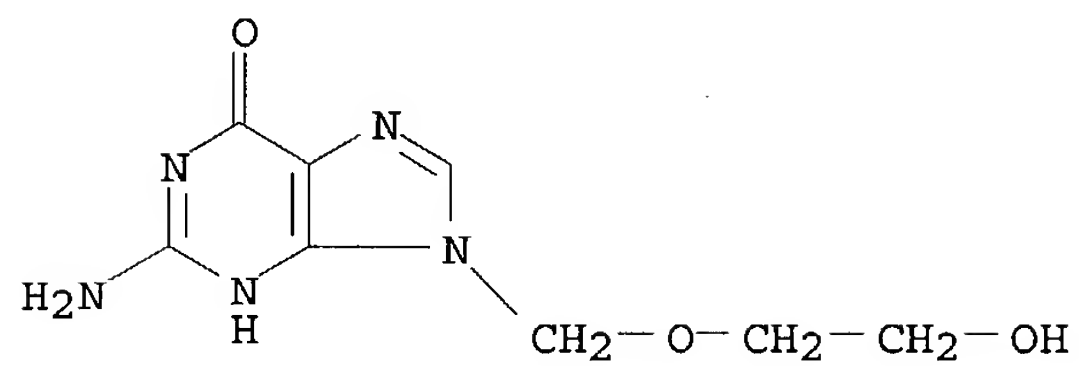
IT 59277-89-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with succinic anhydride)

RN 59277-89-3 HCAPLUS

CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(2-hydroxyethoxy)methyl]- (9CI)

(CA INDEX NAME)



=> d que stat l18

L8 115322 SEA FILE=HCAPLUS ABB=ON ?LIPOSOME? OR ?VESICLE?
L9 2140 SEA FILE=HCAPLUS ABB=ON L8 AND ?HYDROPHIL?
L10 1 SEA FILE=HCAPLUS ABB=ON L9 AND ?VINYL? (W)?ETHER? (W)?LIPID?
L11 218 SEA FILE=HCAPLUS ABB=ON L9 AND ?AMPHIPHILIC?
L12 125 SEA FILE=HCAPLUS ABB=ON L11 AND ?HYDROPHOB?
L13 1 SEA FILE=HCAPLUS ABB=ON L12 AND ?VINYL? (W)?ETHER?
L14 31 SEA FILE=HCAPLUS ABB=ON L11 AND (?CHOLESTEROL? OR ?SPHINGOSINE
?)
L15 288 SEA FILE=REGISTRY ABB=ON (57-88-5/BI OR 25322-68-3/BI OR
14464-31-4/BI OR 23214-92-8/BI OR 7732-18-5/BI OR 124661-64-9/B
I OR 1256-86-6/BI OR 4537-76-2/BI OR 61361-72-6/BI OR 63-89-8/B
I OR 9005-00-9/BI OR 103596-99-2/BI OR 124-20-9/BI OR 124-30-1/
BI OR 12441-09-7/BI OR 126-18-1/BI OR 126-19-2/BI OR 127512-29-
2/BI OR 1323-83-7/BI OR 13463-41-7/BI OR 137056-72-5/BI OR
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OR 145-13-1/BI OR 14504-94-0/BI OR 1461-15-0/BI OR 152390-17-5/
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L17 1 SEA FILE=HCAPLUS ABB=ON L16 AND ?CLEAV?
L18 30 SEA FILE=HCAPLUS ABB=ON L16 OR L17 OR L10 OR L13

Test search

Structure search

Kishore 10/031,033

09/12/2004

=> d que stat l46

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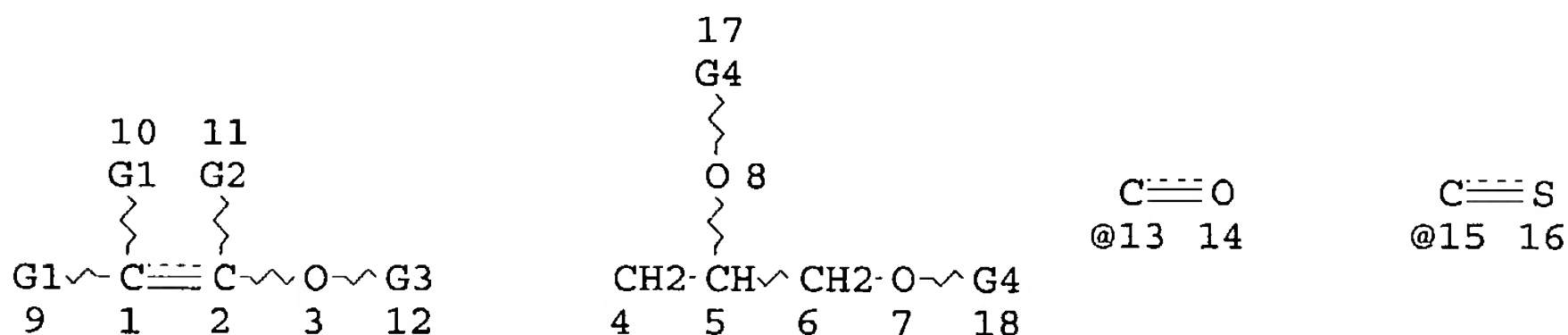
L20 STR

C=C~O
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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE
L22 10 SEA FILE=REGISTRY SUB=L19 SSS FUL L20
L23 4 SEA FILE=HCAPLUS ABB=ON L22
L41 STR



VAR G1=H/C
VAR G2=H/C

VAR G3=H/C

VAR G4=13/15

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L43 37 SEA FILE=REGISTRY SSS FUL L41

L44 22 SEA FILE=HCAPLUS ABB=ON L43

L45 26 SEA FILE=HCAPLUS ABB=ON L44 OR L23

L46 5 SEA FILE=HCAPLUS ABB=ON L45 AND (?LIPOSOME? OR ?VESICLE?)

=> d ibib abs hitstr l46 1-5

L46 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:640802 HCAPLUS

DOCUMENT NUMBER: 140:309211

TITLE: Acid-triggered release via dePEGylation of DOPE
liposomes containing acid-labile vinyl ether
PEG-lipids

AUTHOR(S): Shin, Junhwa; Shum, Pochi; Thompson, David H.

CORPORATE SOURCE: Department of Chemistry, Purdue University, West
Lafayette, IN, 47907, USA

SOURCE: Journal of Controlled Release (2003), 91(1-2), 187-200
CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Four structurally related, acid-labile polyethylene glycol (PEG)
conjugated vinyl ether lipids have been synthesized and used at low molar
ratios to stabilize the nonlamellar, highly fusogenic lipid,
dioleoylphosphatidyl ethanolamine, as unilamellar **liposomes**.
Acid-catalyzed hydrolysis of the vinyl ether bond destabilized these
liposomes by removal of the sterically-stabilizing PEG layer,
thereby promoting contents release on the hours timescale at pH<5.
Structure-property correlations of these compds. suggested that single
vinyl ether linkages between the PEG headgroup and the lipid backbone
produce faster leakage rates. These studies also suggested that the
presence of a slight neg. charge at the membrane surface can accelerate
the acid-catalyzed leakage process.

IT 676478-02-7P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);

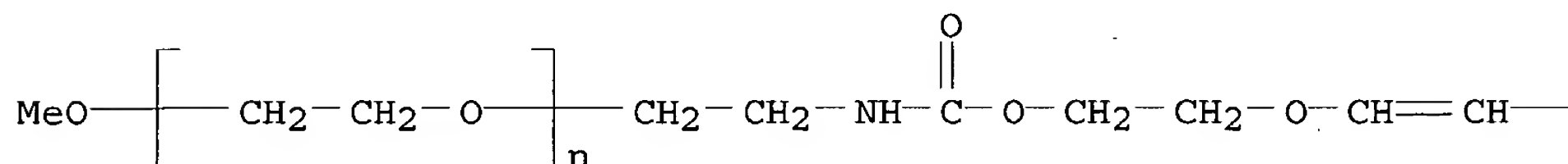
BIOL (Biological study); PREP (Preparation); USES (Uses)

(acid-triggered release via dePEGylation of DOPE **liposomes**
containing acid-labile vinyl ether PEG-lipids)

RN 676478-02-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl); α -[(9Z,34Z)-20-hydroxy-20-oxido-4,15,26-
trioxo-23-[[[(9Z)-1-oxo-9-octadecenyl]oxy]-5,8,14,19,21,25-hexaoxa-3,16-
diaz-20-phosphatritetraconta-9,34-dien-1-yl]- ω -methoxy- (9CI) (CA
INDEX NAME)

PAGE 1-A



$$\begin{array}{c} \text{Me}-(\text{CH}_2)_7-\text{CH}=\text{CH}-(\text{CH}_2)_7-\overset{\text{O}}{\parallel}\text{C}-\text{O}-\text{CH}_2 \\ | \\ -(\text{CH}_2)_3-\text{O}-\overset{\text{O}}{\parallel}\text{C}-\text{NH}-\text{CH}_2-\text{CH}_2-\text{O}-\underset{\text{O}}{\parallel}\text{P}-\text{O}-\text{CH}_2-\text{CH}-\text{O}-\overset{\text{O}}{\parallel}\text{C}-(\text{CH}_2)_7-\text{CH}=\text{CH}- \end{array}$$
$$-(\text{CH}_2)_7-\text{Me}$$

L46 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

DOCUMENT NUMBER: 139:209637

AUTHOR(S) : Boomer, Jeremy A.; Inerowicz, Halina D.; Zhang, Zhi-Yi; Bergstrand, Nill; Edwards, Katarina; Kim, Jong-Mok; Thompson, David H.

SOURCE: Langmuir (2003), 19(16), 6408-6415

CODEN: LANGD5; ISSN: 0743-7463

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel acid-labile poly(ethylene glycol) (PEG)-conjugated lipid, (R)-1,2-di-O-(1'Z,9'Z-octadecadienyl)-glyceryl-3-(ω -methoxy-poly(ethylene glycolate), MW5000) (BVEP), a neutral PEG-derivatized analog of dipalmitoylcholine, has been used at low molar ratios to disperse the nonlamellar, fusogenic lipid 1,2-dioleoyl-sn-glycero-3-phosphoethanolamine (DOPE) as unilamellar **liposomes**. It was anticipated that acid-catalyzed hydrolysis of the vinyl ether linkages would destabilize BVEP/DOPE **liposomes** by removal of the water-soluble, sterically stabilizing PEG layer, thereby promoting contents release and membrane-membrane fusion. This paper describes the hydrolysis rates, contents release rates, and fusion kinetics of BVEP-stabilized DOPE **liposomes** at 1:99, 3:97, and 5:95 molar ratios of BVEP/DOPE. Calcein leakage kinetics indicate that 3:97 BVEP/DOPE **liposomes** offer the best stability at pH 7.4 while retaining favorable leakage properties at pH 4.5 ($t_{50\% \text{ release}} \approx 4 \text{ h}$). N-Rhodamine

IT 237056-02-9
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(fusogenic lipid BVEP/DOPE can stabilize DOPE lamellar phase in
liposome membrane)

RN 237056-02-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(2R)-2,3-bis[(1Z,9Z)-1,9-
octadecadienyloxy]propoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX
NAME)

$$\begin{array}{c} \text{Me}-\text{(CH}_2)_7-\text{CH}=\text{CH}-\text{(CH}_2)_6-\text{CH}=\text{CH}-\text{O} \\ | \\ \text{Me}-\text{(CH}_2)_7-\text{CH}=\text{CH}-\text{(CH}_2)_6-\text{CH}=\text{CH}-\text{O}-\text{CH}_2-\text{CH}-\text{CH}_2-\text{O}-\overset{\text{O}}{\underset{\parallel}{\text{C}}} \end{array}$$
$$\text{---CH}_2\text{---}\left[\text{O---CH}_2\text{---CH}_2\text{---}\right]_n\text{OMe}$$

L46 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:499291 HCAPLUS
DOCUMENT NUMBER: 140:169365
TITLE: Interactions between pH-sensitive **liposomes**
and model membranes
AUTHOR(S): Bergstrand, Nill; Arfvidsson, Maria C.; Kim, Jong-Mok;
Thompson, David H.; Edwards, Katarina
CORPORATE SOURCE: Department of Physical Chemistry, Uppsala University,
Uppsala, S-751 23, Swed.
SOURCE: Biophysical Chemistry (2003), 104(1), 361-379
CODEN: BICIAZ; ISSN: 0301-4622

PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The structure and dynamics of two different pH-sensitive **liposome** systems were investigated by means of cryotransmission electron microscopy and different photophys. techniques. Both systems consisted of dioleoylphosphatidylethanolamine (DOPE) and contained either oleic acid (OA) or a novel acid-labile polyethylene glycol-conjugated lipid (DHCho-MPEG5000) as stabilizer. Proton induced leakage, lipid mixing and structural changes were studied in the absence and presence of EPC **liposomes**, as well as in the presence of **liposomes** designed to model the endosome membrane. Neither DHCho-MPEG5000- nor OA-stabilized **liposomes** showed any tendency for fusion with pure EPC **liposomes** or endosome-like **liposomes** composed of EPC/DOPE/SM/Cho (40/20/6/34 mol.). Our investigations showed, however, that incorporation of lipids from the pH-sensitive **liposomes** into the endosome membrane may lead to increased permeability and formation of non-lamellar structures. Taken together the results suggest that the observed ability of DOPE-containing **liposomes** to mediate cytoplasmic delivery of hydrophilic mols. cannot be explained by a mechanism based on a direct, and non-leaky, fusion between the **liposome** and endosome membranes. A mechanism involving destabilization of the endosome membrane due to incorporation of DOPE, seems more plausible.

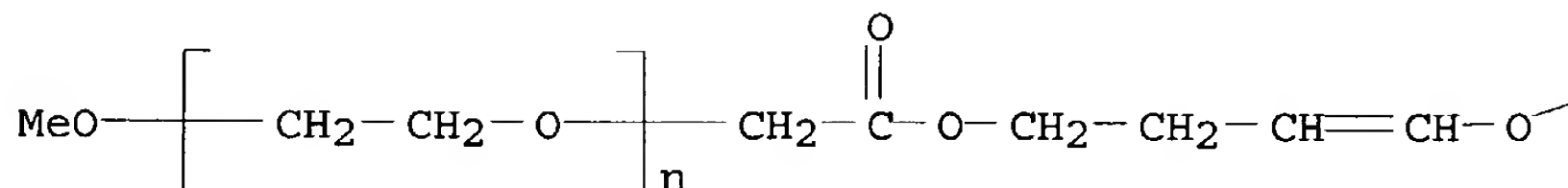
IT 321674-35-5

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (interactions between pH-sensitive **liposomes** and model membranes)

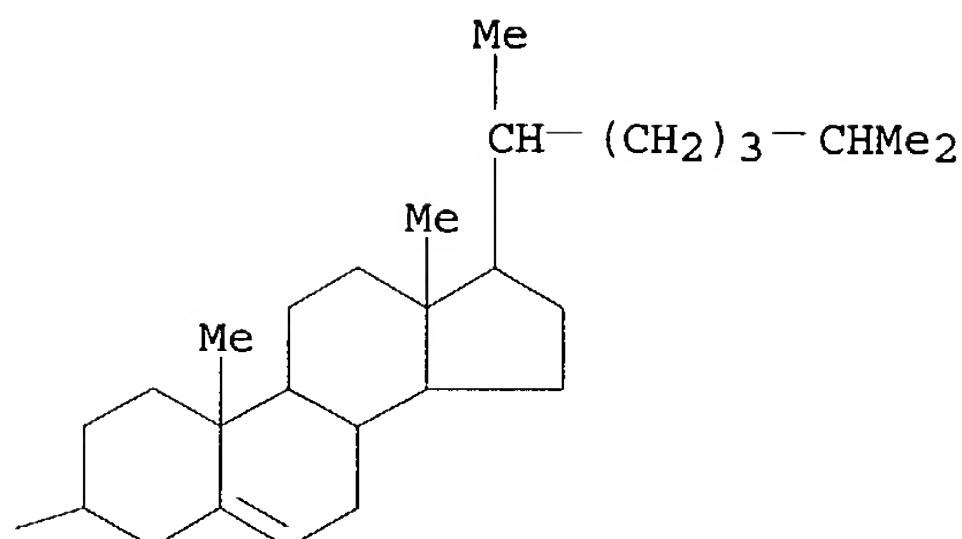
RN 321674-35-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3Z)-4-[(3 β)-cholest-5-en-3-yloxy]butoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

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PAGE 1-B



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:416743 HCAPLUS

DOCUMENT NUMBER: 135:24693

TITLE: Radiation-sensitive **liposomes** containing polymerizable lipids for drug delivery

INVENTOR(S): O'Brien, David F.; McGovern, Kathy A.; Bondurant, Bruce; Sutherland, Robert M.

PATENT ASSIGNEE(S): University of Arizona, Board of Regents, USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039744	A2	20010607	WO 2000-US32902	20001130
WO 2001039744	A3	20020124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002064554	A1	20020530	US 2000-728716	20001130
EP 1233752	A2	20020828	EP 2000-988017	20001130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003515550	T2	20030507	JP 2001-541477	20001130
PRIORITY APPLN. INFO.: US 1999-168100P P 19991130				
WO 2000-US32902 W 20001130				

AB The present invention relates to a radiation sensitive **liposome**, and the use of this **liposome** as carrier for therapeutic and diagnostic agent(s). In particular, the invention encompasses a liposomal delivery system, comprising a stable **liposome**-forming lipid and a polymerizable colipid, a fraction of which polymerizable colipid polymerizes upon exposure to ionizing radiation, thereby destabilizing the

liposomal membrane. Destabilization of **liposomes** allows for leakage of liposomal contents. The present invention further contemplates methods of diagnosing and treating conditions and diseases that are responsive to **liposome**-encapsulated or associated agents. Thus, **liposomes** were prepared from PEG 2000-dioleoylphosphatidylethanolamine, cholesterol, dioleoylphosphatidylcholine, and bisorbityl phosphatidylcholine (15:40:20:30). Significant release was observed at ionizing radiation doses of as low as 250 rads. Increasing release was observed for a given dose with increasing time. Increasing release was also observed with increasing doses up through 2500 rads.

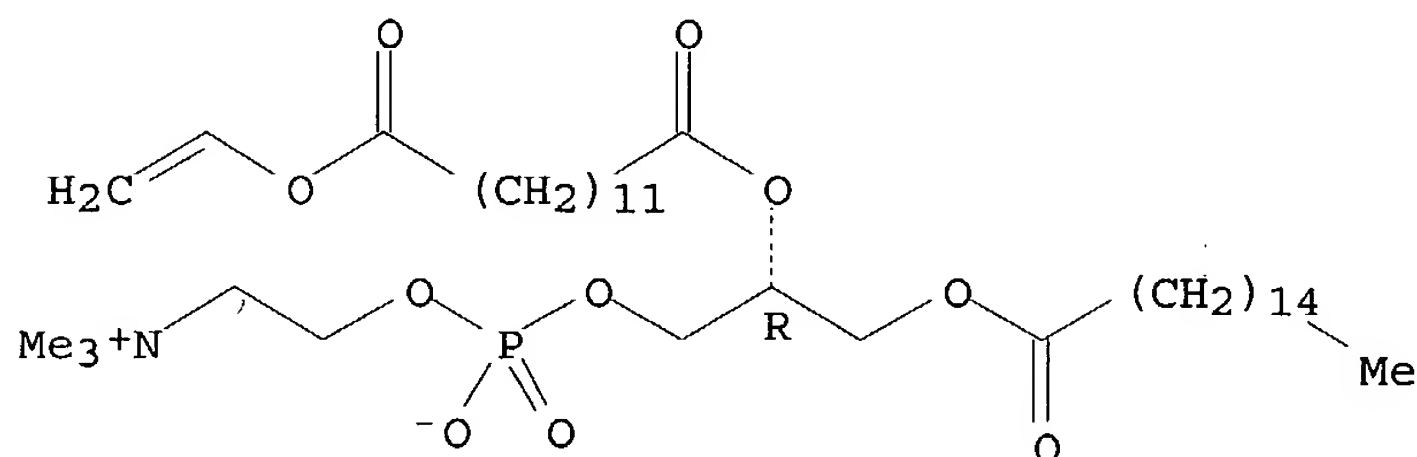
IT 343307-61-9 343307-62-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(radiation-sensitive **liposomes** containing polymerizable lipids for drug delivery)

RN 343307-61-9 HCAPLUS

CN 3,5,8,22-Tetraoxa-4-phosphatetracos-23-en-1-aminium, 4-hydroxy-N,N,N-trimethyl-9,21-dioxo-7-[[[(1-oxohexadecyl)oxy]methyl]-, inner salt, 4-oxide, (7R)- (9CI) (CA INDEX NAME)

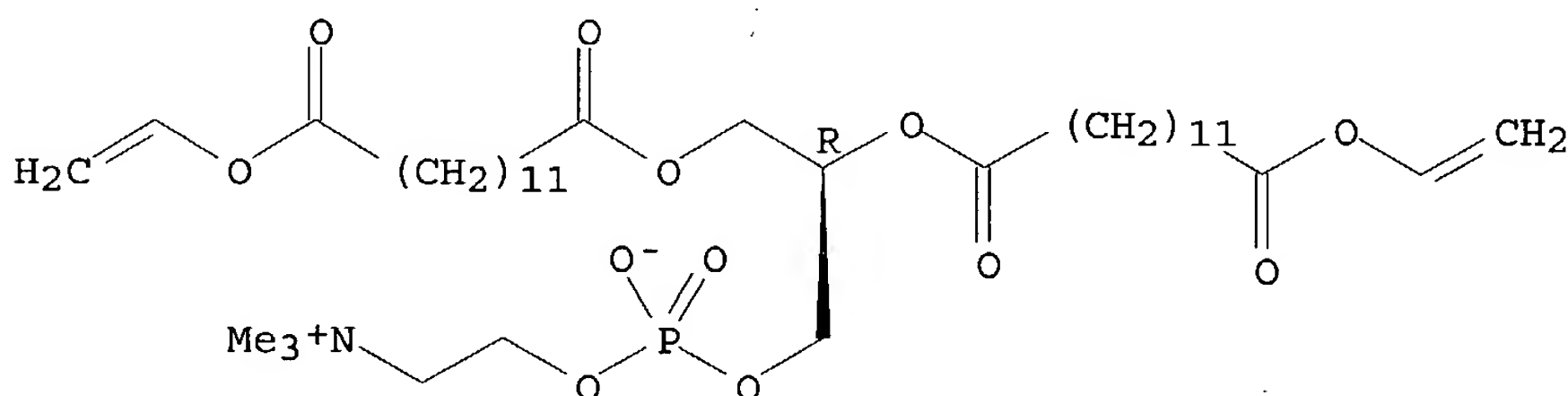
Absolute stereochemistry.



RN 343307-62-0 HCAPLUS

CN 3,5,9,23-Tetraoxa-4-phosphapentacos-24-en-1-aminium, 7-[[[13-(ethenyloxy)-1,13-dioxotridecyl]oxy]-4-hydroxy-N,N,N-trimethyl-10,22-dioxo-, inner salt, 4-oxide, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:63802 HCAPLUS

DOCUMENT NUMBER: 134:136683

TITLE: Vinyl ether lipids with cleavable hydrophilic headgroups

INVENTOR(S): Thompson, David H.; Boomer, Jeremy A.; Haynes, Robert

PATENT ASSIGNEE(S): Purdue Research Foundation, USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005375	A1	20010125	WO 2000-US19430	20000717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1202714	A1	20020508	EP 2000-947445	20000717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			US 1999-144301P	P 19990716
			US 1999-146552P	P 19990730
			WO 2000-US19430	W 20000717

OTHER SOURCE(S): MARPAT 134:136683

AB A novel amphiphilic lipid compound having a cleavable, vinyl ether linked hydrophilic headgroup is described. Also described are **liposomes** containing the vinyl ether lipid compound, which may be triggered to release their contents and/or permeabilize or fuse with target lipid membranes. The cleavable vinyl ether linkage allows the hydrophilic headgroup to be dissociated from the hydrophobic tail group(s) of the lipid compound to facilitate phase transitions in the lipid bilayer. Thus, 4-O-cholesteryl-(3Z-buten-1yl)-polyethylene glycolate (I) was prepared by the reaction of cholestoxy-3Z-buten-1-ol with M-PEG-acid. Pharmaceutical **liposomes** comprising 1,2-dioleoyl-sn-glycerophosphoethanolamine:I (98:2) and calcein were prepared. Calcein release at pH = 4.5 and 7.4 in the presence and absence of egg phosphatidylcholine was studied.

IT 321674-32-2P 321674-33-3P 321674-34-4P
 321674-38-8P 321674-39-9P 321674-40-2P
 321674-42-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

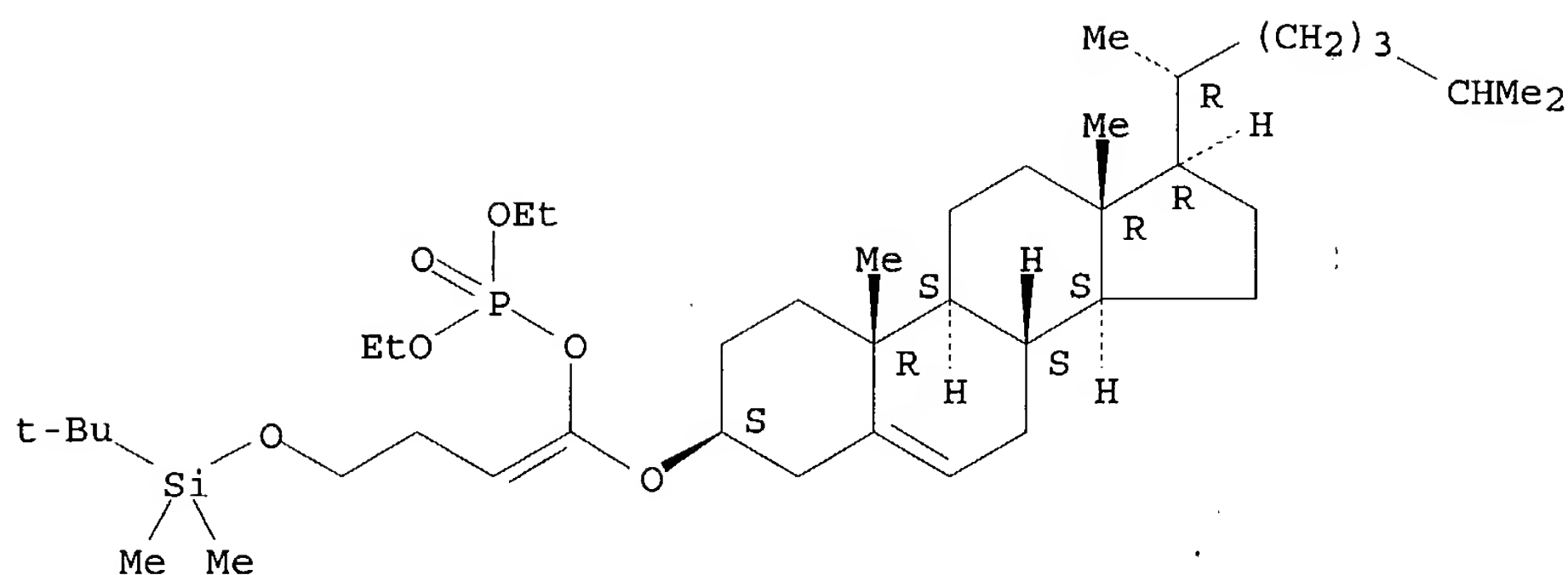
(vinyl ether lipids with cleavable hydrophilic headgroups)

RN 321674-32-2 HCAPLUS

CN Phosphoric acid, 1-[(3 β)-cholest-5-en-3-yloxy]-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-butenyl diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

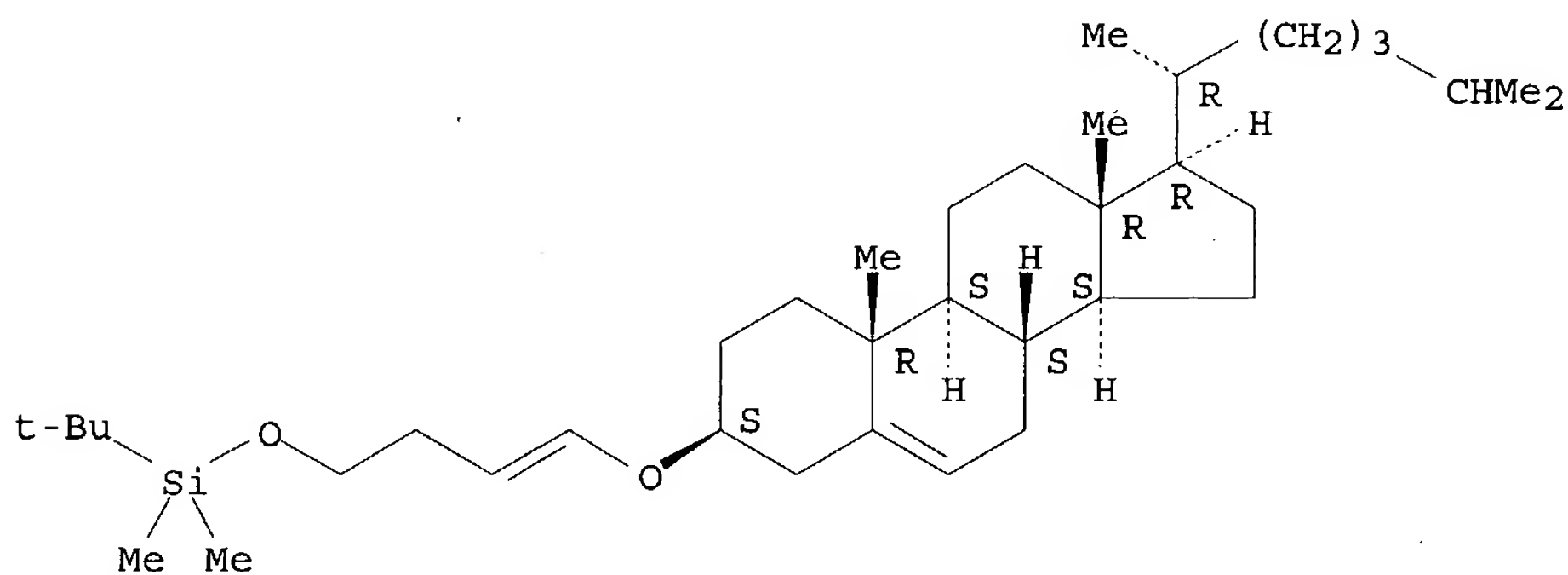
Double bond geometry unknown.



RN 321674-33-3 HCAPLUS

CN Silane, [[4-[(3 β)-cholest-5-en-3-yloxy]-3-butenyl]oxy](1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

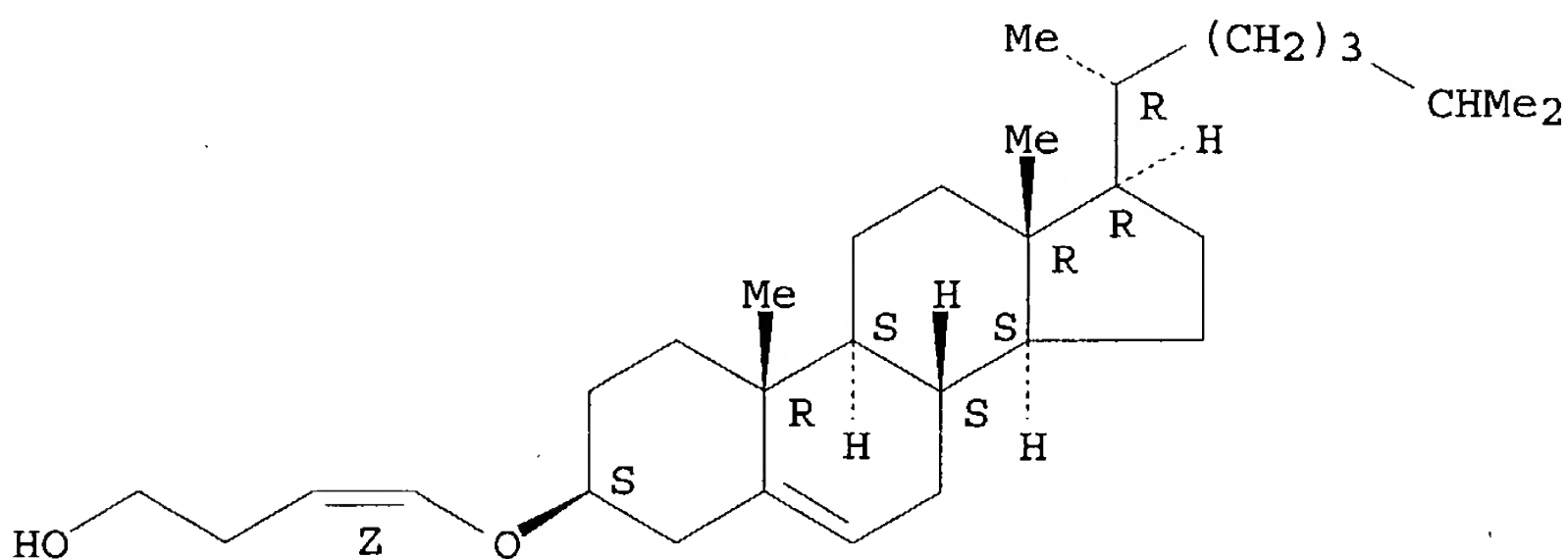
Absolute stereochemistry.
Double bond geometry unknown.



RN 321674-34-4 HCAPLUS

CN 3-Buten-1-ol, 4-[(3 β)-cholest-5-en-3-yloxy]-, (3Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

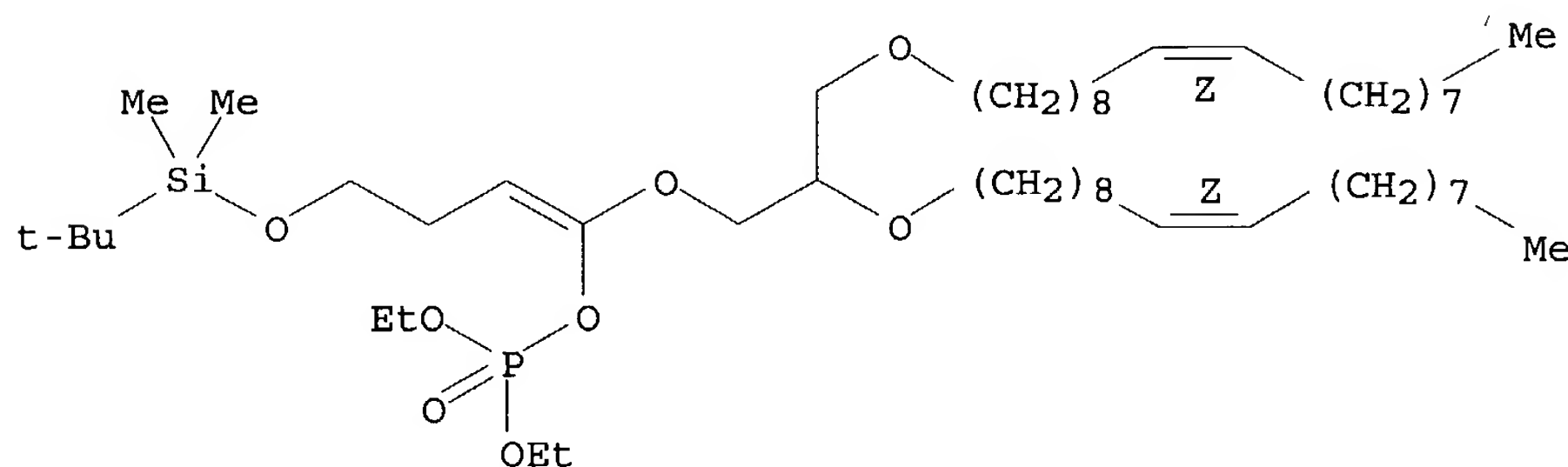


RN 321674-38-8 HCAPLUS

CN Phosphoric acid, 1-[2,3-bis[(9Z)-9-octadecenyloxy]propoxy]-4-[[[(1,1-

dimethylethyl)dimethylsilyl]oxy]-1-butenyl diethyl ester (9CI) (CA INDEX NAME)

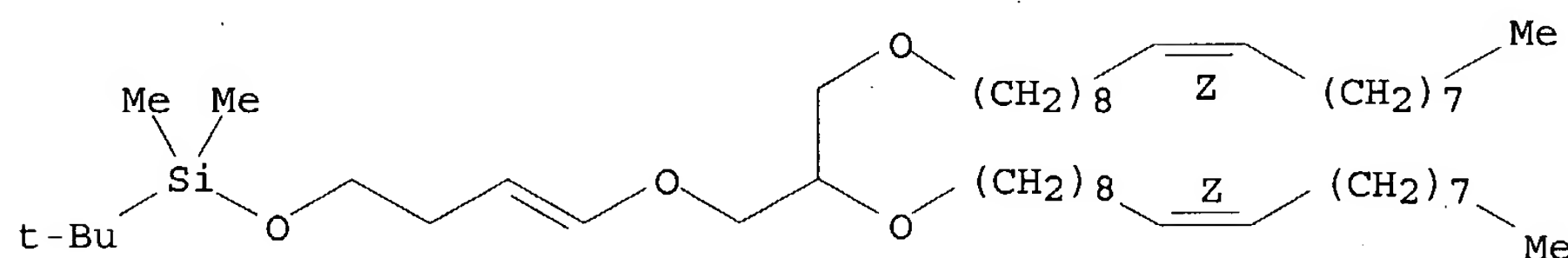
Double bond geometry as described by E or Z.



RN 321674-39-9 HCAPLUS

CN 4,9,13-Trioxa-3-silahentriaconta-7,22-diene, 2,2,3,3-tetramethyl-11-[(9Z)-9-octadecenyl]oxy-, (22Z)- (9CI) (CA INDEX NAME)

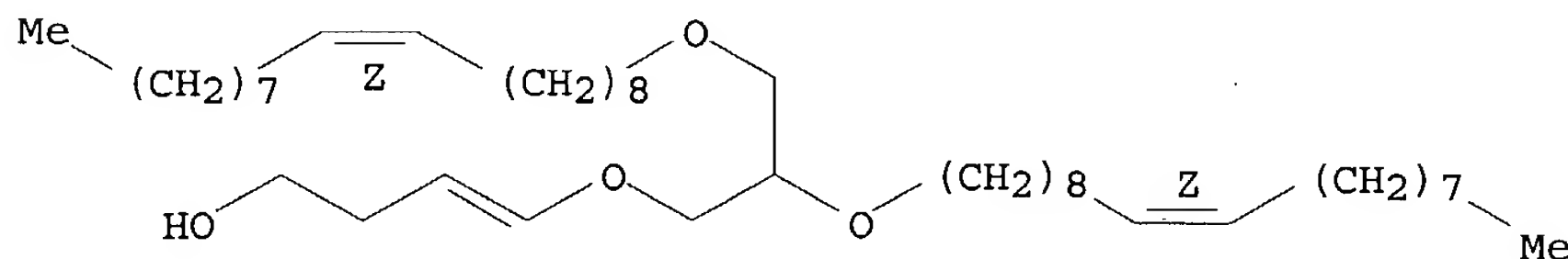
Double bond geometry as described by E or Z.



RN 321674-40-2 HCAPLUS

CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl]propoxy]- (9CI) (CA INDEX NAME)

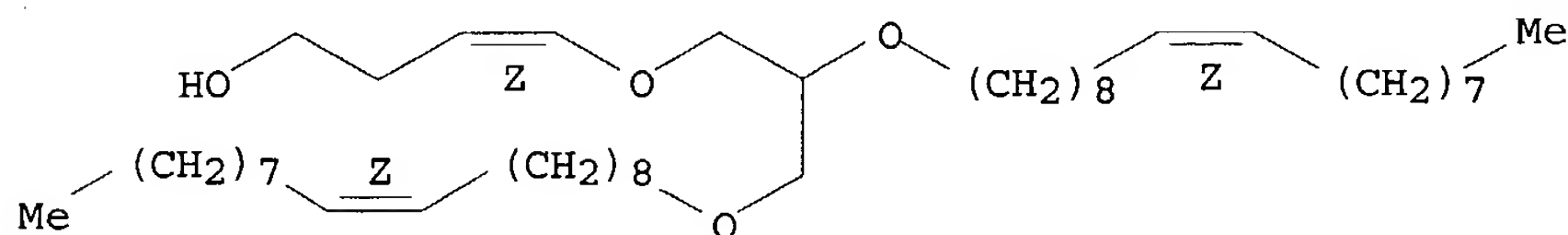
Double bond geometry as described by E or Z.



RN 321674-42-4 HCAPLUS

CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl]propoxy]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 237056-02-9P 321674-35-5P 321674-41-3P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);

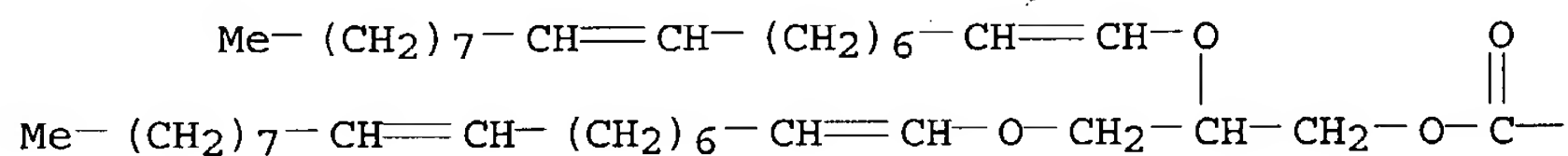
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)

(vinyl ether lipids with cleavable hydrophilic headgroups)

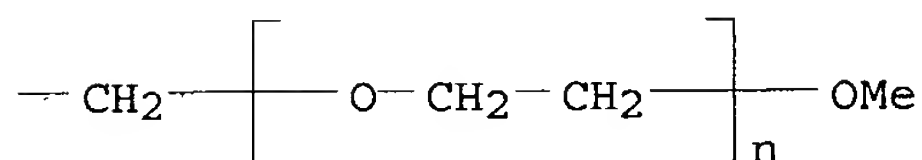
RN 237056-02-9 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(2R)-2,3-bis[(1Z,9Z)-1,9-octadecadienyloxy]propoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



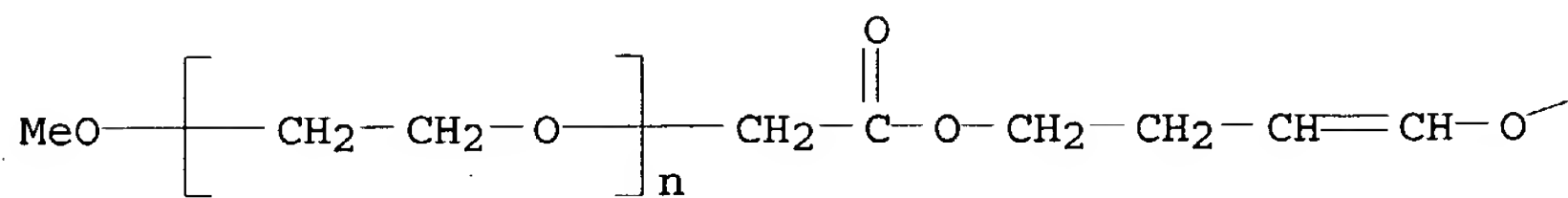
PAGE 1-B



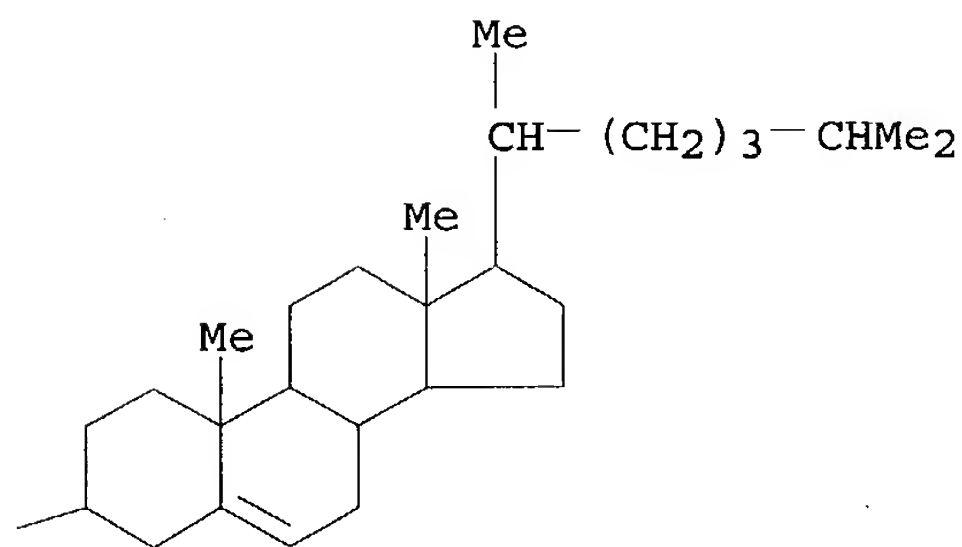
RN 321674-35-5 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3Z)-4-[(3 β)-cholest-5-en-3-yloxy]butoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

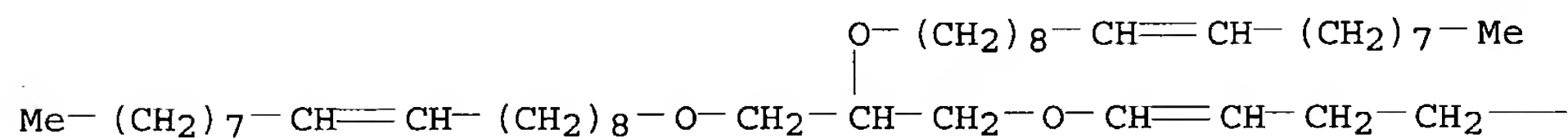


PAGE 1-B

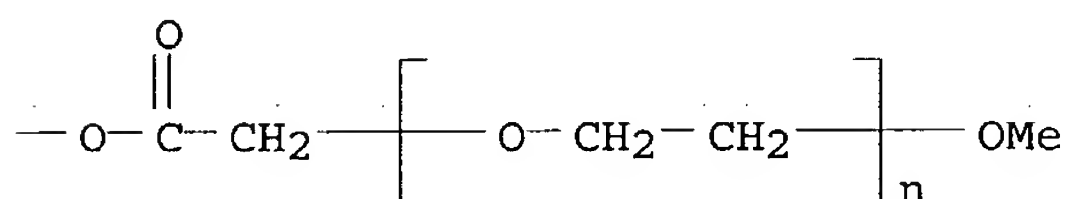


RN 321674-41-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3Z)-4-[2,3-bis[(9Z)-9-octadecenyl]oxy]propoxy]-3-butenyl]oxy]-2-oxoethyl]- ω -methoxy- (9CI)
 (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> □

=> d ibib abs hitstr 130 1-5

L30 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:640802 HCAPLUS

DOCUMENT NUMBER: 140:309211

TITLE: Acid-triggered release via dePEGylation of DOPE liposomes containing acid-labile vinyl ether PEG-lipids

AUTHOR(S): Shin, Junhwa; Shum, Pochi; Thompson, David H.

CORPORATE SOURCE: Department of Chemistry, Purdue University, West Lafayette, IN, 47907, USA

SOURCE: Journal of Controlled Release (2003), 91(1-2), 187-200
CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Four structurally related, acid-labile polyethylene glycol (PEG) conjugated vinyl ether lipids have been synthesized and used at low molar ratios to stabilize the nonlamellar, highly fusogenic lipid, dioleoylphosphatidyl ethanolamine, as unilamellar liposomes. Acid-catalyzed hydrolysis of the vinyl ether bond destabilized these liposomes by removal of the sterically-stabilizing PEG layer, thereby promoting contents release on the hours timescale at pH<5. Structure-property correlations of these compds. suggested that single vinyl ether linkages between the PEG headgroup and the lipid backbone produce faster leakage rates. These studies also suggested that the presence of a slight neg. charge at the membrane surface can accelerate the acid-catalyzed leakage process.

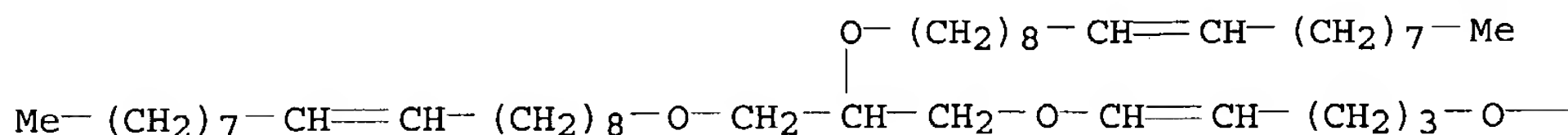
IT 676478-01-6P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acid-triggered release via dePEGylation of DOPE liposomes containing acid-labile vinyl ether PEG-lipids)

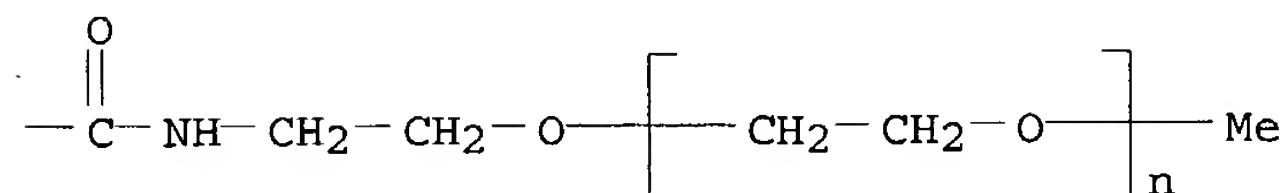
RN 676478-01-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[[(9Z,24Z)-13-[(9Z)-9-octadecenyl]oxy]-4-oxo-5,11,15-trioxa-3-azatritriaconta-9,24-dien-1-yl]oxy]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 676477-95-5P 676477-96-6P 676477-97-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

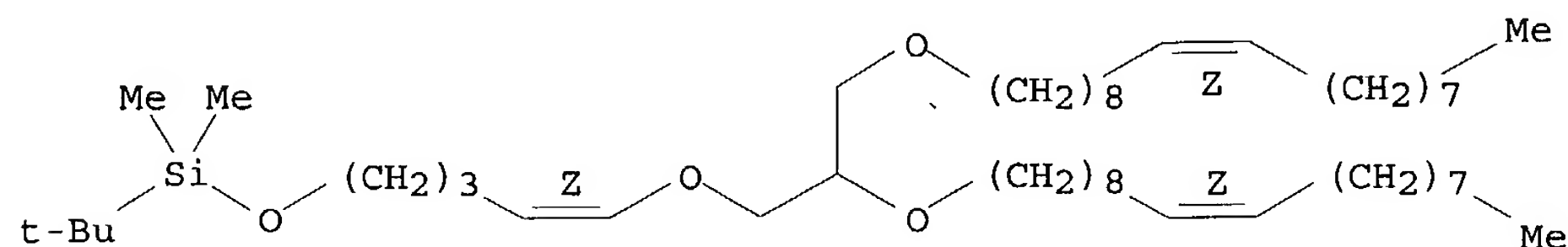
(Reactant or reagent)

(acid-triggered release via dePEGylation of DOPE liposomes containing acid-labile vinyl ether PEG-lipids)

RN 676477-95-5 HCAPLUS

CN 4,10,14-Trioxa-3-siladotriaconta-8,23-diene, 2,2,3,3-tetramethyl-12-[(9Z)-9-octadecenyl-oxy]-, (8Z,23Z)- (9CI) (CA INDEX NAME)

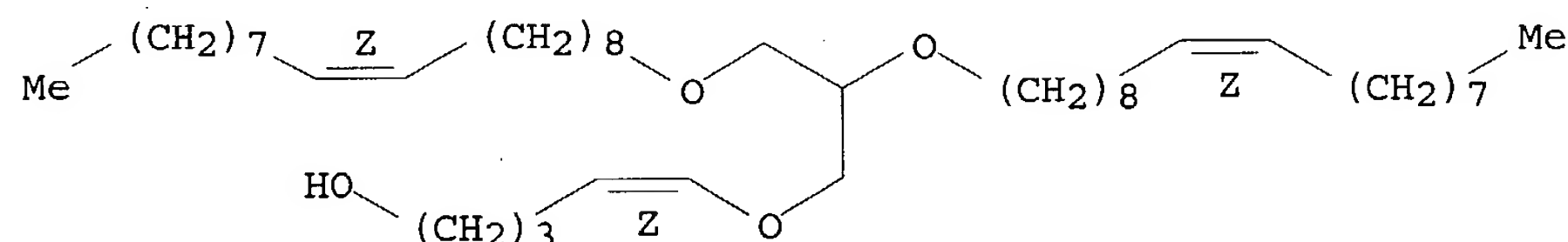
Double bond geometry as shown.



RN 676477-96-6 HCAPLUS

CN 4-Penten-1-ol, 5-[2,3-bis[(9Z)-9-octadecenyl-oxy]propoxy]-, (4Z)- (9CI) (CA INDEX NAME)

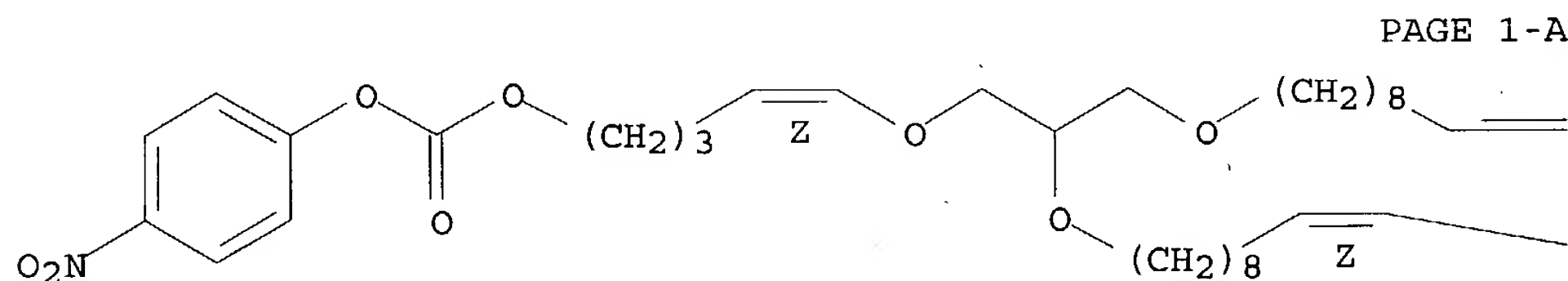
Double bond geometry as shown.



RN 676477-97-7 HCAPLUS

CN Carbonic acid, (4Z)-5-[2,3-bis[(9Z)-9-octadecenyl-oxy]propoxy]-4-pentenyl 4-nitrophenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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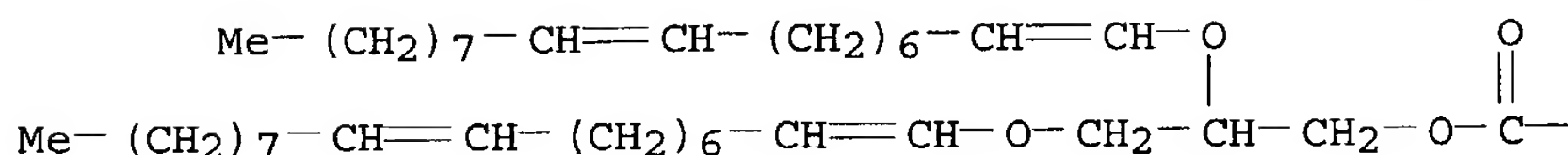
PAGE 1-B

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

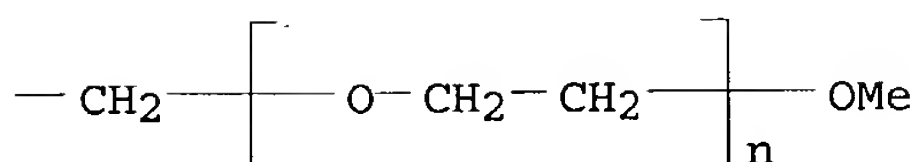
L30 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:524302 HCAPLUS
 DOCUMENT NUMBER: 139:209637
 TITLE: Acid-Triggered Release from Sterically Stabilized
 Fusogenic Liposomes via a Hydrolytic DePEGylation
 Strategy
 AUTHOR(S): Boomer, Jeremy A.; Inerowicz, Halina D.; Zhang,
 Zhi-Yi; Bergstrand, Nill; Edwards, Katarina; Kim,
 Jong-Mok; Thompson, David H.
 CORPORATE SOURCE: Department of Chemistry, Purdue University, West
 Lafayette, IN, 47907-1393, USA
 SOURCE: Langmuir (2003), 19(16), 6408-6415
 CODEN: LANGD5; ISSN: 0743-7463
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A novel acid-labile poly(ethylene glycol) (PEG)-conjugated lipid,
 (R)-1,2-di-O-(1'Z,9'Z-octadecadienyl)-glyceryl-3-(ω -methoxy-
 poly(ethylene glycolate), MW5000) (BVEP), a neutral PEG-derivatized analog
 of dipalmitoylcholine, has been used at low molar ratios to disperse the
 nonlamellar, fusogenic lipid 1,2-dioleoyl-sn-glycero-3-phosphoethanolamine
 (DOPE) as unilamellar liposomes. It was anticipated that acid-catalyzed
 hydrolysis of the vinyl ether linkages would destabilize BVEP/DOPE
 liposomes by removal of the water-soluble, sterically stabilizing PEG layer,
 thereby promoting contents release and membrane-membrane fusion. This
 paper describes the hydrolysis rates, contents release rates, and fusion
 kinetics of BVEP-stabilized DOPE liposomes at 1:99, 3:97, and 5:95 molar
 ratios of BVEP/DOPE. Calcein leakage kinetics indicate that 3:97
 BVEP/DOPE liposomes offer the best stability at pH 7.4 while retaining
 favorable leakage properties at pH 4.5 ($t_{50\% \text{ release}} \approx 4 \text{ h}$).
 N-Rhodamine phosphatidylethanolamine/N-nitrobenzoxadiazole
 phosphatidylethanolamine lipid mixing assays show that membrane fusion
 occurs on a much slower time scale than leakage in these systems, with
 .apprx.12% lipid mixing occurring over a 24 h time period at pH 2.0. No
 appreciable membrane fusion occurred in these liposomes at either pH 7.4
 or 4.5 when monitored for up to 3 days. ^{31}P NMR spectra at pH 7.4 contain
 a single isotropic line shape, consistent with the presence of large
 liposomes. The ^{31}P NMR line shape did not change significantly even after
 long exposure times at pH 4.0; however, Mn^{2+} addition expts. with
 acid-treated samples produced line-broadened spectra, indicating that all
 the phosphorus sites were continuous with the bulk water phase.
 Time-dependent cryogenic TEM expts. indicate that extensive liposome
 collapse to give small dense aggregates occurs over a 1-4 h period when
 3:97 BVEP/DOPE liposomes are acidified to pH 4.5. Taken together, these
 results suggest that acid-catalyzed hydrolysis of BVEP/DOPE liposomes does
 result in dePEGylative triggering; however, the primary outcome of this
 cleavage process is contents leakage and liposome collapse to give <100 nm
 particles that are presumed to be inverted hexagonal phase structures,
 with membrane lipid mixing occurring on a kinetically slower time scale.
 IT 237056-02-9
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (fusogenic lipid BVEP/DOPE can stabilize DOPE lamellar phase in
 liposome membrane)
 RN 237056-02-9 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[2-[(2R)-2,3-bis[(1Z,9Z)-1,9-
 octadecadienyloxy]propoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX
 NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:499291 HCAPLUS

DOCUMENT NUMBER: 140:169365

TITLE: Interactions between pH-sensitive liposomes and model membranes

AUTHOR(S): Bergstrand, Nill; Arfvidsson, Maria C.; Kim, Jong-Mok; Thompson, David H.; Edwards, Katarina

CORPORATE SOURCE: Department of Physical Chemistry, Uppsala University, Uppsala, S-751 23, Swed.

SOURCE: Biophysical Chemistry (2003), 104(1), 361-379
CODEN: BICIAZ; ISSN: 0301-4622

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The structure and dynamics of two different pH-sensitive liposome systems were investigated by means of cryotransmission electron microscopy and different photophys. techniques. Both systems consisted of dioleoylphosphatidylethanolamine (DOPE) and contained either oleic acid (OA) or a novel acid-labile polyethylene glycol-conjugated lipid (DHcho-MPEG5000) as stabilizer. Proton induced leakage, lipid mixing and structural changes were studied in the absence and presence of EPC liposomes, as well as in the presence of liposomes designed to model the endosome membrane. Neither DHcho-MPEG5000- nor OA-stabilized liposomes showed any tendency for fusion with pure EPC liposomes or endosome-like liposomes composed of EPC/DOPE/SM/Cho (40/20/6/34 mol.). Our investigations showed, however, that incorporation of lipids from the pH-sensitive liposomes into the endosome membrane may lead to increased permeability and formation of non-lamellar structures. Taken together the results suggest that the observed ability of DOPE-containing liposomes to mediate

cytoplasmic delivery of hydrophilic mols. cannot be explained by a mechanism based on a direct, and non-leaky, fusion between the liposome and endosome membranes. A mechanism involving destabilization of the endosome membrane due to incorporation of DOPE, seems more plausible.

IT 321674-35-5

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

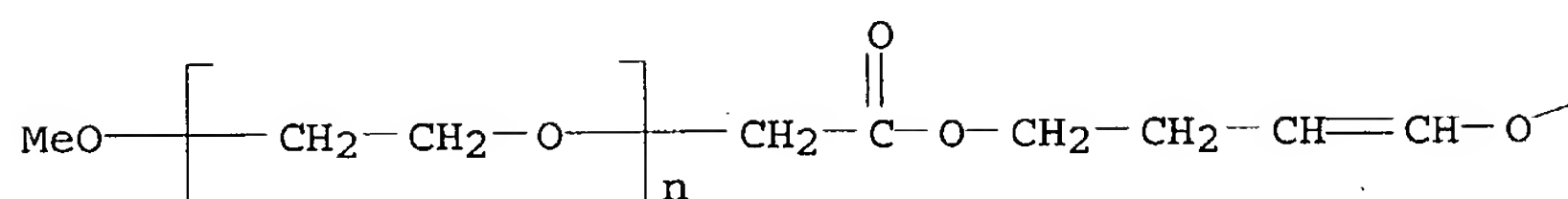
(interactions between pH-sensitive liposomes and model membranes)

RN 321674-35-5 HCAPLUS

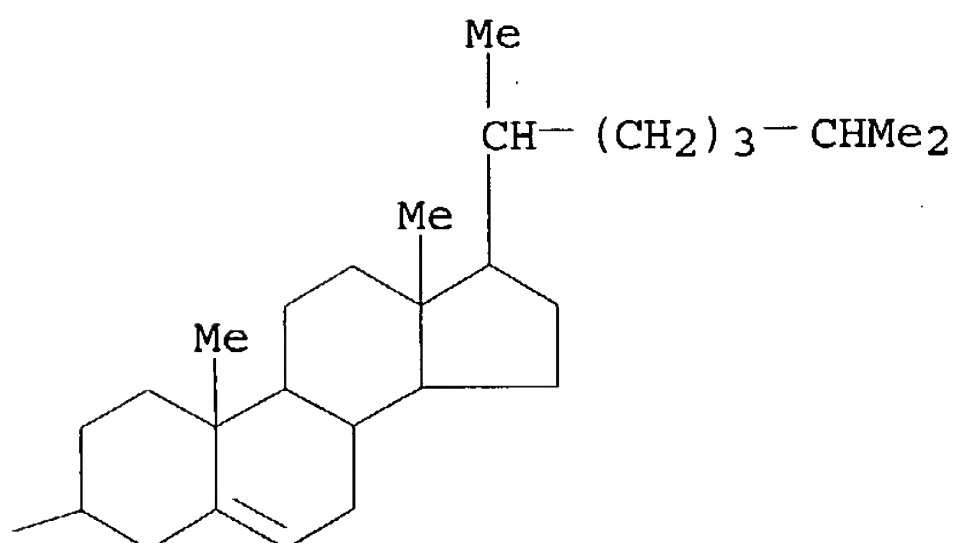
CN Poly(oxy-1,2-ethanediyl), α -[2-[(3Z)-4-[(3 β)-cholest-5-en-3-

yloxy]butoxy]-2-oxoethyl]-ω-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:63802 HCAPLUS

DOCUMENT NUMBER: 134:136683

TITLE: Vinyl ether lipids with cleavable hydrophilic headgroups

INVENTOR(S): Thompson, David H.; Boomer, Jeremy A.; Haynes, Robert

PATENT ASSIGNEE(S): Purdue Research Foundation, USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005375	A1	20010125	WO 2000-US19430	20000717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1202714 A1 20020508 EP 2000-947445 20000717

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.:

US 1999-144301P P 19990716
US 1999-146552P P 19990730
WO 2000-US19430 W 20000717

OTHER SOURCE(S): MARPAT 134:136683

AB A novel amphiphilic lipid compound having a cleavable, vinyl ether linked hydrophilic headgroup is described. Also described are liposomes containing the vinyl ether lipid compound, which may be triggered to release their contents and/or permeabilize or fuse with target lipid membranes. The cleavable vinyl ether linkage allows the hydrophilic headgroup to be dissociated from the hydrophobic tail group(s) of the lipid compound to facilitate phase transitions in the lipid bilayer. Thus, 4-O-cholesteryl-(3Z-buten-1yl)-polyethylene glycolate (I) was prepared by the reaction of cholestoxy-3Z-buten-1-ol with M-PEG-acid. Pharmaceutical liposomes comprising 1,2-dioleoyl-sn-glycerophosphoethanolamine:I (98:2) and calcein were prepared. Calcein release at pH = 4.5 and 7.4 in the presence and absence of egg phosphatidylcholine was studied.

IT 321674-32-2P 321674-33-3P 321674-34-4P

321674-38-8P 321674-39-9P 321674-40-2P

321674-42-4P

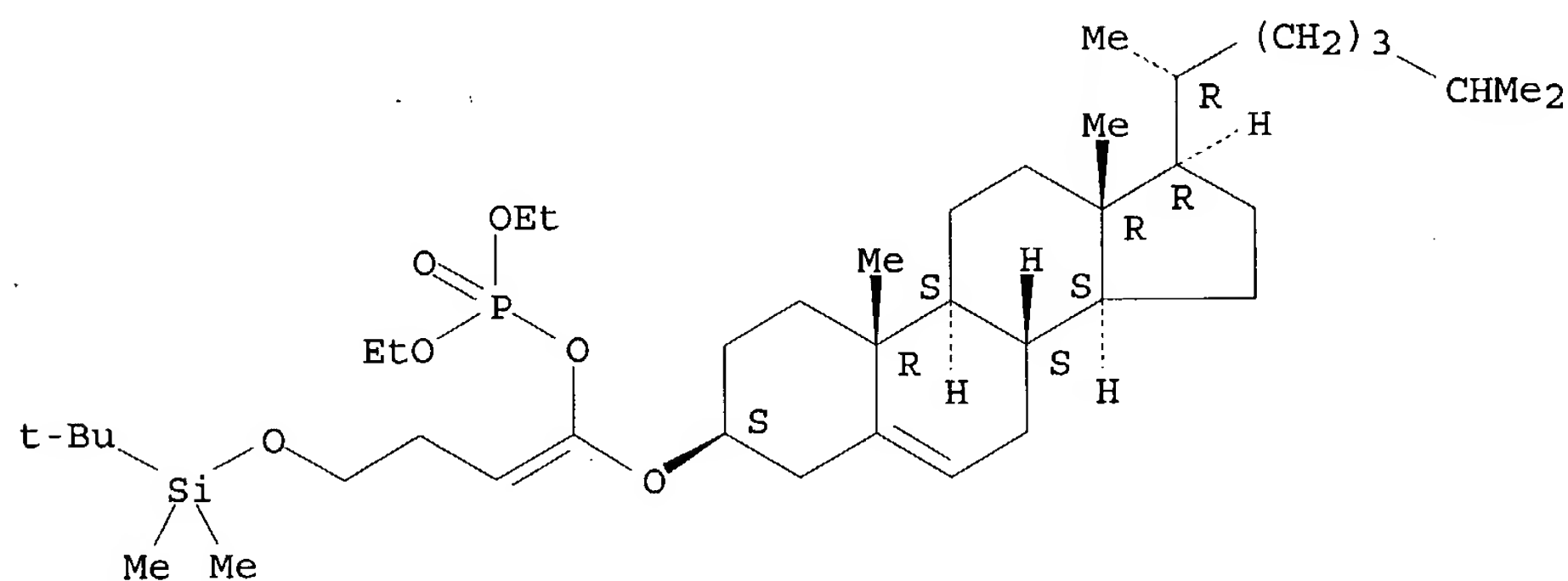
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(vinyl ether lipids with cleavable hydrophilic headgroups)

RN 321674-32-2 HCAPLUS

CN Phosphoric acid, 1-[(3 β)-cholest-5-en-3-yloxy]-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-butenyl diethyl ester (9CI) (CA INDEX NAME)

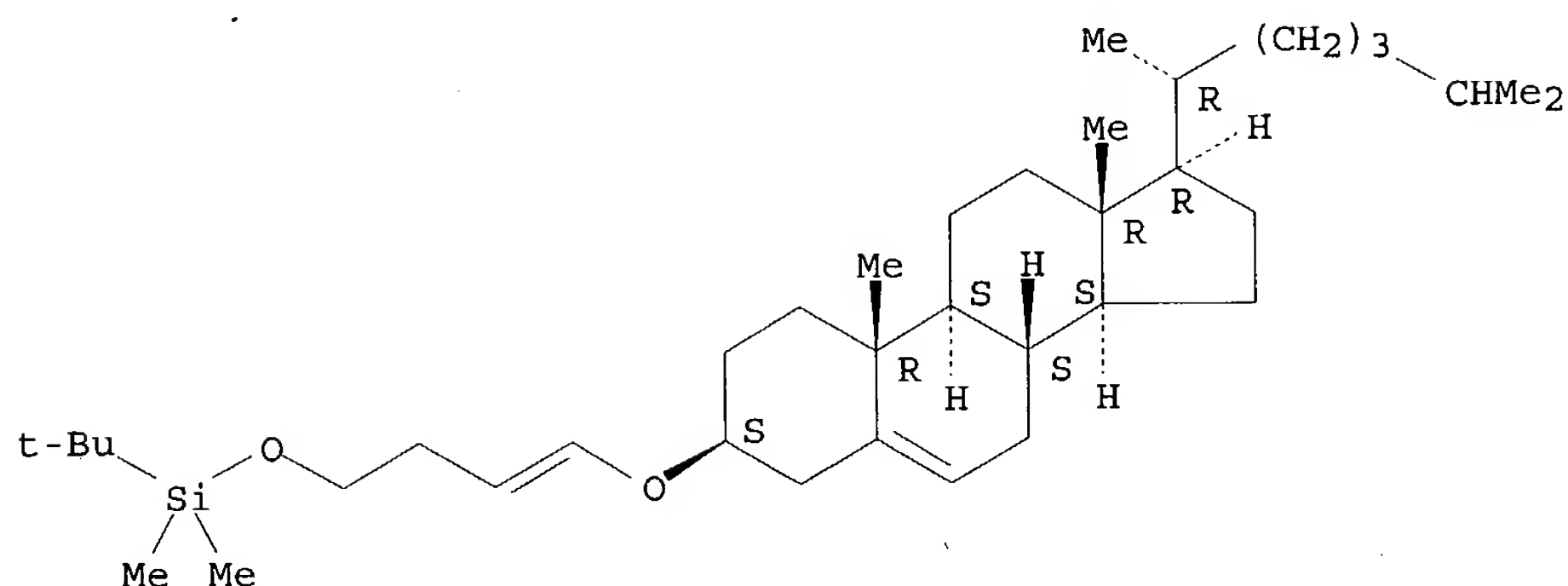
Absolute stereochemistry.
Double bond geometry unknown.



RN 321674-33-3 HCAPLUS

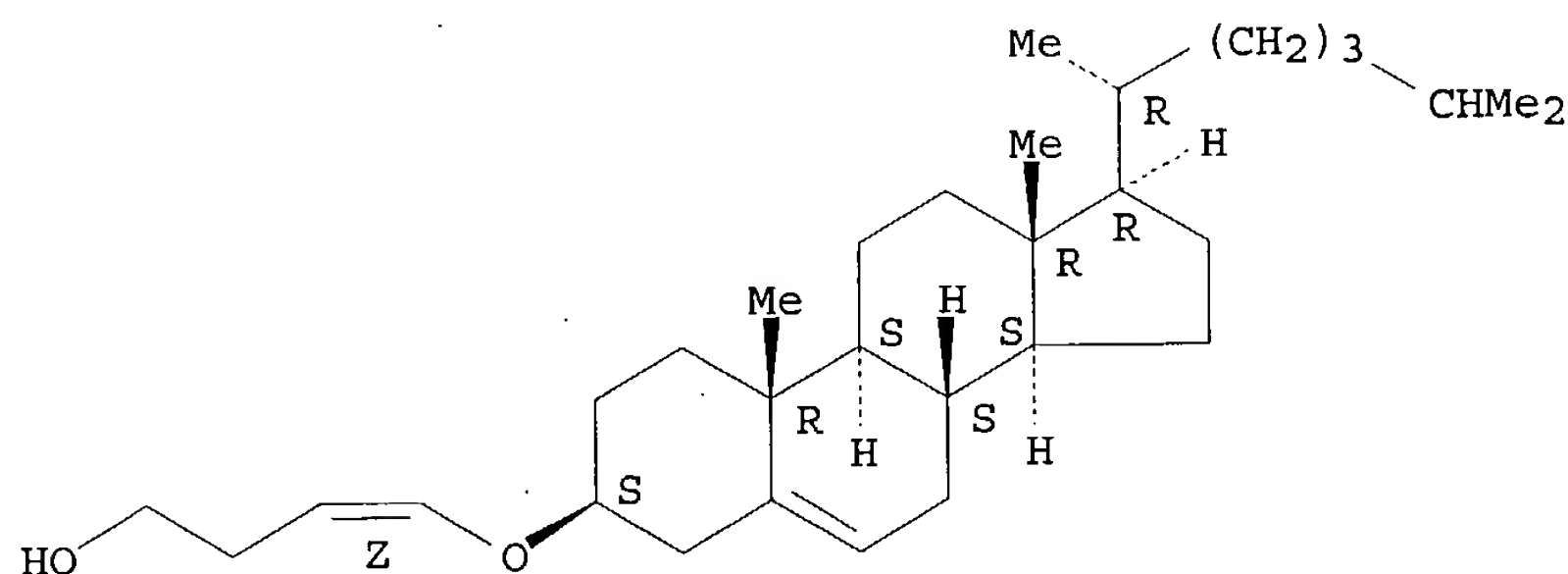
CN Silane, [[4-[(3 β)-cholest-5-en-3-yloxy]-3-butenyl]oxy] (1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



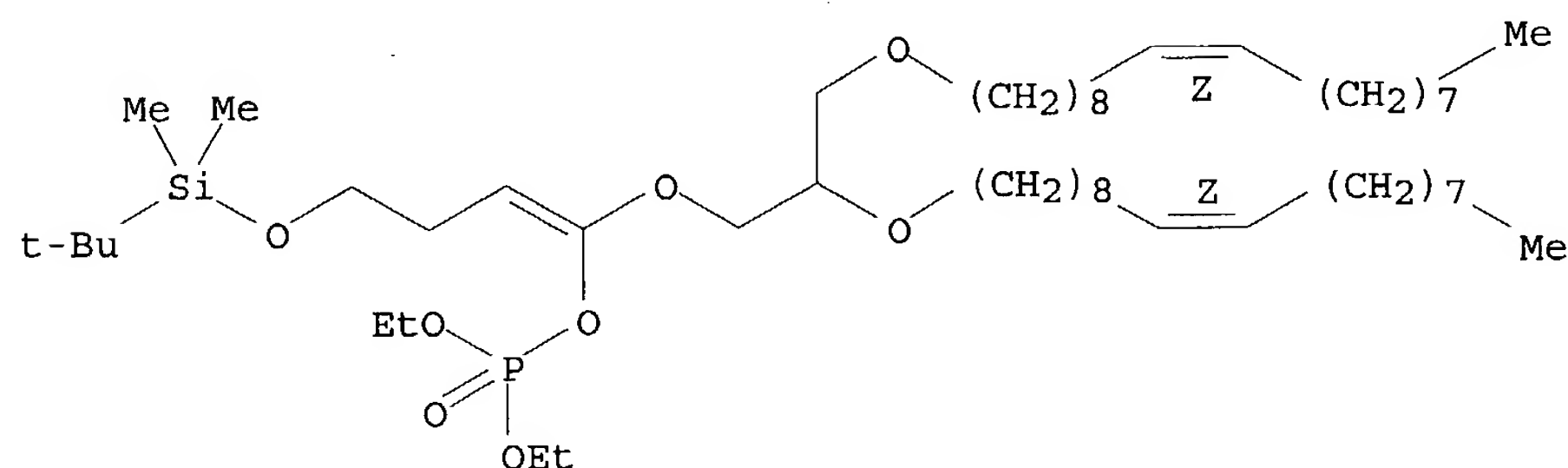
RN	321674-34-4	HCAPLUS			
CN	3-Buten-1-ol, 4-[(3 β)-cholest-5-en-3-yloxy]-, (3Z)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.
Double bond geometry as shown.



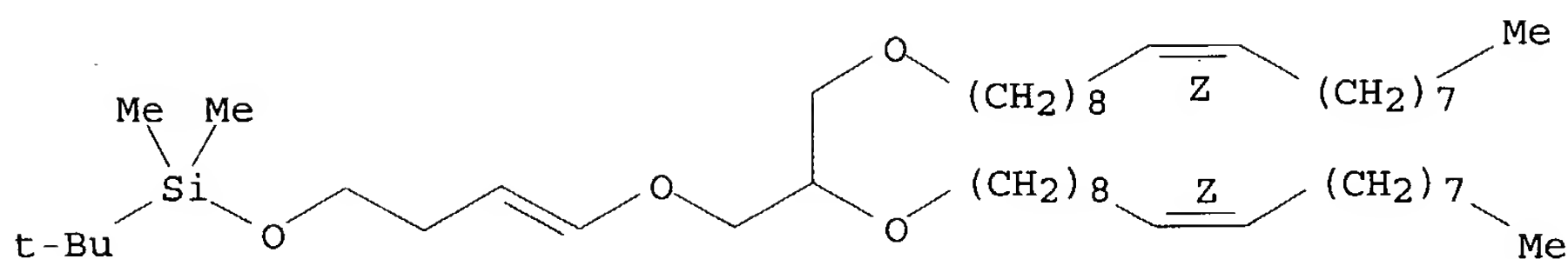
RN	321674-38-8	HCAPLUS
CN	Phosphoric acid, 1-[2,3-bis[(9Z)-9-octadecenyloxy]propoxy]-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-butenyl diethyl ester (9CI) (CA INDEX NAME)	

Double bond geometry as described by E or Z.



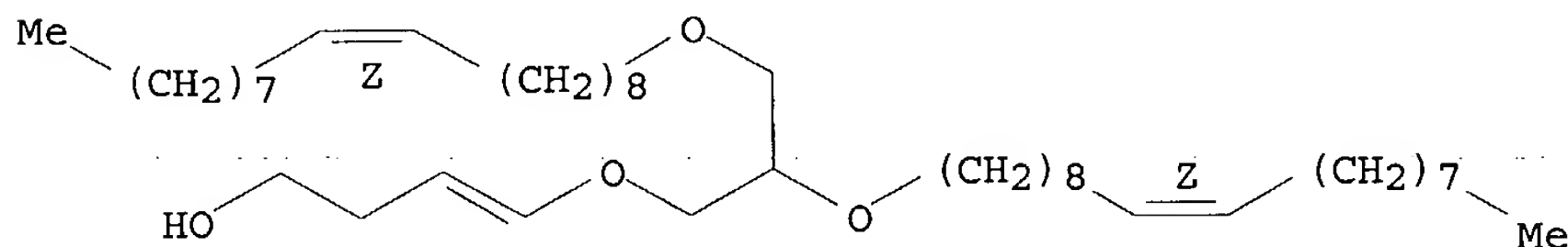
RN 321674-39-9 HCAPLUS
CN 4,9,13-Trioxa-3-silahentriaconta-7,22-diene, 2,2,3,3-tetramethyl-11-[(9Z)-9-octadecenyl-oxo]-, (22Z)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



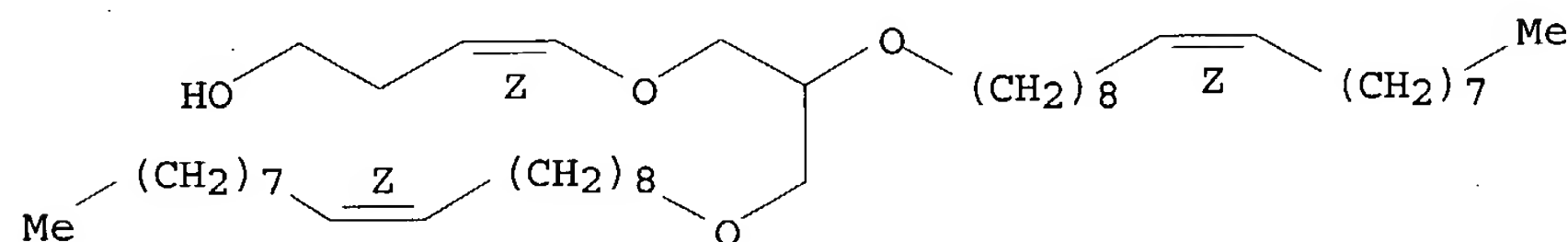
RN 321674-40-2 HCAPLUS
 CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl]oxy]propoxy] - (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



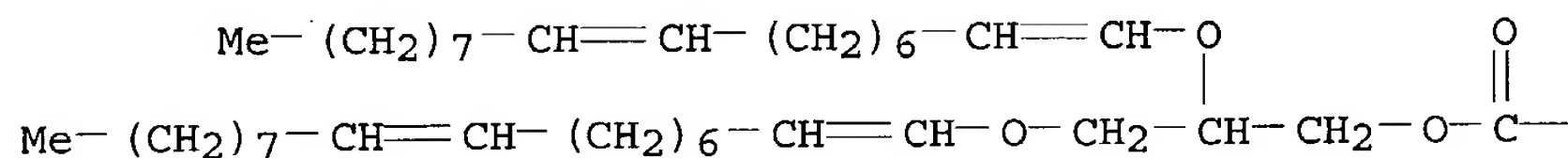
RN 321674-42-4 HCAPLUS
 CN 3-Buten-1-ol, 4-[2,3-bis[(9Z)-9-octadecenyl]oxy]propoxy] -, (3Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

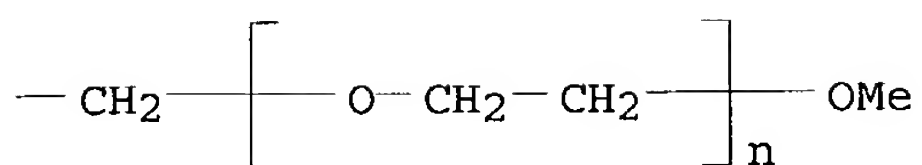


IT 237056-02-9P 321674-35-5P 321674-41-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
 USES (Uses)
 (vinyl ether lipids with cleavable hydrophilic headgroups)
 RN 237056-02-9 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[2-[(2R)-2,3-bis[(1Z,9Z)-1,9-octadecadienyloxy]propoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

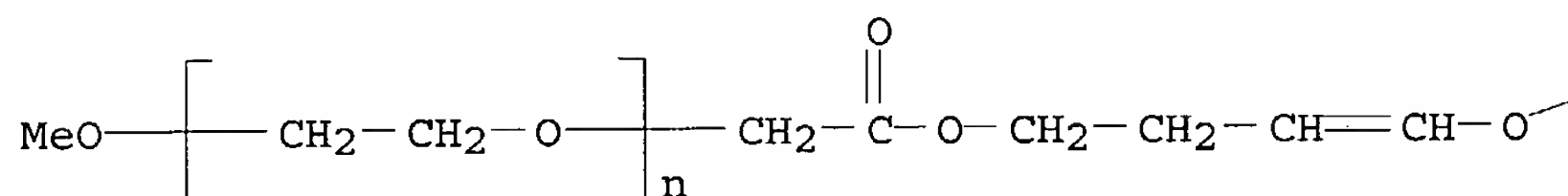


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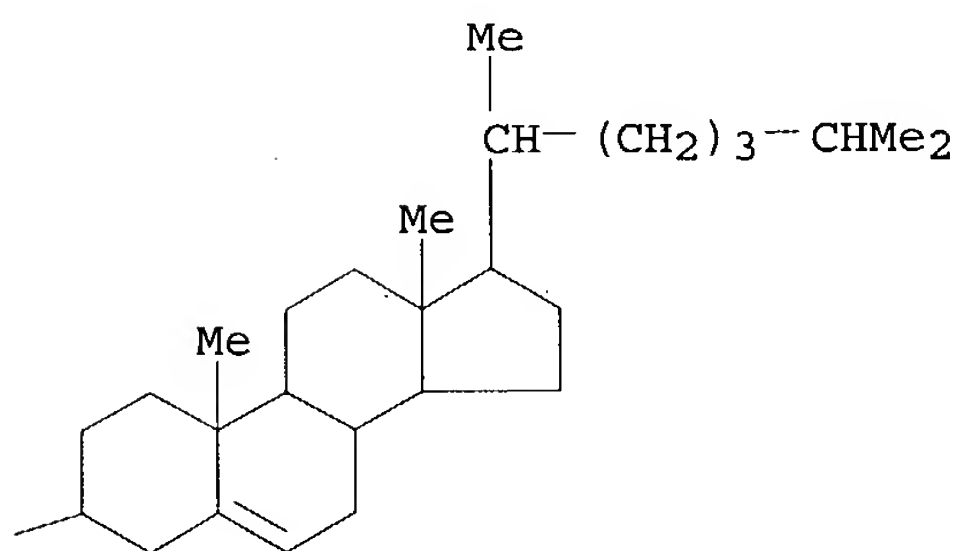


RN 321674-35-5 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[2-[(3Z)-4-[(3 β)-cholest-5-en-3-yloxy]butoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

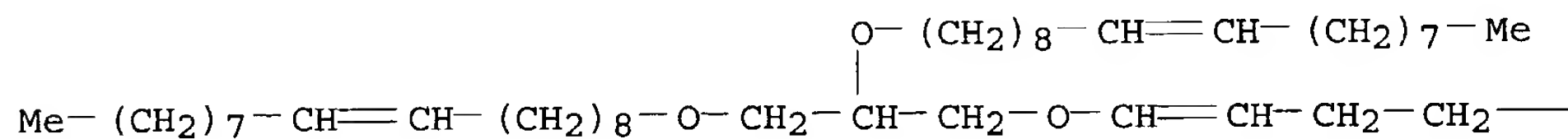


PAGE 1-B



RN 321674-41-3 HCAPLUS
 CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3Z)-4-[2,3-bis[(9Z)-9-octadecenyl]oxy]propoxy]-3-butenyl]oxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

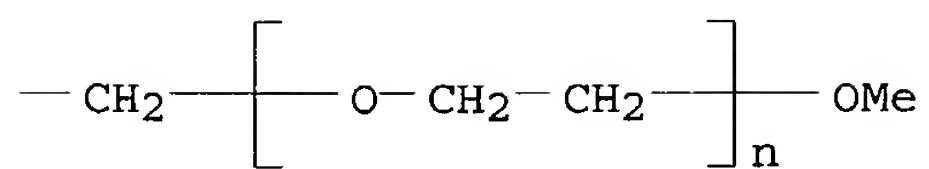


$$\text{---O---}\overset{\overset{\text{O}}{\parallel}}{\text{C}}\text{---CH}_2\text{---}\left[\text{---O---CH}_2\text{---CH}_2\text{---}\right]_n\text{---OMe}$$

CN Poly(oxy-1,2-ethanediyl), α -[2-[(2R)-2,3-bis[(1Z,9Z)-1,9-octadecadienyloxy]propoxy]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

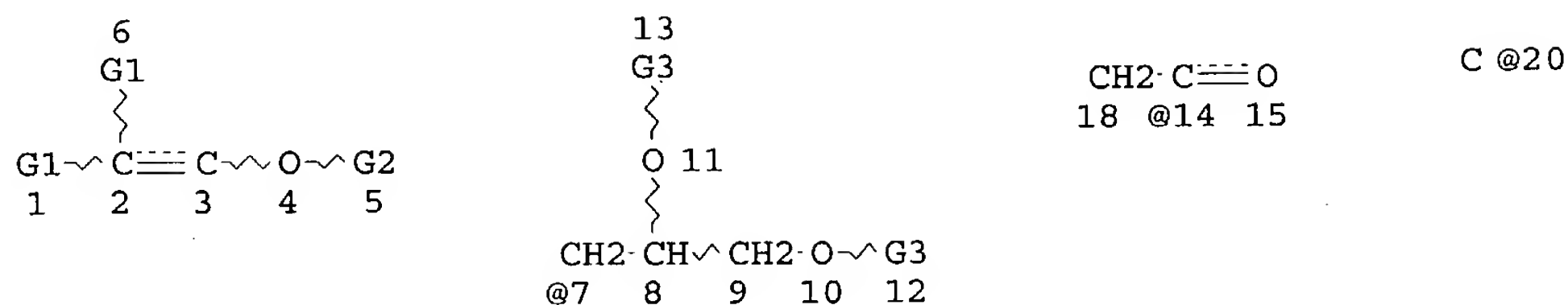
$$\begin{array}{c} \text{Me}-(\text{CH}_2)_7-\text{CH}=\text{CH}-(\text{CH}_2)_6-\text{CH}=\text{CH}-\text{O} \\ \text{Me}-(\text{CH}_2)_7-\text{CH}=\text{CH}-(\text{CH}_2)_6-\text{CH}=\text{CH}-\text{O}-\text{CH}_2-\text{CH}-\text{CH}_2-\text{O}-\text{C}=\text{O} \end{array}$$

PAGE 1-B



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d que stat 130
L1 STR

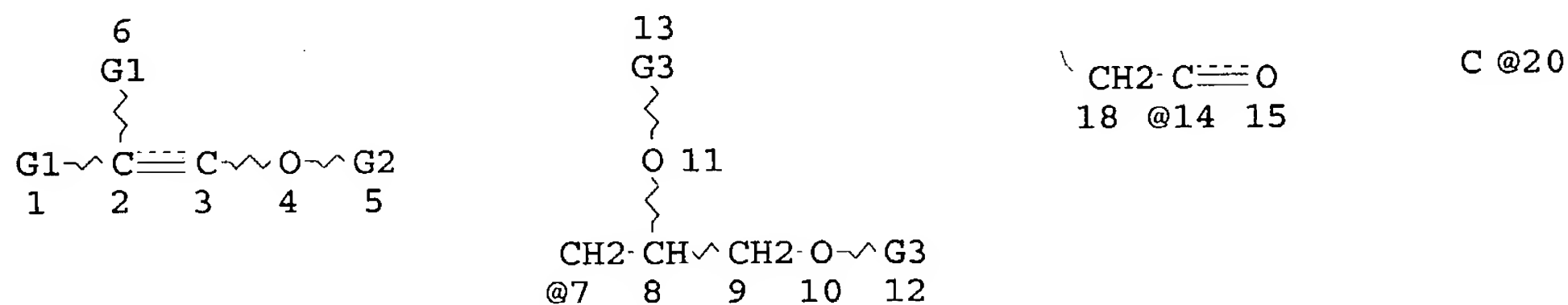


CH2-C=S
19 @16 17

VAR G1=H/C
VAR G2=7/20
VAR G3=C/14/16
NODE ATTRIBUTES:
NSPEC IS R AT 20
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L2 (115345)SEA FILE=HCAPLUS ABB=ON ?LIPOSOME? OR ?VESICLE?
L3 (2141)SEA FILE=HCAPLUS ABB=ON L2 AND ?HYDROPHIL?
L4 STR



CH2-C=S
19 @16 17

VAR G1=H/C
VAR G2=7/20
VAR G3=C/14/16
NODE ATTRIBUTES:
NSPEC IS R AT 20
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L5 SEL L3 1-2141 RN : 8452 TERMS
L6 (8450)SEA FILE=REGISTRY ABB=ON L5
L7 (10)SEA FILE=REGISTRY SUB=L6 SSS FUL L4
L8 (4)SEA FILE=HCAPLUS ABB=ON L7
L9 SEL L2 5000-9999 RN : 46572 TERMS
L10 (46572)SEA FILE=REGISTRY ABB=ON L9
L11 (6)SEA FILE=REGISTRY SUB=L10 SSS FUL L4
L12 5 SEA FILE=HCAPLUS ABB=ON L11 OR L8
L13 115345 SEA FILE=HCAPLUS ABB=ON ?LIPOSOME? OR ?VESICLE?
L25 TRANSFER L13 18000-22775 RN : 30518 TERMS
L26 30518 SEA FILE=REGISTRY ABB=ON L25
L28 10 SEA FILE=REGISTRY SUB=L26 SSS FUL L1
L29 4 SEA FILE=HCAPLUS ABB=ON L28
L30 5 SEA FILE=HCAPLUS ABB=ON L12 OR L29